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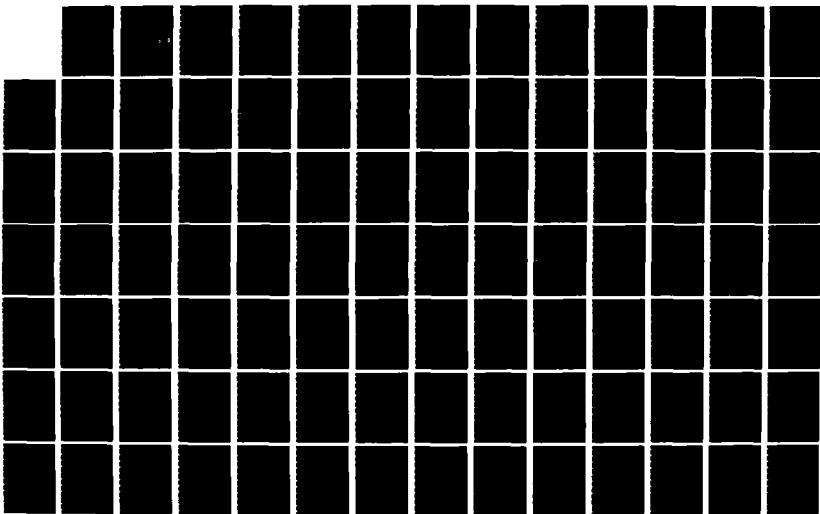
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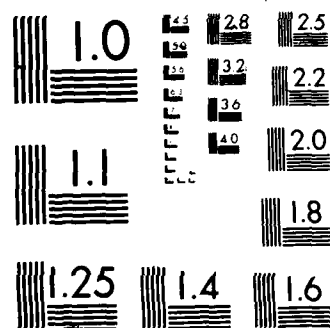
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FINAL SUMMARY REPORT
SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS

BY

LESLIE M. WERBEL, Ph.D.

December 1983

(FOR THE PERIOD 3 JANUARY 1972 - 30 NOVEMBER 1982)

SUPPORTED BY

US ARMY MEDICAL RESEARCH AND DEVELOPMENT COMMAND
FORT DETRICK, FREDERICK, MARYLAND 21701

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WARNER-LAMBERT COMPANY
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I. INTRODUCTION

Under contract DADA 17-72-C- 2077 (January 1972 - September, 1979) and DAMD 17-79-C-9115 (1 October 1979 - 30 November 1982) a total of one thousand five hundred and sixty two (1,562) compounds have been synthesized and submitted to Walter Reed for antimalarial evaluation.

Since the details of all work has been reported in annual reports this final summary report will review the current status of the major structural classes of compounds and will include summaries of biological data and brief text to clarify the tables. In particular we have included those series in which future effort may still be beneficial towards the development of antimalarial drugs.

We have also included (Appendix 1) a tabulation organized by chemical class which lists the WRAIR BN, our AM designation, the name and specific reference to an annual report.

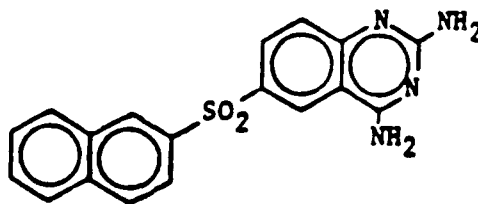
Also included (Appendix 2) is a tabulation of our AM number and the chemical structure for all compounds submitted.

II. SUMMARIES OF BIOLOGICAL DATA BY STRUCTURAL CLASS

A. Folid Acid Inhibitors

1. Diaminoquinazolines

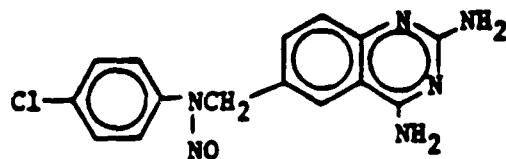
Some years ago, our efforts under Walter Reed sponsorship resulted in the development of a variety of 2,4-diaminoquinazolines among which were perhaps the most potent antimalarial compounds known in experimental models. A brief abortive trial in man with WR 158122 (1) and the retrospective realization that this compound and certain analogs were not active orally either in man or in the rodent model led to abandonment of this class of compounds.



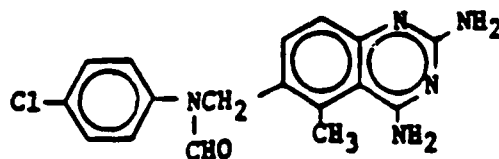
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Unfortunately, the biological studies to determine the reason for the lack of oral efficacy, i.e., rapid metabolism, excretion, first pass effect, etc, were never performed, so that adequate basis for the design of a related compound without this shortcoming was never attained. Moreover, the extensive battery of analogs of WR 158122 resulting from our synthetic efforts had never until recently been examined exhaustively to determine if a better compound might already exist in the files.

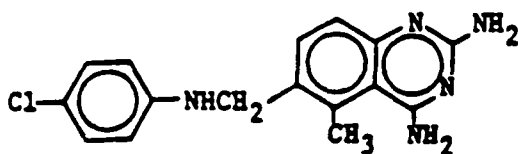
As we have pointed out previously, there would seem to be ample evidence to justify continued examination of this class of compounds. In one series, for example, the 2,4-diamino-6-[(anilino)-methyl]quinazolines both 2 and 3 have been shown in a direct comparison to be as active orally as subcutaneously in suppressing parasitemia in the mouse test. These two compounds are among those in this series most active in the Rane test. However, clearly this data alone does not correlate well with oral potency for although 4 had a quinine equivalent



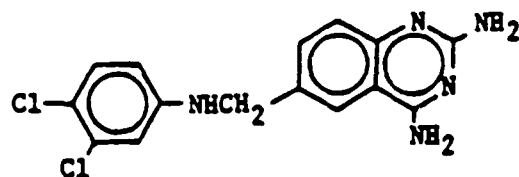
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4



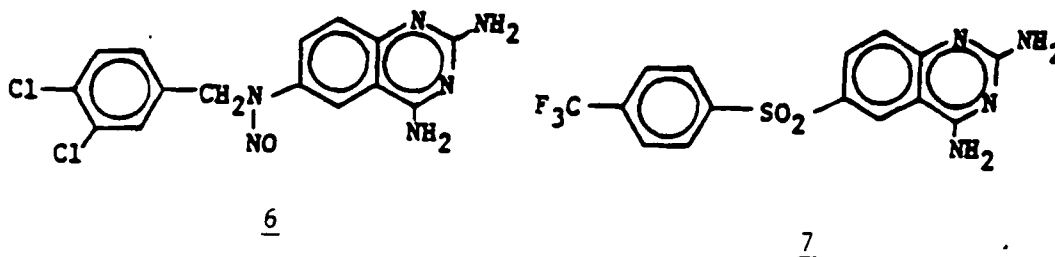
5 WR 141871

of 846 when given orally for six days to mice infected with *P. berghei*, 2 had a quinine equivalent of only 65. The only member of this series which I could find to have been evaluated in monkeys in the past was 5, which was a relatively poor actor in the Rane test (C 3/5 at 160) and orally had only a modest Q (81). Even so this material had CD₅₀ = 10.0 PO in the rhesus and CD₅₀ = 6.25 PO in the Aotus (*Falciparum*) against the Vietnam Oak Knoll strain and CD₅₀ = 25 PO in the Aotus against the Malayan Camp strain.

The knowledge that oral activity in primates apparently did not predict well for activity in man for the diaminoquinazolines, and the realization that key compounds such as WR 158122 were not active orally in the rodent led Walter Reed during the past several years to an investigation of the available diaminoquinazoline types for their oral rodent activity. Such studies were of course limited by lack of availability of samples. Though the available data does not lead to any obvious earth shaking conclusions, it is of interest to include here a brief tabular summary of what we know thus far (Table 1). We are indebted to Col. David E. Davidson, Jr. for digging out and summarizing much of this data for us.

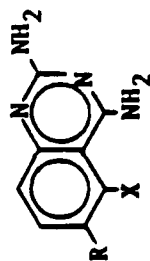
Clearly none of the compounds which have been evaluated thus far exhibit an oral potency in the rodent anywhere near the subcutaneous potency of the better members of this series. This leads one to two questions: 1) is the potency demonstrated orally sufficient to lead to an effective drug in man, 2) could even greater potency be achieved either by further screening (which would require resynthesis) or by further molecular modification. Clear cut answers are not available.

The two compounds which emerge as most dose potent thus far are 6 and 7. Interestingly the N-nitrosocompound (6) was one that we explored early in this work and dropped because later compounds exhibited



superior potency and because of its apparent capacity to damage hematopoietic and adrenal tissues.¹ It is also the compound which the Chinese workers are reported to be studying quite extensively.² The other analog (7) was one requested by Col. Canfield last year upon his perusal of the background information.

TABLE I Summary of Comparative Oral and Subcutaneous Rane Screen
Data for Diaminoquinazolines



WR#	AM/PD#	R	X	CD50 SC	CD50 PO	AMST or C After Single PO Dose, mg/kg				
						640	320	160	80	40
125643	62,469	3,4-Cl ₂ -C ₆ H ₃ -CH ₂ N-NO	H	80-160	160	5C	5C	5C	2C	1C (10.0)
135403	743	4-Cl-C ₆ H ₄ -S	H	160	>640					
141871	810	3,4-Cl ₂ -C ₆ H ₃ -N(CH ₂) ₂	H	160	640					
148114	860	3,4-Cl ₂ -C ₆ H ₃ -S	H		>320					
148800	871	4-Br-C ₆ H ₄ -S	H	40	>160			10.0		7.2
150643	910	4-MeO-C ₆ H ₄ -S	H		>80					
150644	911	4-Cl-C ₆ H ₄ -SO	H		>80				1C (8.5)	5.5

TABLE 1. Summary of Comparative Oral and Subcutaneous Kane Screen Data for Diaminoquinazolines

WR#	AM/PD#	R	X	CD50 SC	CD50 PO	AMST or C After Single PO Dose, mg/kg	160	80	40
151340	919	4-F-C ₆ H ₄ -S	H		320		IC (9.7)	7.7	5.5
151341	920	4-Cl-C ₆ H ₄ -SO ₂	H	40	320		IC	10.9	IC
	929	3-Br-C ₆ H ₄ -NHCH ₂	CH ₃		>640	1.7	5.9	2.5	
152134	933	2-Me-C ₆ H ₄ -S	H		>320	---	7.3	3.9	
154907	947	C ₆ H ₅ -S	H		640				
154928	940	2-Naphthyl-S	H		>640				
157384	973	4-Cl-C ₆ H ₄ -CH ₂ NEt	H	20	>640	---	0.6	IC (1.2)	IC (6.3)
158121	994	2-Naphthyl-SO	H		>640				
158122	996	2-Naphthyl-SO ₂	H	20	320				
	1,011	3,4-Cl ₂ -C ₆ H ₃ NHCH ₂	Cl		>320	---	0.7	8.7	6.3

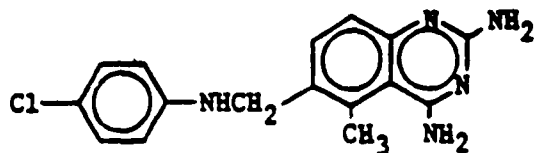
TABLE 1 Summary of Comparative Oral and Subcutaneous Rane Screen Data for Diaminoquinazolines

WR#	AM/PD#	R	X	CD50 SC	CD50 PO	AMST or C After Single PO Dose, mg/kg	160	80	40
159412	1,024	3-CF ₃ -C ₆ H ₄ -S	H	40	>640				
159547	1,031	3- ϕ -C ₆ H ₄ -S	H		>160	---	6.8	6.4	5.8
159680	1,038	4-Me ₂ N-C ₆ H ₄ -S	H	80	>640				
159940	1,049	4-F-C ₆ H ₄ SO ₂	H	20-80	>320	---	IC (2.8)	9.2	7.2
160454	1,054	4-Br-C ₆ H ₄ SO	H	40	>160	---	IC (8.1)	7.2	5.2
162021	1,083	2-(4-Cl-C ₆ H ₄)(CH ₂) ₄ N	H	40	>80	---	---	5.2	2.4

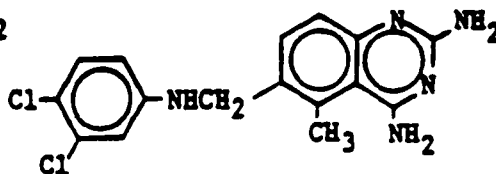
TABLE I Summary of Comparative Oral and Subcutaneous Rane Screen Data for Diaminoquinazolines

WR#	AM/PD#	R	X	CD50 SC	CD50 PO	AMST or C After Single PO Dose, mg/kg	640	320	160	80	40
162877	1,114	$C_6H_5SO_2$	H	40-80	>640						
162878	1,100	$3-CF_3-C_6H_4-SO_2$	H	20-40	640						
162892	1,102	$3-\phi-C_6H_4SO_2$	H	20	>80		---	---	---	6.6	5.1
164104	1,125	$3,4-Cl_2-C_6H_3-SO_2$	H	40	>640						
164119	1,129	$3-\phi-C_6H_4SO$	H	40	>80		---	---	---	6.6	6.8
164329	1,136	$3-CF_3-C_6H_4SO$	H	20-40	160?		4C	---	8.6		---
BK12455	2,653	$4-CF_3-C_6H_4SO_2$	H	20	160		3C 2T	5C	5C	2C (9.1)	1C

Recently data has become available from Walter Reed on the evaluation of 8 and 9 in primates. Thus against the Vietnam Oak Knoll strain (pyrimethamine sensitive) infections were cleared at 1.0, 4.0, and



8
AM-899; WR 150017



9
WR-150015

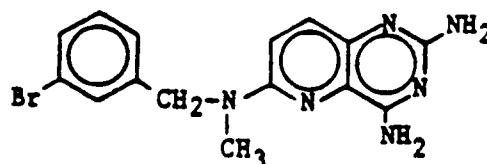
16.0 mg/kg (x3 days) of 8 with one of two animals being cured at 4.0 mg/kg. Against the Vietnam Smith (chloroquine-, quinine-, and pyrimethamine-resistant) strain, no suppressive activity was evident at 1.0 and 4.0 mg/kg (x3 days). A dose of 16.0 mg/kg cleared parasitemia in one of two animals. Apparent fat deposition in the liver of an animal that died, and a body weight loss of 18% between the first day of treatment and the day of death suggested possible drug toxicity.

Against the Vietnam Oak Knoll strain doses of 9 of 1.0, 4.0, and 16.0 mg/kg cleared parasitemias. However one animal died on the 7th day after treatment at 4.0 mg and two animals died subsequent to termination (Days 7 and 5, respectively) of treatment at a dose of 16.0 mg/kg (x3). In all instances the liver appeared granular and yellowish in color. In two monkeys gastro-intestinal hemorrhages were noted, and the body weight loss from day of treatment initiation to day of death was 22%, 23%, and 15% respectively, again suggesting drug toxicity. Against the Vietnam Smith strain suppressive activity only was observed at doses of 1.0 mg/kg (x3) with clearance in one of two animals at 4.0 mg/kg. Two animals that received 16.0 mg/kg (x3) died on Days 5 and 6 respectively after completion of treatment, a pattern of death similar to that observed with the Oak Knoll strain.

The other aspect of the diaminoquinazolines which deserves consideration is their potential as long acting agents. We have known for some time that WR 158122 and related compounds demonstrate protection against malarial challenge in experimental animals for extended periods.

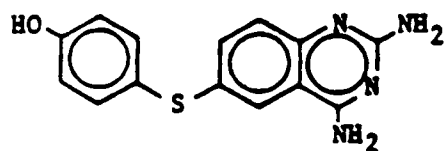
A variety of analogs has now been screened in the Ager repository test sequence in mice. This is divided into three phases. In Phase 1 the drug is given at 80 mg/kg subcutaneous and orally and the mice are challenged three or seven days later and observed to determine whether they become infected (patent). In Phase 2 the drug is given at 80 mg/kg SC and PO and the animals are challenged at Days 7, 14, and 21. Phase 3 consists of separate SC and oral administration of 80 mg/kg and challenge at Day 21.

Only very limited Phase 3 data has been received, but AM-1038 (cf Table 1) protected all animals when given subcutaneously as did 10.

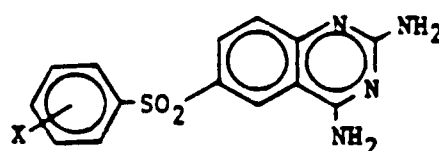


10
AM-1370; BC-08634

In Phase 2 11, 12a, b, c, 13a, b were protective completely at 21 days, and a variety of analogs protected partially through 21 days and/or were protective completely through 14 days.

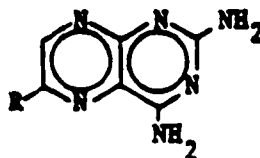


11
AM-1040



12

- a. X = Br; AM-1055
- b. X = 3-MeO; AM-1094
- c. X = H; AM-1114



13

<u>R</u>	<u>AM</u>
a. 3,4-Cl ₂ -C ₆ H ₃ CH ₂ NCH ₃	1269
b. 1-Naphthyl CH ₂ NH	1423

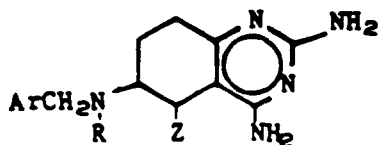
All of this data certainly suggests at the least, further exploration of this very potent class of compounds, and may well suggest that WR 158122 itself (1) administered subcutaneously could be both an effective curative agent and a long acting protectant.

2. 5,6,7,8-Tetrahydro-2,4-Diaminoquinazolines

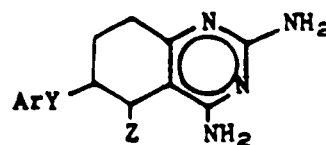
Also of interest for further follow-up are the tetrahydroquinazolines because of their potential for activity in a novel portion of the folic acid cycle.

A major effect of most known folic acid antimetabolites appears to be on the enzyme dihydrofolate reductase with their effect on thymidylate synthetase being indirect. However, tetrahydro derivatives, in contrast to the parent compounds in the case of amethopterin and aminopterin, have been shown to inhibit thymidylate synthetase directly.

A variety of 2,4-diamino-6-[(benzyl)amino]-5,6,7,8-tetrahydroquinazolines^{3,4} (14) and 2,4-diamino-6-[(aryl)thio]-5,6,7,8-tetrahydroquinazolines⁵ (15) exhibit potent antimalarial activity. Moreover, it has been shown recently⁶ that the latter class as

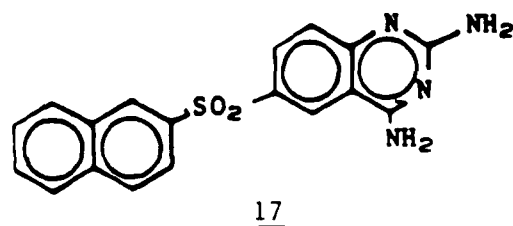
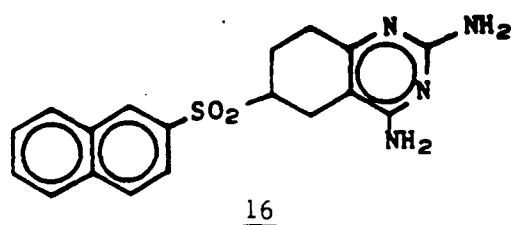


14



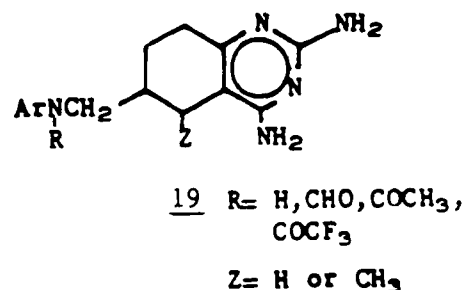
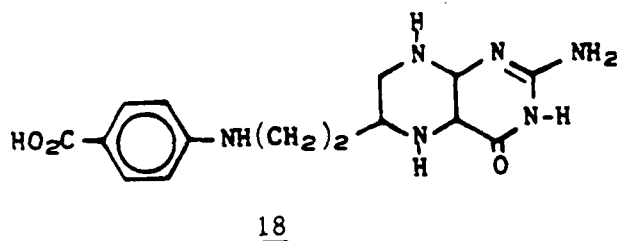
15 Y = S, SO₂

exemplified by 16, acts at a different site within the folic acid pathway than does its aromatic analog 17, a potent dihydrofolate reductase



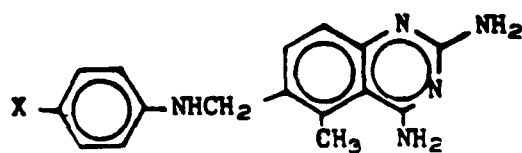
inhibitor, thus once again providing strong implication for thymidylate synthetase inhibition by the saturated analogs.

This information taken together with the antimalarial activity of tetrahydrohomopteroic acid (18) strongly encouraged the synthesis of representative 2,4-diamino-6-[(anilino)methyl]-5,6,7,8-tetrahydroquinazolines (19) as potential inhibitors of thymidylate synthetase.



The data now available on the halogenated analog (AM-2175, Table 2) strikingly confirms our conviction that this type of substitution, not available through our early synthetic methodology, would be important towards optimizing activity.

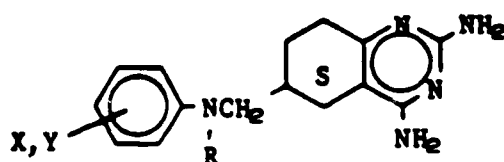
It should be noted that AM-2175 is more potent than AM-810 (AU-26558) the aromatic analog, and compares quite favorably in potency with 20a,b, which, in addition, have oral activity when administered for six days in the diet to mice infected with P. berghei, corresponding to a quinine equivalent of 226 and 846 respectively.



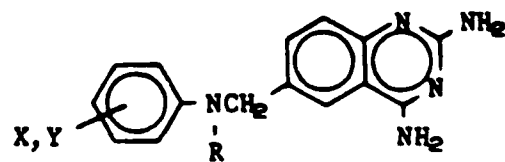
	<u>X</u>	<u>AM</u>
a)	3,4-Cl ₂	897 (AV-25449)
b)	4-Cl	899 (AV-25467)

20

TABLE 2 Effects of 2,4-Diamino-6-[(anilino)methyl]-5,6,7,8-tetrahydro-
quinazolines Against Trophozoite-Induced P. berghei in Mice



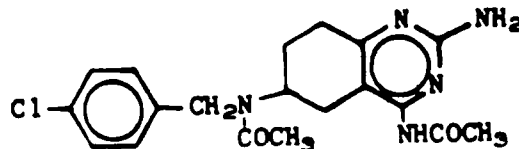
A



B

AM	BN	X, Y	R	A or B	Δ MST or C After Single SC Dose, mg/kg			
					640	320	160	80
1757	BG-03880	4-OCH ₃	COCF ₃	B	9.3	---	---	---
1867	BG-47337	4-OCH ₃	COCF ₃	A	8.1	5.1	2.1	---
1871	BG-47373	4-OCH ₃	H	A	5C	8.9	5.5	---
1705	BE-58714	3,4-CH ₃	COCH ₃	A	10.2 (2C)	---	1.7	0.5
1677	BE-66752	3,4-CH ₃	COCH ₃	B	6.3	3.7	1.9	0.3
2175	BH-38235	3,4-Cl ₂	H	A	2C (T) (3C at 40; 7 + Days at 5)	3C (T) 3C at 20;	5C	5C
810	AU-26558	3,4-Cl ₂	H	B	D ₁₄ (5.8 Days at 20; D ₁₄ at 40)	D ₁₄	D ₁₄	D ₁₄

It should also be recalled that a reverse analog 21 (AM-894, AV-25412) (Tables 3,4) has been shown to be curative through 20 mg/kg subcutaneously in the Rane model with a Δ MST at 10 mg/kg of 6.5 days.

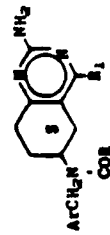


21

In addition, it is 68 times as potent as quinine orally against P. berghei and has been shown to be fully active against a chloroquine-resistant line of P. berghei, and showed only a marginal (3-fold) cross-resistance against a cycloguanil-resistant line. Cures were obtained with two monkeys infected with P. cynomolgi when 27 was administered at 3.16 mg/kg and marked suppression was observed in another monkey dosed at 1.0 mg/kg.

Despite the unquestioned potency of these compounds: a) the lack of supporting biochemical evidence for a mode of action differing from that of the dihydrofolate reductase inhibitors such as WR-158122 (1): b) the unavailability of a model which would explain the shortcomings experienced with 1 in man; c) and the inability to predict whether a related structural type would behave differently in man; make further progress with these agents extremely difficult. Studies are underway at WRAIR to devise predictive test methodology to answer these questions and to determine which compounds will afford optimum oral activity and improved activity against pyrimethamine-resistant strains. It is felt that further synthetic effort would most profitably be deferred until meaningful structure-activity relationships can be developed through additional biological testing.

TABLE 3

Effects of N-(2,4-Diamino-5,6,7,8-tetrahydro-6-quinazolinyl)-N-(benzyl)amides Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chickens

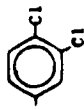
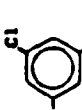
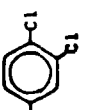
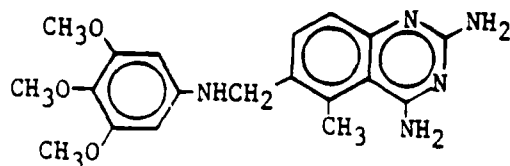
AM	VR (BR) No.	Ar	R	B ₁	Formula	Diet, 6 days				<i>P. berghei</i>					<i>P. gallinaceum</i>			
						No. of mice	mg/kg/day	Q	640	320	Single a.c. dose			mg/kg	T or C			
											ΔST; T or C	after mg/kg:						
1101	AX-26606 VR-162879		H	NH ₂	C ₁₀ H ₁₇ Cl ₂ N ₅ O				CS	CS	17.9;C4 D14	11.3 D14	6.5 6.3	4.5 4.7	2.9			
1098	AX-26160 VR-162445		CH ₃	NH ₂	C ₁₇ H ₁₉ Cl ₂ N ₅ O				CS	CS	21.9;C2 D14	12.1 D14	11.1 D14	2.7 2.5	1.5			
1060	AX-21469 VR-160439		CH ₃	NH ₂	C ₁₇ H ₁₉ Cl ₂ N ₅ O				CS	CS	18.9;C3 11.9;C4	10.5 10.7	7.9 8.1	5.5 5.7	3.3			
986	AM-41699		CH ₃	NH ₂	C ₁₇ H ₂₀ Cl ₂ N ₅ O				CS	CS	CS	15.9;C2 15.6;C2	13.7 13.5	5.3 5.3	3.1	0.3		
1072	AX-25190 VR-161479		CH ₃	NH ₂	C ₁₇ H ₂₀ FN ₅ O				CS	CS	CS	19.9;C4 23.9;C4	11.9;C1 11.7;C2	6.5 6.3	5.3	8.4		
1091	AX-26197 VR-162444		C ₂ H ₅	NH ₂	C ₁₈ H ₂₁ Cl ₂ N ₅ O				CS	CS	CS	20.6;C2 D14	12.1 D14	4.3 3.5	2.9	10.0		
1096	AX-26240 VR-162442		OC ₂ H ₅	NH ₂	C ₁₈ H ₂₁ Cl ₂ N ₅ O				CS	20.4;C3 D14	12.9 D14	5.7 5.9	4.9 5.1	0.7 0.5	0.3	9.0		

TABLE 3 - page 2

P. berghel										P. gallinaceus						
AM	VR (BM) No.	Ar	R	R ₁	Formula	Diet, 6 days		Single o.c. dose					Single o.c. dose			
						No. of mice	mg/kg/day	Q	640	320	ΔMST: T or C			mg/kg	T or C	
											160	80	40			
1089	AX-26179 VR-162445		CH ₃ OCH ₃	NH ₂	C ₁₈ H ₂₁ Cl ₂ N ₃ O ₂			CS	CS D14	13.1 D14	11.7 D14	5.1 5.1	3.3 3.1	160	15.6	
1087	AX-26151 VR-162440		CH ₃	NHCOCH ₃	C ₁₈ H ₂₁ Cl ₂ N ₃ O ₂			CS, T2	CS D14	CS D14	CS D14	26.9; CS D14	10.1 D14	120	24.0; CL	
89A	AX-25412		CH ₃	NHCOCH ₃	C ₁₈ H ₂₁ ClN ₃ O ₂	28	1.1	68	CS	CS CS	CS CS	CS CS	CS CS	15.1; CL 15.7; CL 15.1; CL	6.5 6.6 3.4	
1062	AX-21487 VR-160458		CH ₃ CH ₂ CH ₃	NH ₂	C ₁₈ H ₂₅ ClN ₃ O			CS	CS CS	CS CS	15.5 15.7	10.7 10.9	5.1 5.1	0.5		
1071	AX-25207 VR-161481		CH ₃	NH ₂	C ₂₁ H ₂₃ N ₃ O			CS	CS CS	CS CS	CS CS	7.9; CS 16.2; CS	5.9 6.1	0.9	120	17.0; CL
1084	AX-25896 VR-162019		CH ₃ OCH ₃	NH ₂	C ₂₂ H ₂₅ N ₃ O ₂			CH, T1	7.4; CL 7.4; CL	6.4; CL 6.4; CL	4.7 4.9	2.5 2.7	1.7 1.5	0.5	320 160 80 40 20 10	9.8 8.8 6.0 4.8 3.2 1.4

3. 2,4-Diamino-6-[anilinomethyl]quinazolines

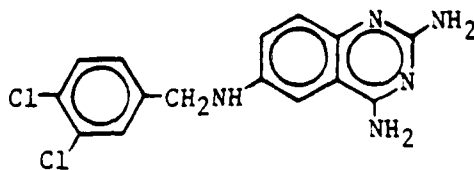
It should also be pointed out that a member of another series of 2,4-diaminoquinazoline antimetabolites which exhibited potent antimalarial activity, namely the 2,4-diamino-6-[anilinomethyl]-quinazolines is being groomed for clinical trial against cancer. Data on this series has been summarized recently.⁷ Thus pharmacokinetic



22

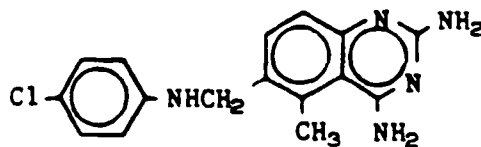
and pharmacologic data to be generated on trimetrexate (22) should be quite useful in the development of a related compound as a clinical anti-malarial agent.

These "reverse" analogs of PAM-1392 (23) as a class were considerably more potent than PAM-1392, and the more active members of the



23

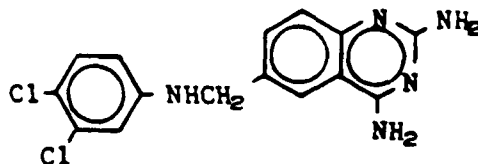
series were curative against *P. berghei* in mice at single doses ranging from 10 to 640 mg/kg (Table 5). AM-899 (AV-25467) (24) is the most potent



24 AM-899 (AV-25,467)

compound in the series; orally it is approximately 850 times as potent as quinine, and SC it is curative through 10 mg/kg. A less potent member of the series (AM-810, WR-141,871, 25) has been shown to have only a small degree of cross-resistance against a cycloguanil-resistant strain of P. berghei.⁸

Once again in a series exhibiting this degree of potency the synthesis of little more than a dozen compounds can hardly be considered to be a thorough exploration of the structure activity relationships, and further synthetic efforts should be undertaken.



25 AM-810

TABLE 5

Effects of 2,4-Diamino-6-[(anilino and naphthylamino)methyl]quinazolines Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chicks



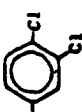
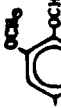
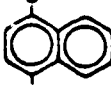
AM	NR (BR) No.	Ar	Z	Formula	Diet, 6 days		Single a.c. dose					P. gallinaceus			
					No. of mice	Dose, mg/kg/day	Q ^b	T or C ^a after mg/kg				mg/kg	% dose		
								80	40	20	10			5	2.5
1011	AM-49775		Cl	C ₁₂ H ₉ Cl ₂ N ₅	TS			TS	CS	CS	11.5	4.3	4.1	2.9	
1058	AX-21441 WB-160591		Cl	C ₁₂ H ₉ BrClN ₅	TS			CS, T2 CS, T3	CS	11.3 11.5 9.9	8.5 8.3 8.5	4.7	1.5	0.5	
1065	AX-24497 WB-160974		Cl	C ₁₂ H ₉ Cl ₂ N ₅	TS			TS	CS	16.9; CS 16.9; CS D14	10.7 D14	6.9	6.1	2.7	
810	AM-26558		H	C ₁₂ H ₉ Cl ₂ N ₅	21	0.92	81	D14 D14	D14 D14	5.8 5.8	1.8	0.6	0.4	0.2	
850	AM-92847		H	C ₁₂ H ₉ Cl ₂ N ₅	14	51	1.5	13.7	12.9 12.9	6.9 6.9	4.7 4.9	0.7 0.7	0.3 0.3		
951	AM-86933		H	C ₁₂ H ₉ BrN ₅	28	9.0	8.3	CS	9.2; CS 9.8; CS	9.2; CS 8.8; CS	10.1 10.2	3.1 3.6	1.5 1.8		
															TS 16.3; CS 13.6 9.8 7.8; 7.6 6.6; 6.9
															320 160 80 40 20 10

TABLE 5 - page 2

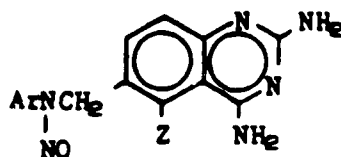
		P. berghei																P. gallinaceum		
AN	VR (BR) No.	Ar	Z	Formula	Diet, 6 days		No. of mice	Single a.c. dose		Single a.c. dose		Single a.c. dose		Single a.c. dose		Single a.c. dose		Single a.c. dose		
					mg/kg/day	Q ^b		ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	ΔMT, T or C ^a	mg/kg	T or C ^a	
831	AV-92856		H	C ₁₂ H ₇ Cl ₃ N ₅	14	8.0	9.3	7.9 8.4;Cl 7.7	8.4;Cl 8.4;Cl	9.9;C3		3.1 1.3 3.1 1.9	0.5 0.7	0.7						
832	AV-00595		H	C ₁₂ H ₇ Cl ₃ N ₅	14	8.5	8.8	3.3 8.7 3.3	8.7 16.4;C3 8.9	20.6;C2 16.4;C3		1.7 0.7 1.7 0.5	0.3							
832	AV-92865		H	C ₁₂ H ₇ Cl ₃ N ₅	14	1.9	39	7.1 9.9;C4 7.1	9.9;C4 9.9;C4	C5 C5		6.9 5.1 6.7 5.5	5.1							
1075	AV-26231 VR-162446		H	C ₁₂ H ₁₃ Cl ₂ N ₅				27.2;C2 D14	29.9;C4 D14	C5		10.3 D14	4.9 5.1		2.7			120	13.0	
877	AV-25449		CH ₃	C ₁₂ H ₁₃ Cl ₂ N ₅	28	0.33	226	C5 C4,T1 C4,T1	29.8;C4 C5 C5	T5 T5		15.3;C2 15.9;C2 15.8;C3	11.2	8.6	7.2	4.0				
929	AV-86915		CH ₃	C ₁₂ H ₁₃ BrN ₅	28	0.20	372	T5 C5	29.7;C3 21.8;C4	(2,T5 C2,T5		9.2;C3 9.3;C3 11.2;C3	11.2	7.7	4.3	0.5	320	0.0		
899	AV-25467		CH ₃	C ₁₂ H ₁₃ ClN ₅	21	0.088	846	C5 C5	21.8;C4 20.9;C4	C5 C5		12.7 12.6 12.7	11.3							
897	AV-92918		H	C ₁₀ H ₇ Cl ₃ N ₅	21	62	1.2	4.3 4.5	4.9 4.9	7.9;C1 7.9;C1		0.3 0.7	0.3							

TABLE 5 - page 3

AM	VR (BR) No.	Ar	Z	Formula	Diet, 6 days No. of mice	SD ₅₀ , mg/kg/day	Q ^b	P. berghei										P. gallinaceum		
								Single a.c. dose AMST, T or C ^d after mg/kg:										Single a.c. dose AMST ^d		
								80	160	320	640	1280	2560	5120	10240	20480	40960	81920	mg/kg	T or C
925	AP-58233		CH ₃	C ₁₀ H ₈ ClN ₅ O ₉	55	0.44	169	TS	C2, T3	C5	C5	C5	14, 7; C1	11.5	7.0	6.5	4.7	1.9	160	TS
									C2, T3	C5	30.8; C4	16.3; C1	14, 7; C2	12.0	7.1				80	13.2
																			40	10.8
																			20	9.6; 9.6
																			10	7.4, 7.6
																			5	4.8
																			2.5	4.4
																			1.25	1.8
1097	AX-26259 VR-162439		Cl	C ₁₀ H ₇ ClN ₅				CS	C5	C5	C5	C5	20.6; C2	8.3	3.3				120	13.2
									D14	D14	D14	D14	D14	8.5						
1104	AX-26633 VR-162889		Cl	C ₁₀ H ₇ ClN ₅				CS	C5	C5	C5	C5	11.9; C2	10.3	D14					
									D14	D14	D14	D14	D14	D14	D14					

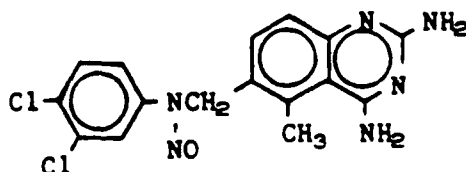
^aSD₅₀ represents the daily dose (mg/kg) required for 90% suppression of the parasitemia in treated mice relative to control mice. The SD₅₀ was estimated graphically using semi-logarithmic paper. ^bThe quinone equivalent Q is the ratio of the SD₅₀ of quinine hydrochloride (74.5 mg base/kg/day) to the SD₅₀ of the test substance under comparable experimental conditions. ^cAMST is the mean survival time (days) of treated mice (MSTT) minus the mean survival time (days) of control mice (MSTC). In the present study the MSTC ranged from 6.1 to 6.5 days. T signifies the number of toxic deaths occurring on days 2-5 after infection which are attributed to drug action. C indicates the number of mice surviving at 60 days post infection and termed "cured"; data to establish parasitological cure based on sub-inoculation is unavailable. ^dAMST is the mean survival time (days) of treated chicks (MSTT) minus the mean survival time (days) of control chicks (MSTC). In the present study the MSTC ranged from 3.0 to 4.0 days. C designates the number of chicks surviving to 30 days post infection and termed "cured"; data to establish parasitological cure based on sub-inoculation is unavailable. T indicates the number of deaths occurring within 48 hours after infection which are attributed to drug action and are counted as toxic deaths. Control birds do not die before 48 hours. Each entry at each dose level represents results with a 5 animal group.

Strong antimalarial effects are also evident among a very limited series of 2,4-diamino-6-[(N-nitrosoanilino and naphthylamino)-methyl]quinazolines (26) (Table 6).



26

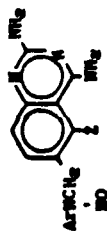
The most potent member of this series was AM-946 (AV-95,610) (27).



27 AM-946

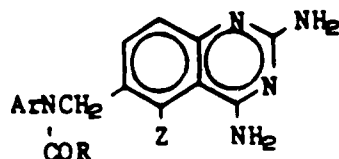
AM-946 was curative for mice through 10 mg/kg SC, and was active against *P. gallinaceum* in chicks through 10 mg/kg. Since the structure of 27 more closely resembles the architecture of the tetrahydrofolate coenzymes, one might predict that AM-946 should have an appreciable inhibitory effect on the metabolic interconversions of tetrahydrofolate coenzymes and perhaps have a broader action against drug-resistant malaras.

TABLE 6

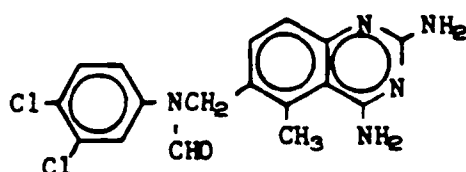
Effects of 2,4-Diamino-6-[(4-nitrosoanilino and naphthylamino)methyl]quinazolines Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chicks

AN	WR (AN) No.	Ar	Z	Formula	Diet, 6 days No. of mice	mg/kg/day	Q	<i>P. berghei</i>					<i>P. gallinaceum</i>	
								Single a.c. dose					mg/kg	T or C
								AMST; T or C	80	40	20	10	5	2.5
1071	AX-25181 WR-161476		Cl	$C_{19}H_{11}Cl_2N_6O$	CS	CS	CS	21.9;C4 CS	13.4;C1 13.4;C1	8.1 8.1	6.1 6.3	3.5	1.25	1.6
824	AU-TJ726		Cl	$C_{19}H_{12}Cl_2N_6O$	CS	CS	28.7;C3 22.8;C4	12.3 12.0	9.9 9.8	6.7 6.8	3.7 4.0	2.0		
1115	AX-26740 WR-162880		Cl	$C_{19}H_{12}Cl_2N_6O$	CS	CS	CS	14.9;C1 D14	10.9 D14	5.1 5.3	1.3			
946	AV-95610		Cl	$C_{19}H_{12}Cl_2N_6O$	CS	CS	CS	CS	CS	21.7;C4 CS	12.0;C1 11.9;C1	9.9 10.5	4.1	13.8;C1 13.8 10.0 9.8 8.6 6.8
1140	AX-58377		Cl	$C_{19}H_{12}ClN_6O$	D14	D14	D14	5.7 5.9	2.9 2.7	0.7 0.5	0.3			

The 2,4-diamino-6-[[(acyl)anilino and naphthylamino]methyl]-quinazolines (28) (Table 7) are even more closely related in structure to the tetrahydrofolate coenzymes and might be expected to display strong inhibition within the interconversion cycle. Once again potent anti-malarial activity is observed within this relatively limited series. AM-954 (AV-95,996) (29) administered SC cured *P. berghei* through 20 mg/kg, and allowed treated mice to survive 3.9 days longer than control mice at



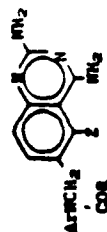
28



29

2.5 mg/kg. Against *P. gallinaceum* in chicks the drug was curative through 80 mg/kg and active through 10 mg/kg, the lowest dose tested. Once again data on drug-resistant malaras would allow a decision as to whether additional synthetic effort should be expended.

TABLE 7

Effects of 2,4-Diamino-6-[(1(acyl)antlino and naphthylamino)methyl]quinoxalines Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chicks

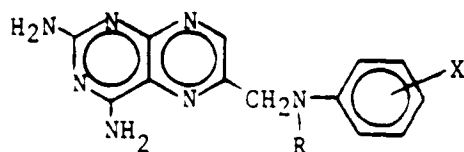
AM	VR (BM) No.	Ar	R	Z	Formula	P. berghei					P. gallinaceum	
						Dose, 6 days		Single a.c. dose			Single a.c. dose	
						No. of mice	mg/kg/day	Q'	Q'	Q'	mg/kg	T or C
1076	AX-25225 VR-161478		H	Cl	$C_{14}H_{10}Cl_2N_4O$	TS						
1116	AX-26759 VR-162884		H	H	$C_{17}H_{13}ClF_3N_4O$	9.9;C4	12.5	7.5	6.3	1.5	0.5	0.5
							D14	7.7	6.1	1.7	0.5	
954	AP-95996		H	CH ₃	$C_{17}H_{15}ClF_3N_4O$	TS						
943	AP-95585		CH ₃	H	$C_{17}H_{15}ClF_3N_4O$	TS						
1119	AX-26786 VR-162895		H	H	$C_{20}H_{14}ClN_4O$	16.9;C3	13.9	10.9	4.1	1.5	0.5	0.5
							D14	D14	4.3	1.3	0.5	

19.7;C2
18.7;C2
18.5;C1
15.0
13.2
9.2

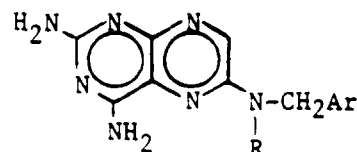
15.0;T2
15.6
8.6
6.6
2.2

4. 2,4-Pteridinediamines

The 6-[(arylamino)methyl]-2,4-pteridinediamines (30) prepared as nonclassical analogs of aminopterin and methotrexate, while displaying potent prophylactic effects against P. gallinaceum infections, were generally poorly active against trophozoite-induced P. berghei infections in mice.⁹



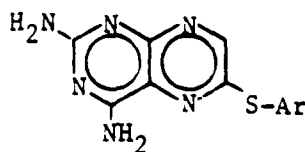
30



31

However the 6-[(aralkyl)amino]-2,4-diaminopteridines (31) were found to possess extremely potent suppressive antimalarial effects against drug-sensitive lines of P. berghei in mice, and this data has been summarized.¹⁰

Unlike the corresponding quinazoline analogs however, the 6-(arylthio)-2,4-pteridinediamines (32) were devoid of antimalarial activity.



32

B. 8-Aminoquinolines

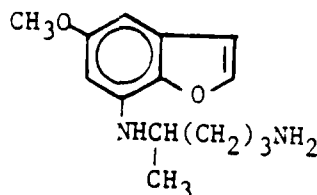
A critical need in current malaria chemotherapy is for a causal prophylactic or curative agent superior to compounds such as primaquine, chlorguanide, and pyrimethamine. The disadvantages inherent in the latter two folic acid antagonist types argue for continuing efforts towards modification of the 8-aminoquinoline structures.

We have explored briefly several avenues towards a superior tissue stage agent. Unfortunately the inability to obtain primate data on our compounds coupled with the on again-off again attitude of Walter Reed toward this structural class allowed little progress in this area.

Our efforts to synthesize N-oxides of 8-aminoquinolines related to primaquine in an effort to obtain a less toxic, curative drug have been summarized in a manuscript which has been submitted to the Journal of Heterocyclic Chemistry by J. L. Johnson, D. F. Worth, N. L. Colbry, and L. M. Werbel entitled Studies on 8-Aminoquinoline-1-Oxide Antimalarial Agents.

Only fragmentary progress was made on several other approaches to the variations of the 8-aminoquinoline structure which we have proposed and details are found in the various annual reports.

Heteroatom bioisosteres of primaquine also provide a viable approach to alternative structures. We were able to prepare the oxygen isostere of primaquine, 33, but biological data could not be obtained.



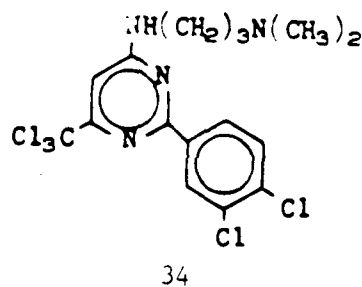
33 (BH 27358, AM-2114)

C. Basically-Substituted Trichloromethylheterocycles

As part of our overall effort under previous Contract DA-49-193-MD-2754 a variety of basically-substituted trichloromethylheterocycles was synthesized that exhibited significant antimalarial effects. Although none of the compounds developed during that period reached clinical trial, it is noteworthy that representative substances from the various series were uniformly active against cycloguanil-, pyrimethamine-, dapsone-, and chloroquine-resistant lines of P. berghei in mice (vide infra). Among the several types of trichloromethylheterocycles examined, certain pyrimidines exhibited superior potential, and since these had been given only cursory attention earlier it was decided to extend the scope of these studies.

1. 2-Aryl-4-(aminoalkylamino)-6-(trichloromethyl)pyrimidines

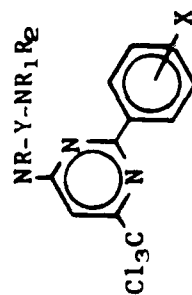
During our work under previous Contract DA-49-193-MD-2754, several prototype compounds were prepared in the 2-(3,4-dichlorophenyl)-4-(aminoalkylamino)-6-(trichloromethyl)pyrimidine series. The most potent member of this limited series, namely AM-975 (AW 22,603) (34) was curative or active over the dose range 160-640 mg/kg.



The preparation of an additional group of these compounds was completed and structures and biological data are included in Tables 8 and 9. It is clear that suitable improvement in potency has not been achieved even among a wide variation of analogs.

TABLE 8

Effect of 2-(Substituted phenyl)-4-[[[(dialkylamino)alkyl]amino]-6-(trichloromethyl)-
pyrimidines Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	X	NR-Y-NR ₁ R ₂	AMST or C after single s.c. dose, mg/kg				
				640	320	160	80	40
1707	BE-58732	4-NO ₂						
1715	BE-76374	4-NO ₂	NH(CH ₂) ₃ N(CH ₃) ₂	17(0.1)				
1753	BG-01046	3-CF ₃		5.1	2.3	0.5	0.3	0.1
1762	BG-03933	3-CF ₃	NH(CH ₂) ₃ N(CH ₃) ₂	9.1	6.9	3.1	0.3	0.1
1810	BG-32185	4-CF ₃		7.8	4.7	0.5	0.3	0.1

TABLE 8 - page 2

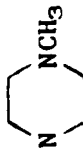
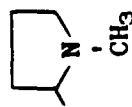
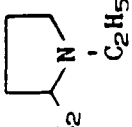
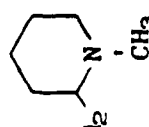
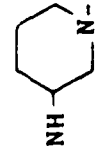
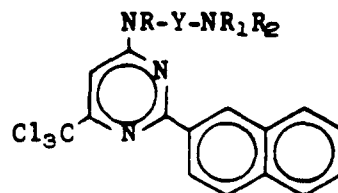
AM	BN	X	NR-Y-NR ₁ R ₂	640	320	160	80	40
1843	BG-37975	3,4-Cl ₂		9.9	6.5	3.0	0.3	0.3
975	AW-22603	3,4-Cl ₂	NH(CH ₂) ₃ N(CH ₃) ₂	5C	9.9	7.4	1.1	0.5
1127	AX-58055	3,4-Cl ₂	NH(CH ₂) ₂ N(C ₂ H ₅) ₂	--	13.5	3.7	0.5	0.5
1128	AX-58064	3,4-Cl ₂	 NH(CH ₂) ₂ N(CH ₃)	--	12.4; C 1	4.5	0.5	0.3
1129	AX-58297	3,4-Cl ₂	 NHCH ₂ N(C ₂ H ₅)	--	14.9	3.5	0.7	0.3
1133	AX-58304	3,4-Cl ₂	 NHCH ₂ N(CH ₃)	D14	3.9	1.1	0.5	0.5
1202	BG-58303	3,4-Cl ₂	NHCH(CH ₃)CH ₂ NEt ₂	11.1	4.9	1.1	0.7	0.5
1907	BG-60750	3,4-Cl ₂	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	12.9(3C)	5.5	2.7	1.1	0.3
1908	BG-60769	3,4-Cl ₂	 NH-N-Et	11.4(3C)	3.9	2.3	0.3	0.1
1909	BG-60778	3,4-Cl ₂	N(CH ₃)CH ₂ CH ₂ N(C ₂ H ₅) ₂	13.6(2C)	4.1	1.1	0.5	0.5
1915	BG-60830	3,4-Cl ₂	NH(CH ₂) ₄ N(CH ₂) ₄	11.9(2C)	3.3	1.1	0.5	0.5

TABLE 9

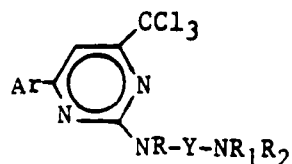
Effect of 2-(2-naphthyl)-4-[[(dialkylamino)alkyl]amino]-6-(tri-chloromethyl)pyrimidines Against Trophozoite-Induced P. berghei in Mice



AM	BN	NR-Y-NR ₁ R ₂	<u>ΔMST or C after single s.c. dose mg/kg</u>					
			640	320	160	80	40	20
1818	BG-37519		1.7	--	0.5	--	0.3	
1852	BG-44621	NHCH(CH ₃)CH ₂ N(CH ₃) ₂	5.5	2.9	0.5	--	0.3	
1853	BG-44630	N(CH ₃)CH ₂ CH ₂ N(Et) ₂	5.3	2.9	0.7	0.3	0.1	
1858	BG-46732	N(CH ₃)CH ₂ CH ₂ N(CH ₃) ₂	1.1	--	0.5	--	0.5	
1863	BG-46787	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	10.4(3C)	5.3	2.3	0.3	0.1	
1868	BG-47346	N(C ₂ H ₅)CH ₂ CH ₂ N(CH ₃) ₂	5.1	1.9	0.3	0.3	0.1	
1881	BG-56603	N(C ₂ H ₅)CH ₂ CH ₂ N(Et) ₂	0.7	--	0.7	--	0.1	

2. 2-(Aminoalkylamino)-4-aryl-6-(trichloromethyl)pyrimidines

In view of the early promise of the 2-aryl-4-(aminoalkyl-amino)-6-(trichloromethyl)pyrimidines we prepared representative examples of the isomeric 2-(aminoalkylamino)-4-aryl-6-(trichloromethyl)pyrimidines (35).

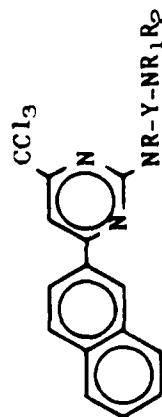


35

Thirty compounds were prepared in this series, and their structures and biological data are summarized in Tables 10-13. Although early results appeared quite promising, we have been unable thus far to bring the potency of this class up to the desired level.

TABLE 10

Effects of 2-[[[(Dialkylamino)alkyl]amino]-4-(2-naphthyl)-6-(trichloromethyl)-
Pyrimidines Against Trophozoite-Induced *P. Berghei* in Mice



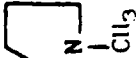
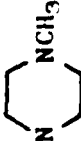
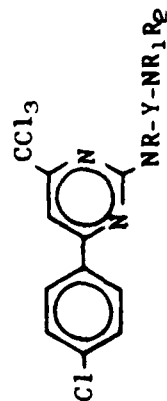
AM	BN	NR-Y-NR ₁ R ₂	ΔMST or C after single s.c. dose, mg/kg				
			640	320	160	80	40
1695	BE-58205	NH(CH ₂) ₄ N(CH ₃) ₂	--	--	--	--	--
1696	BE-58214	NHCH ₂ CH ₂ - 	7.1	--	1.7	--	0.1
1703	BE-58698	NH(CH ₂) ₃ N(CH ₂) ₄	9.1	5.3	1.9	0.7	0.5
1678	BE-66761		6.5	2.9	0.5	0.3	0.3
1758	BG-03899	NHCH ₂ - 	0.3	--	0.1	--	0.1
1763	BG-03942	NHCH ₂ CH ₂ N(CH ₃) ₂	9.7	6.9	2.9	0.5	0.5

TABLE 10 - page 2

AM	BN	NR-Y-NR ₁ R ₂	640 3C(10.4) 2C(11.9)	320 7.1	160 2.7	80 0.7	40 0.3
1720	BE-76427	NH(CH ₂) ₃ N(CH ₃) ₂					
1735	BE-79900	NHCH ₂ CH ₂ N(C ₂ H ₅) ₂	13.4; 3C	7.9	4.7	0.3	0.3
1736	BE-79919	NH(CH ₂) ₃ N(C ₂ H ₅) ₂	11.9; 3C	6.7	3.3	1.7	0.3

TABLE II

Effects of 2-[[[(Dialkylamino) alkyl] amino] 4-(4-chlorophenyl)-6-(trichloromethyl)pyrimidines Against Trophozoite-Induced *P. Berghei* in Mice

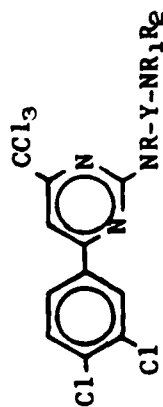


AM	BN	NR-Y-NR ₁ R ₂	AMST or C after single s.c. dose, mg/kg				
			640	320	160	80	40
1719	BE-76418		10.4; 3C	5.5	2.1	0.3	0.3
1730	BE-79857		5C	9.5	6.3	2.9	0.7
1731	BE-79875		5C	11.9; 3C	4.5	2.1	0.3
1734	BE-79893		0.6	--	0.4	--	0.2
1684	BE-67099		3.9	1.7	0.5	0.3	0.1

TABLE 12

Effect of 2-[[[(Dialkylamino)alkyl]amino]-4-(3,4-dichlorophenyl)-6-(trichloromethyl)-

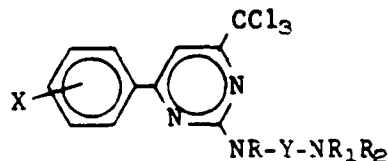
pyrimidines Against Trophozoite-Induced P. Berghei in Mice



AM	BN	NR-Y-NR ₁ R ₂	ΔMST or C after single s.c. dose, mg/kg				
			640	320	160	80	40
1675	BE-66734		9.1	5.5	2.9	0.5	0.3
1685	BE-67106	NH(CH ₂) ₃ N(C ₂ H ₅) ₂	6.3	3.7	2.1	0.3	0.3
1698	BE-58545	NH(CH ₂) ₃ N(CH ₂) ₄	8.2	5.7	2.8	0.5	0.3
1731	BE-79866	NHCH ₂ CH ₂ N(C ₂ H ₅) ₂	5C	9.3	5.3	1.7	0.5
1733	BE-79884		1.0	--	0.6	--	0.6
1718	BE-76409	NH(CH ₂) ₂	11.9; 3C IT	8.9; 1C	5.3	1.9	0.3

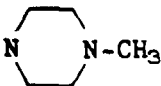
TABLE 13

Effects of 2-[[(Dialkylamino)alkyl]amino]-4-(substituted phenyl)-6-(trichloromethyl)pyrimidines Against Trophozoite-Induced P. Berghei in Mice



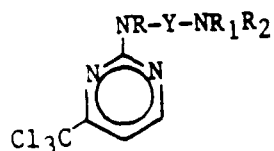
AM	BN	X	NR-Y-NR ₁ R ₂	MST or C after single s.c. dose, mg/kg				
				640	320	160	80	40
1921	BG-63475	4-OCH ₃	NH(CH ₂) ₂ N(Et) ₂	9.2	3.7	0.5	0.3	0.3
1928	BG-63546	4-OCH ₃	N(CH ₃)CH ₂ CH ₂ N(Et) ₂	4.9	1.9	0.5	0.3	0.1
1935	BG-66878	3-Br	NH(CH ₂) ₂ N(Et) ₂	4.2	1.1	0.5	0.5	0.5
1936	BG-66887	3-CF ₃	NH(CH ₂) ₂ N(Et) ₂	7.9	3.3	2.5	0.1	0.1
1937	BG-66896	3-Br	N(CH ₃)CH ₂ CH ₂ N(Et) ₂	3.9	2.1	0.3	0.3	0.1
1938	BG-66903	3-Br	NH(CH ₂) ₃ N(CH ₃) ₂	6.5	3.5	2.1	0.3	0.3
1943	BG-70505	4-OCH ₃	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	8.1	4.3	0.5	0.3	0.3
1944	BG-70514	3-CF ₃	N(CH ₃)(CH ₂) ₂ N(Et) ₂	--	--	--	--	--
1950	BG-70970	3-OCH ₃	NH(CH ₂) ₃ N(CH ₃) ₂	3.9	0.7	0.1	0.1	0.1
1955	BG-71020	3-OCH ₃	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	0.9 (2T)	--	0.3	--	0.3
1960	BG-72456	4-F	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	10.9; 3C	6.1	5.1	0.5	0.5
1961	BG-72465	4-CF ₃	NHCH ₂ CH ₂ N(Et) ₂	10.4	5.9	4.5	0.9	0.3
1962	BG-72474	3-OCH ₃	N(CH ₃)CH ₂ CH ₂ N(Et) ₂	2.9; 1T	0.5	0.5	0.3	0.3
1963	BG-72483	4-F		0.9	--	0.3	--	0.1
1964	BG-72492	4-F		7.5	4.7	2.5	0.3	0.3
1945	BG-70523	3-CF ₃	NH(CH ₂) ₂ N(Et) ₂	6.7	5.5	0.7	0.1	0.1

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<u>AM</u>	<u>BN</u>	<u>X</u>	<u>NR-Y-NR₁R₂</u>	<u>MST or C after single s. c. dose, mg/kg</u>				
				540	320	160	80	40
1969	BG-74969	4-F	NH(CH ₂) ₄ N(CH ₃) ₂	5.9	4.7	0.7	0.3	0.3
1984	BG-81508	4-CF ₃	 N-CH ₃	3C: 3.9	6.5	4.9	0.1	0.1
1985	BG-81517	4-CF ₃	NH(CH ₂) ₃ N(CH ₃) ₂	11.9; 2C	11.2; 2C	10.9	0.3	0.3
1986	BG-81526	4-CF ₃	N(CH ₃)CH ₂ CH ₂ N(Et) ₂	11.9	10.3	8.7	0.3	0.1

3. 2-(Aminoalkylamino)-4-(trichloromethyl)pyrimidines

The synthesis of 2-(aminoalkylamino)-4-(trichloromethyl)-pyrimidines 36 represented an attempt to simplify, rather than complicate, the structure of the potent 2-amino-4,6-bis(trichloromethyl)pyrimidine antimalarials.

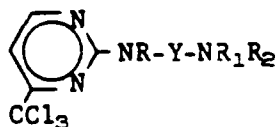


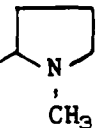
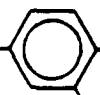
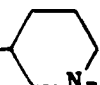
36

The ten analogs prepared are listed along with the available biological data in Table 14. None of these compounds has shown evidence of significant antimalarial activity.

TABLE 14

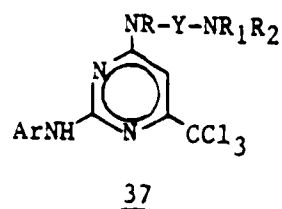
Effects of 2-(Aminoalkylamino)-4-(trichloromethyl)pyrimidines
Against Trophozoite-Induced P. berghei in Mice



AM	BN	NR-Y-NR ₁ R ₂	AST or C after single s.c. dose, mg/kg				
			640	320	160	80	40
1771	BG-10643	N[(CH ₂) ₂] ₂ NCH ₃	--	--	--	--	--
1775	BG-11551	NHCH ₂ -  -N-C ₂ H ₅	0.9(2T)	--	0.3	--	0.3
1776	BG-11560	NHCH ₂ CH ₂ - 	--	--	--	--	--
1828	BG-41522	NH-C ₆ H ₃ -3,4-Cl ₂	0.5	--	0.5	--	0.5
1829	BG-41531	NH-  -OCH ₃ CH ₂ N(C ₂ H ₅) ₂	0.9	--	0.3	--	0.3
1893	BG-58250	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	T	--	0.3	--	0.1
1847	BG-44578	NHCH ₂ CH ₂ N(C ₂ H ₅) ₂	0.9(3T)	--	0.3	--	0.1
1869	BG-47355	NHCH ₂ CH ₂ CH ₂ N(CH ₂) ₄	5T	--	1T(0.4)	--	0.3
1872	BG-56014	NHCH ₂ CH ₂ CH ₂ N(CH ₃) ₂	0.3	--	0.1	--	0.1
1877	BG-56569	NH-  -N-C ₂ H ₅	0.3	--	0.1	--	0.1

4. 4-(Aminoalkylamino)-2-anilino-6-(trichloromethyl)pyrimidines

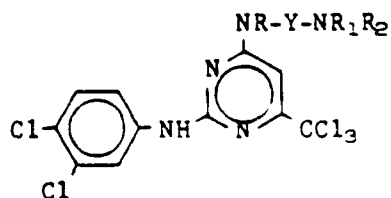
The promising antimalarial activity of the 2-(chloro-anilino)-4-amino-6-(trichloromethyl)-s-triazines against sensitive, chloroquine-resistant, and cycloguanil-resistant lines of *P. berghei* stimulated the preparation of representative pyrimidine analogs. The 4-(aminoalkylamino)-2-anilino-6-(trichloromethyl)pyrimidines 37 prepared and the

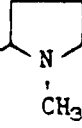


biological data available thus far are summarized in Table 15. The limited potency of the compounds tested to date do not offer much encouragement for additional effort.

TABLE 15

Effects of 4-(Aminoalkylamino)-2-anilino-6-(trichloromethyl)pyrimidines
Against Trophozoite-Induced P. berghei in Mice



AM	BN	NR-Y-NR ₁ R ₂	MST or C after single s.c. dose, mg/kg				
			640	320	160	80	40
1800	BG-22134	N[(CH ₂) ₂] ₂ N-CH ₃	9.3	6.3	2.7	0.5	0.3
1812	BG-37966	NH(CH ₂) ₃ N(CH ₂) ₄	12.3	7.1	2.9	0.5	0.5
1854	BG-46698	NH(CH ₂) ₂ N(C ₂ H ₅) ₂	11.1	--	2.3	--	0.3
1855	BG-46705	NH(CH ₂) ₂ 	12.4(3C)	9.9	2.9	0.5	0.5
1857	BG-46723	NHCH(CH ₃)CH ₂ N(CH ₃) ₂	11.4(4C)	5.3	2.5	0.3	0.1
1866	BG-47323	NHCH ₂ CH(CH ₃)N(CH ₃) ₂	9.9	6.7	2.9	0.3	0.1
1874	BG-56032	NH(CH ₂) ₃ N(CH ₃) ₂	11.9(2C)	--	4.3	--	0.1
1914	BG-60821	NH  N-C ₂ H ₅	9.9	3.9	1.5	0.3	0.3
1916	BG-60849	NH  N(C ₂ H ₅) ₂	8.1, 7.9	6.1	2.1, 1.9	0.5	0.3

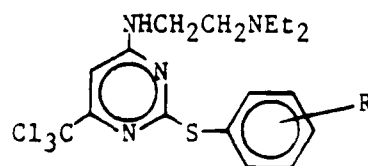
5. 2-[(Aryl and Benzyl)thio]-4-amino-6-(trichloromethyl)-s-triazines and 2-Amino-4-[(Aryl and Benzyl)thio]-6-(trichloromethyl)pyrimidines

Various triazine and pyrimidine derivatives which violate structure-activity requirements among pyrimethamine and cycloguanil relatives have been shown to exhibit promising antimalarial activity against both drug-sensitive and drug-resistant lines of *P. berghei* in mice. These 1-(phenyl)-3-[4-amino-6-(trichloromethyl)-s-triazin-2-yl]-guanidines, 2-(anilino)-4-amino-6-(trichloromethyl)-s-triazines, 2-phenyl-4-amino-6-(trichloromethyl)-s-triazines and 2-amino-4,6-bis(trichloromethyl)pyrimidines were, therefore, of interest for reinvestigation in the light of the current problem of drug resistance.

Preliminary test results with representative compounds of the above chemical types in antifolic acid assays indicate that the compounds lack appreciable effects on the folic acid cycle, which clearly suggests that the mode of action of these substances is qualitatively different from the dihydrofolic reductase inhibitors.

The remarkable antimalarial effects of the above compounds against drug-resistant lines, together with the promising antimalarial profile of the 2,4-diamino-6-[(aryl)thio]quinazolines suggested the preparation of hybrids of these two basic structural types.

Although most of our synthetic efforts in this area were unsuccessful we were able to prepare 38a,b. Data on the trichloromethyl derivatives are most encouraging and suggest the preparation of other variations in this series with particular emphasis devoted to the synthesis of 38R = 4-Cl.



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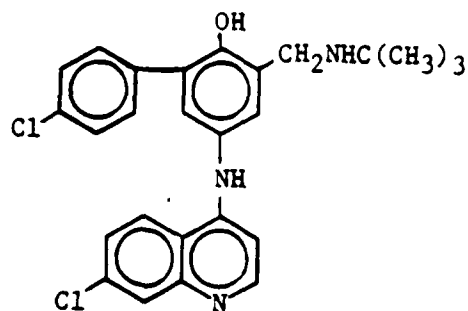
a) R = 4-NO₂; 3-CF₃
AM-1878 (BG-56578)

b) R = 4-NO₂
AM-1897 (BG-58296)

D. Amodiaquine Related Structures

A unique series of highly active amodiaquine related structures has been developed. Antimalarial data on the series is presented in Table 16.

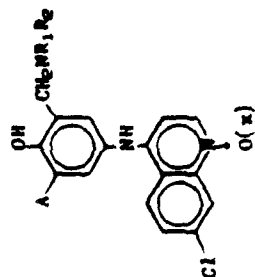
Preclinical toxicology has been completed on WR-228528 (39, AM-1900) and a decision on further studies is awaited. The approved USAN name for this material is Tebuquine.



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TABLE 16

Effects of 5-((7-Chloro-4-quinolinyloxy)amino)-3-((alkylamino)methyl)-6-[[1,1'-biphenyl]-2-yl] and N'-oxide Against Trophozoite-Induced P. berghei in Mice



AN	IN	A	NR, R ₂	X	MST after single s.c. dose									
					640	320	160	80	40	20	10	5		
1716	BE-76383	C ₆ H ₅	N(Et) ₂	0	5C	5C	5C	5C	5C	5C	2d(11.9)	5.1		
									22.5	19.7	10.1	0.7		
2004	BC-89273	C ₆ H ₅	N(Et) ₂	1	5C	3d(24.9)	5C	2d(19.9)	1d(13.4)	13.9	7.7			
									2d(29.6)	1d(17.4)				
1725	BE-79759	4-Cl-C ₆ H ₅	N(Et) ₂	0	1d(11.9)	2d(11.2)	4d(11.9)	5C	5C	1d(33.7)	1d(30.2)	20.7		
1739	BE-85739	4-Cl-C ₆ H ₅	N(Et) ₂	0										
1824	BC-77573	4-Cl-C ₆ H ₅	N(Et) ₂	1	5C	5C	5C	5C	4C	3d(20.9)	2d(14.2)			
1900	BC-50793	4-Cl-C ₆ H ₅	NH ₂ -Bu	0	16.7	1d(16.4)	2d(15.2)	3d(14.9)	4d(19.9)	3d(14.4)	2d(14.9)	1d(11.4)		
1933	BC-66850	4-Cl-C ₆ H ₅	NH ₂ -Bu	1	4d(13.9)	4d(13.3)	3d(13.4)	2d(20.6)	4d(24.9)	4d(28.9)	1d(26.3)	1d(10.3)		

TABLE 16 - page 2

AN	BN	A	NR ₁ R ₂	X	640	320	160	80	40	20	10	5
2010	BC-09120	3-Cl-C ₆ H ₄	N(Et) ₂	0	40(21.9)	5C	40(31.9)	20(31.9)	20(25.2) 30(29.4)	15.7 10(22.4)	15.5	3.9
2011	BC-09139	3-Cl-C ₆ H ₄	N(Et) ₂	1	30(16.4)	40(21.9)	5C	20(25.6)	10(25.9)	16.5	9.7	2.1
2012	BC-09148	3-Cl-C ₆ H ₄	N(Et) ₂	0	40(29) 30(17.9)	30(21.9)	40(21.9) 30(32)	20(28.2)	30(37.5) 20(25.3)	13.1 23.7	10(15.2)	13.5
2013	BC-09157	3-Cl-C ₆ H ₄	N(Et) ₂	1	40(27.9) 40(50)	10(24.9)	21.1 20(18.7)	11.7	8.1	6.9		
2027	BC-94818	2-Cl-C ₆ H ₄	N(Et) ₂	0	5C	40(41.9)	40(41.9) 5C	5C	5C 30(40.9)	20(17.2)		
2028	BC-94827	2-Cl-C ₆ H ₄	N(Et) ₂	1	5C	20(37.9)	20(18.9)	20(14.9)	9.4	6.2	2.6	6.4
2029	BC-94836	2-OMe-C ₆ H ₄	N(Et) ₂	0	5C	20(37.9)	20(18.9) 23.1	20(14.9)	10.3 12.9	8.9		
2030	BC-94845	2-OMe-C ₆ H ₄	N(Et) ₂	1	30(37.4)	10(36.2)	20(8.9) 11.9	8.7	6.9	0.7		
2042	BM-09127	2,5-(OMe) ₂ -C ₆ H ₃	N(Et) ₂	0	10(13.9) 8.6	8.8	6.5 4.0	3.6	3.3 3.8	0.0		
2041	BM-09118	2,5-(OMe) ₂ -C ₆ H ₃	N(Et) ₂	1	10(27.1) 8.7	7.8	6.0 6.9	2.0 3.6	2.6 3.5	0.6		
2049	BM-10586	3,4-Cl ₂ -C ₆ H ₃	N(Et) ₂	0	30(10.9) 17.2	5C	40(21.4) 5C	40(33.4)	30(23.4) 20(28.9)	15.7 19.0	0.9	0.3 0.1
2053	BM-10620	3,4-Cl ₂ -C ₆ H ₃	N(Et) ₂	1	5C 40(22.6)	5C	20(29.1) 30(38.6)	20(25.7)	10(21.2) 10(19.9)	10.5 12.0	5.9	0.7
2050	BM-10595	3,4-Cl ₂ -C ₆ H ₃		0	30(33.9) 40(23.6)	30(25.9)	40(27.4) 30(31.6)	10(30.2)	20.0 30(24.1)	8.3 8.2	0.1	-0.1
2058	BM-10675	3,4-Cl ₂ -C ₆ H ₃		1	5C 5C	30(24.4) 24.0	20(25.4)	8.8 8.6	7.9 4.6	2.3	0.7	

TABLE 16 - page 3

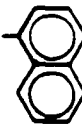
AN	BN	A	MR, λ_{max}	X	640	320	160	80	40	20	10	5
2059	BN-10684	3,4-Cl ₂ -C ₆ H ₃	MHC(CH ₃) ₃	0	4d(16.4)	3d(14.4)	4d(13.4)	4d(30.4)	3d(27.4)	1d(10.5)	9.7	6.1
2051	BN-10602	3,4-Cl ₂ -C ₆ H ₃	MHC(CH ₃) ₃	1	5C	3d(25.9)	1d(25.9)	1d(23.2)	12.0	5.9	2.9	0.5
2060	BN-10693		M(Et) ₂	0	2d(8.1) 8.4	1d(11.2)	6.6 4.4	5.8	2.2 1.8	0.3 1.0	0.7	-0.1
2062	BN-10719		M(Et) ₂	1	1d(12.9) 9.8	1.6	6.4 4.2	3.0	0.4 4.0	0.0		
2061	BN-10700	4-OCN ₃ -C ₆ H ₄	M(Et) ₂	0	5C	3d(28.9)	2d(16.1)	1d(12.4)	10.0	4.3	2.9	0.1
2063	BN-13416	4-OCN ₃ -C ₆ H ₄	M(Et) ₂	1	4d(27.4)		7.3 10.2		3.5 6.6	3.7 5.9	1.1	0.1
2118	BN-27394	4-CN ₃ -C ₆ H ₄	M(Et) ₂	0	20.5	14.5	1c(9.8)	6.5	5.3	3.3	1.6	0.4
2120	BN-27440	4-CN ₃ -C ₆ H ₄	M(Et) ₂	1	10.5	15.7	5.1 10.4	4.3	0.5 4.2	1.3		
2128	BN-30177	3,4-(OCN ₃) ₂ -C ₆ H ₃	M(Et) ₂	0	5A	2A	1A					
2129	BN-30186	3,4-(OCN ₃) ₂ -C ₆ H ₃	M(Et) ₂	1	5A	4A	4A					
2147	BN-35216	4-Cl-C ₆ H ₄	$\begin{matrix} \text{CH}_3 \\ \\ \text{MHCNC}_2\text{H}_5 \end{matrix}$	0	5C (14.2)	1c(12.5)	3c(11.9)	3c(14.0)	4c(30.4) 4c(15.0)	3c(21.0)	23.6	11.0
2152	BN-35949	4-Cl-C ₆ H ₄	$\begin{matrix} \text{CH}_3 \\ \\ \text{MHCNC}_2\text{H}_5 \end{matrix}$	1	3c(16.6)	3c(17.1)	4c(17.6)	3c(23.6)	4c(28.6) 3c(24.3)	3c(22.6)	7.2	3.6
2174	BN-38226	4-Cl-C ₆ H ₄	MHCNC ₂ H ₅ (CH ₃) ₂	0	3c(14.1)	2c(11.3)	4c(7.6)	5C	4c(37.6)	2c(19.6)	14.8	5.2
2187	BN-39027	C ₆ H ₅	MHC(CH ₃) ₃	0	1c(16.8)	1c(12.3)	1c(12.8)	1c(27.3)	2c(20.2)	1c(14.8)	29.5	13.7
2188	BN-39036	C ₆ H ₅	MHC(CH ₃) ₃	1	3c(14.0)	3c(14.5)	2c(23.2)	1c(15.5)	1c(21.0)	1c(21.0)	12.5	4.5
2199	BN-48026	4-Cl ₃ -C ₆ H ₄	M(Et) ₂	0	1c(17.0)	10.1	2c(12.2)	2c(17.5)	2c(21.9)	1c(18.9)	11.0	2.0

TABLE 16 - page 4

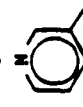
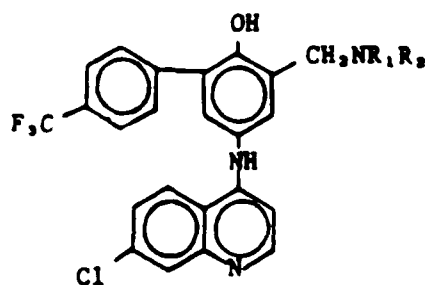
AN	BN	A	MR ₂ R ₃	X	640	320	160	80	40	20	10	5
2200	BH-48055	4-CP ₃ -C ₆ H ₄	NHC(CH ₃) ₃	0	3C(11.7)	12.1	1C(14.5)	10.7	2C(16.8)	1C(9.5)	1C(10.4)	11.8
2201	BH-48044	4-CP ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	1	1C(16.5)	2C(12.7)	3C(13.2)	3C(19.7)	3C(17.2)	3C(33.6)	1C(27.9)	13.6
2310	BH-74044	4-CP ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	0	3C(18.1)	4C(29.6)	2C(26.6)	1C(27.6)	1C(24.4)	13.6	6.8	3.8
2313	BH-76226	4-Cl-C ₆ H ₄	N(CH ₃) ₂	0	1C(18.7)	1C(14.4)	5C	4C(45.4)	4C(18.8)	3C(36.8)	20.4	14.2
2314	BH-76235	4-Cl-C ₆ H ₄	N(CH ₃) ₂	1	3C(19.6)	3C(17.9)	5C	3C(21.9)	3C(26.3)	3C(27.8)	1C(17.8)	17.6
2316	BH-76253	4-Cl-C ₆ H ₄	N(CH ₂ CH ₂ CH ₂ CH ₃) ₂	0	3C(34.4)	24.0	4C(9.6)	12.6	1C(14.6)	1C(6.1)	2.0	0.2
2317	BH-76262	4-Cl-C ₆ H ₄	N(CH ₂ CH ₂ CH ₂ CH ₃) ₂	1	3C(25.2)	21.2	3C(42.6)	8.0	8.6	3.2	-	-
2319	BH-81549	4-P-C ₆ H ₄	N(C ₂ H ₅) ₂	0	14.8		12.8		2C(13.6)			
2329	BH-81852	4-CH-C ₆ H ₄	N(C ₂ H ₅) ₂	0	1C(4.1)	2C(3.6)	6.8	4.8	1.8	-	-	-
2330	BH-81861	4-P-C ₆ H ₄	N(C ₂ H ₅) ₂	1	2C(13.8)	4C(9.6)	5C	4C(23.6)	2C(23.6)	21.4	10.5	5.1
2331	BH-84031	4-Cl-C ₆ H ₄	N(C ₂ H ₅) ₂	1	4C(0.6)	4C(41.6)	1C(24.1)	11.0	8.6	0.2	-	-
2332	BH-84040	4-P-C ₆ H ₄	NHC(CH ₃) ₃	0	14.4	16.6	1C(11.9)	1C(12.4)	4C(10.6)	4C(17.6)	1C(16.5)	8.9
2333	BH-84059	4-Cl-C ₆ H ₄	NH- 	0	4C(17.6)	4C(-0.4)	4C(20.6)	3C(23.6)	1C(21.4)	1C(13.1)	4.1	1.5
2353	BH-89134		N(C ₂ H ₅) ₂	1	1C(9.1)	1C(5.1)	3.0	1.3	-	-	-	-
2354	BH-89143		N(C ₂ H ₅) ₂	0	3C(39.2)	3C(15.8)	2C(14.4)	7.8	5.7	2.6	-	-
2355	BH-89152		N(C ₂ H ₅) ₂	0	3C(14.8)	4C(37.8)	4C(18.8)	1C(19.6)	1C(21.0)	1C(13.6)	1C(8.6)	1C(10.6)

TABLE 16 - page 5

AN	BN	A	NR, B ₂	Z	640	320	160	80	40	20	10
2356	BN-89750		N(C ₂ H ₅) ₂	0	3C(20.8)	1C(21.2)	2C(17.8)	1C(11.5)	12.2	5.5	
2357	BN-89769		N(C ₂ H ₅) ₂	1	3C(21.9)	2C(12.6)	10.7	8.3	5.7	3.3	
2360	BN-89796	2-CP ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	0	4C(20.7)	4C(30.7)	5C	1C(25.5)	1C(30.0)	11.1	
2361	BN-89803	2-CP ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	1	5C	5C	4C(24.7)	24.7	13.7	8.5	
2362	BN-96228	3-P-C ₆ H ₄	N(C ₂ H ₅) ₂	0	14 DAY ONLY						
2363	BN-96237	3-P-C ₆ H ₄	N(CH ₂ CH ₃) ₂	1	"	"	"	"	"	"	
2364	BN-96246	4-CH ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	0	"	"	"	"	"	"	
2365	BN-96255	2-P-C ₆ H ₄	N(C ₂ H ₅) ₂	0	"	"	"	"	"	"	
2366	BN-96264	2-P-C ₆ H ₄	N(C ₂ H ₅) ₂	1	"	"	"	"	"	"	
2367	BN-96273	4-CH ₃ -C ₆ H ₄	N(C ₂ H ₅) ₂	1	"	"	"	"	"	"	
2454	BJ-39451	2,3,4-P ₃ -C ₆ H ₃	N(C ₂ H ₅) ₂	0	4C(13.9)		5C		5C	1C(22.3)	1C(16.7)
2455	BJ-39460	2,6-P ₂ -C ₆ H ₃	N(C ₂ H ₅) ₂	0	5C	5C	5C	2C(24.1)	2C(24.6)	17.0	8.3
2478	BJ-45628	2,3,4,5,6-C ₅ P ₅	N(C ₂ H ₅) ₂	0	5C		2C		1C(22.9)		
2480	BJ-45646	4-Cl-C ₆ H ₄	NHAdmantyl	0							

Adding additional excitement to this area was the discovery that compounds in this series showed prolonged protection against parasite challenge (>21 days) upon oral administration. This finding kindles the hope of being able to select a candidate from this structural class which would offer clinically oral activity after a single dose against both sensitive and chloroquine resistant strains of plasmodia as well as protection of personnel in an endemic area for a month or more.

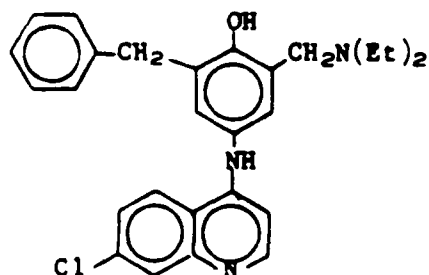
Of the compounds examined in the repository drug test the two most active compounds were AM-2199 (WR 236,332) and AM-2200 (WR 236,337).



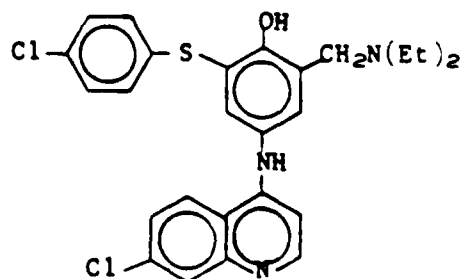
<u>NR₁R₂</u>	<u>AM</u>
a) N(C ₂ H ₅) ₂	2199
b) NHC(CH ₃) ₃	2200

At a drug level of 64 mg/kg AM-2199 displayed complete curative activity and AM-2200 was moderately active through the 21 day challenge. Clearly data is not yet available to allow a correlation between curative activity and repository activity, and we presume that studies to provide such information are on-going.

Introduction of a methylene 40 or a sulfur spacer 41 between the aromatic rings led essentially to complete elimination of the activity (Table 17). Several attempts were made to introduce an oxygen spacer but neither required intermediate 4-Cl-C₆H₄-O-CH₂COCH₃ nor 4-CF₃-C₆H₄-O-CH₂COCH₃ could be prepared satisfactorily.



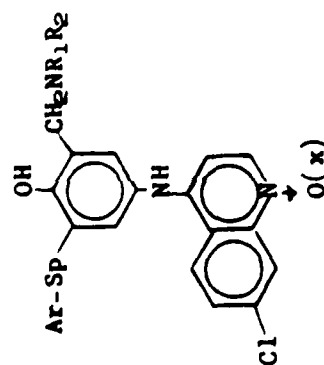
40 AM-1977



41 AM-2044

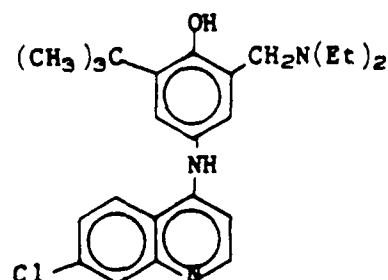
TABLE 17

Effects of 4-[(7-Chloro-4-quinolinyl)amino-2-[(alkylamino)methyl]-5-(aryl-spacer)Phenols
and Nw-oxides Against Trophozoite-Induced *P. berghei* in Mice

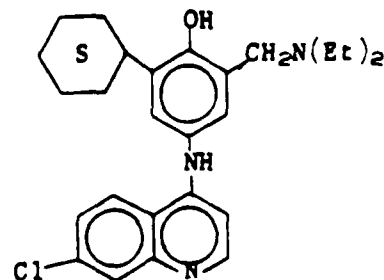


AM	BN	Ar-Sp	NR ₁ R ₂	x	Δ MST after single s.c. dose							
					640	320	160	80	40	20		
1977	BG-78976	C ₆ H ₅ CH ₂	N(Et) ₂	0	7.9	7.8	3.7	3.4	1.7	0.2		
1978	BG-78985	C ₆ H ₅ CH ₂	N(Et) ₂	1	14D	14D	5.4	4.2	2.0	0.4		
2044	BH-09145	4-Cl-C ₆ H ₄ -S	N(Et) ₂	0	13.1		10.1	8.8	7.3	3.0		
2052	BH-10611	4-Cl-C ₆ H ₄ -S	N(Et) ₂	1	14.4	9.0	7.6	3.4	4.1	0.5		

To investigate the effect of bulk in the 6-position, a *t*-butyl group was introduced in place of the phenyl group (42). Once again biological activity was completely eliminated. However, replacement of the *t*-butyl by cyclohexyl (43) restored a substantial portion of the anti-malarial activity (Table 18). It seemed imperative therefore to examine a variety of 6-aliphatic analogs. Therefore a small series as indicated in Table 18 was prepared. Substantial activity is retained by at least some of these analogs.

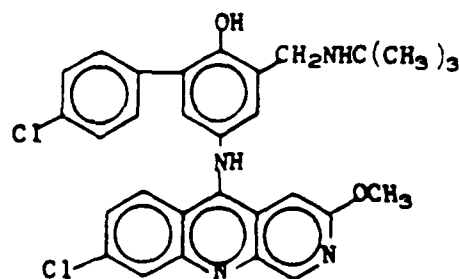


42 AM-1959

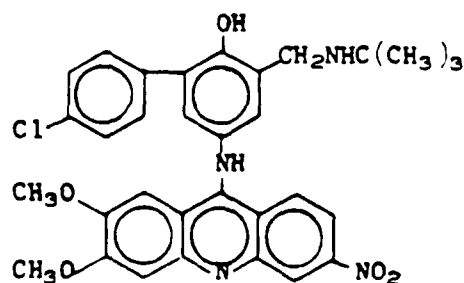


43 AM-1967

To examine the role of the quinoline ring several analogs were prepared wherein alternative nitrogen heterocycles were incorporated, namely 44-46. Although significant biological activity is retained in these structures (Table 19) no significant advantage is evident and therefore no additional work along these lines was undertaken.



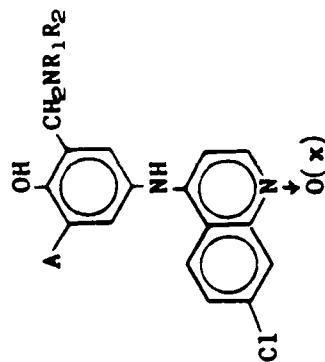
44 AM-2035
(BH-0776)



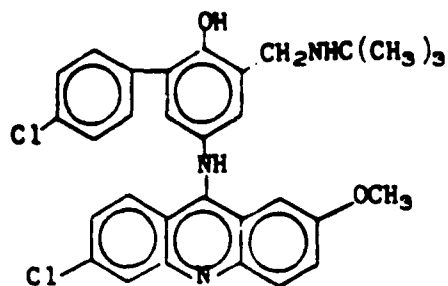
45 AM-2039
(BH-09172)

TABLE 18

Effects of 4-[(7-Chloro-4-quinolinyl)amino]-2-[(alkylamino)methyl]-6-(alkyl)Phenols
and Nu-oxides Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	A	NR ₁ R ₂	x	Δ MST after single s.c. dose						
					640	320	160	80	40	20	5
1959	RC-72447	(CH ₃) ₃ C	N(Et) ₂	0	6.3	5.9	1.9	1.7	0.5	0.1	
1966	RC-74932	(CH ₃) ₃ C	N(Et) ₂	1	6.1	6.7	4.1	2.9	1.7	0.1	
1967	RC-74941	C ₆ H ₁₁	N(Et) ₂	0	5C	5C	5C	2C(10.6)	5.7	0.5	
1968	RC-74950	C ₆ H ₁₁	N(Et) ₂	1	5C	4C(24.9)	3C(22.4)	8.5	3.9	0.5	
2055	BH-10648	C ₂ H ₅	N(Et) ₂	0	4C(27.4) 4C(41.6)	4C(34.4)	4C(14.4) 1C(16.9)	2C(20.7)	1C(12.4) 2C(19.7)	12.1 14.6	6.1 0.9
2056	BH-10657	C ₂ H ₅	N(Et) ₂	1	4C(1.4) 3C(0.0)	3C(13.4) 2C(45.1)	2C(13.4) 2C(45.1)	3C(10.9)	1C(13.2) 1C(8.0)	5.5 6.8	3.7 0.1
2057	BH-10666	CH(CH ₃) ₂	N(Et) ₂	0	5C 5C	5C 2C(23.6)	5C 2C(23.6)	4C(25.4) 2C(19.9)	3C(27.9) 1C(15.9)	18.1 11.1	1.3
2077	BH-13578	CH(CH ₃) ₂	N(Et) ₂	1	4C(23.7) 5C	1C(29.7) 2C(24.2)	1C(21.7) 2C(24.2)	1C(15.5)	1C(15.5) 2C(16.2)	11.8 9.2	3.0 0.8
2075	BH-13550	CH ₃	N(Et) ₂	0	5C 5C	3C(17.2) 5C	4C(20.7) 5C	4C(13.7)	1C(13.0) 2C(18.5)	10.4 9.9	7.0 1.2
2082	BH-16168	CH ₃	N(Et) ₂	1	5C 3C(20.8)	3C(19.0) 3C(14.3)	3C(13.0) 3C(14.3)	1C(11.5)	2C(14.3) 1C(10.6)	7.6 6.6	1.4 0.6
2078	BH-13587	CH(CH ₃)C ₂ H ₅	N(Et) ₂	0	5C 5C	5C 3C(24.0)	1C(40.2) 3C(24.0)	2C(29.4)	2C(27.7) 22.1	18.0 1C(19.7)	9.8 1.4
2079	BH-13596	CH(CH ₃)C ₂ H ₅	N(Et) ₂	1	5C 5C	3C(39.7) 5C	2C(30.0) 5C	21.9	20.9 2C(18.7)	12.6 13.1	4.6 3.8

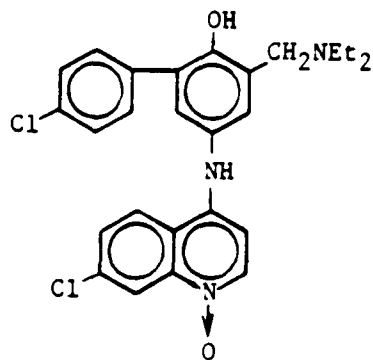


46 AM-2043
(BH-09136)

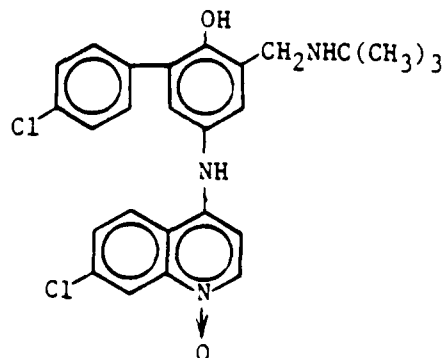
TABLE 19 Effects of 44-46 Against Trophozoite-Induced P. Berghei in Mice

	Δ MST After Single SC Dose					
	640	320	160	80	40	20
<u>44</u>	5C	46.9; 4C	40.2; 2C	25.6; 2C	20.4; 1C	23.2; 1C
<u>45</u>	18.4; 1C	---	8.7	---	4.1	---
<u>46</u>	5C	---	5C	---	25.9; 3C	---

Data against resistant strains obtained with members of this class are also of particular interest. Thus whereas amodiaquine is more than 40-fold cross-resistant with chloroquine, and cycloquine is some 120-fold cross-resistant with chloroquine at its SD₇₀, the novel 6-aryl substituted analog 47 exhibited no cross-resistance with chloroquine, although it did show cross-resistance to mefloquine and to quinine. In an effort to substantiate this data an additional test indicated that 47 demonstrated no cross-resistance to chloroquine at its SD₇₀ but greater than 100-fold cross-resistance at its SD₉₀.



47 (AM-1824)



48 (AM-1933)

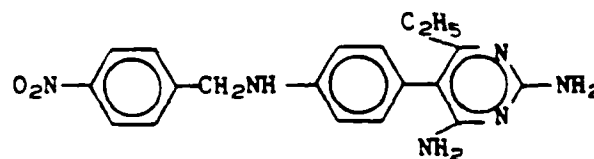
In addition studies in owl monkeys infected with trophozoites of resistant-strain parasites revealed that both 47 and 48 were curative versus the chloroquine-quinine-pyrimethamine-resistant Vietnam Smith strain of P. falciparum and the chloroquine-quinine-resistant, pyrimethamine susceptible Vietnam Oak Knoll strain administered orally at 2.0 mg/kg/day for three days and that 39 cleared the parasitemia (blood films negative for at least three consecutive days - curative tests information not available) of the Vietnam Smith, Vietnam Oak Knoll and the pyrimethamine-resistant Uganda Palo Alto strain of P. falciparum when administered orally at 1.0 mg/kg/day for three days.

E. Diaminopyrimidines

Two approaches were utilized toward the development of a novel antimalarial agent from this general class, and will be summarized below. The first appeared quite successful but still awaits further testing and/or decision from the Army; the second was of limited success.

1. 2,4-Diamino-5-[p-[(benzyl)amino]phenyl]pyrimidines

The noteworthy activity of certain 2,4-diamino-6-[(benzyl)-amino]quinazolines against drug-resistant malaras suggested that the introduction of a benzylamino function in the phenyl ring of pyrimethamine type structures might enable retention of good antimalarial potency and confer enhanced effects against cycloguanil and pyrimethamine-resistant plasmodia. Accordingly a small series of this type was prepared and the data is summarized in Tables 20 and 21. The most potent of these in the Rane screen was the 4-nitro analog AM-870 (AV-87,024).



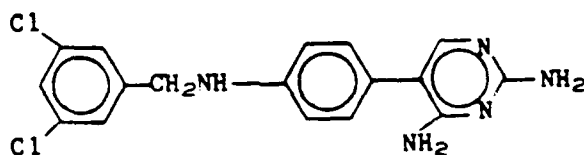
AM-870

TABLE 22 Effects of AM-870 Against Plasmodium berghei in Mice

	Δ MST, T or C After Single SC Dose					
	640	320	160	80	40	20
AM-870	5C	5C	5C	5C	5C	8.4; 1C
Pyrimethamine	T	T	1-4C	5C	1-2C	---

Data comparing this compound with pyrimethamine is presented in Table 22. Clearly AM-870 is more active and less toxic than pyrimethamine in this system. Of potential interest also is the desethyl analog AM-884 (AV-91,923), which, although less active subcutaneously in the Rane test, proved to be equiactive to AM-870 when administered orally in the diet for six days ($Q = 35$). The resynthesis of AM-870

for additional testing was completed late in 1976. Should this material be shown to retain activity against drug-resistant parasites, this would be a most exciting development which would merit additional synthetic exploration.



AM-884

TABLE 20

Effects of 2,4-Diamino-5-[p-((benzyl)amino)phenyl]pyrimidines Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chickens

		P. berghei		P. gallinaceum												
AM	UR (BN) No.	X,Y	R	Formula	Diet, 6 days			Single s.c. dose							Single s.c. dose	
					No. of mice	S ₉₀ , mg/kg/day	Q ^a	640	320	ΔMST: T or C	160	80	40	20	mg/kg	1 or 2
925	AV-58215	2-Cl	NO	C ₁₇ H ₁₅ ClN ₅ O	14	38	2.0		13.3	8.9	6.3	3.3	1.5	320	6.8	
										9.2	6.8	3.6	1.8	160	6.4	
														80	6.0	
														40	3.8	
809	AU-26549	3,4-Cl ₂	H	C ₁₇ H ₁₃ Cl ₂ N ₅						8.8	5.8	3.2	0.4			
											6.0	3.0	0.4			
884	AV-20325	3,5-Cl ₂	H	C ₁₇ H ₁₃ Cl ₂ N ₅	21	2.1	35	CS	18.8;CS 19.9;CS 19.3;CS	14.8;Cl 15.2;Cl 15.3;Cl 14.8;Cl	6.8 6.9 7.0 6.8	5.6 5.9 5.8 5.8	1.8 2.1 0.6 0.4			
805	AU-26503	2-Cl	H	C ₁₇ H ₁₄ ClN ₅	14	114	0.7			0.6	0.6	0.4	0.4			
834	AU-92883	3-Cl	H	C ₁₇ H ₁₄ ClN ₅						4.7	3.3	0.5	0.3			
											3.5	0.5	0.5			
789	AU-20841	4-Cl	H	C ₁₇ H ₁₄ ClN ₅	14	13.5	5.5	12.8;CS	7.8 8.0	4.0 4.0	2.0 2.2	1.6 1.4	1.4 1.2			
915	AV-40900	3,4-OCMeO-	H	C ₁₈ H ₁₇ N ₅ O ₂						17.3;CS	14.3;CS 13.8;CS	8.4 8.6	6.2 6.4			
926	AV-58242	3,4,5-(OCMe) ₃	NO	C ₂₀ H ₂₂ N ₅ O ₄	14	31	2.4	11.9	10.9 10.8	4.3 4.4	1.5 1.8	0.5 0.4	0.3 0.2	320	0.0	
803	AU-26487	3,4,5-(OCMe) ₃	H	C ₂₀ H ₂₃ N ₅ O ₃	14	9.0	8.3		5.2	2.8	3.0	0.8 1.0	0.2 0.4			

TABLE 21

Effects of 2,4-Diamino-5-[p-((benzyl)amino)phenyl]-6-ethylpyrimidines Against *Plasmodium berghei* in Mice and *Plasmodium gallinaceum* in Chickens

AM	VR (MM) No.	X, Y	R	Formula	Diet, 6 days				<i>P. berghei</i>				<i>P. gallinaceum</i>	
					No. of mice	mg/kg/day	Q ^a	Single s.c. dose	ΔMST; T of C ^a after	mg/kg	40	80	mg/kg	Single s.c. dose
881	AV-21898	4-Cl	NO	C ₁₈ H ₁₆ ClN ₄ O	14	7.6	9.8	5.5	11.9	23.8; C5	3.1	3.1	2.1	1.3
									12.0		2.8	2.8	1.8	1.0
									12.0		2.8	2.8	2.0	1.2
											3.0	3.0	2.0	1.2
765	AT-93474	3,4-Cl ₂	H	C ₁₈ H ₁₄ Cl ₂ N ₄	14	5.6	13.3	7.9	13.5; C2	14.8; C5	3.5	3.5	0.9	0.7
									13.2; C2		3.2	3.2	0.4	0.2
878	AV-07147	3,5-Cl ₂	H	C ₁₈ H ₁₄ Cl ₂ N ₄	21	5.5	13.5	C5	C5	C5	9.1	9.1	5.3	2.1
									C5		21.9; C2	9.5	5.5	2.3
785	AT-97016	2-Cl	H	C ₁₈ H ₁₆ ClN ₄				7.8	16.8; T2	T5	4.4	4.4	3.2	1.0
									16.3; T1		8.0	8.0	3.6	1.0
774	AT-93563	3-Cl	H	C ₁₈ H ₁₆ ClN ₄	21	5.0	14.9	10.8	10.8	11.2	2.9	2.9	1.7	0.7
									11.1		7.0	7.0	1.4	0.4
782	AT-96984	4-Cl	H	C ₁₈ H ₁₆ ClN ₄	14	11.0	6.8	C5	C5	C5	12.3; C1	10.6	5.8	1.0
									C5		12.9; C1	11.1	5.9	1.3
870	AU-87024	4-NO ₂	H	C ₁₈ H ₁₆ N ₄ O ₂	14	2.2	33.9	C5	C5	C5	C5	C5	8.4; C1	8.4; C1
									C5		C5	C5	8.4; C1	8.4; C1
905	AV-25529	3,4-OCN ₂ O-	H	C ₂₂ H ₂₁ N ₅ O ₂	14	6.5	11.4	Cl; T4	Cl; T4		6.8	6.8	1.4	0.4
											6.9	6.9	1.5	0.3
795	AU-20887	3,4,5-(OCN ₂) ₃	H	C ₂₂ H ₂₁ N ₅ O ₃				C5	C5	C5	17.8; C2	12.6; C1	5.0	5.0
									C5		17.5; C2	12.6; C1	5.0	5.0

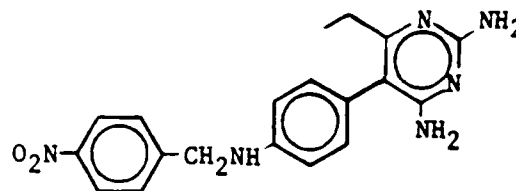
^a ΔMST 6.9, 7.1 at 10 mg/kg.

2. Other 2,4-Diaminopyrimidines as Potential Inhibitors of Pyrimidine Biosynthesis

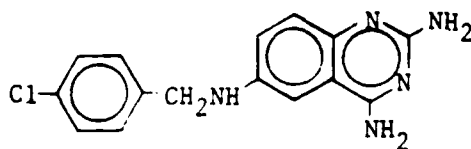
Our second approach attempted to develop inhibitors of pyrimidine biosynthesis based on the pyrimethamine structure, yet outside the dihydrofolate reductase inhibition sphere. To define the structural parameters needed for antimalarial activity against the pyrimethamine-resistant plasmodia we sought to explore the relationship among the structures of pyrimethamine 48, the 4-nitrobenzylamino analog (49), the diaminoquinazolines (50,51) and pteridines (52,53).



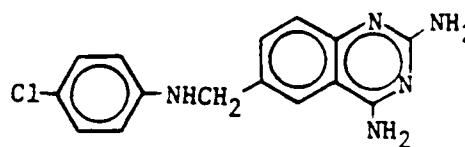
48



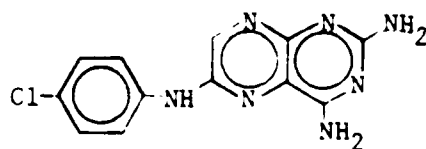
49



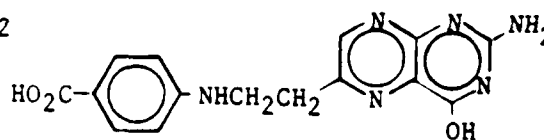
50



51

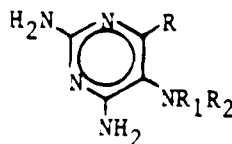


52



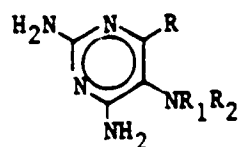
53

Data on the novel 5-amino analogs 54 of pyrimethamine are included in Table 23. Although limited curative activity has appeared in several of these analogs there is little to encourage extensive additional research in this area.



54

TABLE 23 Effects of 6-Substituted-2,4,5-Triaminopyrimidines Against Trophozoite Induced P. berghei in Mice



AM	BN	R	NR ₁ R ₂	ΔMST or C After Single SC Dose, mg/kg					
				640	320	160	80	40	20
2567	BJ83379	CH ₃		5C	12.3	7.7	2.5	1.3	0.7
2569	BJ83397	C ₂ H ₅		3C	1C (11.5)	6.9	1.3	0.7	---
2571	BJ83413	CH ₃		4.7	1.1	0.9	0.1	1.3	0.1
2577	BJ84349	C ₂ H ₅		T	---	2.4	---	1.4	---
2580	BJ84376	C ₂ H ₅		6.4	4.8	1.8	0.8	0.6	0.8
2581	BJ85140	CH ₃		T (6.2)	T (3.8)	T (1.5)	0.9	1.1	---

TABLE 23

Effects of 6-Substituted-2,4,5-Triaminopyrimidines Against:
Trophozoite Induced P. berghei in Mice

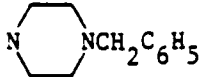
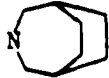
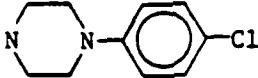
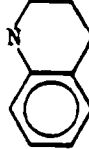
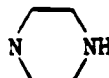
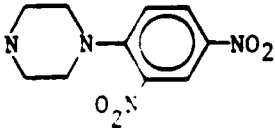
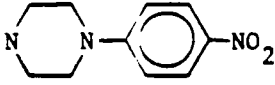
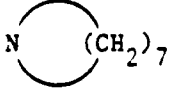
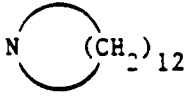
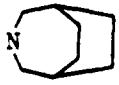
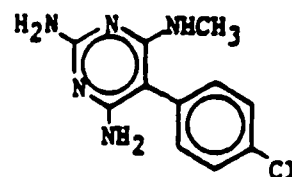
AM	BN	R	NR ₁ R ₂	AMST or C After Single SC Dose, mg/kg					
				640	320	160	80	40	20
2586	BJ86245	C ₂ H ₅		1C (3.2)	4.6	4.4	2.0	1.0	0.2
2588	BJ86263	C ₂ H ₅		T (2.5)	---	1.7	---	1.3	---
2592	BJ87180	CH ₃		4.9	3.3	0.1	0.1	---	---
2611	BJ92261	C ₂ H ₅		T (8.8)	T (2.5)	T (2.1)	T (1.1)	T (0.1)	---
2641	BK05174	CH ₃		2C 3T	1C 4T	7.1	4.9	1.3	1.1
2642	BK05183	C ₂ H ₅		9.4	4.9	3.9	2.3	1.7	1.1
2648	BK09314	CH ₃		1.3 (3T)	---	0.8	---	0.2	---

TABLE 23 Effects of 6-Substituted-2,4,5-Triaminopyrimidines Against Trophozoite Induced P. berghei in Mice

AM	BN	R	NR ₁ R ₂	ΔMST or C After Single SC Dose, mg/kg					
				640	320	160	80	40	20
2649	BK09323	CH ₃		6.3	1.1	0.9	0.3	0.1	—
2650	BK12428	CH ₃		2C (16.4)	1C (13.5)	5.3	2.9	1.7	—
2662	BK15214	CH ₃		5T	—	3T (1.1)	—	0.5	—
2664	BK15232	CH ₃		0.9	—	0.3	—	0.9	—
2673	BK16293	CH ₃		2C	—	5.8	—	2.4	—
<u>56</u>	(Pyrimethamine)			1C 2T	2C 3T	5C	3C	1C (7.7)	6.1

Interestingly the pyrimethamine analog 55 in which an amine is substituted for the methylene group in the 6-ethyl substituent did exhibit modest activity, and may warrant further limited exploration.

Δ MT or C After Single SC Dose,					
mg/kg					
640	320	160	80	40	20
2C (12.9)	1C (9.9)	8.9 5.9	6.5	5.3 3.9	1.5



55

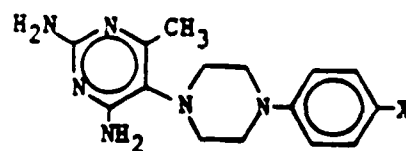
AM-2599; BJ-90883

Another goal has been insertion of a polar side chain consisting of a *p*-aminobenzoic acid residue and a glutamic acid residue as in methotrexate into pyrimethamine and related analogs.

It has been shown that *P. berghei* resistant to pyrimethamine demonstrate increased production of dihydrofolate reductase.¹² It has been suggested that this increased production of the enzyme with decreased affinity for the drug may be responsible for pyrimethamine resistance.¹³ An additional important binding site for methotrexate to dihydrofolate reductase has been shown to be the long side chain containing a para aminobenzoic acid group and a glutamic acid residue.¹⁴⁻¹⁸ Therefore we sought improved binding of pyrimethamine like structures to the enzyme via incorporation of this potential additional binding site.

The synthesis of 56a-c was completed in 1982.

AM	BN	X
a. 2702	BK21981	CO ₂ H
b. 2674	BK16300	CONHCHCO ₂ Et (CH ₂) ₂ CO ₂ Et
c. 2679	BK16953	CONHCHCO ₂ H (CH ₂) ₂ CO ₂ H

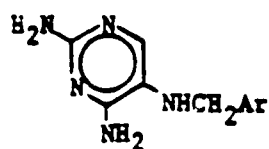


56

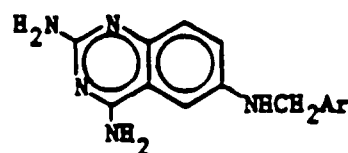
Unfortunately none of the three exhibited interesting antimalarial activity, although 79a strangely afforded protection to an occasional animal - for example achieving one cure at 80 mg/kg with essentially no activity at all higher doses.

The report of the Chinese workers¹⁹ that certain benzylidene and benzyl derivatives of 2,4,5-triaminopyrimidine showed exciting antimalarial activity led us to the rapid exploration of this area.

These compounds (57) could be considered direct analogs of our earlier nonclassical quinazoline antifolates such as 58 resulting from extrusion of the right hand benzene ring.

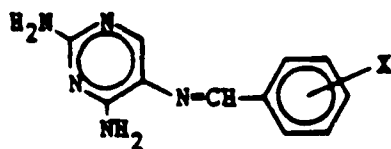


57

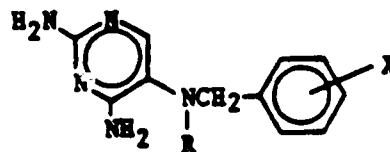


58

In this manner were prepared 59a,b and 60a-e. Strangely only 60a showed a hint of activity with one cure at 640 mg/kg in one test with evident toxicity both at this dose level and at 320 mg/kg.



59

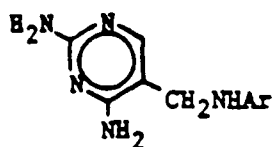


60

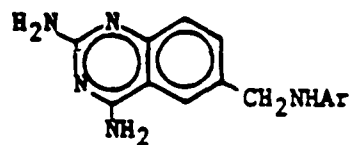
AM	BN	X
a. 2680	BK16962	3,4-Cl ₂
b. 2681	BK17183	4-Br

AM	BN	X	R
a. 2682	BK17192	3,4-Cl ₂	H
b. 2700	BK21963	3,4-Cl ₂	CHO
c. 2683	BK17209	4-Br	H
d. 2699	BK21954	4-Br	NO
e. 2701	BK21972	4-Br	CHO

It was also considered of interest to prepare the reverse analogs of 59 and 60 i.e., 61 more closely related to the even more active quinazoline analogs 62.

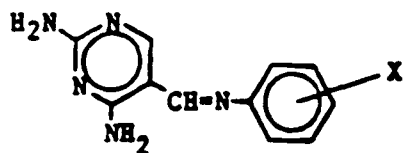


61

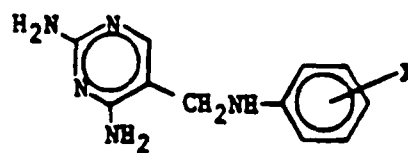


62

Thus 63a-c and 64a-c were prepared.



63



64

AM	BN	X
a. 2712		3,4-Cl ₂
b. 2713		4-Br
c. 2724	BK39536	3,4,5-(OCH ₃) ₃

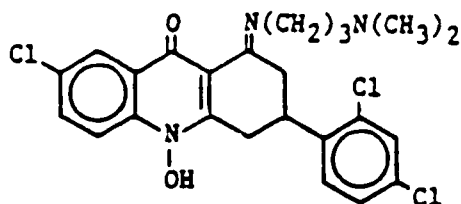
AM	BN	X
a. 903		3,4-Cl ₂
b. 2714		4-Br
c. 2725	BK39545	3,4,5-(OCH ₃) ₃

Data on AM-2714, 2724, and 2725 indicate the latter two to be completely inactive while AM-2714 shows a modest extension of life span (7.6 days) at 640 mg/kg. No further effort thus seems desirable in this area.

F. 7-Chloro-3-substituted-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinediones

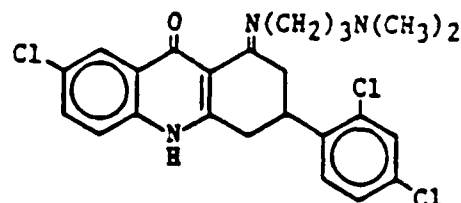
1. Imines

A unique series exemplified by 65,66 has been completed. The US Patent 4,291,034 on these compounds was allowed in late 1981. The European Patent Office has responded with a variety of objections to our filing and our patent counsel has responded to these.



65

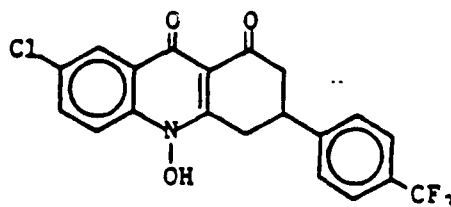
AM-2228 (BH-57203)
WR-237221



66

AM-2379 (BJ-02052)
WR-243251

These compounds appear to be highly active, less toxic analogs of floxacrine (67). Mouse data is summarized in Tables 24-26. In addition floxacrine (67) administered for three days against infections of the Uganda Palo Alto (chloroquine sensitive) strain at



67

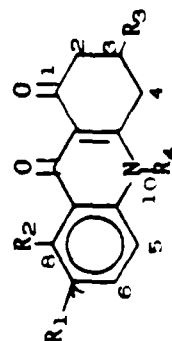
AM-2072; WR-233602

doses up to 16.0 mg/kg led to recrudescences consistently. At 16.0 mg/kg only two of six animals were cleared of the parasitemia, i.e., cured. In contrast imine 66 cleared primary parasitemias of this strain at doses as low as 1.0 mg/kg. Cures were obtained at 4.0 mg/kg. Moreover 6 was similarly effective against a chloroquine-, quinine-, and pyrimethamine-resistant (Smith) strain with clearance of parasitemia at 1.0 mg/kg, three of four cures at 4.0 mg/kg and complete cures at 16.0 mg/kg.

In addition imine 65 affected parasite clearance against the resistant Smith strain at doses of 4.0, 8.0, and 16.0 mg/kg, and four primary infections were cured at doses of 8.0 and 16.0 mg/kg. Against the Uganda Palo Alto strain 65 cleared parasitemias through 2.0 mg/kg, cured one of two animals at 2.0 mg/kg, two of three animals at 4.0 mg/kg and all animals at 8.0 and 16.0 mg/kg.

TABLE 24

Effects of 7-Chloro-3-substituted-3,4-dihydro-10-substituted-1,9(2H,10H)-acridinediones Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	R ₁	R ₂	R ₃	R ₄	AMST or C after single s.c. dose mg/kg				
						640	320	160	80	20
1856	BG-46714	Cl	H	3,4-Cl ₂ -C ₆ H ₃	OH	5C	5C	2C(11)	10.8	5.0
1870	BG-47364	Cl	H	3,4-Cl ₂ -C ₆ H ₃	OH	5C	8.3	3.5	1.7	0.3
1873	BG-56023	Cl	H	3-CF ₃ -C ₆ H ₄	OH	5C	5C	3C(13.4)	12.1	8.8
1906	BG-59855	Cl	H	3-CF ₃ -C ₆ H ₄	ONa	5C	3C(16.0)	13.8	10	7.8
1939	BG-66912	Cl	H	3-CF ₃ -C ₆ H ₄	H	5.3	3.3	2.3	0.3	---
1946	BG-70532	H	H	3,4-Cl ₂ -C ₆ H ₃	OH	2C(12.6)	1C(7.7)	5.1	3.1	---
1952	BG-70996	Cl	Cl	3,4-Cl ₂ -C ₆ H ₃	OH	0.3	---	0.1	---	---
1954	BG-71011	Cl	H	C ₆ H ₁₁	OH	1C(12.7)	6.5	2.9	2.5	---
1956	BG-72410	Cl	H	3,4-Cl ₂ -C ₆ H ₃	H	2C(11.2)	1C(10.2)	1C(7.7)	2.1	---
2065	BH-13434	Cl	H	4-CF ₃ -C ₆ H ₄	OH	3C(3.4)	5C	5C	5C	4C(8.9)
2066	BH-13473	Cl	H	1-C ₁₀ H ₇	OH	4C(13.7)	2C(5.7)	6.9	2.3	---
2067	BH-13452	Cl	H	3,4,5-(OCH ₃) ₃ C ₆ H ₂	OH	7.0	2.7	1.8	1.3	---
2095	BH-17763	Cl	H	4-Et ₂ NCH ₂ CH ₂ OC ₆ H ₄	ONa	5.3	---	1.5	---	---
2097	BH-17781	Cl	H	4-Me ₂ NC ₆ H ₄	OH	5C	3C(6.8)	1C(6.6) 2C(5.7)	5.8	1.6

TABLE 24 - page 2

AM	BN	R ₁	R ₂	R ₃	R ₄	AMST or C after single s.c. dose mg/kg				
						640	320	160	80	20
2104	BH-27250	Cl	H	3-Br-C ₆ H ₄	OH	4C(7.9)	1C(10.7)	1C(9.2)	5.9	2.5 0.1
2112	BH-27330	Cl	H	2,6-Cl ₂ -C ₆ H ₃ $\begin{smallmatrix} \diagup \text{CH}_3 \\ \diagdown \text{CH}_3 \end{smallmatrix}$	OH	2C(11.2)	3C(23.9)	1C(5.8)	5.1	1.5 0.7
2133	BH-30695	H	H		CH ₃	0.5	---	0.2	---	-0.2 ---
2143	BH-35172	Cl	H	C ₆ H ₅	OH	3C(11.5)	2C(9.3)	2C(5.7)	5.6	4.0 1.8
2151	BH-35930	Cl	H	(CH ₂) ₅ $\begin{smallmatrix} \diagup \text{CH}_3 \\ \diagdown \text{CH}_3 \end{smallmatrix}$	OH	0.0	---	0.2	---	0.0 ---
2163	BH-36268	Cl	H		H	4C(14.6)	3C(15.6)	9.6	3.2	1.6 1.0
2194	BH-47976	Cl	H	3,4-Cl ₂ -C ₆ H ₃	CH ₃	0.2	---	0.6	---	0.0 ---
2221	BH-57132	Cl	H	4-CF ₃ -C ₆ H ₄	H	5C	5C	5C	4C(9.4) 2C(9.9)	1C(8.9)
2225	BH-57178	Cl	H	2,4-Cl ₂ -C ₆ H ₃	OH	5C	5C	5C	5C	5C 2C(1.9)
2230	BH-58193	Cl	H		H	0.2	---	0.0	---	0.6 ---
2255	BH-67398	Cl	H	3,4-Cl ₂ -C ₆ H ₃ (2-Me)	OH	5C	5C	5C	5C	4C(9.6) 3C(7.6)
2261	BH-67530	Cl	H	4-CF ₃ -C ₆ H ₄ (6-F)	OH	5T	5T	5T	5T	5T 9.0
2262	BH-67549	Cl	Cl	4-CF ₃ -C ₆ H ₄	OH	2C(9.8)	3C(7.0)	2C(7.0)	7.8	7.0 4.5
2268	BH-69856	Cl	H	4-CF ₃ -C ₆ H ₄	C ₂ H ₅	1T(0.0)	---	2T(0.0)	---	2T(0.0) ---
2269	BH-69865	Cl	H	4-CF ₃ -C ₆ H ₄	$\overline{\text{n-C}_4\text{H}_9}$	0.4	---	-0.2	---	-0.2 ---
2270	BH-69874	Cl	H	4-CF ₃ -C ₆ H ₄	CH ₂ -C ₆ H ₅	1T(0.3)	---	0.0	---	0.2 ---
2288	BH-73136	Cl	H	2-Cl-C ₆ H ₄	OH	4C(9.0)	---	1C(4.5)	---	4.6 1.7
2289	BH-73145	I	H	4-CF ₃ -C ₆ H ₄	H	0.4	---	0.4	---	0.2 ---
2293	BH-73181	Cl	H	4-CF ₃ -C ₆ H ₄	CH ₂ CH-CH ₂	4C(15.0)	---	12.6	---	1C(8.5) ---

TABLE 24 PAGE 3

 Δ MST or C after single s.c. dose, mg/kg

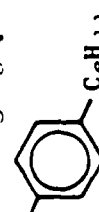
AM	BN	R ₁	R ₂	R ₃	R ₄	640	320	160	80	40	20
2295	BH-73421	Cl	H	4-CF ₃ -C ₆ H ₄	n-C ₆ H ₁₃	0.1	---	0.0	---	0.1	---
2302	BH-73494	Cl	H	2-Cl-C ₆ H ₄	H	1C(9.6)	---	1C(0.4)	5.5	2.7	1.5
2303	BH-73501	O ₂ N	H	4-CF ₃ -C ₆ H ₄	H	1C(6.9)	---	2.8	---	4.1	0.7
2304	BH-73994	Cl	H	 C ₆ H ₁₁	OH	4.1	---	1.3	---	0.9	---
2308	BH-74026	Cl	H	2-CF ₃ -C ₆ H ₄	OH	8.3	---	4.5	---	2.7	0.6
2309	BH-74035	Cl	H	4-CH ₃ -C ₆ H ₄	OH	6.9	---	3.1	2.8	0.6	0.8
2312	BH-76217	Cl	H	2-OCH ₃ -C ₆ H ₄	OH	3T	2.2	---	1.8	2.2	0.8
2315	BH-76244	Cl	H	4-OCH ₃ -C ₆ H ₄	OH	5.7	4.6	1.4	1.2	0.6	0.6
2318	BH-76271	H ₅ C ₂	H	4-CF ₃ -C ₆ H ₄	H	0.2	---	0.4	---	0.0	---
2320	BH-81558	Cl	H	 C ₆ H ₁₁	H	1.6	---	0.2	---	0.2	---
2324	BH-81594	Cl	H	4-F-C ₆ H ₄	OH	5C	5C	4C(8.8)	4C(9.8)	2C(19.4)	5.0
2327	BH-81834	Br	H	4-CF ₃ -C ₆ H ₄ (5-Br)	H	0.0	---	0.2	---	0.6	---
2334	BH-84068	H	H	(CH ₃) ₂	H	5C	---	2C(5.6)	---	3.8	---
2335	BH-84077	Br	H	4-CF ₃ -C ₆ H ₄	H	4C(12.8)	3C(10.6)	1C(4.4)	3.0	5.0	3.6
2336	BH-84086	H	H	4-CF ₃ -C ₆ H ₄	H	5C	5C	4C(10.8)	8.2	4.6	2.7
2344	BH-86544	Cl	H	2-CF ₃ -C ₆ H ₄	H	8.3	---	8.5	---	0.7	---
2345	BH-86553	Cl	H	4-CH ₃ -C ₆ H ₄	H	2C(11.7)	---	2C(8.7)	---	3.9	---
2346	BH-86562	Cl	H	2-OCH ₃ -C ₆ H ₄	H	5C	---	4C(0.7)	---	8.3	---
2358	BH-89778	H ₃ CO	H	4-CF ₃ -C ₆ H ₄	H	4.4	2.3	2.9	0.1	-0.3	---
2364	BH-	Cl	H	4-CF ₃ -C ₆ H ₄ (5-Cl)	H	0.0	---	0.4	---	-0.2	---

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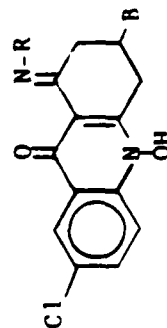
AM	BN	R ₁	R ₂	R ₃	R ₄	ΔMST or C after single s. c. dose, mg/kg					
						640	320	160	80	40	20
2371	BJ01671	H	Cl	4-CF ₃ -C ₆ H ₄	H	5C	---	5C	---	8.7	---
2372	BJ01680	F	H	4-CF ₃ -C ₆ H ₄	H	5C	---	8.9	---	7.1	---
2377	BJ02034	Cl	H	2,4-Cl ₂ -C ₆ H ₃	H	5C	5C	4C(17.8)	4C(15.8)	3C(18.1)	2C(10.3)
2380	BJ02061	Cl	H	3,4-Cl ₂ -C ₆ H ₃	H(2-CH ₃)	5C	5C	5C	5C	3C(10.8)	8.2
2383	BJ06265	H	Cl	2,4-Cl ₂ -C ₆ H ₃	H(6-Cl)	-0.1	---	0.3	---	0.1	---
2384	BJ06274	H	H	2,4-Cl ₂ -C ₆ H ₃	H(6-Cl)	4T(2.0)	2T(4.0)	2T(1.3)	1T(5.3)	1T(1.3)	1T(0.3)
2385	RJ06283	CF ₃	H	4-CF ₃ -C ₆ H ₄	H	-0.1	---	0.1	---	0.1	---
2389	RJ06327	Cl	H	4-CO ₂ H-C ₆ H ₄	OH	4T(0.9)	---	1T(-0.1)	---	-0.1	---
2390	RJ06336	Cl	H	4-OCH ₃ -C ₆ H ₄	H	-0.1	---	0.1	---	-0.1	---
2393	RJ07502	Cl	H	4-C ₃ H ₆ N	OH	2.2	---	0.8	---	0.2	---
2394	RJ07511	Cl	H	4-C ₃ H ₆ N	H	2.0	---	0.2	---	0.0	---
2398	RJ09588	Cl	H	4-SCH ₃ -C ₆ H ₄	H	12.4	---	8.6	---	4.4	---
2399	BJ09597	Cl	H	4-NO ₂ -C ₆ H ₄	H	2C(13.3)	---	4.6	---	0.8	---
2402	BJ09613	Cl	H	4-CF ₃ -C ₆ H ₄	H(2-C ₂ H ₅)	1.6	---	1.2	---	0.6	---
2403	BJ21717	Cl	H	4-CF ₃ -C ₆ H ₄	H(2-CH ₃)	4C(8.8)	5C	2C(12.8)	7.5	5.7	3.9
2405	BJ21735	Cl	H	2-C ₃ H ₆ N	H	4.4	7.3	2.4	1.1	---	---
2408	BJ21762	Cl	H	3,4-Cl ₂ -C ₆ H ₃	H(2-C ₆ H ₅)	1.4	---	0.4	---	0.0	---
2415	BJ23631	Cl	H	4-Cl, 3-CF ₃ -C ₆ H ₃	H	2C(5.7)	1C(5.0)	1C(1.5)	1.1	0.7	0.9
2417	RJ23640	Cl	H	2,4-Cl ₂ -C ₆ H ₃	OH(2-CH ₃)	5C	5C	5C	5C	5C	2C(10.7)
2418	RJ28387	H	H	2,4-Cl ₂ -C ₆ H ₃	H(6-Cl)	1.3	---	0.1	---	-0.1	---

TABLE 24 - page 5

AM	BN	R ₁	R ₂	R ₃	R ₄	ΔMST or C after single s.c. dose, mg/kg				
						640	320	160	80	20
2419	BJ28378	Cl	H	2,4-Cl ₂ -C ₆ H ₃	H (2-CH ₃)	5C	2C(17.1)	2C(15.1)	2C(10.8)	1C(9.3) 8.2
2443	K178412	Cl	H	4-CF ₃ -C ₆ H ₄	OH (2-CH ₃)	5C	5C	5C	2C(14.1)	7.8 5.2
2447	BJ36969	Cl	H	H	H(2-C ₆ H ₅)	0.0	0.0	0.0	0.2	
2468	BJ44630	H	H	4-CF ₃ -C ₆ H ₄	H(6-Cl)	0.4	0.2	0.2	-0.4	

TABLE 25

Effects of Imines of 7-Chloro-3-substituted-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinediones Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	NR	4	AMST or C after single s.c. dose mg/kg				
				640	320	160	80	40
2018	BG-89200	N(CH ₂) ₃ N(Me) ₂	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	3C(17.2)	3C(12.7)
2024	BG-94783	N(CH ₂) ₃ CH ₃	3,4-Cl ₂ -C ₆ H ₃	5C	5C	3C(9.9)	8.3	6.1
2068	BH-13461	N(CH ₂) ₃ N(Me) ₂	4-CF ₃ -C ₆ H ₄	5T, 1C	3T, 2C	2T, 3C	4C	5C
2069	BH-13470	N(CH ₂) ₂ N(Et) ₂	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	3C(12.7)	3C(8.8)
2070	BH-13489	NO(CH ₂) ₂ N(Et) ₂	3,4-Cl ₂ -C ₆ H ₃	8.7	3.7	2.1	0.9	---
2071	BH-13498	N(CH ₂) ₃ N(Et) ₂	3,4-Cl ₂ -C ₆ H ₃	5C	5C	4C(12.7)	2C(11.7)	8.5
2081	BH-13612	N(CH ₂) ₃ N(Me) ₂	1-Naphthyl	5C	4C(4.7)	1C(9.3)	7.1	2.6
2083	BH-16177	N(CH ₂) ₃ N(Me) ₂	3,4,5-(OMe) ₃ C ₆ H ₂	4.3	4.0	1.0	---	---
2086	BH-16202	N(CH ₂) ₃ N(Me) ₂	3-CF ₃ -C ₆ H ₄	5C	5C	4C(12.4)	9.6	6.9
2088	BH-16220	N(CH ₂) ₆ CH ₃	3-CF ₃ -C ₆ H ₄	5C	5C	3C(5.0)	2.8	---
2089	BH-17709	N(CH ₂) ₃ OCH ₃	3-CF ₃ -C ₆ H ₄	5C	3C(13.8)	1C(5.1)	1.0	---
2091	BH-17727	NCH ₂ C ₆ H ₃ -3,4-Cl ₂	3-CF ₃ -C ₆ H ₄	5C	2C(11.5)	8.4	4.4	---

TABLE 25 - page 2

AM	BN	NR	B	AMST or C after single s.c. dose mg/kg				
				640	320	160	80	40
2092	BH-17736	$N(CH_2)_3N(Me)_2$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	11.3	6.5
2093	BH-17745	$N(CH_2)_3N(CH_2)_5$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	4C(8.7)	9.2	5.9
2101	BH-23887	$NCH(CH_3)(CH_2)_3N(Et)_2$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	1C(9.6)	1C(6.1)
2105	BH-27269	$N(CH_2)_3N(Me)_2$	4-Me ₂ N-C ₆ H ₄	5C	3C(7.4)	6.8	0.9	---
2106	BH-27278	$N(CH_2)_3SCH_3$	3,4-Cl ₂ -C ₆ H ₃	1C(3.7)	4.1	1.7	---	---
2113	BH-27349	$N(CH_2)_3N(CH_3)_2$	2,6-Cl ₂ -C ₆ H ₃	5C	1C(7.2)	1C(9.4)	4.1	1.2
2115	BH-27367	$N(CH_2)_3N(Me)_2$	3-BF-C ₆ H ₄	5C	2C(10.2)	9.4	5.3	1.6
2134	BH-30702	NCH_3	3,4-Cl ₂ -C ₆ H ₃	3C(10.8)	10.7	6.4	3.3	---
2140	BH-34844		3,4-Cl ₂ -C ₆ H ₃	5C	4C(8.8)	2C(8.3)	7.2	3.2
2146	BH-35190	$N(CH_2)_3N(Me)_2$	C ₆ H ₅	5C	8.8	4.2	1.2	0.6
2148	BH-35225	$N(CH_2)_2N(Et)CH_2C(CH_3)_2$ OH	3,4-Cl ₂ -C ₆ H ₃	---	5C	5C	4C(8.0)	8.2
2149	BH-35163	$N(CH_2)_2N[CH_2CH(CH_3)_2]_2$	3,4-Cl ₂ -C ₆ H ₃	5C	1C(13.0)	2C(9.7)	1C(6.3)	1C(5.3)
2153	BH-35958	$N(CH_2)_3N(CH_2CH_2OH)_2$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	4C(10.6)	1C(7.9)	5.6
2154	BH-35767	$N(CH_2)_3NHCH_2CH_2OH$	3,4-Cl ₂ -C ₆ H ₃	5C	4C(11.6)	3C(9.6)	1C(7.9)	6.6
2155	BH-35976		3,4-Cl ₂ -C ₆ H ₃	5C	3C(12.1)	5C	7.2	5.6
2157	BH-35994	NH	3-CF ₃ -C ₆ H ₄	8.2	7.6	3.8	3.4	1.4
2158	BH-36008	$N(CH_2)_2NHCH_2CH=CH_2$	3-CF ₃ -C ₆ H ₄	5C	5C	5C	1C(9.4)	2C(5.9)
2159	BH-36017		3,4-Cl ₂ -C ₆ H ₃	4C(42.6)	4C(22.6)	2C(10.3)	8.0	6.6
2160	BH-36231	$N(CH_2)_3N(Me)_2$	(CH ₂) ₅	5T	---	5T	---	5T
2161	BH-36240	$NCH_2CH(Et)NHBu$	3-CF ₃ -C ₆ H ₄	5C	5C	5C	1C(10.9)	6.8

TABLE 25 - page 3

AM	BN	NR	B	Δ MT or C after single s.c. dose mg/kg					
				640	320	160	80	40	20
2165	BH-36286	$\text{N}(\text{CH}_2)_3\text{N}(\text{Me})_2$	3-CF ₃ -C ₆ H ₄ (CH ₃) ₂	5C	5C	3C(11.6)	7.0	1C(9.6)	5.4
2166	BH-36295	$\text{N}(\text{CH}_2)_3\text{NHBu}$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	4C(10.6)	1C(9.1)	9.4	4.4
2167	BH-38155	$\text{N}(\text{CH}_2)_3\text{N}(\text{Et})\text{CH}_2\text{CH}_2\text{OH}$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	3C(10.1)	1C(9.6)	8.6	---
2168	BH-38164	$\text{N}(\text{CH}_2)_3\text{N}(\text{N-Me})$	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	10.6	7.4	---
2169	BH-38173	$\text{N}(\text{CH}_2)_4\text{N}(\text{CH}_2)_4$	3-CF ₃ -C ₆ H ₄	5T	4T(0.5)	5T	3.7	3T(4.6)	---
2170	BH-38182	$\text{NCH}_2\text{C}(\text{CH}_3)_2\text{CH}_2\text{N}(\text{Et})_2$	3,4-Cl ₂ -C ₆ H ₃	5C	4C(13.6)	4C(14.6)	2C(10.3)	1C(9.6)	4.0
2171	BH-38191	$\text{N}(\text{CH}_2)_5\text{N}(\text{CH}_2)_4$	3-CF ₃ -C ₆ H ₄	5T	---	5T	---	4T(0.6)	---
2172	BH-38208	$\text{NCH}_2\text{CH}(\text{CH}_3)\text{N}(\text{Me})_2$	3-CF ₃ -C ₆ H ₄	5C	5C	4C(8.6)	9.2	1C(11.9)	4.0
2173	BH-38217	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_2)_4$	3-CF ₃ -C ₆ H ₄	2C, 3T 4C(25.6)	5C	3C(9.6)	6.0	6.2	---
2176	BH-38280	NOH	3-CF ₃ -C ₆ H ₄	0.4 2C, 3T	---	-0.2	---	0.2	---
2177	BH-38299	$\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_4$	3-CF ₃ -C ₆ H ₄	4C, 1T	5C	4C(9.6)	8.0	6.6	---
2179	BH-38315	$\text{N}(\text{CH}_2)_6\text{N}(\text{CH}_2)_5$	3-CF ₃ -C ₆ H ₄	5T	5T	2C, 3T	6.4	4.0	---
2180	BH-38324	$\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_5$	3-CF ₃ -C ₆ H ₄	1C(11.1)	8.4	2C(8.6)	3.8	6.8	---
2181	BH-38333	$\text{N}(\text{CH}_2)_2\text{N}(\text{Et})_2$	4-CF ₃ -C ₆ H ₄	2C, 1T 3C, 2T	4C, 1T	4C, 1T	5C	2C(10.8) 5C	1C(10.5)
2182	BH-38342	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_2)_4$	4-CF ₃ -C ₆ H ₄	1C, 4T	3C, 1T	5C	5C	2C(10.8)	1C(11.0)
2183	BH-38351	$\text{N}(\text{CH}_2)_3\text{N}(\text{Et})_2$	4-CF ₃ -C ₆ H ₄	5T	2C, 3T	2C, 3T	2C, 3T	3C(16.8)	2C(10.1)
2184	BH-38995	$\text{N}(\text{CH}_2)_4\text{N}(\text{Et})_2$	4-CF ₃ -C ₆ H ₄	4T	4C	5C	5C	4C(10.5)	2C(14.0)
2189	BH-39045	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_2\text{CH}_2\text{OH})_2$	4-CF ₃ -C ₆ H ₄	5C	5C	5C	1C(9.5)	4C(14.8)	2C(12.0)
2190	BH-39054	$\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_5$	4-CF ₃ -C ₆ H ₄	1C, 4T	5C	5C	3C(12.0)	3C(10.0)	8.5

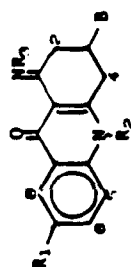
TABLE 25 - page 4

AM	BN	NR	B	ΔMST or C after single s.c. dose mg/kg					
				640	320	160	80	40	20
2191	BH-39063	$\text{NCH}_2\text{C}(\text{CH}_3)_2\text{CH}_2\text{N}(\text{Et})_2$	4-CF ₃ -C ₆ H ₄	3C, 2T	5C	5C	4C(12.5)	3C(11.2)	3C(13.0)
2193	BH-47967	$\text{N}(\text{CH}_2)_3\text{N}(\text{Et})_2$	3,4,5-(OMe) ₃ -C ₆ H ₂	6.1	---	0.7	---	0.4	---
2196	BH-47994	$\text{N}(\text{CH}_2)_3\text{CH}_3$	4-CF ₃ -C ₆ H ₄	5C	5C	5C	3C(10.2)	1C(8.5)	5.3
2197	BH-48008	$\text{N}(\text{CH}_2)_6\text{CH}_3$	4-CF ₃ -C ₆ H ₄	4C, 1T	3C(14.7)	5C	5C	4.3	---
2202	BH-49256	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_2)_4$	3,4,5-(OMe) ₃ -C ₆ H ₂	6.4	---	0.6	---	0.2	---
2203	BH-49265	$\text{NCH}_2\text{C}(\text{CH}_3)_2\text{CH}_2\text{N}(\text{Et})_2$	3,4,5-(OMe) ₃ -C ₆ H ₂	4.7	---	0.7	---	0.5	---
2211	BH-50044	$\text{N}(\text{CH}_2)_2\text{NHCH}_2\text{CH}=\text{CH}_2$	4-CF ₃ -C ₆ H ₄	5T	5T	5C	1C, 3T	2C(8.4)	7.8
2213	BH-50062	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_2)_5$	3,4,5-(OCH ₃) ₃ -C ₆ H ₂	1T(4.5)	---	1.4	---	0.3	---
2214	BH-50071	$\text{N}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$	3,4,5-(OCH ₃) ₃ -C ₆ H ₂	6.0	5.0	1.2	---	0.8	---
2215	BH-50080	$\text{N}(\text{CH}_2)_3\text{NECH}_2\text{CH}_2\text{OH}$	4-CF ₃ -C ₆ H ₄	1C, 4T	4C, 1T	5C	5C	3C(10.7)	6.4
2216	BH-50099	$\text{NCH}_2\text{CHCH}_2\text{CH}_3$ $\text{NH}(\text{CH}_2)_3\text{CH}_3$	4-CF ₃ -C ₆ H ₄	4C, 1T	5C	5C	4C	2C(7.7)	2C(6.0)
2228	BH-57203	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	2,4-Cl ₂ -C ₆ H ₃	4C, 1T	5C	5C	5C	5C	4C(10.6)
2240	BH-65456	$\text{NNH}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	4-Cl-C ₆ H ₄	5C	2C(8.9)	2C(9.4)	8.6	6.5	5.6
2291	BH-73163	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	2-Cl-C ₆ H ₄	5T	---	1T(4.0)	---	2T(3.0)	---
2311	BH-74053	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$		5C	---	3C(9.4)	---	5.0	---
2340	BH-84362	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	2-CF ₃ -C ₆ H ₄	5C	5C	4C(8.0)	1C(7.5)	3.8	---
2341	BH-84371	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	2-OCH ₃ -C ₆ H ₄	5T	---	5T	---	1T(0.3)	---
2342	BH-84380	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	4-OCH ₃ -C ₆ H ₄	3C(8.4)	6.5	0.7	0.1	---	---
2343	BH-84399	$\text{N}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$	4-CH ₃ -C ₆ H ₄	4C(1.0)	2C(6.0)	3.0	1.8	0.2	---

TABLE 25 - page 5

AM	BN	NR	B	ΔMST or C after single s.c. dose mg/kg					
				640	320	160	80	40	20
2397	RJ07548	N(CH ₂) ₅ N(CH ₃) ₂	4-C ₅ H ₄ N	1.4	---	0.0	---	0.0	---
2421	RJ28396	N(CH ₂) ₅ N(CH ₃) ₂	2,4-Cl ₂ -C ₆ H ₃ (2-CH ₃)	1C(1.8)	4C(2.8)	5C	4C(16.8)	5C	1C(11.1)
2431	RJ34205	N(CH ₂) ₅ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄ (2-CH ₃)	5T	5T	5T	5C	2C(10.2)	8.1

TABLE 26
Effects of Imines of 7-Substituted-3,4-dihydro-3-substituted-1,9(2H,10H)-
acridinediones Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	R ₁	R ₂	NH ₂	B	ΔMT or C after single a.c. dose, cm/kg				
						640	320	160	80	20
2140	BN-54826	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	3,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	4C(11.8)	3C(9.8)
2222	BN-57141	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	2C, 3T	2C, 2T	3C, 1T	5C	5C 3C(10.4)
2227	BN-57196	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	1T(0.4)	---	---	0.0	---	0.6
2244	BN-58684	Cl	CH ₃	NNH ₂	3,4-Cl ₂ -C ₆ H ₃	-0.4	---	0.0	---	-0.4
2250	BN-65492	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	3-CF ₃ -C ₆ H ₄	5C	5C	5C	1C(14.4)	6.6
2264	BN-67567	Cl	H	N(CH ₂) ₃ CH ₃	3,4-Cl ₂ -C ₆ H ₃	1T(2.5)	1.2	5T	3T(1.5)	4T
2265	BN-67576	Cl	H	N(CH ₂) ₂ N(C ₂ H ₅) ₂	3,4-Cl ₂ -C ₆ H ₃	5C	3C(20.0)	5C	4C(8.0)	4C(8.0) 2C(9.3)
2294	BN-73190	I	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	1C, 1T(14.0)	---	2C(7.3)	---	3.0
2296	BN-73430	Cl	H	N(CH ₂) ₂ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	5C	---	5C	---	5C
2297	BN-73449	Cl	H	N(CH ₂) ₂ N(C ₂ H ₅) ₂	4-CF ₃ -C ₆ H ₄	5C	---	5C	---	3C(17.6)
2298	BN-73458	Cl	H	N(CH ₂) ₂ N(C ₂ H ₅) ₂	4-CF ₃ -C ₆ H ₄	3C(0.1)	---	3C(23.1)	---	4C(9.6)
2299	BN-73467	Cl	H	N(CH ₂) ₃ CH ₃	44-CF ₃ -C ₆ H ₄	5C	---	1C(10.1)	---	6.0

TABLE 26 page 2

AN	BN	R ₁	R ₂	N(CH ₂) ₃	B	AMST or C after single e.c. dose, mg/kg				
						140	160	180	40	20
2300	BH-73476	Cl	H	N(CH ₂) ₃ N(C ₂ H ₅) ₂	4-CF ₃ -C ₆ H ₄	2C(3.3)	3C(2T)	5C	4C(1T)	5C 3C(10.0)
2301	BH-73485	Cl	H	N(CH ₂) ₄ N(C ₂ H ₅) ₂	4-CF ₃ -C ₆ H ₄	5C	5C	5C	4C(13.6)2C(18.6)	
2304	BH-73510	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	2-Cl-C ₆ H ₄	5C	5C	2C(11.3)	6.3	5.6
2306	BH-74008	O ₂ N	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	1C(4T)	3C(2T)	4C(11.6)	1C(8.9)	5.4 2.2
2307	BH-74017	Cl	H	N(CH ₂) ₂ C(CH ₃) ₂ CH ₂ N(C ₂ H ₅) ₂	4-CF ₃ -C ₆ H ₄	4C(1.3)	4C(49.6)	5C	4C(13.6)	2C(10.3)2C(8.6)
2321	BH-81567	N ₃ C ₂	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	4T(1.4)	---	1T(1.9)	---	0.6 ---
2323	BH-81585	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂		3C(9.6)	5C	2C(10.3)	7.2	7.0 2.8
2328	BH-81843	Br (5-Br)	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	0.4	---	0.2	---	0.2 ---
2338	BH-84344	Br	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	2C(25.7)	5C	5C	1C(9.3)	6.0
2339	BH-84353	H	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	5T	---	5T	---	5T 1T(5.3)
2359	BH-89787	H ₃ CO	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	5C	5C	2C(8.7)	6.1	5.5 0.7
2369	BH-96291	Cl (5-Cl)	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	5T	---	4T(1C)	---	4C(14.9) ---
2373	BJ01699	F	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	2C(6.4)	---	6.7	---	1.7 ---
2375	BJ01715	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	2-OCH ₃ -C ₆ H ₄	2C(9.8)	2C(6.5)	6.6	1.4	0.8 ---
2376	BJ02025	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	4-CH ₃ -C ₆ H ₄	5C	5C	5C	5C	5C
2379	BJ02052	Cl	H	N(CH ₂) ₃ N(CH ₃) ₂	2,4-Cl ₂ -C ₆ H ₃	3C(13.6)010; 1C(7.4)05				
2386	BJ06292	H	H (5-Cl)	N(CH ₂) ₃ N(CH ₃) ₂	2,4-Cl ₂ -C ₆ H ₃	5T	5T	4T	1T(0.5)	0.0

TABLE 26 - page 3

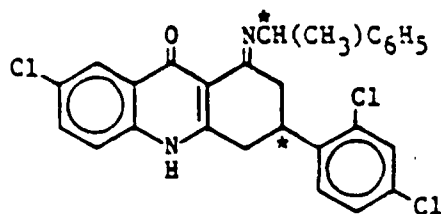
AM	BM	R ₁	R ₂	MR ₂	AMST or C after single a.c. dose, mg/kg					
					640	320	160	80	40	20
2387	BJ06309	N	N(8-Cl)N(CH ₃) ₂ N(CH ₃) ₂		5C	---	4C(24.9)	---	7.7	---
2388	BJ06318	CF ₃	H	N(CH ₃) ₂ (CH ₃) ₂	5C	---	7.1	---	3.1	---
2391	BJ06345	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	1C(11.2)	---	4.5	---	0.5	---
2392	BJ07495	N	N(5,7-Cl ₂)	N(CH ₃) ₂ N(CH ₃) ₂	---	0.0	---	0.0	---	1.2
2396	BJ07539	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	4.3	2.5	1.3	1.3	0.1	0.7
2400	BJ09604	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	4C(1T)	3C(12.8)	1C(9.8)	1C(4.6)	3.4	2.8
2401	BJ09622	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	1C(11.8)	11.6	8.6	3.0	1.0	0.4
2406	BJ21744	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂						
2409	BJ21771	Cl	N(2-CH ₃) ₂	N(CH ₃) ₂ N(CH ₃) ₂	4C(1T)	5C	5C	4C(10.7)	4C(21.0)	7.8
2410	BJ21780	Cl	N(2-CH ₃) ₂	N(CH ₃) ₂ N(CH ₃) ₂	---	5C	5C	4C(15.0)	1C(7.2)	5.3
2411	BJ21799	Cl	N(2-C ₂ H ₅)	N(CH ₃) ₂ N(CH ₃) ₂	5C	5C	2C(12.2)	1C(14.2)	7.7	3.1
2412	BJ23604	Cl	N(2-CH ₃) ₂	N(CH ₃) ₂ N(CH ₃) ₂	1T(2.3)	3.1	4C(3.0)	5C	5C	4C(10.7)
2413	BJ23613	Cl	N(2-CH ₃) ₂	N(CH ₃) ₂ N(CH ₃) ₂	1C(2.7)	4C(3.7)	5C	5C	5C	1C(11.0)
2414	BJ23622	Cl	N(2-C ₂ H ₅)	N(CH ₃) ₂ N(CH ₃) ₂	4C(6.7)	2C(10.0)	3C(10.2)	5.3	3.3	0.3
2416	BJ23640	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	5C	5C	5C	5C	4C(8.7)	7.5
2420	BJ28387	N	N(6-Cl)	N(CH ₃) ₂ N(CH ₃) ₂	3C, 2T	5C	4C(13.8)	12.0	1C(6.3)	2.8
2422	BJ28403	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	5C	5C	5C	5C	5C	5C(5C@10)

TABLE 26 - page 4

AM	BN	R ₁	R ₂	B	AMST or C after single a.c. dose, mg/kg						
					640	320	160	80	40	20	
2428	BJ30869	Cl	H(2-CH ₃)	N(CH ₃) ₂ N(CH ₃) ₂	3,4-Cl ₂ -C ₆ H ₃	5T	7.7	6.1	0.7	0.5	-0.1
2429	BJ34189	Cl	H(2-CH ₃)	N(CH ₃) ₂ N(CH ₃) ₂	2,4-Cl ₂ -C ₆ H ₃	3C(2.9)	4C(3.9)	5C	5C	4C(19.9)	3C(0.1)
2432	BJ34214	Cl	H	N(CH ₃) ₂ N(C ₂ H ₅) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	5C (2C@10)
2436	BJ34250	Cl	H	N(CH ₃) ₂ N(CH ₃) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	4C(22.9) (1C@10)
2437	BJ34269	Cl	H	N(CH ₃) ₂ N(CH ₃ CH ₂ OH) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	4C(10.9) (1C@10)
2438	BJ34278	Cl	H	^{CH₃} NCH ₂ CHNH- <i>n</i> -C ₄ H ₉	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	5C (4C@10)
2439	BJ36889	Cl	H	NCH ₂ C(CH ₃) ₂ CH ₂ N(C ₂ H ₅) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	4C(13.7)	3C(12.7)
2440	BJ36998	Cl	H	^{CH₃} NCH ₂ (CH ₂) ₂ N(C ₂ H ₅) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	4C(13.7)	3C(10.2)
2441	BJ36905	Cl	H	N(CH ₃) ₂ N(C ₂ H ₅) ₂	2,4-Cl ₂ -C ₆ H ₃	5C	4C(3.7)	4C(0.7)	5C	4C(9.7)	4C(6.7)
2469	BJ44649	Cl	H	NN	4-CF ₃ -C ₆ H ₃	5C	5C	5C	5C	5C	1C(11.2)
2471	BJ44667	H	H(6-Cl)	N(CH ₃) ₂ N(CH ₃) ₂	4-CF ₃ -C ₆ H ₃	5T	5T	5T	3T(6.4)	3T(5.4)	2.1
2474	BJ44694	Cl	H	N(CH ₃) ₂ NHCH ₂ CH ₂ OH	2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	5C
2476	BJ	Cl	H	N(CH ₃) ₂ NN	2,4-Cl ₂ -C ₆ H ₃	5C		5C		5C	

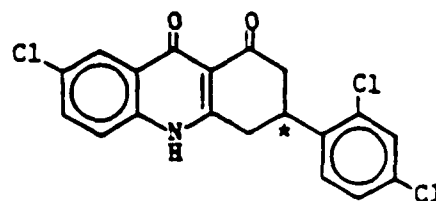
A key synthetic goal was the separation of optical isomers for the asymmetric center at Position 3, and this was accomplished in 1982. The biological data is quite exciting and is summarized in Table 27.

The racemic acridinedione (AM-2377) was treated with 2 (-) α -methylbenzylamine, and chromatography then provided a fast moving (on TLC), low melting isomer (AM-2616, 68a) and a slow moving, high melting isomer (AM-2617, 68b) of unknown stereochemistry. Acid hydrolysis of 68a and 68b then provided the (+) (AM-2618, 69a) and (-) (AM-2619, 69b) isomers of the acridinedione.



68

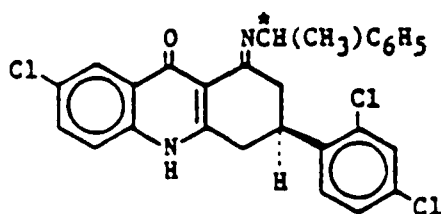
a) AM-2616; BJ92690
b) AM-2617; BJ92707



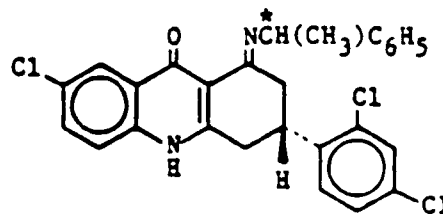
69

a) AM-2618; BJ92716
b) AM-2619; BJ92725

An x-ray crystallographic analysis of imine 68a (AM-2616) performed by Dr. Jerry Atwood at the University of Alabama, resulted in the structure depicted in Figure 1, leading to the designation of the R



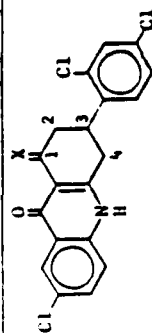
70



71

configuration at C-3, i.e., structure 70. Thus the isomeric 68b (AM-2617) is assigned the 3-S configuration 71, and the corresponding diketones 69a (AM-2618) and 69b (AM-2619) are assigned the 3R configuration 72 and the 3-S configuration 73 respectively.

TABLE 27 Comparison of the Biological Activities of 7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H, 10H)-acridine-dione Enantiomers and Their 1-Isomers With Their Corresponding Racemic Analogs



AM	Regimen	X	1-(R,S)	AMST or C/T	After Single	SC or PO	Dose (mg/kg)	5	2.5
2377 BJ-02014	SC	0	R,S (racemic)	640	4C	4C	2C		
2618 BJ-92716	SC	0	R	4C	4C	1C	8.7	3.9	1.3
2619 BJ-92725	SC PO	0	S	4C 5C	5C 5C	5C 1C	5C 1C	5C 3C	6.7
26451 BK-12375	SC PO	N ⁺ CH ₂ Ph R,S	R,S (racemic)	5C 3C, 2T	4C 4C	4.9 1C	1.3 6.3		
2617 BJ-92707	PO	N ⁺ CH ₂ Ph S	S	4C	9.0	3.0	0.6		
2616 BJ-92690	PO	N ⁺ CH ₂ Ph S	R	4C, 1T	4C, 1T	3C	7.4		
2379 BJ-02052		N(CH ₂) ₃ N(CH ₃) ₂	R,S (racemic)	5C	5C	5C	5C	1C	
2732 BK-51621		N(CH ₂) ₃ N(CH ₃) ₂	S					13.6	7.4
2733 BK-51630		N(CH ₂) ₃ N(CH ₃) ₂	R						

1. ~ 2:1 Mixture of the two possible pairs of enantiomers (S/S + R/R-S/S + R/S-S).

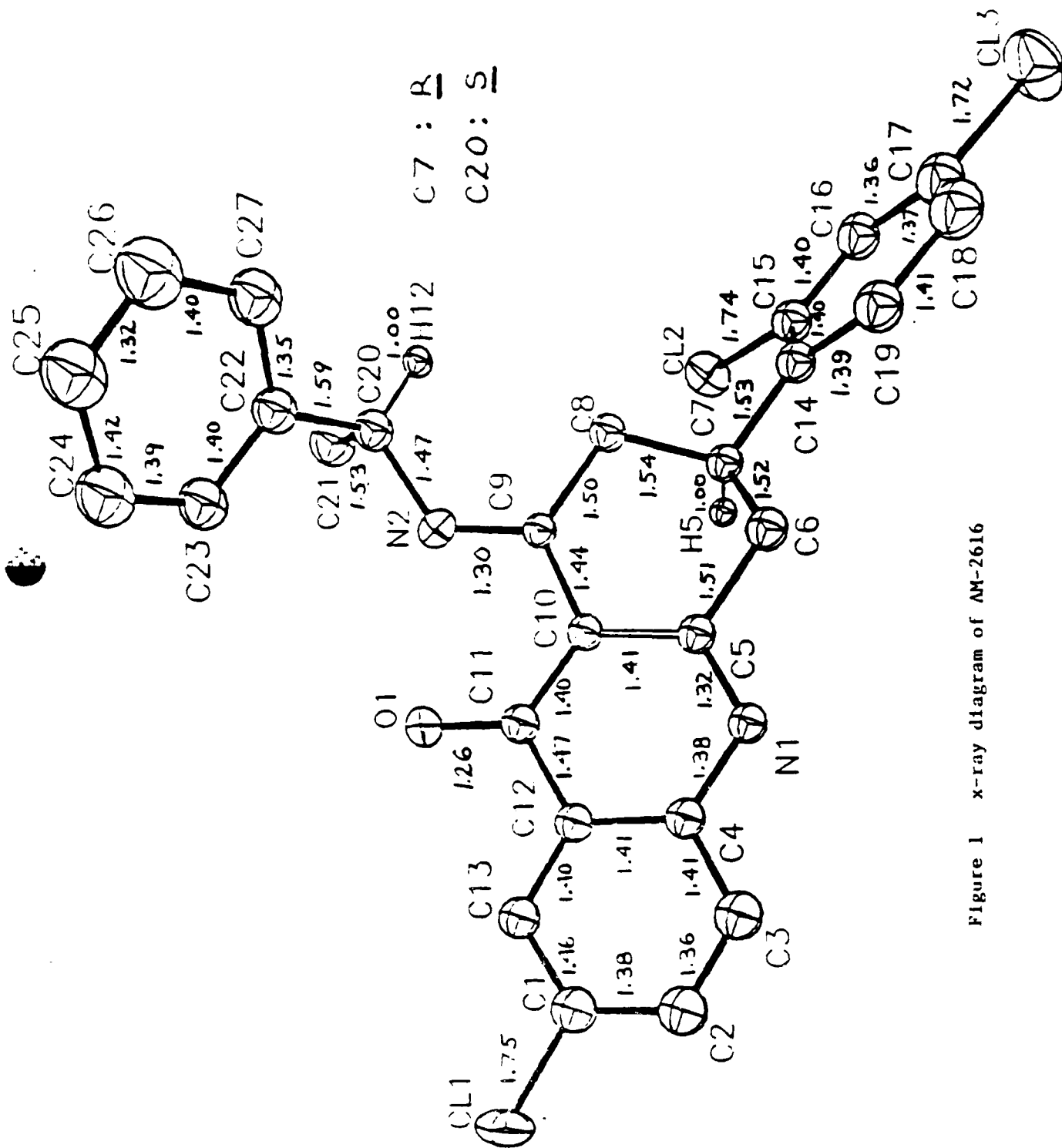


Figure 1 x-ray diagram of AM-2616

AD-A175 171

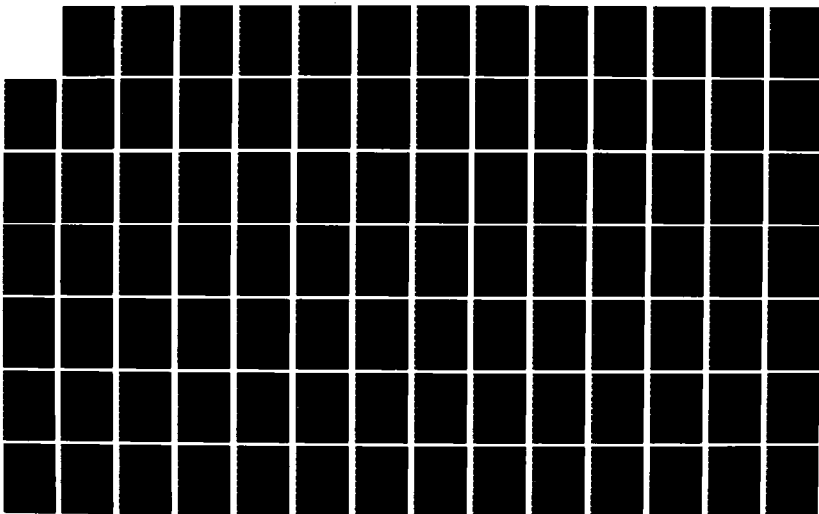
SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS(U)
WARNER-LAMBERT CO ANN ARBOR MI L M MERBEL DEC 83
DAMD17-79-C-9115

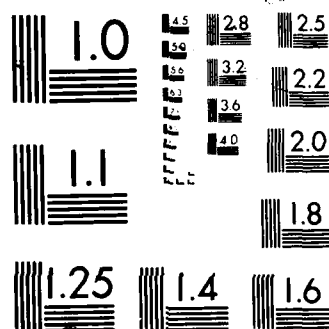
2/6

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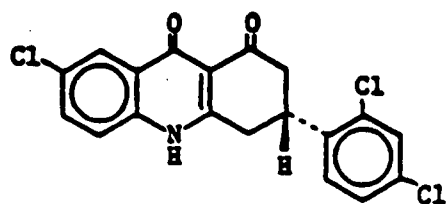
F/G 6/15

NL

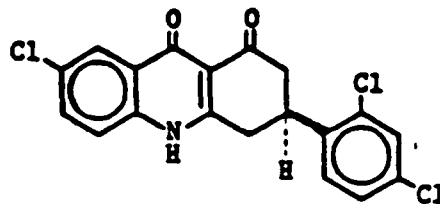




PHOTOCOPY RESOLUTION TEST CHART



72

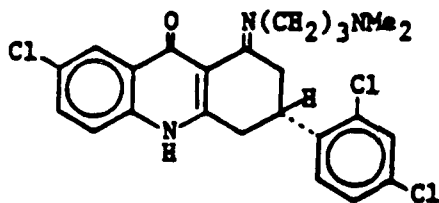


73

The most exciting aspect of the biological data is the dramatic increase in potency of the separated isomer 73 over both the respective stereoisomer and the parent (AM-2377) racemic mixture.

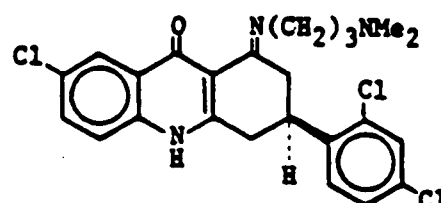
To determine whether the improvement in potency achieved with the imine over parent diketone (i.e., AM-2379 versus AM-2377) was even further augmented upon separation of the isomers was now of major importance and we thus tackled this problem.

It was possible to scale-up the preparation of the imine AM-2617 and its hydrolysis to AM-2618. Treatment of N,N-dimethyl-1,3-propanediamine then provided the desired 74.



74

AM-2732; BK51621



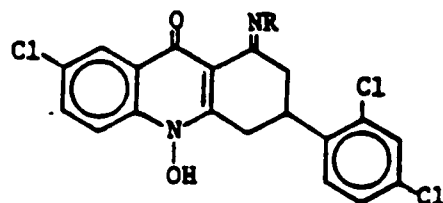
75

AM-2733; BK51630

Similarly scale-up of imine AM-2616 and its hydrolysis to AM-2619 was accomplished, but all attempts to complete the normally facile imine formation with N,N-dimethyl-1,3-propanediamine were unsuccessful. Finally the desired isomeric 75 was obtained by direct heating of 70 with the diamine.

2. Acridinedione Hydrazones

Several members of this class (76a-d) were completely curative through 40 mg/kg in the mouse model. A decision should be made by WRAIR staff as to whether patent coverage, further effort, etc is desirable in this series. Monkey data on comparative efficacy with 65 or 66 for example, against resistant strains, prophylactic protection, persistence, etc would be useful data on which to make a comparison. Complete biological data on this series is presented in Tables 28 and 29.

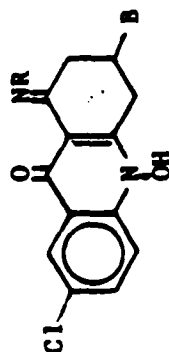


76a-d

AM	BN	NR
a) 2424	BJ-28421	NN(CH ₃) ₂
b) 2426	BJ-30841	NN O
c) 2427	BJ-30850	NN(CH ₂) ₅
d) 2451	BJ-39424	NN N-CH ₃

Effects of Hydrazone Derivatives of Acridinediones Against

Trophozoite-Induced *P. berghei* in Mice



AM	BN	NR	B	AMST or C after single s.c. dose, mg/kg				
				640	320	160	80	40
1940	RC-66921	NNH ₂	3,4-Cl ₂ -C ₆ H ₃	2C(9.2)	6.9	4.3	3.5	0.9
1957	BC-72429	NNHCH ₂ CH ₂ NEt ₂	3,4-Cl ₂ -C ₆ H ₃	5C	4C(10.9)	4C(10.9)	7.5	2.9
2195	BH-47985	NNHCNH ₂	3-CF ₃ -C ₆ H ₄	5C 1C(9.5)	8.7	9.3	5.9	3.1
2218	BH-57105	N-N-O	4-CF ₃ -C ₆ H ₄	5C	5C	5C	3C(14.4)	2C(10.1) 1C(8.6)
2263	BH-67558	N-N-H	4-CF ₃ -C ₆ H ₄	5C	1C(19.0)	2C(10.1)	2T(1.7)	3T(2.0) 3T(1.0)
2274	BH-70144	NNH-C ₆ H ₅	4-CF ₃ -C ₆ H ₄	5.7	---	1.1	---	1.1
2276	BH-70162	NNH-C ₆ H ₅	4-CF ₃ -C ₆ H ₄	-0.1	---	-0.3	---	-0.3
2277	BH-70171	NNH-C ₆ H ₅	4-CF ₃ -C ₆ H ₄	-0.3	---	-0.3	---	0.1
2278	BH-70180	NNH-C ₆ H ₅	4-CF ₃ -C ₆ H ₄	-0.3	---	-0.3	---	0.1

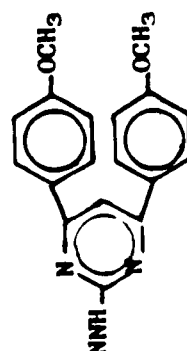
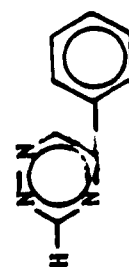


TABLE 28 - page 2

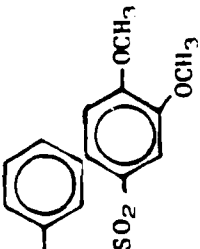
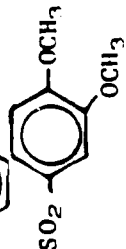
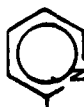
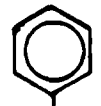
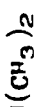
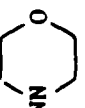
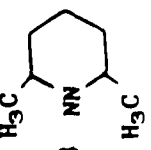
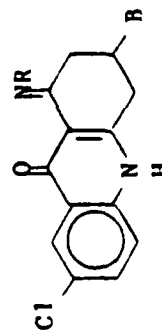
AM	BN	NR	B	Δ MST or C after single s.c. dose, mg/kg					
				640	320	160	80	40	20
2279	BH-72693		4-CF ₃ -C ₆ H ₄	1C(10.5)	6.6	6.0	1.6	1.0	---
2280	BH-72700		4-CF ₃ -C ₆ H ₄	5C	2C(10.3)	9.0	6.2	3.2	---
2282	BH-72728		4-CF ₃ -C ₆ H ₄	1C(7.3)	4.8	2.6	1.8	1.6	---
2287	BH-72773		4-CF ₃ -C ₆ H ₄	7.8	---	4.2	---	2.4	---
2325	BH-81816		3-CF ₃ -C ₆ H ₄	2.6	2.0	2.8	1.0	0.4	0.6
2746	BH-65456		4-Cl-C ₆ H ₄	5C	2C(8.9)	2C(9.4)	8.6	6.5	3.6
2424	RJ-28421		2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	2C(11.8)
2425	RJ-30832		2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	4C(7.5)	1C(7.5)
2426	RJ-30841		2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	5C	5C	2C(9.8)
2427	RJ-30850		2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	4C	5C	6.7
2430	BB-34198		2,4-Cl ₂ -C ₆ H ₃	5C	5C	5C	3C(13.4)	1C(9.4)	5.5

TABLE 28 - page 3

AM	IN	NR	B	Δ MST or C after single s.c.dose, mg/kg					
				6h0	3h0	1h0	80	40	20
2434	BJ-34232	NNH ₂	2,4-Cl ₂ -C ₆ H ₃	5C	4C(10.9)	10.7	4.3	2.9	0.3
2435	BJ-34241	NN(CH ₂) ₅ (2-CH ₃)	2,4-Cl ₂ -C ₆ H ₃	5C	2C(18.2)	1C(12.9)	1C(9.7)	6.5	3.7
2443	BJ-36923	NN=CH-C ₆ H ₅	2,4-Cl ₂ -C ₆ H ₃	2C(8.0)	1C(6.2)	2.7	4.1	2.5	0.5
2444	BJ-36932	NNH ₂	4-CF ₃ -C ₆ H ₄	5C	5C	4C(9.8)	3C(8.7)	1C(6.8)	5.5
2445	BJ-36941	NN(CH ₃) ₂	4-CF ₃ -C ₆ H ₄	5C	5C	5C	4C(13.7)	2C(10.0)	7.3
2446	BJ-36950	NN=CH-C ₆ H ₄ -Cl	2,4-Cl ₂ -C ₆ H ₃	4.7	1.1	3.1	0.5	1.1	0.1
2450	BJ-39415	NN(CH ₃) ₂ (2-CH ₃)	2,4-Cl ₂ -C ₆ H ₃	4C(1T)	5C	5C	4C(10.9)	2C(9.6)	5.5
2451	BJ-39424	NN-N-OH ₃	2,4-Cl ₂ -C ₆ H ₃	4C(2.9)	5C	5C	5C	5C	3C(13.3)
2452	BJ-39433	NN=CHC ₆ H ₅	4-CF ₃ -C ₆ H ₄	1C(9.9)	1C(7.6)	8.7	5.2	3.9	1.2
2472	BJ-44676	NNH-C ₆ H ₄ -OCH ₃	2,4-Cl ₂ -C ₆ H ₃	3C(12.6)	4C(13.9)	1C(8.9)	4.3	2.1	0.9
2483	BJ-45673	NN-O (2-CH ₃)	2,4-Cl ₂ -C ₆ H ₃		5C		3C(11.9)		7.5
2494	BJ-45682	NN(CH ₂) ₅	4-CF ₃ -C ₆ H ₄	5C		5C		1C(7.7)	

TABLE 29

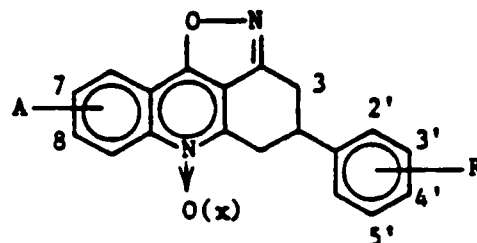
Effects of Hydrazone Derivatives of Acridinediones Against
Trophozoite-Induced *P. berghei* in Mice



AM	BN	NR		Δ MST or C after single s. c. dose, mg/kg					
				640	320	160	80	40	20
2326	BH-81825	NNHCH ₂ CH ₂ N(C ₂ H ₅) ₂		3C(-0.2)	3C, 2T	5C	3C(14.6)	5C	3C(9.6)
2457	BJ-39791			5C	5C	4C(-0.1)	8.9	6.7	2.5
2462	BJ-39844	NOH		0.4		0.0		0.0	
2464	BJ-44596	NOH		5C	5C	5C	1C(12.4)	2C(7.9)	5.3
2470	BJ-44658	NOH		7.9	5.9	0.5	2.3	0.1	0.1

3. Isoxazolo[3,2,5-k1]acridines

All data available on this series is once again presented for direct comparison. The rodent activity pattern is clearly very similar to that of the acridinedioneimines.



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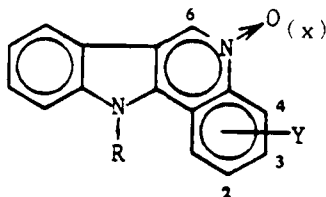
AM	BN	A	R	x (0 or 1)	ΔMST or C After Single SC Dose mg/kg					
					640	320	160	80	40	20
2381	BJ-02070	7-Cl	4'-CF ₃	0	5C	5C	5C	5C	2C	2C
2463	BJ-44587	7-Cl	2',4'-Cl ₂	0	5C	5C	5C	5C	5C	4C
2467	BJ-44621	7-Cl	4'-CF ₃	1	5C	5C	5C	5C	3C	8.5
2479	BJ-45637	7-Cl	2',4'-Cl ₂	0 (3-Me)	3C	---	3C	---	3C	---
2536	BJ-72714	7-Cl	2',4'-Cl ₂	1	5C	5C	5C	5C	4C	1C
2537	BJ-72723	7-Cl	3'-CF ₃	0	4C	2C	2C	7.5	3.5	2.5
2538	BJ-72732	7-NO ₂	4'-CF ₃	0	2C	8.7	7.1	---	---	---
2539	BJ-72741	H	4'-CF ₃	0	T	---	T	---	T	---
2540	BJ-72750	7-Cl	3',4',5'-(OMe) ₃	0	1.1	5.0	---	---	---	---
2543	BJ-76436	8-Cl	2',4'-Cl ₂	0	5.3	2.1	1.7	---	---	---

It will be remembered that primate data on AM-2463 (WR-246976) was not impressive compared to acridinedione imine 66 for example. Thus, while 66 cleared both Uganda Palo Alto and Smith strains at three oral doses as low as 1.0 mg/kg, AM-2463 did not clear parasitemias of either strain until a dose of 16.0 mg/kg x 3. Moreover 64 mg/kg x 3 was not curative. On the other hand AM-2463 given as an intramuscular injection cured one monkey at 8.0 mg/kg x 3, while the infection in a second monkey will probably be cured at 32 mg/kg x 3 (second retreatment).

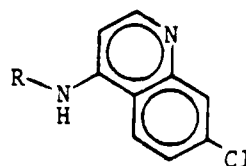
We are not aware of any additional data which would stimulate further interest in this series. We will plan to write this work up for publication shortly unless we receive directions to the contrary.

G. Indoloquinolines

Development of this unique series of antimalarial agents (78) was incomplete when our contract ended. The unique structure-activity relationships within this series and the potent rodent activity certainly suggests further effort in this area. Since no biochemical information is available as to how these compounds act, support for such studies should be considered. This information could be most useful towards a decision as to additional chemical effort in this structural class.



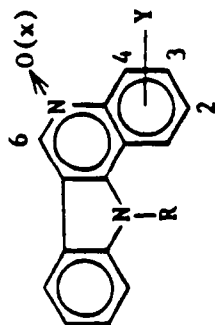
78



79

The data available (Tables 30-33) continues to point up similarities with the 4-aminoquinolines (79) - with however disturbing differences. Thus chlorine in the 3-position (which equates to the chlorine in seven of the 4-aminoquinolines) is very important for activity. It's removal (AM-2637), movement to the 2-position (AM-2595) leads to elimination of activity. Its replacement with bromine (AM-2676) leads to retention of a good portion of its activity while replacement with fluorine (AM-2693) leads to loss of most of the biological activity. Clearly the basic side chain is also important to activity since both its removal (AM-2691) or simply removal of the terminal nitrogen (AM-2638) eliminates activity. Perhaps most startling is the fact that the ring N-oxide is critical to antimalarial activity (compare AM-2351 with AM-2490). This observation is unparalleled in antimalarial structure-activity relationships and deserves further study, i.e., mechanism of action, metabolism, etc.

TABLE 30 Effects of 7,8,9,10-unasubstituted-11-Substituted-indolo[3,2-c]-quinolines Against Trophozoite-Induced *P. berghei* in Mice



AM	BN	Y	X (0 or 1)	R	Δ MT or C After Single SC Dose, mg/kg					
					640	320	160	80	40	20
2351	BH89116	3-Cl	1	$\text{Et}_2\text{NCH}_2\text{CH}_2$	5C	5C	5C	3C	1C	1C
2490	BJ46170	3-Cl	0	$\text{Et}_2\text{NCH}_2\text{CH}_2$	2.9	---	---	---	---	---
2527	BJ63788	H	1	$\text{Me}_2\text{NCH}_2\text{CH}_2$	5.3	4.1	2.9	---	---	---
2595	RJ90847	2-Cl	1	$\text{Et}_2\text{NCH}_2\text{CH}_2$	1.5	---	0.1	---	0.3	---
2596	RJ90856	3-Cl	0	H	0.5	---	-0.3	---	0.1	---
2603	BJ91433	2-Cl	1	$\text{Et}_2\text{NCH}_2\text{CH}_2(6\text{-Me})$	1T -0.1	---	-0.1	---	-0.1	---
2633	BK02815	H	0	$\text{Et}_2\text{NCH}_2\text{CH}_2$	0.1	---	0.3	---	---	---

TABLE 30 Effects of 7,8,9,10-unsubstituted-11-Substituted-indolo[3,2-c]-quinolines Against Trophozoite-Induced P. berghei in Mice

AM	BN	Y	X (0 or 1)	R	AMST or C After Single SC Dose, mg/kg					
					640	320	160	80	40	20
2634	BK02824	3-Cl	1	$\text{Me}_2\text{NCH}_2\text{CH}_2$	5C	---	5C	---	3C	---
2636	BK02842	3-Cl	1	$((\text{CH}_2)_5\text{N})\text{CH}_2\text{CH}_2$	8.1 IC (8.6)	---	4.9	---	3.5	---
							5.7	3.7	3.5	---
2637	BK02851	H	1	$\text{Et}_2\text{NCH}_2\text{CH}_2$ O	4.7	---	1.7	---	---	---
2638	BK02860	3-Cl	1	$\text{Me}_2\text{CHCH}_2\text{CH}_2$	0.3	---	0.1	---	---	---
2658	BK13005	3-Cl	1	CH_3	1.9	---	-0.1	---	-0.1	---
2634	BK02824	3-Cl	1	$\text{Me}_2\text{NCH}_2\text{CH}_2$	5C	5C	4C	4C	2C (8.3)	6.1
					5C	---	3C	---	2C (10.2)	---
2668	BK16248	3-Br	0	H	0.6	---	0.4	---	0.2	---
2669	BK16257	3-F	0	H	1.2	---	0.6	---	0.6	---

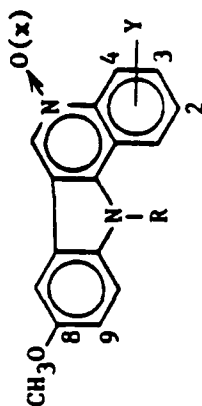
TABLE 30 Effects of 7,8,9,10-unsubstituted-11-Substituted-indolo[3,2-c]-quinolines Against Trophozoite-Induced *P. berghei* in Mice

AM	BN	Y	X (0 or 1)	R	AMST or C After Single SC Dose, mg/kg					
					640	320	160	80	40	20
2670	BK16266	3-Cl	0	CH ₃	0.0	---	0.2	---	0.2	---
2671	BK16275	3-Br	0	Et ₂ N(CH ₂) ₂	3.5	1.1	-0.1	0.1	-0.3	---
2672	BK16284	3-F	0	Et ₂ N(CH ₂) ₂	0.8	---	0.8	---	0.0	---
2676	BK16926	3-Br	1	$\begin{array}{c} \text{O} \\ \uparrow \\ \text{Et}_2\text{N}(\text{CH}_2)_2 \end{array}$	5C	5C	4C	2C	7.8	6.4
2677	BK16935	2-OCH ₃	0	H	-0.1	---	---	---	---	---
2678	BK16944	3-F	1	$\begin{array}{c} \text{O} \\ \uparrow \\ \text{Et}_2\text{N}(\text{CH}_2)_2 \end{array}$	7.6	4.8	2.4	1.6	1.0	0.2
2685	BK21114	1-Cl	0	H	0.3	---	-0.1	---	0.3	---
2689	BK21150	3-Cl	0	Et ₂ N(CH ₂) ₂ (6-Cl)	1.1	---	1.1	---	0.3	---

TABLE 30 Effects of 7,8,9,10-unsubstituted-11-Substituted-indolo[3,2-c]-quinolines Against Trophozoite-Induced *P. berghei* in Mice

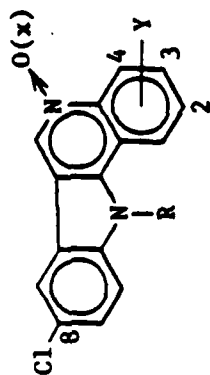
AM	BN	Y	x (0 or 1)	R	ΔMST or C After Single SC Dose, mg/kg					
					640	320	160	80	40	20
2691	BK21178	3-Cl	1	H	0.3	---	0.1	---	0.7	---
2693	BK21196	3-F	1	Et ₂ N(CH ₂) ₂	2C	1C	5.3	3.5	1.5	0.5
2696	BK21221	3-Cl	0	C ₆ H ₅	-0.1	---	---	---	---	---
2706	BK22022	2-OCH ₃	0	Et ₂ N(CH ₂) ₂	3T, 0.9	---	0.1	---	---	---
2707	BK22031	2-OCH ₃	1	$\begin{matrix} O \\ \uparrow \\ Et_2N(CH_2)_2 \end{matrix}$	0.9	---	0.9	---	1.3	---
2709	BK22522	3-Cl	1	C ₆ H ₅	0.5	---	0.1	---	0.3	---

TABLE 31 Effects of 8-Methoxy-11-Substituted-indolo[3,2-c]quinolines Against Trophozoite-Induced *P. berghei* in Mice



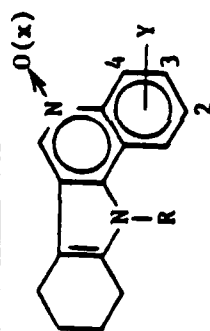
AM	BN	Y	x (0 or 1)	R	AMST or C After Single SC Dose, mg/kg				
					640	320	160	80	20
2485	BJ46125	3-Cl	0	H					
2487	BJ46143	3-Cl	0	Me ₂ NCH ₂ CH ₂	1.3	---	0.5	---	---
2541	BJ76383	H	0	CH ₃	-0.1	---	---	---	---
2542	BJ76392	H	1	CH ₃	---	---	---	---	---
2561	BJ82863	H	0	Me ₂ CHCH ₂ CH ₂	0.1	---	---	---	---
2562	BJ82872	H	1	Me ₂ CHCH ₂ CH ₂	2T (0.8)	---	-0.1	---	---
2563	BJ82881	H	0	C ₆ H ₅ CH ₂	-0.1	---	---	---	---
2564	BJ82890	H	1	C ₆ H ₅ CH ₂	5T	---	0.7	---	0.5
2570	BJ83404	H	0	Et ₂ NCH ₂ CH ₂	1.5	---	0.7	---	---

TABLE 32 Effects of 8-Chloro-11-Substituted-indolo[3,2-c]quinolines
Against Trophozoite-Induced P. berghei in Mice



AM	BN	Y	x (0 or 1)	R	AMST or C After Single					
					640	320	160	80	40	20
2560	BJ82854	H	0	H	0.9	---	0.3	---	---	---
2597	BJ90865	H	0	Et ₂ NCH ₂ CH ₂	0.9	---	0.5	---	-0.1	---
2623	BJ93633	3-Cl	1	Me ₂ N(CH ₂) ₃	4C	---	4C (45.0)	---	8.6 3C (19.4)	1C (8.2)
					5C	5C	5C	5C	1C (8.9) 3C (11.4)	7.7 6.7
2624	BJ93642	3-Cl	1	Et ₂ NCH ₂ CH ₂	5C	5C	5C	4C	2C (12.9)	7.9
2514	BJ58385	3-Cl	1	Et ₂ NCH ₂ CH ₂ (8-NO ₂)	5C	5C	5C	5C	5C	1C (7.0)

TABLE 33 Effects of 7,8,9,10-Tetrahydro-11-Substituted-indolo[3,2-c]-quinolines Against Trophozoite-Induced P. berghei in Mice



AM	BN	Y	x (0 or 1)	R	Δ MST or C After Single SC Dose, mg/kg					
					640	320	160	80	40	20
2601	BJ91415	3-Cl	0	H	0.5	---	0.1	---	---	---
2602	BJ91424	3-Cl	0	$\text{Et}_2\text{NCH}_2\text{CH}_2$	3.1	2.3	1.1	---	---	---
					4.9 IC	---	2.7	---	1.1	---
2615	BJ922672	3-Cl	1	$\text{Et}_2\text{NCH}_2\text{CH}_2$ O	6.5	4.7	2.7	3.1	3.5	0.9

If one retains the chlorine in the 3 position, the basic side chain, and the ring N-oxide, one notes that hydrogen in positions 7-10 is equally effective with a methoxy in position 8 (AM-2704), a chlorine in position 8 (AM-2624) or a nitro in position 8 (AM-2623). Saturation of position 7-10 (AM-2615) eliminates activity.

Our previous considerations of substituent electronic and steric parameters made the preparation of an amino and a methanesulfonyl group in the 8-position of particular interest. These goals remain as yet unachieved.

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Publication List

Contracts DADA 17-72-C-2077 and DAMD 17-79-C-9115

1. New Vistas for Folate Antagonists in the Chemotherapy of Parasitic Infections; Edward F. Elslager; Proceedings of the 4th Int. Symp. of Med. Chem., J. Maas, Ed., Elsevier Scientific Pub. Co., Amsterdam, 227 (1974).
2. Synthesis of Fused Pyrimidines as Folate Antagonists; Edward F. Elslager, and John Davoll; Lectures in Heterocyclic Chemistry, R. N. Castle and L. B. Townsend, Eds., Hetero Corp., Orem, Utah, 2, S-97 (1974).
3. Synthesis and Antimalarial Effects of [1]Benzothieno[3,2-f]-quinazoline-1,3-diamine; Judith Johnson, Edward F. Elslager, and Leslie M. Werbel; J. Heterocyclic Chem., 14, 1209 (1977).
4. Folate Antagonists. 10. Synthesis and Antimalarial Effects of 6-[[(Aryl and aralkyl) amino] methyl]-2,4-pteridinediamines and -pteridinediamine 8-Oxides; Donald F. Worth, Judith Johnson, Edward F. Elslager, and Leslie M. Werbel; Journal of Medicinal Chemistry, 21, 331 (1978).
5. Folate Antagonists. 11. Synthesis and Antimalarial Effects of 6-[(Aryloxy- and arylthio-) methyl]-2,4-pteridinediamines and -pteridinediamine 8-Oxides; Leslie M. Werbel, Judith Johnson, Edward F. Elslager, and Donald F. Worth; Journal of Medicinal Chemistry, 21, 337 (1978).
6. Folate Antagonists. 12. Antimalarial and Antibacterial Effects of 2,4-Diamino-6-[(aralkyl and alicyclic) thio-, sulfinyl-, and sulfonyl]quinazolines; Edward F. Elslager, John Davoll, Patricia Jacob, A. M. Johnson, Judith Johnson, and Leslie M. Werbel; Journal of Medicinal Chemistry, 21, 639 (1978).
7. Synthesis of 6-(Arylthio)- and 6-[(Arylmethyl)thio]-1,2,4,5-tetrazin-3-amines and N-Phenyl- and N-(phenylmethyl)-1,2,4,5-tetrazine-3,6-diamines as Potential Antimalarial Agents; Judith L. Johnson, Barbara Whitney, and Leslie M. Werbel; J. Heterocyclic Chem., 17, 501 (1980).
8. Folate Antagonists. 17. Synthesis and Biological Properties of a 2,4-Diamino-6-thioquinazoline Analog of Aminopterin; Leslie M. Werbel, Linda Newton, and Edward F. Elslager; J. Heterocyclic Chem., 17, 497 (1980).
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10. Folate Antagonists. 18. Synthesis and Antimalarial Effects of N⁶-(Arylmethyl)-N⁶-methyl-2,4,6-pteridinetriamines and Related N⁶,N⁶-Disubstituted 2,4,6-Pteridinetriamines; Edward F. Elslager, Judith L. Johnson, and Leslie M. Werbel; J. Med. Chem., 24, 140 (1981).
11. Folate Antagonists. 11. Synthesis and Antimalarial Effects of 6-(Arylthio)-2,4-pteridinediamines; Edward F. Elslager, Judith L. Johnson, and Leslie M. Werbel; J. Med. Chem., 24, 1001, (1981).
12. Synthesis and Antimalarial Effects of N²-Aryl-N⁴-[(dialkylamino)alkyl]- and N⁴-Aryl-N²-[(dialkylamino)alkyl]-2,4-quinazoline-diamines; Edward F. Elslager, Carolyn Hess, Judith Johnson, Daniel Ortwine, Vera Chu, and Leslie M. Werbel; J. Med. Chem., 24, 127, (1981).
13. Camoform analogs as potential agents against mefloquine resistant malaria; Jocelyn Hung and Leslie M. Werbel; Eur. J. Med. Chem., 18, 61-66 (1983).
14. Synthesis of 3,4-Dihydrothioxanthene-1,9-dione Analogs as Potential Antimalarial Agents; Jocelyn Hung, Dennis J. McNamara, and Leslie M. Werbel; J. Heterocyclic Chem., 20, 1575 (1983).
15. Folate Antagonists. 20. Synthesis and Antitumor and Antimalarial Properties of Trimetrexate and Related 6-[(Phenylamino)methyl]-2,4-quinazolinediamines; Edward F. Elslager, Judith L. Johnson, and Leslie M. Werbel; Journal of Medicinal Chemistry, 26, 1753 (1983).
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17. Investigations into the Synthesis of 6-Ethyl-5-(4-pyridinyl)-2,4-pyrimidinediamine as a Potential Antimalarial Agent; Jocelyn Hung, and Leslie M. Werbel; J. Heterocyclic Chem., 21, 741 (1984).
18. Synthesis and Antimalarial Properties of 2,4-Diamino-6-[(aryl)thio, sulfinyl, and sulfonyl]pyrido[3,2-d]pyrimidines; Norman L. Colbry, Edward F. Elslager, and Leslie M. Werbel; J. Heterocyclic Chem., 21, 1521 (1984).
19. Fluoride-Assisted Nucleophilic Substitution of 6-alkyl-5-bromouracils with Nitrogen-Containing Heterocycles; Jocelyn Hung, Leslie M. Werbel; Synthesis, 80 (1985).

20. 3-Aryl-7-chloro-3,4-dihydro-1,9(2H, 19H)acridinedione, 1-hydrazones as potent antimalarial agents; Leslie M. Werbel, Jocelyn Hung, Dennis McNamara, and Daniel F. Ortwine; Eur. J. Med. Chem., 20, 363-370 (1985).
21. Synthesis of N⁴-(5-Methoxy-7-benzofuranyl)-1,4-pentanediamine, An Oxygen Isostere of Primaquine; Judith L. Johnson and Leslie M. Werbel; J. Heterocyclic Chem., 22, 1377 (1985).
22. Synthesis of Selected 3-Substituted-Pyrimido[5,4-e]-1,2,4-triazine-5,7-diamines as Potential Folate Antagonists; Leslie M. Werbel, Edward F. Elslager, and Judith L. Johnson; J. Heterocyclic Chem., 22, 1369 (1985).
23. Folate Antagonists. 21. Synthesis and Antimalarial Properties of 2,4-Diamino-6-(benzylamino)pyrido[3,2-d]pyrimidines; Norman L. Colbry, Edward F. Elslager, and Leslie M. Werbel; Journal of Medicinal Chemistry, 28, 248 (1985).

Patents

Contracts DADA 17-72-C-2077 and DAMD 17-79-C-9115

1. British 1,345,502: E.F. Elslager and L.M. Werbel, 2,4-Diamino-6-arylaminomethyl quinazolines as antimalarial agents. January 30, 1974.
2. European Patent 27679: E. F. Elslager and L. M. Werbel, 5-Chloroquinolyl-3-aminomethyl-1,1'-biphenyl-2-ol compounds as antimalarial agents. August 10, 1983

Australia	537068	September 27, 1984
W. Germany	3064528	August 10, 1983
Philippines	17437	August 23, 1984
South Africa	80/3940	September 30, 1981
Spain	492979/9	April 27, 1981
Denmark, Ireland, Japan		Application pending

3. U.S. 4291034: L. M. Werbel, 7-Chloro-3-Substituted Aryl-3,4-dihydro-1,9(2H, 10H) and 10-Hydroxy acridinedioneimines Having Antimalarial Activity, September 22, 1981.

E.P.O.	36718	January 2, 1985
W. Germany	3168000	January 2, 1985
Japan		Application pending

Personnel List

Contract No. DADA 17-72-C-2077

Dr. Edward F. Elslager
Dr. Leslie M. Werbel
Mr. Norman Colbry
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Ms. Georgianna L. Hill
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Contract No. DAMD 17-79-C-9115

Dr. Leslie M. Werbel
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Appendix I

The years indicated in the appendix are the years in which the work of the annual report indicated was performed. The dates of the actual reports are as follows:

Report No.	Report Date	Contract No.
1	May 1973	DADA 17-72-C-2077
2	Feb. 1974	"
3	Feb. 1975	"
4	Feb. 1976	"
5	Feb. 1977	"
6	Feb. 1978	"
7	Feb. 1979	"
8	Jan. 1980	"
9	Jan. 1981	DAMD 17-79-C-9115
10	Jan. 1982	"

APPENDIX I

Cumulative List of Compounds Submitted 1972-1982

I. Folic Acid Inhibitors

A. 2,4-Diamino-pyrido[3,2-d]pyrimidines

1. 6-(arylthio)

AM Number	Name	Annual Report Number	Year	Page
1183	2,6-Dichloro-3-nitropyridine	1	1972	173
1193	6-(2-Naphthylthio)-3-nitropicolino-nitrile	1	1972	175
1201	3-Amino-6-(2-naphthylthio)picolino-nitrile	1	1972	176
1206	2,4-Diamino-6-(2-naphthylthio)pyrido[3,2-d]pyrimidine	1	1972	177
1210	3-Amino-6-chloropicolinonitrile	1	1972	178
1219	2,4-Diamino-6-[(p-chlorophenyl)thio]-pyrido[3,2-d]pyrimidine	1	1972	179
1221	2,4-Diamino-6-[(p-(dimethylamino)-phenyl)thio]pyrido[3,2-d]pyrimidine	1	1972	181
1222	3-Amino-6-[[p-(dimethylamino)phenyl]-thio]picolinonitrile	1	1972	182
1224	2,4-Diamino-6-(2-naphthylsulfonyl)-pyrido[3,2-d]pyrimidine, 0.86 hydrate	1	1972	184
1240	2,4-Diamino-6-chloropyrido[3,2-d]-pyrimidine, hemihydrate	1	1972	185
1268	2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)thio]pyrido[3,2-d]pyrimidine	1	1972	186
1275	2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)sulfinyl]pyrido[3,2-d]-pyrimidine, 0.8 hydrate	1	1972	188

AM Number	Name	Annual Report Number	Year	Page
1276	2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)sulfonyl]pyrido[3,2-d]-pyrimidine, 0.2 f wt ethanol of crystallization	1	1972	189
1287	N'-[2-Amino-6-[(α,α,α -trifluoro-m-tolyl)thio]pyrido[3,2-d]pyrimidin-4-yl]-N,N-dimethylformamide	1	1972	190
1289	3-Nitro-6-[(4-phenyl-2-thiazolyl)-thio]picolinonitrile	1	1972	192
1324	2,4-Diamino-6-[(3,4-dichlorophenyl)-thio]pyrido[3,2-d]pyrimidine	1	1972	193
1334	2,4-Diamino-6-[(3,4-dichlorophenyl)-sulfinyl]pyrido[3,2-d]pyrimidine	1	1972	194
1336	2,4-Diamino-6-[(p-fluorophenyl)thio]-pyrido[3,2-d]pyrimidine	1	1972	195
1341	2,4-Diamino-6-[(3,4-dichlorophenyl)-sulfonyl]pyrido[3,2-d]pyrimidine	1	1972	196
1345	2,4-Diamino-6-(1-naphthylthio)pyrido[3,2-d]pyrimidine	1	1972	197
1352	2,4-Diamino-6-[(p-fluorophenyl)-sulfinyl]pyrido[3,2-d]pyrimidine	1	1972	198
1384 (BC-09382)	2,4-Diamino-6-[(p-fluorophenyl)-sulfonyl]pyrido[3,2-d]pyrimidine	2	1973	104
1393 (BC-57039)	2,4-Diamino-6-[(p-chlorophenyl)-sulfonyl]pyrido[3,2-d]pyrimidine	2	1973	105
1415 (BC-59033)	2,4-Diamino-6-[(2,4,5-trichlorophenyl)thio]pyrido[3,2-d]pyrimidine	2	1973	106
1517 (BD-55316)	6-[(4-Chlorophenyl)sulfonyl]pyrido[3,2-d]pyrimidine-2,4-diamine-1,5-dioxide, 0.71 hydrate	2	1973	107

2. 6-(aryloxy)

AM Number	Name	Annual Report Number	Year	Page
1231	2,4-Diamino-6-[(1,6-dibromo-2-naphthyl)oxy]pyrido[3,2- <u>d</u>]pyrimidine, 0.62 hydrate	1	1972	199

3. 6-[(Benzyl)amino]

AM Number	Name	Annual Report Number	Year	Page
1182	2,6-Dibromo-3-nitropyridine	1	1972	201
1192	6-[(3,4-Dichlorobenzyl)methylamino]-3-nitropicolinonitrile	1	1972	202
1199	6-[(3,4-Dichlorobenzyl)amino]-3-nitropicolinonitrile	1	1972	204
1211	3-Amino-6-[(3,4-dichlorobenzyl)-amino]picolinonitrile	1	1972	205
1213	2,4-Diamino-6-[(3,4-dichlorobenzyl)-methylamino]pyrido[3,2- <u>d</u>]pyrimidine	1	1972	206
1216	6-[(3,4-Dichlorobenzyl)methylamino]-pyrido[3,2- <u>d</u>]pyrimidine-2,4(1H,3H)-dithione	1	1972	208
1227	6-[(p-Chlorobenzyl)isopropylamino]-3-nitropicolinonitrile	1	1972	209
1248	2,4-Diamino-6-[(p-chlorobenzyl)-isopropylamino]pyrido[3,2- <u>d</u>]pyrimidine, 1 f wt acetonitrile of crystallization	1	1972	210
1262	2,4-Diamino-6-[(3,4-dichlorobenzyl)-amino]pyrido[3,2- <u>d</u>]pyrimidine, 0.18 hydrate	1	1972	212

AM Number	Name	Annual Report Number	Year	Page
1263	N-(2,4-Diaminopyrido[3,2- <u>d</u>]pyrimidin-6-yl)-N-(3,4-dichlorobenzyl)formamide	1	1972	213
	6-Chloro-3-nitropicolinonitrile	2	1973	108
1356 (BC-50129)	2,4-Diamino-6-[(p-chlorobenzyl)-methylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	109
1359 (BC-50209)	2,4-Diamino-6-[(p-chlorobenzyl)ethylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	111
1360 (BC-50263)	2,4-Diamino-6-[(p-chlorobenzyl)-propylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	113
1370 (BC-08634)	2,4-Diamino-6-[(m-bromobenzyl)methylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	115
1395 (BC-57057)	2,4-Diamino-6-[(3,4-dichloro- α -methylbenzyl)methylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	117
1416 (BC-59042)	2,4-Diamino-6-(benzylethylamino)-pyrido[3,2- <u>d</u>]pyrimidine	2	1973	119
1417 (BC-59051)	N' '-(p-Chlorobenzyl)-N,N',N' '-pyrido[3,2- <u>d</u>]pyrimidine-2,4,6-triyl)-trisacetamide	2	1973	121
1422 (BC-59104)	2,4-Diamino-6-[(m-chlorobenzyl)-methylamino]pyrido[3,2- <u>d</u>]pyrimidine	2	1973	124
1485 (BD-27741)	N ⁶ -Methyl-N ⁶ -[[4-trifluoromethyl)-phenyl]methyl]pyrido[3,2- <u>d</u>]-pyrimidine-2,4,6-triamine	2	1973	126

4. 6-[(Anilino and arylthio)methyl]

AM Number	Name	Annual Report Number	Year	Page
1198	6-Methylpyrido[3,2- <u>d</u>]pyrimidine-2,4-diol, 0.05 hydrate	1	1972	221
1303	2,4-Diamino-6-methylpyrido[3,2- <u>d</u>]pyrimidine	1	1972	222
1331	N,N'-(6-Methylpyrido[3,2- <u>d</u>]pyrimidine-2,4-diyl)bisbenzamide	1	1972	224

5. 6-(Piperidino and pyrrolidinyl)

AM Number	Name	Annual Report Number	Year	Page
1229	6-[2-(<u>p</u> -Chlorobenzyl)piperidino]-3-nitropicolinonitrile	1	1972	214
1252	6-(2-Benzylpiperidino)-3-nitropicolinonitrile	1	1972	215
1256	2,4-Diamino-6-[2-(<u>p</u> -chlorobenzyl)-piperidino]pyrido[3,2- <u>d</u>]pyrimidine, 1 f wt ethanol of crystallization, 0.2 hydrate	1	1972	216
1259	2,4-Diamino-6-(2-benzylpiperidino)-pyrido[3,2- <u>d</u>]pyrimidine, 0.33 hydrate	1	1972	218
1280	2,4-Diamino-6-piperidinopyrido[3,2- <u>d</u>]pyrimidine	1	1972	220
1491 (BD-28891)	6-(1-Piperidinyl)pyrido[3,2- <u>d</u>]pyrimidine-2,4-diamine-5-oxide	2	1973	128

B. 2,4-Diamino-6-[(anilino)methyl]pyrido[2,3-d]pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1451 (BD-25498)	2,4-Diamino-6-[(3,4-dichloranilino)-methyl]pyrido[2,3-d]pyrimidine	2	1973	133
1457 (BD-09672)	3',4'-Dichloro-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]-formanilide	2	1973	134
1459 (BD-09690)	2,4-Diamino-6-[(4-chloro- α,α,α -trifluoro-m-toluidino)methyl]pyrido[2,3-d]pyrimidine	2	1973	135
1461 (BD-09716)	4'-Chloro-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]- α,α,α -trifluoro-m-formotoluidide, 0.6 hydrate	2	1973	136
1466 (BD-26066)	6-[[[4-Chloro-1-naphthalenyl]amino]-methyl]pyrido[2,3-d]pyrimidine-2,4-diamine, 0.5 f wt N,N-dimethyl-formamide of crystallization	2	1973	137
1468 (BD-26084)	6-[[[3,4-Dichlorophenyl]nitroso-amino]methyl]pyrido[2,3-d]pyrimidine-2,4-diamine	2	1973	139
1469 (BD-26093)	N-[(2,4-Diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]-N-(3,4-dichlorophenyl)acetamide, 0.4 hydrate	2	1973	140
1471 (BD-26119)	N-(4-Chloro-1-naphthalenyl)-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]formamide	2	1973	141
1474 (BD-27447)	6-[[[4-Chloro-3-(trifluoromethyl)-phenyl]nitrosoamino]methyl]pyrido[2,3-d]pyrimidine-2,4-diamine	2	1973	142
1484 (BD-27732)	6-[[[3-Bromophenyl]amino]methyl]-pyrido[2,3-d]pyrimidine-2,4-diamine	2	1973	143
1489 (BD-28873)	N-(3-Bromophenyl)-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]-formamide, 0.2 hydrate	2	1973	146

AM Number	Name	Annual Report Number	Year	Page
1497 (BD-29183)	6-[[(3-Bromophenyl)nitrosoamino]-methyl]pyrido[2,3- <u>d</u>]pyrimidine-2,4-diamine	2	1973	147
1566 (BD-59047)	N-(4-Chlorophenyl)-N-[(2,4-diaminopyrido[2,3- <u>d</u>]pyrimidin-6-yl)methyl]-formamide	3	1974	115
1618 (BE-14749)	6-[[(4-Chlorophenyl)amino]methyl]-pyrido[2,3- <u>d</u>]pyrimidine-2,4-diamine	3	1974	117

C. 5,7-Diamino-3-[(anilino and arylthio) methyl]pyrimido[5,4-e] as triazines

AM Number	Name	Annual Report Number	Year	Page
1456 (BD-09663)	N-(<u>p</u> -Chlorophenyl)glycine, 2-[2,4-diamino-5-[(<u>p</u> -chlorophenyl)azo]-6-pyrimidinyl]hydrazide, hemihydrate	2	1973	303
1490 (BD-28882)	[1,2,5]Oxadiazolo[3,4- <u>d</u>]pyrimidine-5,7-diamine	2	1973	305
1544 (BD-57301)	N-(4-Chlorophenyl)glycine, 2-(5-amino[1,2,5]oxadiazolo[3,4- <u>d</u>]-pyrimidin-7-yl)hydrazide	2	1973	307
1545 (BD-57310)	N-(3,4-Dichlorophenyl)glycine, 2-(5-amino[1,2,5]oxadiazolo[3,4- <u>d</u>]-pyrimidin-7-yl)hydrazide	2	1973	308
1546 (BD-57329)	N-[3-(Trifluoromethyl)phenyl]glycine, 2-(5-amino[1,2,5]oxadiazolo[3,4- <u>d</u>]-pyrimidin-7-yl)hydrazide	2	1973	310
1689	3-[[(3,4-Dichlorophenyl)amino]-methyl]pyrimido[5,4- <u>e</u>]-1,2,4-triazine-5,7-diamine	4	1975	138
1693	N-[(5,7-Diaminopyrimido[5,4- <u>e</u>]-1,2,4-triazin-3-yl)methyl]-N-(3,4-dichlorophenyl)formamide	4	1975	142

AM Number	Name	Annual Report Number	Year	Page
1699	3-[[(3,4-Dichlorophenyl)nitroso-amino]methyl]pyrimido[5,4- <u>e</u>]-1,2,4-triazine-5,7-diamine	4	1975	143
1741	3-[[(4-Chlorophenyl)thio]methyl]-pyrimido[5,4- <u>e</u>]-1,2,4-triazine-5,7-diamine	4	1975	144
1742	3-[[(4-Chlorophenyl)sulfinyl]methyl]-pyrimido[5,4- <u>e</u>]-1,2,4-triazine-5,7-diamine, compound with N,N-dimethyl-formamide	4	1975	146

D. Miscellaneous Pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1189	2,4-Diaminopyrimido[4,5- <u>d</u>]pyrimidine	1	1972	379
1195	2,4,7-Triaminopyrimido[4,5- <u>d</u>]-pyrimidine, 1.2 hydrochloride, 1.4 hydrate	1	1972	380
1448 (BD-25461)	4-Amino-5-bromo-2-(methylthio)-pyrimidine	2	1973	130

E. 2,4-Diaminoquinazolines

1. 6-thio

AM Number	Name	Annual Report Number	Year	Page
1285	2,4-Diamino-5-chloro-6-[(α,α,α -trifluoro- <u>m</u> -tolyl)thio]quinazoline	1	1972	283
1312	2,4-Diamino-5-chloro-6-[(α,α,α -trifluoro- <u>m</u> -tolyl)sulfinyl]quinazoline	1	1972	285
1323	2,4-Dichloro-6-[(p-chlorobenzyl)thio]benzonitrile	1	1972	286
1325	2,4-Diamino-5-chloro-6-[(α,α,α -trifluoro- <u>m</u> -tolyl)sulfonyl]quinazoline	1	1972	289
1329	2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)thio]quinazoline	1	1972	286
1342	2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)sulfinyl]quinazoline	1	1972	290
1343	2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)sulfonyl]quinazoline	1	1972	291
1330	2,4-Diamino-6-[(4-phenyl-2-thiazolyl)sulfonyl]quinazoline	1	1972	292
1337	N',N'''-[6-[(4-Phenyl-2-thiazolyl)sulfonyl]-2,4-quinazolinediyl]bis-[N,N-dimethylformamide]	1	1972	293
1338	N',N'''-[6-[(4-Phenyl-2-thiazolyl)thio]2,4-quinazolinediyl]bis[N,N-dimethylformamide]	1	1972	294
1344	2,4-Diamino-6-[(5-bromo-4-phenyl-2-thiazolyl)thio]quinazoline	1	1972	296
1355 (BC-50049)	2,4-Diamino-6-[(5-bromo-4-phenyl-2-thiazolyl)sulfonyl]quinazoline	2	1973	327

AM Number	Name	Annual Report Number	Year	Page
1353 (BC-50012)	6-Chloro-5-(phenylsulfonyl)- <u>o</u> -anisonitrile	2	1973	291
1363 (BC-08563)	2,4-Diamino-5-chloro-6-(phenylthio)-quinazoline	2	1973	293
1374 (BC-08876)	2,4-Diamino-5-chloro-6-(phenylsulfinyl)quinazoline	2	1973	295
1383 (BC-09373)	2,4-Diamino-5-chloro-6-(phenylsulfonyl)quinazoline	2	1973	296
1386 (BC-09408)	2,4-Diamino-5-piperidino-6-[(<u>p</u> -piperidinophenyl)sulfonyl]quinazoline	2	1973	297
1388 (BC-09426)	2,4-Diamino-6-(phenylsulfonyl)-5-piperidinoquinazoline	2	1973	298
1400 (BC-57119)	2,4-Diamino-5-chloro-6-[(<u>o</u> -chlorophenyl)thio]quinazoline	2	1973	299
1426 (BC-59140)	2,4-Diamino-5-chloro-6-[(<u>o</u> -chlorophenyl)sulfinyl]quinazoline	2	1973	301
1645 (BE-19100)	4-(Methylthio)-6-[(2-naphthalenyl)sulfonyl]-2-quinazolinamine	3	1974	146
1652 (BE-19682)	4-Hydrazino-6-[(2-naphthalenyl)sulfonyl]-2-quinazolinamine	3	1974	148
1664 (BE-66403)	4-Ethoxy-6-[(2-naphthalenyl)sulfonyl]-2-quinazolinamine	3	1974	149
1667 (BE-66430)	N ⁴ -Methoxy-6-[(2-naphthalenyl)sulfonyl]-2,4-quinazolinediamine	3	1974	151
1680 (BE-67035)	4-Hydrazino-6-[[3-(trifluoromethyl)phenyl]thio]-2-quinazolinamine	4	1975	123
1681 (BE-67044)	N ⁴ -Hydroxy-6-[(2-naphthalenyl)sulfonyl]-2,4-quinazolinediamine	4	1975	124

AM Number	Name	Annual Report Number	Year	Page
1683	N ² ,N ⁴ -Dihydroxy-6-[(2-naphthalenyl)-sulfonyl]-2,4-quinazolinediamine, compound with N,N-dimethylformamide	4	1975	125
1688	N ⁴ -Hydroxy-6-[[3-(trifluoromethyl)-phenyl]thio]-2,4-quinazolinediamine	4	1975	126
1704	N ⁴ -Methoxy-6-[[3-(trifluoromethyl)-phenyl]thio]-2,4-quinazolinediamine	4	1975	128
1728	N ² ,N ⁴ -Dihydroxy-6-[[3-(trifluoromethyl)phenyl]thio]-2,4-quinazoline-diamine	4	1975	129
1744	4-(1-Methylhydrazino)-6-(2-naphthalenylsulfonyl)-2-quinazoline-amine	4	1975	130
1745	4-(1-Methylhydrazino)-6-[[3-(trifluoromethyl)phenyl]thio]-2-quinazolinamine	4	1975	131
920 (BK-12473)	6-[(4-Chlorophenyl)sulfonyl]-2,4-quinazolinediamine, hydrate (20:17)	10	1981	193
2653 (BK-12455)	6-[(4-Trifluoromethylphenyl)sulfonyl]-2,4-quinazolinediamine	10	1981	195

2. 6-Amino

AM Number	Name	Annual Report Number	Year	Page
1190	2-Chloro-3-[2-(<u>p</u> -chlorobenzyl)-piperidino]-6-nitrobenzonitrile	1	1972	298
1228	5-[2-(<u>p</u> -Chlorobenzyl)-1-pyrrolidinyl]-2-nitrobenzonitrile	1	1972	300
1318	2,4-Diamino-6-[2-(<u>p</u> -chlorobenzyl)-piperidino]quinazoline	1	1972	302
1237	2,4-Diamino-5-(dimethyl amino)-6-nitro-quinazoline	1	1972	273
1244	2,4,6-Triamino-5-(dimethyl amino)-quinazoline, 0.6 hydrate	1	1972	274
1251	2,4-Diamino-6-[(3,4-dichlorobenzyl)-amino]-5-(dimethyl amino)quinazoline	1	1972	275
1296	2,4-Diamino-6-[(3,4-dichlorobenzyl)-nitrosamino]-5-(dimethyl amino)-quinazoline	1	1972	277
1301	N-[2,4-Diamino-5-(dimethyl amino)-6-quinazolinyl]-N-(3,4-dichlorobenzyl)-formamide	1	1972	279
894 (BE-17348)	N-(4-Acetamido-2-amino-5,6,7,8-tetrahydro-6-quinazolinyl)-N-(<u>p</u> -chlorobenzyl)acetamide	3	1974	137

3. 6-Benzamido

AM Number	Name	Annual Report Number	Year	Page
1226	<u>p</u> -Chloro-N-(2,4-diamino-6-quinazolinyl)benzamide	1	1972	308
1234	3,4-Dichloro-N-(2,4-diamino-6-quinazolinyl)benzamide, 1/2 f wt N,N-dimethyl formamide of crystallization, monohydrate	1	1972	309
1246	3,4-Dichloro-N-(2,4-diamino-5-chloro-6-quinazolinyl)benzamide	1	1972	310
1250	<u>p</u> -Chloro-N-(2,4-diamino-5-chloro-6-quinazolinyl)benzamide	1	1972	311

4. 6-[(Anilino)methyl]

AM Number	Name	Annual Report Number	Year	Page
1278	2,4-Diamino-6-[(3,4-Dichloro-N-methylanilino)methyl]quinazoline, 1 f wt dimethyl formamide of crystalline	1	1972	253
1288	2,4-Diamino-6-[[(3,4-dichlorobenzyl)-methylamino]methyl]quinazoline	1	1972	257
1295	2,4-Diamino-6-[(<u>p</u> -bromo-N-methylanilino)methyl]quinazoline, 0.7 f wt of N,N-dimethyl formamide of crystallization	1	1972	259
1309	2,4-Diamino-6-(anilinomethyl)-quinazoline, monoacetate, 0.6 hydrate	1	1972	261
1322	N',N'''-[6-[3,4-Dichloro-N-methyl-anilino)methyl]-2,4-quinazolinediyl]-bis[N,N-dimethyl formamidine]	1	1972	263
1340	2,4-Diamino-6-[(<u>p</u> -chloro-N-ethyl-anilino)methyl]quinazoline, monoacetate, 1.3 hydrate	1	1972	265

AM Number	Name	Annual Report Number	Year	Page
1378 (BC-08910)	2,4-Diamino-6-[(p-bromo-N-ethyl-anilino)methyl]quinazoline, 1.8 f wt hydrochloride, 1.2 hydrate	2	1973	245
1410 (BC-58483)	2,4-Diamino-6-[(3,4-dichloro-N-propylanilino)methyl]quinazoline, 1.66 hydrochloride	2	1973	247
1418 (BC-59060)	2,4-Diamino-6-[(3,4-dichloro-N-isopropylanilino)methyl]quinazoline, 0.1 hydrate	2	1973	249
1429 (BD-23029)	2,4-Diamino-6-[(p-fluoro-N-methyl-anilino)methyl]quinazoline	2	1973	251
1436 (BD-24106)	2,4-Diamino-6-[(N-methyl- α,α,α -trifluoro-m-toluidino)methyl]-quinazoline, 1.1 f wt acetate, 0.6 hydrate	2	1973	253
1440 (BD-24142)	2,4-Diamino-6-[(m-chloro-N-methyl-anilino)methyl]quinazoline	2	1973	255
1453 (BD-25514)	2,4-Diamino-6-[(N-ethyl-p-anisidino)-methyl]quinazoline	2	1973	257
1460 (BD-09707)	2,4-Diamino-6-[(p-chloro-N-methyl-anilino)methyl]quinazoline, 1.33 f wt acetate, monohydrate	2	1973	259
1465 (BD-26057)	2,4-Diamino-6-(1-indolinylmethyl)-quinazoline	2	1973	261
1467 (BD-26075)	6-[[Ethyl(4-methylphenyl)amino]-methyl]-2,4-quinazolinediamine, 0.25 hydrate	2	1973	263
1470 (BD-26100)	6-[[[2-Chloro-4-methylphenyl)methyl-amino]methyl]-2,4-quinazolinediamine, 0.9 hydrate	2	1973	265
1477 (BD-27474)	6-[[[2,5-Dichlorophenyl)methylamino]-methyl]-2,4-quinazolinediamine, 1.1 f wt acetate, 0.8 hydrate	2	1973	267

AM Number	Name	Annual Report Number	Year	Page
1479 (BD-27492)	6-[(1,2,3,4-Tetrahydro-1-quinolinyl)-methyl]-2,4-quinazolinediamine	2	1973	269
1482 (BD-27527)	6-[[(3,4-Dichlorophenyl)ethylamino]-methyl]-2,4-quinazolinediamine	2	1973	271
1487 (BD-27769)	6-[[Ethyl[3-(trifluoromethyl)phenyl]-amino]methyl]-2,4-quinazolinediamine	2	1973	273
1492 (BD-28908)	2,4-Diamino-6-quinazolinemethanol, monoacetate	2	1973	275
1494 (BD-28926)	6-[[(4-Chlorophenyl) (1-methylethyl)-amino]methyl]-2,4-quinazolinediamine, 0.15 hydrate	2	1973	277
1500 (BD-29218)	6-[[[3,5-bis(Trifluoromethyl)phenyl]-methylamino]methyl]-2,4-quinazolinediamine	2	1973	279
1502 (BD-54266)	6-[[(4-Chlorophenyl)amino]methyl]-5-ethyl-2,4-quinazolinediamine, 0.1 hydrate	2	1973	334
899	6-[[(4-Chlorophenyl)amino]methyl]-5-methyl-2,4-quinazolinediamine, monoacetate, monohydrate	6	1977	422
946	2,4-Diamino-6-[(3,4-dichloro-N-nitrosoanilino)-methyl]-5-methyl-quinazoline, compound with N,N-dimethylformamide (1:0.05)	6	1977	424
954	N-[(2,4-Diamino-5-methyl-6-quinazolinyl)methyl]-N-(3,4-dichlorophenyl)formamide, dihydrate	6	1977	426

5. 6-[(Anilino)methyl]-5,6,7,8-tetrahydro

AM Number	Name	Annual Report Number	Year	Page
1676 (BE-66743)	6-[[(3,4-Dimethylphenyl)amino]-methyl]-2,4-quinazolinediamine, dihydrochloride monohydrate	3	1974	152
1677 (BE-66752)	N-[(2,4-Diamino-6-quinazolinyl)-methyl]-N-(3,4-dimethylphenyl)-acetamide, 0.3 hydrate, 1.9 hydrochloride	3	1974	153
1694	N-[(2,4-Diamino-6-quinazolinyl)-methyl]-N-[3-(trifluoromethyl)-phenyl]acetamide, monohydrate, monohydrochloride	4	1975	150
1705	N-[(2,4-Diamino-5,6,7,8-tetrahydro-6-quinazolinyl)methyl]-N-(3,4-dimethylphenyl)acetamide, compound with methanol (1:0.5), hydrate (1:0.66)	4	1975	152
1711	N-[(2,4-Diamino-5,6,7,8-tetrahydro-6-quinazolinyl)methyl]-N-(3,4-trifluoromethylphenyl)acetamide, 1.05 hydrochloride	4	1975	153
1743	6-[[(3,4-Dimethylphenyl)ethylamino]-methyl]-5,6,7,8-tetrahydro-2,4-quinazolinediamine, 0.64 hydrate	4	1975	154
1756	6-[[(4-methoxyphenyl)amino]methyl]-2,4-quinazolinediamine, compound with methanol (1:0.08), hydrate (1:0.08)	4	1975	156
1757	N-[(2,4-Diamino-6-quinazolinyl)-methyl]-2,2,2-trifluoro-N-(4-methoxyphenyl)acetamide, mono(trifluoroacetate), hydrate (1:0.55)	4	1975	157

AM Number	Name	Annual Report Number	Year	Page
1867 (BG-47337)	N-[(2,4-Diamino-5,6,7,8-tetrahydro-6-quinazolinyl)methyl]-2,2,2-trifluoro-N-(4-methoxyphenyl)acetamide salt with trifluoroacetic acid (1:0.9), compound with ethanol (1:0.2)	5	1976	326
1871 (BG-47373)	6-[[(4-Methoxyphenyl)amino]methyl]-5,6,7,8-tetrahydro-2,4-quinazoline-diamine, compound with methanol (1:0.2), hydrate (1:0.75)	5	1976	328
2175	6-[[(3,4-Dichlorophenyl)amino]methyl]-5,6,7,8-tetrahydro-2,4-quinazolinediamine, compound with 2-propanol (1:0.1)	6	1977	159

6. 6-[[(Aryl)thio, sulfinyl, and sulfonyl]methyl]

AM Number	Name	Annual Report Number	Year	Page
1320	2,4-Diamino-6-[[(3,4-dichlorophenyl)-thio]methyl]quinazoline, 0.2 hydrate	1	1972	267
1321	N,N'-[6-[[(3,4-Dichlorophenyl)thio]-methyl]-2,4-quinazolinediyl]bis-benzamide	1	1972	269
1346	2,4-Diamino-6-[[(p-chlorophenyl)-thio]methyl]quinazoline	1	1972	271
1368 (BC-08616)	2,4-Diamino-6-[[(α,α,α-trifluoro-m-tolyl)thio]methyl]quinazoline	2	1973	281
1379 (BC-08929)	2,4-Diamino-6-[(2-naphthylthio)-methyl]quinazoline	2	1973	283
1407 (BC-58456)	2,4-Diamino-6-[(2-naphthylsulfinyl)-methyl]quinazoline, hemihydrate	2	1973	285
1414 (BC-58527)	2,4-Diamino-6-[[(3,4-dichlorophenyl)-sulfonyl]methyl]quinazoline, hemihydrate	2	1973	286
1424 (BC-59122)	2,4-Diamino-6-[[(3,4-dichlorophenyl)-sulfinyl]methyl]quinazoline, 0.33 hydrate	2	1973	287
1434 (BD-24080)	2,4-Diamino-6-[(2-naphthylsulfonyl)-methyl]quinazoline, 1.0 f wt of acetonitrile of crystallization	2	1973	289

7. 6-Sulfonamides

AM Number	Name	Annual Report Number	Year	Page
1403 (BC-57146)	2,4-Diamino-5-chloro-N,N-diethyl-6-quinazolinesulfonamide	2	1973	312
1404 (BC-57155)	2,4-Diamino-5-chloro-N,N-dimethyl-6-quinazolinesulfonamide	2	1973	314
1405 (BC-58438)	2,4-Diamino-N,N-5-trimethyl-6-quinazolinesulfonamide	2	1973	315
1439 (BC-24133)	2,4-Diamino-N-isopropyl-N-methyl-6-quinazolinesulfonamide	2	1973	317
1441 (BD-24151)	2,4-Diamino-6-(piperidinosulfonyl)-quinazoline	2	1973	318
1443 (BD-25416)	2,4-Diamino-6-(1-pyrrolidinylsulfonyl)quinazoline, 0.2 hydrate	2	1973	319
1444 (BD-25425)	2,4-Diamino-6-(1,4'-bipiperidin-1'-ylsulfonyl)quinazoline, 0.2 hydrate	2	1973	320
1445 (BD-25434)	2,4-Diamino-6-[[[2-(diethylamino)-ethyl]methylaminosulfonyl]-quinazoline, 0.2 hydrate	2	1973	321
1447 (BD-25461)	2,4-Diamino-6-(morpholinosulfonyl)-quinazoline	2	1973	322
1449 (BD-25470)	2,4-Diamino-6-(thiomorpholinosulfonyl)quinazoline	2	1973	323
1450 (BD-25489)	2,4-Diamino-6-[(4-methyl-1-piperazinyl)sulfonyl]quinazoline	2	1973	324
1452 (BD-25505)	4-[(2,4-Diamino-6-quinazolinyl)sulfonyl]-1-piperazinecarboxylic acid ethyl ester	2	1973	325
1458 (BD-09681)	2,4-Diamino-6-[(2-benzylpiperidino)sulfonyl]quinazoline	2	1973	326

8. 6-(Aryloxy)

AM Number	Name	Annual Report Number	Year	Page
1204	2-Chloro-3-[(1,6-dibromo-2-naphthyl)-oxy]-6-nitrobenzonitrile	1	1972	280
1205	6-Chloro-5-[(1,6-dibromo-2-naphthyl)-oxy]anthranilonitrile	1	1972	281
1217	2,4-Diamino-5-chloro-6-[(1,6-dibromo-2-naphthyl)oxy]quinazoline, diacetate	1	1972	282

9. 6-(2-Thiazolyl)

AM Number	Name	Annual Report Number	Year	Page
1286	2,4-Diamino-6-(4-phenyl-2-thiazolyl)-quinazoline, 1.5 f wt of N,N-dimethylformamide of crystallization, 0.2 hydrate	1	1972	237
1314	2,4-Diamino-6-[4-(p-chlorophenyl)-2-thiazolyl]quinazoline, 1.7 f wt of N,N-dimethylformamide of crystallization	1	1972	239
1316	2,4-Diaminothio-6-quinazoline-carboxamide, 0.3 f wt N,N-dimethylformamide of crystallization, 1.4 f wt hydrochloride	1	1972	241

10. N'-(Quinazolinyl)-N,N-dialkylformamides

AM Number	Name	Annual Report Number	Year	Page
1220	N',N''-[6-(2-Naphthylthio)-2,4-quinazolinediyl]-bis[N,N-dimethyl-formamide]	1	1972	244
1230	N',N''-[6-(2-Naphthylsulfonyl)-2,4-quinazolinediyl]bis[N,N-dimethyl-formamide], 1/3 f wt N,N-dimethyl-formamide of crystallization	1	1972	245
1235	N'-[2-Amino-6-(2-naphthylthio)-4-quinazolinyl]-N,N-dimethyl-formamide, 1/3 f wt N,N-dimethyl-formamide of crystallization	1	1972	246
1238	N'-[2-Amino-6-[(3,4-dichlorophenyl)-sulfonyl]-4-quinazolinyl]-N,N-dimethyl formamide	1	1972	247
1239	N',N''-[6-[(3,4-Dichlorophenyl)-sulfonyl]-2,4-quinazolinediyl]bis-[N,N-dimethyl formamide]	1	1972	248
1243	N'-[2-Amino-6-(2-naphthylsulfonyl)-4-quinazolinyl]-N,N-dimethyl formamide	1	1972	249
1245	N'-[2-Amino-6-[(α,α,α -trifluoro-m-tolyl)thio]-4-quinazolinyl]-N,N-dimethyl formamide	1	1972	250
1253	N',N''-(5-Piperonyl-2,4-pyrimidine-diyl)bis[N,N-dimethyl formamide]	1	1972	251
1254	N',N''-[6-[(α,α,α -Trifluoro-m-tolyl)thio]-2,4-quinazolinediyl]bis-[N,N-dimethyl formamide]	1	1972	252

11. 6-Thiourea

AM Number	Name	Annual Report Number	Year	Page
1242	1-(2,4-Diamino-6-quinazolinyl)-3-ethyl-2-thiourea, 0.33 hydrate	1	1972	312
1249	3-(2,4-Diamino-6-quinazolinyl)-1-ethyl-2-methyl-2-thiopseudourea, monohydroiodide	1	1972	313
1294	1-(2,4-Diamino-6-quinazolinyl)-3-(3,4-dichlorophenyl)-2-thiourea, monohydrate	1	1972	314
1354 (BC-50030)	1-Butyl-3-(2,4-diamino-6-quinazolinyl)-2-thiourea, monohydrochloride, monohydrate	2	1973	328
1364 (BC-08572)	3-(2,4-Diamino-6-quinazolinyl)-1-(3,4-dichlorophenyl)-2-methyl-2-thiopseudourea, monohydroiodide	2	1973	330

12. 6,6'-[Alkanediylbis(oxy) and (thio)]

AM Number	Name	Annual Report Number	Year	Page
1507 (BD-54319)	3,3'-[1,3-Propanediylbis(oxy)]bis-[6-nitrobenzaldehyde]	2	1973	369
1533 (BD-55478)	2,2'-Trimethylenebis[2-thiopseudourea], dihydrobromide	2	1973	370
1535 (BD-55496)	6,6'-[1,3-Propanediylbis(oxy)]bis-[2,4-quinazolinediamine], hemihydrate	2	1973	371
1536 (BD-55503)	6,6'-[1,5-Pentanediyylbis(oxy)]bis-[2,4-quinazolinediamine], hemihydrate	2	1973	374
1538 (BD-55521)	2,2'-Pentamethylenebis[2-thiopseudo-urea], dihydrobromide	2	1973	376
1560 (BE-57883)	6,6'-[1,3-Propanediylbis(thio)]bis-[2,4-quinazolinediamine], 0.3 f wt N,N-dimethyl formamide of crystallization	3	1974	111
1576 (BE-11159)	6,6'-[1,5-Pentanediyylbis(thio)]bis-[2,4-quinazolinediamine], 0.1 f wt dimethylsulfone, 0.025 f wt hydrogen chloride	3	1974	113

13. Thioquinazoline Analogs of Folic Acid

AM Number	Name	Annual Report Number	Year	Page
1188	<u>p</u> -[(3-Cyano-4-nitrophenyl)thio]-benzoic acid	1	1972	315
1200	<u>p</u> -[(4-Amino-3-cyanophenyl)thio]-benzoic acid	1	1972	318
1207	<u>p</u> -[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, monohydrate	1	1972	319
1215	<u>p</u> -[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, methyl ester, 0.75 hydrate	1	1972	320
1270	N-[<u>p</u> -(2,4-Diamino-6-quinazolinyl)-thio]benzoyl]- <u>L</u> -glutamic acid, diethyl ester	1	1972	322
1328	N-[<u>p</u> -(2,4-Diamino-6-quinazolinyl)-thio]benzoyl]- <u>L</u> -glutamic acid, 0.25 f wt hydrochloride, 1.3 hydrate	1	1972	324

14. Miscellaneous Fused Ring Quinazolines

AM Number	Name	Annual Report Number	Year	Page
1232	3-(2,4-Diamino-6-quinazolinyl)-3,4-dihydro-1,2,3-benzotriazine, 1.5 H ₂ O	1	1972	236
1421 (BC-59097)	8,10-Diamino-2,3-dimethylpyrazino-[2,3- <u>f</u>]quinazoline	2	1973	232
1425 (BC-59131)	8,10-Diamino-2,3-diphenylpyrazino-[2,3- <u>f</u>]quinazoline, 0.3 hydrate	2	1973	233
1432 (BC-23056)	13,15-Diaminodipyrido[3,2- <u>a</u> :2',3'- <u>c</u>]-pyrimido[5,4- <u>h</u>]phenazine, 1.05 f wt hydrochloride, 1.2 hydrate	2	1973	234

AM Number	Name	Annual Report Number	Year	Page
1433 (BD-23065)	13,15-Diaminodibenzo[a,c]pyrimido-[5,4-h]phenazine, 0.15 f wt dimethylsulfoxide of crystallization, 0.7 hydrate	2	1973	235
1455 (BD-25532)	1,3-Diamino-2 (and/or 8) H-indeno-[1',2' and/or 2',1'):5,6]pyrazino-[2,3-f]quinazolin-12 (and/or 8)-one, monohydrochloride	2	1973	236
1473 (BD-26137)	2 (and 3)-Methyl-3 (and 2)-phenylpyrazino[2,3-f]quinazoline-8,10-diamine	2	1973	237
1481 (BD-27518)	2 (and/or 3)-Phenylpyrazino[2,3-f]-quinazoline-8,10-diamine, 0.3 hydrate	2	1973	238
1437 (BD-24115)	o-[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, methyl ester, 1.1 f wt of N,N-dimethylformamide of crystallization, 0.1 hydrate	2	1973	240
1475 (BD-27456)	2-[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, 1.2 f wt N,N-dimethylformamide of crystallization	2	1973	243
1480 (BD-27509)	1,3(or 2,4)-Diamino-12H (or 11H)-[1]-benzothiopyrano[3,2-f] (or 2,3-g)-quinazolin-12 (or 11)-one, 0.2 hydrate	2	1973	244
1591 (BE-12647)	[1]Benzothieno[3,2-f]quinazoline-1,3-diamine	3	1974	139
1616 (BE-14614)	[1]Benzothieno[3,2-f]quinazoline-1,3-diamine, 7,7-dioxide	3	1974	142
1563 (BD-59010)	12H-[1]Benzothiopyrano[3,2-f]-quinazoline-1,3-diamine, 1.8 acetate	3	1974	143
1569 (BE-10527)	N-(4-Methoxyphenyl)glycine, 2-(5-amino[1,2,5]oxadiazolo[3,4-d]-pyrimidin-7-yl)hydrazide	3	1974	144

AM Number	Name	Annual Report Number	Year	Page
1793	14H-Naphtho[2',3':5,6]thiopyrano-[2,3-f]quinazoline-1,3-diamine, compound with acetic acid (1:0.68)	4	1975	132
2726 (BK-39554)	3-Amino-8-(3,4-dichlorophenyl)-8,9-dihydro-7H-pyrrolo[3,4-f]quinazoline-1-ol	11	1983	195

15. Miscellaneous Quinazolines

AM Number	Name	Annual Report Number	Year	Page
1197	1,5-Bis-2-Dibenzothiénylbiguanide, monohydrochloride	1	1972	243
1212	6-(2-Naphthylthio)-2,4-(1H,3H)-quinazolinedithione	1	1972	304
1214	6-(2-Benzylpiperidino)-2,4(1H,3H)-quinazolinedithione	1	1972	306
1241	2,4-Diamino-6-nitro-5-quinazoline thiol, 0.4 hydrate	1	1972	230
1247	2,4-Diamino-6-nitro-5-quinazoline thiol, sodium salt, 2.3 hydrate	1	1972	231
1225	2,4-Diamino-5-(methylamino)-6-nitro-quinazoline	1	1972	232
1257	2,4,5-Triamino-6-nitroquinazoline, 0.1 hydrate	1	1972	233
1273	2,4,5,6-Tetraaminoquinazoline, dihydrochloride	1	1972	235
1396 (BC-57066)	<u>m</u> -[[(2,4-Diamino-6-quinazolinyl)-methyl]amino]-N,N-dimethylbenzamide, 0.1 hydrate	2	1973	331

AM Number	Name	Annual Report Number	Year	Page
1397 (BC-57075)	N-[(2,4-Diamino-5-chloro-6-quinazolinyl)methyl]acetamide, 0.3 hydrate	2	1973	333
1540 (BC-56706)	5,6,7,8-Tetrahydro-6-phenyl-phosphorino[4,3- <u>d</u>]pyrimidine-2,4-diamine	2	1973	335
1768	3-[(2,4-Diamino-6-quinazolinyl)-[(3,4-dichlorophenyl)methyl]amino]-3-oxopropanoic acid, ethyl ester, hydrate (1:0.3)	4	1975	147
1845	3-[(2,4-Diamino-6-quinazolinyl)-[(3,4-dichlorophenyl)methyl]amino]-3-oxopropanoic acid, hydrate (1:0.7) hydrochloride (1:0.05)	4	1975	149

F. Diaminopteridines

1. 6-Amino

AM Number	Name	Annual Report Number	Year	Page
1261	2,4-Diamino-6-piperidinopteridine, monohydrochloride, 1.8 hydrate	1	1972	228
1269	2,4-Diamino-6-[(3,4-dichlorobenzyl)-methylamino]pteridine	1	1972	229
1375 (BC-08885)	2,4-Diamino-6-[(p-chlorobenzyl)-methylamino]pteridine	2	1973	156
1394 (BC-57048)	2,4-Diamino-6-[2-(p-chlorophenyl)-1-pyrrolidinyl]pteridine	2	1973	157
1413 (BC-58518)	2,4-Diamino-6-[(m-bromobenzyl)methylamino]pteridine	2	1973	158
1419 (BC-59079)	2,4-Diamino-6-[(o-chlorobenzyl)-methylamino]pteridine	2	1973	159
1423 (BC-59113)	2,4-Diamino-6-[methyl(1-naphthyl-methyl)amino]pteridine	2	1973	162
1427 (BC-59159)	2,4-Diamino-6-[methyl(2-naphthyl-methyl)amino]pteridine	2	1973	163
1463 (BD-09734)	2,4-Diamino-6-[(p-fluorobenzyl)-methylamino]pteridine, 0.2 hydrate	2	1973	164
1476 (BD-27465)	6-[Methyl(2-phenylethyl)amino]-2,4-pteridinediamine, 1.6 hydrate	2	1973	165
1478 (BD-27483)	6-[Methyl[(3,4,5-trimethoxyphenyl)-methyl]amino]-2,4-pteridinediamine	2	1973	165
1486 (BD-27750)	6-(3,4-Dihydro-2(1H)-isoquinolinyl)-pteridine-2,4-diamine, 0.2 hydrate	2	1973	167
1488 (BD-27778)	6-(4-Phenyl-1-piperidinyl)-2,4-pteridinediamine, hemihydrochloride, 0.1 hydrate	2	1973	168
1521 (BD-55352)	N ⁶ -Methyl-N ⁶ -(phenylmethyl)-2,4,6-pteridinetriamine, monohydrochloride, monohydrate	2	1973	169

AM Number	Name	Annual Report Number	Year	Page
1543 (BD-57294)	N ⁶ -[(4-Methoxy-1-naphthalenyl)-methyl]-N ⁶ -methyl-2,4,6-pteridine-triamine	2	1973	170
1552 (BD-57605)	N ⁶ -[(2-Methoxy-1-naphthalenyl)-methyl]-N ⁶ -methyl-2,4,6-pteridine-triamine, 0.8 hydrate	2	1973	171
1567 (BD-59056)	N ⁶ -(9H-Fluoren-2-yl-methyl)-N ⁶ -methyl-2,4,6-pteridinetriamine, mono-hydrochloride	3	1974	133
1573 (BE-10563)	N ⁶ -(9-Anthracenylmethyl)-N ⁶ -methyl-2,4,6-pteridinetriamine, 0.7 hydrate	3	1974	134
1575 (BE-10581)	N ⁶ -Methyl-N ⁶ -(9-phenanthrenylmethyl)-2,4,6-pteridinetriamine, 0.3 f wt N,N-dimethylformamide of crystallization, 0.6 hydrate	3	1974	135
1611 (BE-14016)	N ⁶ -Methyl-N ⁶ -[[3-(trifluoromethyl)-phenyl]methyl]-2,4,6-pteridine-triamine, hydrate (1:0.2)	3	1974	136
1423	2,4-Diamino-6-[methyl(1-naphthyl-methyl)amino]pteridine	4	1975	379
1423 (BG-47168)	2,4-Diamino-6-[methyl(1-naphthyl-methyl)amino]pteridine	5	1976	458

2. 6-[(Aryl)thio]

AM Number	Name	Annual Report Number	Year	Page
1332	2,4-Diamino-6-[(3,4-dichlorophenyl)-thio]pteridine	1	1972	225
1333	2,4-Diamino-6-(2-naphthylthio)-pteridine	1	1972	226
1339	2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)thio]pteridine	1	1972	227
1408 (BC-58465)	2,4-Diamino-6-chloropteridine	2	1973	148
1362 (BC-50370)	2,4-Diamino-6-[(<u>o</u> -chlorophenyl)thio]-pteridine	2	1973	150
1367 (BC-08607)	2,4-Diamino-6-(1-naphthylthio)-pteridine	2	1973	151
1380 (BC-09346)	2,4-Diamino-6-[(2,4,5-trichlorophenyl)thio]pteridine	2	1973	152
1406 (BC-58447)	2,4-Diamino-6-[(<u>p</u> -methoxyphenyl)-thio]pteridine	2	1973	153
1515 (BD-54855)	6-[[(4-Chlorophenyl)methyl]thio]-2,4-pteridinediamine	2	1973	154
1409 (BC-58474)	N,N'''-[6-[(3,4-Dichlorophenyl)thio]-2,4-pteridinediyl]bis[N,N-dimethyl-formamidine]	2	1973	155

3. 6-[(Anilino)methyl

AM Number	Name	Annual Report Number	Year	Page
1493 (BD-28917)	6-[[(3,4-Dichlorophenyl)methylamino]-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	172
1498 (BD-29192)	6-[[(3,4-Dichlorophenyl)methylamino]-methyl]-2,4-pteridinediamine	2	1973	174
1499 (BD-29209)	6-[[(3,4-Dichlorophenyl)amino]-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	176
1501 (BD-29227)	6-[[(3,4-Dichlorophenyl)amino]-methyl]-2,4-pteridinediamine, 0.3 f wt N,N-dimethylformamide	2	1973	178
1505 (BD-54293)	6-[[(3,4-Dichlorophenyl) (1-methyl-ethyl)amino]methyl]-2,4-pteridine-diamine	2	1973	180
1506 (BD-54300)	6-[[(3,4-Dichlorophenyl) (1-methyl-ethyl)amino]methyl]-2,4-pteridine-diamine, 8-oxide	2	1973	182
1508 (BD-54784)	6-[(2,3-Dihydro-1H-indol-1-yl)-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	184
1509 (BD-54793)	6-[(3,4-Dihydro-1(2H)-quinolinyl)-methyl]-2,4-pteridinediamine, 8-oxide, 0.9 f wt N,N-dimethyl-formamide of crystallization	2	1973	186
1510 (BD-54800)	N-[(2,4-Diamino-6-pteridinyl)methyl]-N-(3,4-dichlorophenyl)formamide	2	1973	188
1511 (BD-54819)	6-[[(4-Chlorophenyl)ethylamino]-methyl]-2,4-pteridinediamine	2	1973	189
1512 (BD-54828)	6-[[(4-Chlorophenyl)ethylamino]-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	191
1514 (BD-54846)	6-[(3,4-Dihydro-1(2H)-quinolinyl)-methyl]-2,4-pteridinediamine	2	1973	193

AM Number	Name	Annual Report Number	Year	Page
1518 (BD-55325)	6-[(2-Phenyl-1-piperidinyl)methyl]-2,4-pteridinediamine, 8-oxide	2	1973	195
1519 (BD-55334)	6-[[(4-Chlorophenyl)methylamino]-methyl]-2,4-pteridinediamine	2	1973	197
1520 (BD-55343)	6-[[(4-Chlorophenyl)methylamino]-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	199
1522 (BD-55361)	6-[(2,3-Dihydro-1H-indol-1-yl)-methyl]-2,4-pteridinediamine	2	1973	201
1523 (BD-55370)	6-[[(3,4-Dichlorophenyl)propylamino]-methyl]-2,4-pteridinediamine	2	1973	203
1524 (BD-55389)	6-[[(3,4-Dichlorophenyl)propylamino]-methyl]-2,4-pteridinediamine, 8-oxide	2	1973	205
1525 (BD-55398)	6-[[(4-Chlorophenyl) (1-methylethyl)-amino]methyl]-2,4-pteridinediamine, 0.5 f wt N,N-dimethylformamide of crystallization	2	1973	207
1526 (BD-55405)	6-[[(4-Chlorophenyl) (1-methylethyl)-amino]methyl]-2,4-pteridinediamine, 8-oxide	2	1973	210
1529 (BD-55432)	6-[(2-Phenyl-1-piperidinyl)methyl]-2,4-pteridinediamine, 0.2 f wt N,N-dimethylformamide of crystallization	2	1973	212
1530 (BD-55441)	6-[[2-(Phenylmethyl)-1-piperidinyl]-methyl]-2,4-pteridinediamine, 0.9 f wt N,N-dimethylformamide of crystallization	2	1973	214
1531 (BD-55450)	6-[[2-(Phenylmethyl)-1-piperidinyl]-methyl]-2,4-pteridinediamine, 8-oxide 1 f wt N,N-dimethylformamide of crystallization	2	1973	216
1553 (BD-57614)	6-[[Ethyl(4-methoxyphenyl)amino]-methyl]-2,4-pteridinediamine	2	1973	218

AM Number	Name	Annual Report Number	Year	Page
1554 (BD-57623)	6-[[Ethyl(4-methoxyphenyl)amino]-methyl]-2,4-pteridinediamine, 8-oxide, 0.25 hydrate	2	1973	220
1572 (BE-10554)	6-[[Ethyl(4-methoxyphenyl)amino]-methyl]-7,8-dihydro-2,4-pteridine-diamine, 0.75 hydrate	3	1974	118
1603 (BD-99201)	6-[(Methyl-1-naphthalenyl amino)-methyl]-2,4-pteridinediamine	3	1974	120
1605 (BD-99229)	6-[(Methyl-1-naphthalenyl amino)-methyl]-2,4-pteridinediamine, 8-oxide	3	1974	122
1609 (BD-13993)	6-[[Methyl(3,4,5-trimethoxyphenyl)-amino]methyl]-2,4-pteridinediamine	3	1974	124
1610 (BE-14007)	6-[[Methyl(3,4,5-trimethoxyphenyl)-amino]methyl]-2,4-pteridinediamine, 8-oxide	3	1974	126
1525-B (BG-47462)	6-[[[4-Chlorophenyl] (1-methylethyl)-amino]methyl]-2,4-pteridinediamine	5	1976	459

4. 6-[(Arylthio)methyl]

AM Number	Name	Annual Report Number	Year	Page
1495 (BD-28935)	6-[(4-Chlorophenyl)thio]methyl]-2,4-pteridinediamine, 8-oxide	2	1973	222
1496 (BD-28944)	6-[(4-Chlorophenyl)thio]methyl]-2,4-pteridinediamine	2	1973	224
1503 (BD-54275)	6-[(4-Chlorophenyl)sulfinyl]methyl]-2,4-pteridinediamine, 0.8 hydrate	2	1973	226
1504 (BD-54284)	6-[(4-Chlorophenyl)sulfonyl]methyl]-2,4-pteridinediamine, 0.3 f wt N,N-dimethylformamide of crystallization	2	1973	227
1527 (BD-55414)	6-[(2-Naphthalenylthio)methyl]-2,4-pteridinediamine, 8-oxide	2	1973	228
1528 (BD-55423)	6-[(2-Naphthalenylthio)methyl]-2,4-pteridinediamine	2	1973	230

5. 6-[(Aryloxy)methyl]

AM Number	Name	Annual Report Number	Year	Page
1559 (BD-57874)	6-[(4-Chlorophenoxy)methyl]-2,4-pteridinediamine, 8-oxide	3	1974	129
1568 (BD-10518)	6-[(4-Chlorophenoxy)methyl]-2,4-pteridinediamine, 0.2 hydrate	3	1974	131

G. Anilinoquinazolines

AM Number	Name	Annual Report Number	Year	Page
2017 (BG-89193)	N ⁴ -(3,4-Dichlorophenyl)-N ² -[2-(diethylamino)ethyl]-2,4-quinazoline-diamine, 1.9 hydrochloride, 0.26 hydrate	5	1976	447
2017-2B	N ⁴ -(3,4-Dichlorophenyl)-N ² -[2-(diethylamino)ethyl]-2,4-quinazoline-diamine, dihydrochloride, monohydrate	6	1977	115
2032	N ² -(1-Ethyl-3-piperidinyl)-N ⁴ -[4-(trifluoromethyl)phenyl]-2,4-quinazolinediamine, dihydrochloride, hydrate (1:0.31)	6	1977	117
2038	N ⁴ -(3,4-Dichlorophenyl)-N ² -(1-ethyl-3-piperidinyl)-2,4-quinazoline-diamine, hydrochloride, hemihydrate	6	1977	119
2040	N ⁴ -(3,5-Dichlorophenyl)-N ² -(1-ethyl-3-piperidinyl)-2,4-quinazolinediamine, hydrochloride (1:2.4), sesquihydrate	6	1977	121
2046	N,N-Diethyl-N'-[4-[4-(trifluoromethyl)phenyl]amino]-2-quinazolinyl]-1,2-ethanediamine	6	1977	123
2064	2-[[3-(1-Piperidinyl)propyl]amino]-4-quinazolinol, hydrochloride (1:1.13), hydrate (1:0.52)	6	1977	125
2080	N ⁴ -(3,4-Dichlorophenyl)-N ² -[3-(1-piperidinyl)propyl]-2,4-quinazoline-diamine, dihydrochloride, monohydrate	6	1977	126
2084	N ⁴ -(3,4-Dichlorophenyl)-N ² -[3-(diethylamino)propyl]-2,4-quinazolinediamine, dihydrochloride, hydrate (1:1.6)	6	1977	128

AM Number	Name	Annual Report Number	Year	Page
2085	N ⁴ -(3,5-Dichlorophenyl)-N ² -[3-(1-piperidinyl)propyl]-2,4-quinazoline-diamine, dihydrochloride, hydrate (1:0.2)	6	1977	130
2087	N ² -[3-(1-Piperidinyl)propyl]-N ⁴ -[4-(trifluoromethyl)-phenyl]-2,4-quinazolinediamine, dihydrochloride, hydrate (1:1.8)	6	1977	132
2090	N ⁴ -(3,5-Dichlorophenyl)-N ² -[3-(diethylamino)propyl]-2,4-quinazoline-diamine, hydrochloride (1:2.6)	6	1977	133
2103	N ² -[3-(Diethylamino)propyl]-N ⁴ -[4-(trifluoromethyl)-phenyl]-2,4-quinazolinediamine, hydrochloride (1:2.1) hydrate (1:0.4)	6	1977	135
2119	N ⁴ -(3,5-Dichlorophenyl)-N ² -[3-(1-pyrrolidinyl)propyl]-2,4-quinazoline-diamine, dihydrochloride, hydrate (1:1.9)	6	1977	137
2124	N ² -[4-(Dimethylamino)cyclohexyl]-N ⁴ -[4-(trifluoromethyl)phenyl]-2,4-quinazolinediamine, dihydrochloride, hydrate (1:1.8)	6	1977	139
2126	N ⁴ -[3-Bromophenyl]-N ² -[4-(dimethylamino)cyclohexyl]-2,4-quinazoline-diamine, hydrochloride (1:1.8), monohydrate	6	1977	141
2127	N ⁴ -(3,5-Dichlorophenyl)-N ² -[4-(dimethylamino)cyclohexyl]-2,4-quinazolinediamine, hydrochloride (1:1.9), hydrate (1:1.7)	6	1977	143
2132	N ⁴ -(3,4-Dichlorophenyl)-N ² -[4-(diethylamino)-1-methylbutyl]-2,4-quinazolinediamine, dihydrochloride, hydrate (1:1.8)	6	1977	145

AM Number	Name	Annual Report Number	Year	Page
2135	N ⁴ -(3,5-Dichlorophenyl)-N ² -[4-(diethylamino)-1-methylbutyl]-2,4-quinazolinediamine, hydrochloride (1:2.1), hydrate (1:2.1)	6	1977	147
2136	N ² -[4-(Diethylamino)-1-methylbutyl]-N ⁴ -(3,4,5-trimethoxyphenyl)-2,4-quinazolinediamine, hydrate (1:0.9)	6	1977	149
2137	4-[2-[4-(Diethylamino)-1-methylbutyl]amino]-4-quinazolinyl]amino]-2-[(diethylamino)methyl]phenol, hydrochloride (1:2.7), dihydrate	6	1977	151
2139	N ² -[4-(Diethylamino)-1-methylbutyl]-N ⁴ -(4-nitrophenyl)-2,4-quinazolinediamine, hydrochloride (1:2.2), hydrate (1:1.7)	6	1977	153
2141	N ² -[4-(Dimethylamino)cyclohexyl]-N ⁴ -[4-(dimethylamino)phenyl]-2,4-quinazolinediamine, hydrate (1:0.7)	6	1977	155
2146	N ² -[4-(Diethylamino)-1-methylbutyl]-N ⁴ -[4-(trifluoromethyl)phenyl]-2,4-quinazolinediamine, hydrochloride (1:2.2), dihydrate	6	1977	157

H. 2-Amino-4-Hydroxyquinazolines and Analogs

AM Number	Name	Annual Report Number	Year	Page
2100	2-Amino-6-[[3,4-dichlorophenyl)-methyl]amino]-4-quinazolinol, hydrate (1:1.6)	6	1977	163
2111	N-(2-Amino-4-hydroxy-6-quinazolinyl)-N-[(3,4-dichlorophenyl)methyl]-formamide, hydrate (1:1.4)	6	1977	164
2123	2-Amino-6-[[3,4-dichlorophenyl)-methyl]nitrosoamino]-4-quinazolinol	6	1977	165

II. Purine/Pyrimidine Inhibitors

A. Purines

1. S-Purin-6-yl Esters

AM Number	Name	Annual Report Number	Year	Page
1170	Thiocarbonic acid, O-ethyl-S-purin-6-yl ester	1	1972	326
1171	Thiocarbonic acid, O-methyl-S-purin-6-yl ester	1	1972	327
1174	Thiocarbonic acid, O- <u>n</u> -propyl-S-purin-6-yl ester	1	1972	328
1175	Thiocarbonic acid, O-phenyl-S-purin-6-yl ester	1	1972	329
1179	Dithiocarbonic acid, S-ethyl-S-6-purinyl ester	1	1972	330
1180	Thiocarbonic acid, O- <u>n</u> -heptyl-S-purin-6-yl ester	1	1972	331
1184	Thiocarbonic acid, O-3-chloropropyl-S-purin-6-yl ester	1	1972	332
1293	Thiocarbonic acid, O-benzyl-S-purin-6-yl ester	1	1972	333
1311	Thiocarbonic acid, O- <u>p</u> -methoxyphenyl-S-purin-6-yl ester	1	1972	334

2. Nucleosides and Related Compounds

AM Number	Name	Annual Report Number	Year	Page
1196	9- β -D-Arabinofuranosyl-9H-purine-6-thiol, triacetate ester	1	1972	335
1260	8-Bromoadenine	1	1972	336
1264	4-Amino-7-(β -D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine; Tubercidin	1	1972	337
1265	N-Furfuryl adenosine	1	1972	338
1266	9- β -D-Arabinofuranosyl-6-chloro-9H-purine, triacetate	1	1972	339
1267	9- β -D-Arabinofuranosyl-N ⁶ -cyclohexyladenine	1	1972	341
1271	9- β -D-Arabinofuranosyl-N-ethyladenine	1	1972	343
1272	N-Allyl-9- β -D-arabinofuranosyladenine, 0.1 hydrate	1	1972	344
1277	N-Furfuryl-N-nitrosoadenosine	1	1972	345
1281	9- β -D-Arabinofuranosyl-N-ethyl-N-nitrosoadenine	1	1972	346
1282	9- β -D-Arabinofuranosyl-N,N-dimethyladenine	1	1972	347
1283	9- β -D-Arabinofuranosyl-N-furfuryladenine	1	1972	348
1284	9- β -D-Arabinofuranosyl-N-cyclohexyl-N-nitrosoadenine	1	1972	349
1299	N-Allyl-9- β -D-arabinofuranosyl-N-nitrosoadenine	1	1972	350
1300	9- β -D-Arabinofuranosyl-N-furfuryl-N-nitrosoadenine	1	1972	351
1302	N-(3-Methyl-2-butenyl)-N-nitrosoadenosine	1	1972	352

AM Number	Name	Annual Report Number	Year	Page
1304	N-Methyl-N-nitrosoadenine	1	1972	353
1305	9- β -D-Arabinofuranosyl-N-cyclopropyl-adenine	1	1972	354
1307	N,N-Dimethyladenosine	1	1972	355
1308	N-Benzyl-N-nitrosoadenosine	1	1972	356
1310	N-Methyl-N-nitrosoadenosine	1	1972	357
1313	8-Bromoadenosine, triacetate ester	1	1972	358
1315	8-Bromoadenosine	1	1972	359
1317	9- β -D-Arabinofuranosyladenine, 1-oxide	1	1972	360
1319	9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine, 2' (or 3'), 5'-di-benzoate ester	1	1972	361
1326	9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine, 5'- <u>p</u> -toluenesulfonate ester, 0.7 f wt ethanol of crystallization	1	1972	362
1327	9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine, 5'- <u>p</u> -anisate ester	1	1972	364
1335	9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine, 2' (or 3'), 5'-bis-(3,4,5-trimethoxybenzoate ester)	1	1972	365
1347	1-Adamantanecarboxylic acid, 5'-ester with 9- β -D-arabinofuranosyl-N-methyl-N-nitrosoadenine	1	1972	367
1348	Palmitic acid, 5'-ester with 9- β -D-arabinofuranosyl-N-methyl-N-nitrosoadenine	1	1972	369
1350	9- β -D-Arabinofuranosyl-N-isopropyl-adenine	1	1972	370
1351	9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine	1	1972	371

AM Number	Name	Annual Report Number	Year	Page
1357 (BC-50138)	N-Methyl-N-nitroso-9-(5-O-trityl-β-D-arabinofuranosyl)adenine	2	1973	336
1361 (BC-50361)	9-β-D-Arabinofuranosyl-N-methyl-adenine, 1-oxide	2	1973	337
1369 (BC-08625)	9-(2,6-Dichlorobenzyl)adenine	2	1973	338
1371 (BC-08643)	6-Chloro-9-(tetrahydro-2H-pyran-2-yl)-9H-purine	2	1973	339
1372 (BC-08858)	N-Methyl-9-(tetrahydro-2H-pyran-2-yl)-adenine	2	1973	341
1373 (BC-08867)	9-(2,6-Dichlorobenzyl)adenine, 1-oxide	2	1973	342
1381 (BC-09355)	2'-Deoxy-N-methyladenosine	2	1973	343
1382 (BC-09364)	4-(Methylamino)-7-β-D-ribofuranosyl-7H-pyrrolo[2,3-d]pyrimidine	2	1973	345
1385 (BC-09391)	9-(2,6-Dichlorobenzyl)-N-methyl-adenine	2	1973	347
1392 (BC-57020)	9-(5-Azido-5-deoxy-β-D-arabino-furanosyl)-N-methyl-N-nitrosoadenine	2	1973	348
1398 (BC-57084)	9-(2,6-Dichlorobenzyl)-N-methyl-N-nitrosoadenine	2	1973	349
1399 (BC-57093)	4-(Methylnitrosamino)-7-β-D-ribofuranosyl-7H-pyrrolo[2,3-d]pyrimidine	2	1973	350
1402 (BC-57137)	N-Methyl-N-nitroso-9-(tetrahydro-2H-pyran-2-yl)adenine	2	1973	351
1420 (BC-59088)	8-Bromo-N-methyladenosine	2	1973	352

AM Number	Name	Annual Report Number	Year	Page
1428 (BD-23010)	8-Bromo-N-methyl-N-nitrosoadenosine	2	1973	353
1430 (BD-23038)	9- β -D-Arabinofuranosyl-N-hydroxy-adenine	2	1973	354
1431 (BD-23047)	N-Methyladenosine, 1-oxide	2	1973	355
1435 (BD-24099)	N-9-Dimethyladenine	2	1973	356
1438 (BD-24124)	N-Cyclohexyladenosine	2	1973	358
1442 (BD-24160)	N,9-Dimethyl-N-nitrosoadenine	2	1973	359
1454 (BD-25523)	N-Cyclohexyl-N-nitrosoadenosine	2	1973	360
1483 (BD-27723)	3-(6-Amino-9H-purin-9-yl)-1,2-propanediol, 0.3 hydrate	2	1973	361
1513 (BD-54837)	N-Methyl-2',2'-O-(1-methylethylidene)-N-nitrosoadenosine	2	1973	362
1537 (BD-55512)	3,8-D-Ribofuransyldiimidazo[1,2-c:4',5'-e]pyrimidine, monohydrochloride	2	1973	364
1541 (BD-57271)	6-(1-Methylhydrazino)-9- β -D-ribofuranosyl)-9H-purine	2	1973	365
1550 (BD-57589)	3-[6-(Methylnitrosoamino)-9H-purin-9-yl]-1,2-propanediol	2	1973	366
1551 (BD-57598)	3,8,D-Arabinofuransyldiimidazo[1,2-c:4',5'-e]pyrimidine, monohydrochloride	2	1973	368
1556 (BD-57847)	9-(Methoxymethyl)-N-methyladenine	3	1974	173

AM Number	Name	Annual Report Number	Year	Page
1570 (BE-10536)	9-[4-(1,3-Diphenyl-2-imidazolidinyl)-2,3,0-(1-methylethylidene)- β -D-erythrofuranosyl]-N-methyl-N-nitroso-9H-purin-6-amine	3	1974	174
1574 (BE-10572)	9-(Methoxymethyl)-N-methyl-N-nitroso-9H-purin-6-amine	3	1974	175
1580 (BE-11837)	6-(1,2-Dimethylhydrazino)-9- β -D-ribofuranosyl-9H-purine	3	1974	176
1617 (BE-14623)	Uridine-2',3',5'-tribenzoate (ester); 1-2,3,5-tri-O-benzoyl- β -D-ribofuranosyl-2,4-(1H,3H)-pyrimidinedione	3	1974	177
2204	6-Amino-9- β -D-arabinofuranosyl-1-(phenylmethoxy)-9H-purinium, bromide	6	1977	405
2205	9- β -D-Arabinofuranosyl-8-bromo-adenine, triacetate ester	6	1977	407
2206	6-Amino-1,5-dihydro-4H-imidazo[4,5-c]pyridin-4-one (3-Deazaguanine)	6	1977	409
2207	6-Amino-3,5-dihydro-3- β -D-ribofuranosyl-4H-imidazo[4,5-c]pyridin-4-one (7-Ribosyl-3-deazaguanine)	6	1977	410
2208	6-Amino-1,5-dihydro-1- β -D-ribofuranosyl-4H-imidazo[4,5-c]pyridin-4-one (3-Deazaguanosine)	6	1977	411
2209	4-Nitro-1H-benzimidazole	6	1977	412
2210	1- β -D-Arabinofuranosyl-1H-benzimidazol-4-amine, hydrate (1:0.25)	6	1977	413

AM Number	Name	Annual Report Number	Year	Page
2219 (BH-57114)	1- β -D-Arabinofuranosyl-1H-imidazo-[4,5- <u>c</u>]pyridin-4-amine (3-Deaza-ara-A)	7	1978	145
2220 (BH-57123)	3- β -D-Arabinofuranosyl-3H-imidazo-[4,5- <u>c</u>]pyridin-7-amine (2-Aza-1,3-dideaza-ara-A)	7	1978	147
2223 (BH-57150)	4-Chloro-7H-pyrrolo[2,3- <u>d</u>]pyrimidine	7	1978	149
2224 (BH-57169)	4-Chloro-1H-imidazo[4,5- <u>c</u>]pyridine	7	1978	150
2233 (BH-58228)	3-H-Imidazo[4,5- <u>b</u>]pyridine (3-Deazapurine)	7	1978	153
2234 (BH-58237)	3,7-Dihydro-4H-pyrrolo[2,3- <u>d</u>]-pyrimidine-4-one (7-Deazahypoxanthine)	7	1978	154
2235 (BH-58246)	3-H-Imidazo[4,5- <u>b</u>]pyridine 4-oxide	7	1978	155
2236 (BH-58602)	7-Nitro-3H-imidazo[4,5- <u>b</u>]pyridine 4-oxide	7	1978	156
2237 (BH-58611)	9- β -D-Arabinofuranosyl-1,9-dihydro-6H-purin-6-one (Ara-Hx)	7	1978	157
2240 (BH-58648)	2,3-Dihydro-2-thioxo-1H-imidazole-4-carboxylic acid, ether ester	7	1978	158
2241 (BH-58657)	1- β -D-Ribofuranosyl-1H-imidazole-4,5-dicarboxamide, hemihydrate	7	1978	159
2242 (BH-58666)	7- β -D-Arabinofuranosyl-7H-pyrrolo-[2,3- <u>d</u>]pyrimidin-4-amine (7-Deaza-ara-A, ara-tubercidin)	7	1978	161
2243 (BH-58675)	6-Amino-7- β -D-arabinofuranosyl-3,5-dihydro-4H-imidazo[4,5- <u>c</u>]pyridin-4-one	7	1978	164

AM Number	Name	Annual Report Number	Year	Page
2251 (BH-65509)	4-Chloro-1H-1,2,3-triazolo[4,5- <u>c</u>]-pyridine	7	1978	167
2252 (BH-67361)	1- β -D-Ribofuranosyl-1H-imidazole-4-carboxamide (2-Deazaribavirin)	7	1978	168
2253 (BH-67370)	4-Chloro-3- β -D-ribofuranosyl-3H-imidazo[4,5- <u>c</u>]pyridine	7	1978	170
2258 (BH-67423)	4-Chloro-3-(2,3,5-tri-O-benzoyl- β -D-ribofuranosyl)-3H-imidazo[4,5- <u>c</u>]-pyridine	7	1978	171
2259 (BH-67512)	6-Amino-3,5-dihydro-3-(tetrahydro-2H-pyran-2-yl)-($\pm$)-4H-imidazo[4,5- <u>c</u>]-pyridin-4-one	7	1978	173
2260 (BH-67521)	5-Cyanomethyl-1- β -D-ribofuranosyl-1H-imidazole-4-carboxamide, compound with methanol (1:0.5)	7	1978	175
2266 (BH-67585)	3,7-Dihydro-2-(methylthio)-4H-pyrrolo[2,3- <u>d</u>]pyrimidin-4-one	7	1978	177
2271 (BH-69883)	2-Imidazolethiol	7	1978	179
2272 (BH-69892)	2-[[(2-Methoxyethoxy)methyl]thio]-1H-imidazole	7	1978	180
2273 (BH-69909)	5-Chloro-7-nitro-3H-imidazo[4,5- <u>b</u>]-pyridine	7	1978	181

B. Pyrimidines

1. 2,4-Diamino-5-[p-[(benzyl)amino]phenyl]pyrimidines

AM Number	Name	Annual Report Number	Year	Page
870-1L (BG-89219)	2,4-Diamino-6-ethyl-5-[p-[(p-nitro-benzyl)amino]phenyl]pyrimidine, 0.7 hydrate	5	1976	441
2026 (BG-94809)	N-[4-(2,4-Diamino-6-ethyl-5-pyrimidinyl)phenyl]-N-[(4-nitro-phenyl)methyl]formamide, compound with ethanol (1:0.3), 0.07 hydrate	5	1976	445
1899 (BG-59784)	2,6-Diamino-5-(4-chlorophenyl)-4-pyrimidinecarboxylic acid, acetate salt (1:0.25), hydrate (1:0.2)	5	1976	330
1991 (BG-81786)	2-Amino-5-bromo-6-methyl-4-pyrimidinol, monohydrobromide	5	1976	333
2000 (BG-81875)	2-Amino-6-methyl-5-(1-naphthalenyl-thio)-4(3H)pyrimidinone, 0.6 hydrate	5	1976	334
2003 (BG-89264)	2-Amino-6-methyl-5-(2-naphthalenyl-thio)-4(3H)pyrimidinone, hemihydrate	5	1976	335
2005 (BG-89282)	2,5-Diamino-6-methyl-4-pyrimidinol, hydrate (1:0.9)	5	1976	336
2006 (BG-89291)	2-Amino-5-[[(3,4-dichlorophenyl)-methylene]amino]-6-methyl-4(3H)-pyrimidinone	5	1976	338
2014 (BG-89166)	2-Amino-5-[[(3,4-dichlorophenyl)-methyl]amino]-6-methyl-4(3H)-pyrimidinone, 0.93 hydrate	5	1976	339

2. Miscellaneous Pyrimidines

AM Number	Name	Annual Report Number	Year	Page
2031	N-(2-Amino-1,6-dihydro-4-methyl-6-oxo-5-pyrimidinyl)-N-[(3,4-dichlorophenyl)methyl]formamide, compound with ethanol (1:0.15), hydrate (1:0.25)	6	1977	167
2033	2-Amino-5-[[[(3,4-dichlorophenyl)-methyl]nitrosoamino]-6-methyl-4(3H)-pyrimidinone	6	1977	169
2110	1-Butyl-2,4(1H,3H)-pyrimidinedione	6	1977	170
2125	1-Butyl-5-methyl-2,4-(1H,3H)-pyrimidinedione	6	1977	171
2131	5-Fluoro-1-(phenylmethyl)-2,4-(1H,3H)-pyrimidinedione	6	1977	172
2150	5-Fluoro-1,3-bis(phenylmethyl)-2,4-(1H,3H)-pyrimidinedione	6	1977	173
2185	5'-O-(Triphenylmethyl)thymidine	6	1977	175
2212 (BH-50053)	1-[2-Deoxy-3-O-(methylsulfonyl)-5-O-(triphenylmethyl)-β-D-ribofuranosyl]-5-methyl-2,4-(1H,3H)pyrimidinedione	7	1978	142
2231 (BH-58200)	4-Hydroxy-2(1H)-pyridinone (3-Deaza-uracil)	7	1978	143
2232	4-Amino-2(1H)-pyridinone (3-Deaza-cytosine)	7	1978	144
2544 (BJ76445)	2,4-Dichloro-6-ethyl-5-nitro-pyrimidine	9	1980	249
2545 (BJ76454)	2-(1-Ethyl-4(1H)-pyridinylidene-3-oxopentanenitrile	9	1980	251
2546 (BJ76463)	5-Nitro-N,N'-bis(phenylmethyl)-2,4-pyrimidinediamine	9	1980	254
2548 (BJ76481)	6-Ethyl-5-nitro-N,N'-bis(phenylmethyl)-2,4-pyrimidinediamine	9	1980	256

AM Number	Name	Annual Report Number	Year	Page
2553 (BJ-79035)	6-Ethyl-5-(1-piperidinyl)-2,4-(1H, 3H)pyrimidinedione	9	1980	257
2554 (BJ-79044)	5-(1,4-Dioxo-8-azaspiro[4,5]dec-8-yl)-6-ethyl-2,4(1H,3H)-pyrimidine-dione	9	1980	259
2555 (BJ-79053)	5-[(3-Ethoxy-3-oxopropyl)amino]-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidine-propanoic acid, ethyl ester, mono-hydrochloride	9	1980	260
2556 (BJ-79062)	6-Ethyl-5-(4-phenyl-1-piperazinyl)-2,4-(1H,3H)-pyrimidinedione	9	1980	261
2557 (BJ-82541)	5-(1,4-Dioxo-8-azaspiro[4,5]dec-8-yl)-6-methyl-2,4(1H,3H)pyrimidine-dione, hydrate (20:1)	9	1980	262
2558 (BJ-82550)	6-Methyl-5-(4-phenyl-1-piperazinyl)-2,4(1H,3H)-pyrimidinedione, hydrate (13:1)	9	1980	263
2565 (BJ-83353)	6-Methyl-5-(4-morpholinyl)-2,4-(1H, 3H)-pyrimidinedione, hydrate (20:1)	9	1980	264
2566 (BJ-83360)	6-Ethyl-5-(4-pyridinyl)-2,4-pyrimidinediamine	9	1980	266
2567 (BJ-83379)	6-Methyl-5-(4-phenyl-1-piperazinyl)-2,4-pyrimidinediamine, hydrochloride (5:1)	9	1980	268
2568 (BJ-83388)	6-Methyl-5-[4-(phenylmethyl)-1-piperazinyl]-2,4-(1H,3H)-pyrimidine-dione, compound with methanol (5:1)	9	1980	270

AM Number	Name	Annual Report Number	Year	Page
2569 (BJ-83397)	6-Ethyl-5-(4-phenyl-1-piperazinyl)-2,4-pyrimidinediamine	9	1980	271
2571 (BJ-83413)	6-Methyl-5-(4-morpholinyl)-2,4-pyrimidinediamine, hydrochloride (20:1)	9	1980	273
2576 (BJ-84330)	6-Ethyl-5-(4-morpholinyl)-2,4(1H,3H)-pyrimidinedione	9	1980	275
2577 (BJ-84358)	6-Ethyl-5-(4-morpholinyl)-2,4-pyrimidinediamine, hydrate (25:2)	9	1980	276
2578 (BJ-84358)	6-Ethyl-5-[4-(phenylmethyl)-1-piperazinyl]-2,4-(1H,3H)-pyrimidine-dione	9	1980	278
2579 (BJ-84367)	6-Ethyl-5-(4-thiomorpholinyl)-2,4-(1H,3H)-pyrimidinedione	9	1980	279
2580 (BJ-84376)	6-Ethyl-5-(4-thiomorpholinyl)-2,4-pyrimidinediamine, hydrate (25:2)	9	1980	280
2581 (BJ-85140)	6-Methyl-5-[4-(phenylmethyl)-1-piperazinyl]-2,4-pyrimidinediamine	10	1981	130
2583 (BJ-86218)	6-Chloro-5-(4-chlorophenyl)-2,4-pyrimidinediamine	10	1981	132
2584 (BJ-86227)	5-[4-(2,4-Dinitrophenyl)amino]-phenyl]-6-ethyl-2,4-pyrimidine-diamine	10	1981	135
2585 (BJ-86236)	2,4,6-Trichloro-5-phenylpyrimidine	10	1981	138
2586 (BJ-86245)	6-Ethyl-5-(1-piperidinyl)-2,4-pyrimidinediamine	10	1981	139
2587 (BJ-86254)	5-(3-Azabicyclo[3,2,2]non-3-yl)-6-ethyl-2,4(1H,3H)pyrimidinedione, hydrate (10:1)	10	1081	140

AM Number	Name	Annual Report Number	Year	Page
2588 (BJ-86263)	6-Ethyl-5-[4-(phenylmethyl)-1-piperazinyl]-2,4-pyrimidinediamine, hydrate (10:1)	10	1981	141
2590 (BJ-87162)	6-Chloro-5-phenyl-2,4-pyrimidine-diamine, hydrate (100:3)	10	1981	143
2591 (BJ-87171)	6-Hydroxy-5-(4-nitrophenyl)-2,4(1H, 3H)-pyrimidinedione	10	1981	144
2592 (BJ-87180)	6-Methyl-5-(1-piperidinyl)-2,4-pyrimidinediamine	10	1981	145
2593 (BJ-87199)	N ⁴ -Methyl-5-phenyl-2,4,6-pyrimidine-triamine	10	1981	147
2594 (BJ-87206)	3-(2,4-Dichloro-6-ethyl-5-pyrimidinyl)-3-azabicyclo[3,2,2]-nonane	10	1981	148
2599 (BJ-90883)	5-(4-Chlorophenyl)-N ⁴ -methyl-2,4,6-pyrimidinetriamine	10	1981	149
2600 (BJ-90892)	2-[[4-(2,4-Diamino-6-ethyl-5-pyrimidinyl)phenyl]amino]-5-nitrobenzene sulfonic acid, hydrate (10:7)	10	1981	150
2610 (BJ-92252)	6-Hydroxy-5-(1-piperidinyl)-2,4(1H, 3H)pyrimidinedione	10	1981	151
2611 (BJ-92261)	5-(3-Azabicyclo[3,2,2]non-3-yl)-6-ethyl-2,4-pyrimidinediamine	10	1981	153
2613 (BJ-92654)	6-Hydroxy-5-(4-phenyl-1-piperazinyl)-2,4(1H, 3H)pyrimidinedione	10	1981	154
2614 (BJ-92663)	6-Chloro-5-(4-phenyl-1-piperazinyl)-2,4-pyrimidinediamine	10	1981	156
2620 (BJ-93606)	6-Hydroxy-5-(4-thiomorpholinyl)-2,4-(1H, 3H)pyrimidinedione	10	1981	158
2621 (BJ-93615)	6-Chloro-5-(1-piperidinyl)-2,4-pyrimidinediamine	10	1981	160

AM Number	Name	Annual Report Number	Year	Page
2622 (BJ-93624)	N ⁴ -Methyl-5-(4-phenyl-1-piperazinyl)-2,4,6-pyrimidinetriamine, hydrate (4:1)	10	1981	162
2628 (BK-02002)	2,4,6-Trichloro-5-(4-thiomorpholinyl)pyrimidine	10	1981	163
2629 (BK-02011)	6-Chloro-5-(4-thiomorpholinyl)-2,4-pyrimidinediamine	10	1981	164
2630 (BK-02020)	6-Chloro-N ² -methyl-N ² -phenyl-5-(1-piperidinyl)-2,4-pyrimidinediamine	10	1981	165
2631 (BK-02799)	N ⁴ -Methyl-5-(4-thiomorpholinyl)-2,4,6-pyrimidinetriamine, hydrate (20:1)	10	1981	167
2632 (BK-02806)	11H-Pyrimido[5',4':4,5]pyrrolo-[3,2-c]quinoline-7,9-diamine, hydrate (100:9)	10	1981	168
2641 (BK-05174)	5-[4-(4-Chlorophenyl)-1-piperazinyl]-6-methyl-2,4-pyrimidinediamine	10	1981	170
2642 (BK-05183)	5-(3,4-Dihydro-2(1H)-isoquinolinyl)-6-ethyl-2,4-pyrimidinediamine, hydrate (20:1)	10	1981	172
2647 (BK-09305)	6-(1-Methylhydrazino)-2,4-pyrimidine-diamine	10	1981	174
2648 (BK-09314)	6-Methyl-5-(1-piperazinyl)-2,4-pyrimidinediamine, hydrate (100:91)	10	1981	175
2649 (BK-09323)	5-[4-(2,4-dinitrophenyl)-1-piperazinyl]-6-methyl-2,4-pyrimidine-diamine	10	1981	176
2650 (BK-12428)	6-Methyl-5-[4-(4-nitrophenyl)-1-piperazinyl]-2,4-pyrimidinediamine, hydrate (10:1)	10	1981	177

AM Number	Name	Annual Report Number	Year	Page
2655 (BK-12982)	6-[1-(2-(Diethylamino)ethyl)-hydrazino]-2,4-pyrimidinediamine, monohydrochloride	10	1981	178
2662 (BK-15214)	5-(Hexahydro-1(2H)-azocinyl)-6-methyl-2,4-pyrimidinediamine	10	1981	179
2663 (BK-15223)	6-[1-[2-(Diethylamino)ethyl]-2-[(2-nitrophenyl)-methylene]hydrazino]-2,4-pyrimidinediamine	10	1981	181
2664 (BK-15232)	5-(Azacyclotridec-1-yl)-6-methyl-2,4-pyrimidinediamine	10	1981	182
2673 (BK-16293)	5-[3-Azabicyclo[3,2,2]non-3-yl]-6-methyl-2,4-pyrimidinediamine	11	1982	173
2674 (BK-16300)	N-[4-[4-(2,4-Diamino-6-methyl-5-pyrimidinyl)-1-piperazinyl]benzoyl]-L-glutamic acid, diethyl ester	11	1982	175
2679 (BK-16953)	N-[4-[4-(2,4-Diamino-6-methyl-5-pyrimidinyl)-1-piperazinyl]benzoyl]-L-glutamic acid	11	1982	178
2680 (BK-16962)	N ⁵ -[(3,4-dichlorophenyl)methylene]-2,4,5-pyrimidinetriamine	11	1982	179
2681 (BK-17183)	N ⁵ -[(4-Bromophenyl)methylene]-2,4,5-pyrimidinetriamine	11	1982	181
2682 (BK-17192)	N ⁵ -[3,4-Dichlorophenyl)methyl]-2,4,5-pyrimidinetriamine	11	1982	182
2683 (BK-17209)	N ⁵ -[(4-Bromophenyl)methyl]-2,4,5-pyrimidinetriamine	11	1982	183
2699 (BK-21954)	N ⁵ -[(4-Bromophenyl)methyl]-N ⁵ -nitroso-2,4,5-pyrimidinetriamine	11	1982	184
2700 (BK-21963)	N-(2,4-Diamino-5-pyrimidinyl)-N-[(3,4-dichlorophenyl)methyl]-formamide	11	1982	185

AM Number	Name	Annual Report Number	Year	Page
2701 (BK-21972)	N-[(4-Bromophenyl)methyl]-N-(2,4-diamino-5-pyrimidinyl)formamide	11	1982	186
2702 (BK-21981)	4-[4-(2,4-Diamino-6-methyl-5-pyrimidinyl)-1-piperazinyl]benzoic acid, hydrochloride (10:11)	11	1982	187
2712 (BK-23154)	5-[[3,4-Dichlorophenyl]imino]methyl]-2,4-pyrimidinediamine	11	1982	188
2713 (BK-23163)	5-[[4-Bromophenyl]imino]methyl]-2,4-pyrimidinediamine	11	1982	190
2714 (BK-23172)	5-[[4-Bromophenyl]amino]methyl]-2,4-pyrimidinediamine	11	1982	191
2724 (BK-39536)	5-[[3,4,5-Trimethoxyphenyl]imino]methyl]-2,4-pyrimidinediamine	11	1982	192
2725 (BK-39545)	5-[[3,4,5-Trimethoxyphenyl]amino]methyl]-2,4-pyrimidinediamine	11	1982	193
903 (BK-15581)	5-[[3,4-Dichlorophenyl]amino]methyl]-2,4-pyrimidinediamine	11	1982	194

III. Aminoquinolines and Related Compounds

A. 8-Aminoquinolines

1. 7-Methylprimaquine

AM Number	Name	Annual Report Number	Year	Page
1581 (BE-11846)	N ⁴ -(7-Methyl-8-quinolinyl)-1,4-pentanediamine, phosphate (1:1)	3	1974	154
1593 (BE-12665)	5-Methoxy-2,1,3-benzothiadiazole	3	1974	156
1593	Improved Procedure	3	1974	158
1594 (BE-12674)	4-Methoxy-3-methyl-1,2-benzenediamine	3	1974	159
1595 (BD-99121)	4-(Chloromethyl)-5-methoxy-2,1,3-benzothiadiazole	3	1974	161
1597 (BD-99149)	5-Methoxy-4-methyl-2,1,3-benzothiadiazole	3	1974	162
1599 (BD-99167)	1,3-Dihydro-5-methoxy-2,1,3-benzothiadiazole, 2-oxide	3	1974	163
1631 (BE-16627)	N ⁴ -(6-Methoxy-7-methyl-8-quinolinyl)-1,4-pentanediamine, diphosphate	3	1974	164

2. Quinocide

AM Number	Name	Annual Report Number	Year	Page
1679 (BE-66770)	N ¹ -(6-Methoxy-8-quinolinyl)-1,4-pentanediamine, phosphate (1:1) (Quinocide monophosphate)	3	1974	167
1679-6B	N ¹ -(6-Methoxy-8-quinolinyl)-1,4-pentanediamine, phosphate (1:2) quinocide, diphosphate	4	1975	301

3. Oxygen Isostere of Primaquine

AM Number	Name	Annual Report Number	Year	Page
2034	5-Methoxy-7-benzofuranamine, mono-hydrochloride	6	1977	108
2114	N ⁴ -(5-Methoxy-7-benzofuranyl)-1,4-pentanediamine, phosphate (1:1)	6	1977	111

4. Miscellaneous Analogs

AM Number	Name	Annual Report Number	Year	Page
1794	N-(6-Methoxy-4-methyl-8-quinolinyl)-N'-(1-methylethyl)-1,8-octanediamine, dihydrochloride, dihydrate	4	1975	302
1796	1-[8-[(4-Amino-1-methylbutyl)amino]-6-methoxy-5-quinolinyl]-2,2,2-trifluoroethanone, ethanedioate (1:1) (salt)	4	1975	305
1809	N-(6-Methoxy-4-methyl-8-quinolinyl)-N'-(1-methylethyl)-1,7-heptanediamine, phosphate (1:2.1), monohydrate	4	1975	308
1882 (BG-56612)	4-[6-[(6-Methoxy-4-methyl-8-quinolinyl)amino]hexyl]-1-piperazine-ethanol	5	1976	340
2116	N,N-Diethyl-N'-(6-methoxy-4-methyl-8-quinolinyl)-N'-nitroso-1,6-hexanediamine, phosphate (1:2), hydrate (1:0.7)	6	1977	113

5. 8-Aminoquinoline N-oxides

AM Number	Name	Annual Report Number	Year	Page
1627 (BE-16583)	2,2,2-Trifluoro-N-[4-[(6-methoxy-8-quinolinyl)amino]pentyl]acetamide	3	1974	170
1634 (BE-17375)	2,2,2-Trifluoro-N-(6-methoxy-8-quinolinyl)acetamide, 1'-oxide	3	1974	171
1760	N ¹ ,N ¹ -Diethyl-N ⁴ -(6-methoxy-8-quinolinyl)-1,4-pentanediamine, N'-oxide, dihydrochloride, hydrate (1:0.3) Pamaquine-N-oxide	4	1975	311
1839	N,N-Diethyl-N'-(6-methoxy-4-methyl-8-quinolinyl)-1,6-hexanediamine, N-oxide, dihydrochloride compound with 2-propanol (1:0.1), hydrate (1:0.3)	4	1975	314
1862 (BG-46778)	2,2,2-Trifluoro-N-(6-methoxy-8-quinolinyl)-N-[1-methyl-4-(trifluoroacetyl)butyl]acetamide, N-oxide, compound with 2-propanol (1:0.1)	5	1976	343

B. 4-Aminoquinolines

AM Number	Name	Annual Report Number	Year	Page
1218	4-[(7-Chloro-4-quinolyl)amino]- α,α' -bis(diethylamino)-2,6-xyleneol	1	1972	408
1366 (BC-08590)	4-[(7-Chloro-4-quinolyl)amino]- α,α' -bis(diethylamino)-2,6-xyleneol, 1'-oxide	2	1973	384
1710	2-(4-Chlorophenyl)-2,4-cyclohexadiene-1,4-dione, 4-oxime	4	1975	365
1716	4-[(7-Chloro-4-quinolyl)amino]-2-[(diethylamino)methyl]-6-phenylphenol	4	1975	367
1716-2B	4-[(7-Chloro-4-quinolyl)amino]-2-[(diethylamino)methyl]-6-phenylphenol, dihydrochloride, monohydrate	4	1975	370
1725	4'-Chloro-5-[(7-chloro-4-quinolyl)amino]-3-[(diethylamino)methyl]-[1,1'-biphenyl]-2-ol	4	1975	371
1729	2-Phenyl-2,5-cyclohexadiene-1,4-dione, 4-oxime	4	1975	374
1739	4'-Chloro-5-[(7-chloro-4-quinolyl)amino]-3-[(diethylamino)methyl]-[1,1'-biphenyl]-2-ol, N ^w -oxide, hydrate (1:0.4)	4	1975	375
1824	4'-Chloro-5-[(7-chloro-4-quinolyl)amino]-3-[(diethylamino)methyl]-[1,1'-biphenyl]-2-ol, 1-oxide	4	1975	376
1880 (BG-56596)	4'-Chloro-3-[(1,1-dimethylethyl)amino]methyl]-5-nitro[1,1'-biphenyl]-2-ol	5	1976	345
1900 (BG-59793)	4'-Chloro-5-[(7-chloro-4-quinolyl)amino]-3-[(1,1-dimethylethyl)amino]methyl][1,1'-biphenyl]-2-ol	5	1976	347

AM Number	Name	Annual Report Number	Year	Page
1923 (BG-63493)	N-[3-(4-Chlorophenyl)-5-[[(1,1,-dimethylethyl)amino]methyl]-4-hydroxyphenyl]acetamide, phosphate (1:1)	5	1976	349
1933 (BG-66850)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[[(1,1-dimethylethyl)amino]-methyl]-[1,1'-biphenyl]-2-ol, N ^ω -oxide, monohydrate	5	1976	351
1942 (BG-70498)	2-Cyclohexyl-2,5-cyclohexadiene-1,4-dione, 4-oxime	5	1976	353
1944 (BG-70514)	N-[3-[(Diethylamino)methyl]-5-(1,1-dimethylethyl)-4-hydroxyphenyl]-acetamide, hydrochloride (1:1.45), hydrate (1:0.1)	5	1976	354
1959 (BG-72447)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1,1-dimethylethyl)phenol	5	1976	356
1966 (BG-74932)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1,1-dimethylethyl)phenol, N ^ω -oxide	5	1976	358
1967 (BG-74941)	4-[(7-Chloro-4-quinolinyl)amino]-2-cyclohexyl-6-[(diethylamino)methyl]-phenol	5	1976	360
1968 (BG-74950)	4-[(7-Chloro-4-quinolinyl)amino]-2-cyclohexyl-6-[(diethylamino)methyl]-phenol, N ^ω -oxide	5	1976	363
1974 (BG-78949)	N-[3-[(Diethylamino)methyl]-4-hydroxy-5-(phenylmethyl)phenyl]-acetamide	5	1976	365
1977 (BG-78976)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(phenylmethyl)phenol	5	1976	367
1978 (BG-78985)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(phenylmethyl)phenol, N ^ω -oxide	5	1976	369

AM Number	Name	Annual Report Number	Year	Page
2004 (BG-89273)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol, N ^ω -oxide, hydrate (1:0.9)	5	1976	371
2010 (BG-89120)	3'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol	5	1976	373
2011 (BG-89139)	3'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol, N ^ω -oxide	5	1976	376
2012 (BG-89148)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3'-(trifluoromethyl) [1,1'-biphenyl]-2-ol	5	1976	378
2013 (BG-89157)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3'-(trifluoromethyl) [1,1'-biphenyl]-2-ol, N ^ω -oxide	5	1976	381
2027 (BG-94818)	5-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol	5	1976	383
2028 (BG-94827)	2'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol, N ^ω -oxide	5	1976	386
2029 (BG-94836)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-methoxy-[1,1'-biphenyl]-2-ol, monohydrate	5	1976	388
2030 (BG-94845)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-methoxy-[1,1'-biphenyl]-2-ol, N ^ω -oxide	5	1976	391
2035	4'-Chloro-5-(8-chloro-3-methoxybenzo-[B][1,7]naphthyrid-5-yl)-3-[[1,1-dimethylethylamino)methyl] [1,1'-biphenyl]-2-ol, compound with 2-propanol (1:0.1), hydrochloride (1:2.1), hydrate (1:0.3)	6	1977	176

AM Number	Name	Annual Report Number	Year	Page
2039	4'-Chloro-5-[(2,3-dimethoxy-6-nitro-9-acridinyl)amino]-3-[[[(1,1-dimethyl-ethyl)amino]methyl] [1,1'-biphenyl]-2-ol, dihydrochloride	6	1977	178
2041	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2',5'-dimethoxy[1,1'-biphenyl]-2-ol, N ^w -oxide	6	1977	180
2042	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2',5'-dimethoxy[1,1'-biphenyl]-2-ol, hydrate (1:0.3)	6	1977	182
2043	4'-Chloro-5-[(6-chloro-2-methoxy-9-acridinyl)amino]-3-[[[(1,1-dimethyl-ethyl)amino]methyl] [1,1'-biphenyl]-2-ol, dihydrochloride, hydrate (1:1.2)	6	1977	185
2044	2-[(4-Chlorophenyl)thio]-4-[(7-chloro-4-quinolinyl)amino]-6-[(diethylamino)methyl]phenol	6	1977	187
2045	4-[(7-Chloro-4-quinolinyl)amino]-1-naphthalenol	6	1977	190
2049	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2-ol	6	1977	191
2050	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-(1-pyrrolidinylmethyl) [1,1'-biphenyl]-2-ol, dihydrochloride, compound with ethanol (1:0.1)	6	1977	195
2051	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-[[[(1,1-dimethyl-ethyl)amino]methyl] [1,1'-biphenyl]-2-ol N ^w -oxide, monohydrate	6	1977	197

AM Number	Name	Annual Report Number	Year	Page
2052	2-[(4-Chlorophenyl)thio]-4-[(7-chloro-4-quinolinyl)amino]-6-[(diethylamino)methyl]phenol, N ^w -oxide, hydrate (1:0.2)	6	1977	199
2053	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-[(diethylamino)-methyl] [1,1'-biphenyl]-2-ol, N ^w -oxide, hydrate (1:0.7)	6	1977	201
2054	4-Amino-2-[(diethylamino)methyl]-6-ethylphenol, dihydrochloride	6	1977	203
2055	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-ethylphenol	6	1977	206
2056	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-ethylphenol, N ^w -oxide	6	1977	208
2057	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1-methyl-ethyl)phenol	6	1977	210
2058	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-(1-pyrrolidinyl-methyl) [1,1'-biphenyl]-2-ol, N ^w -oxide, compound with N,N-dimethyl-formamide (1:0.1)	6	1977	213
2059	3',4'-Dichloro-5-[(7-chloro-4-quinolinyl)amino]-3-[[1,1-dimethyl-ethyl)amino]methyl] [1,1'-biphenyl]-2-ol, hydrate (1:0.4)	6	1977	215
2060	4-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-6-(1-naphthalenyl)phenol	6	1977	217
2061	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-methoxy-[1,1'-biphenyl]-2-ol	6	1977	221

AM Number	Name	Annual Report Number	Year	Page
2062	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1-naphthalenyl)phenol, N ^ω -oxide	6	1977	224
2063	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-methoxy-[1,1'-biphenyl]-2-ol, N ^ω -oxide	6	1977	226
2074	4-Amino-2-[(diethylamino)methyl]-6-methylphenol, dihydrochloride	6	1977	228
2075	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-methylphenol	6	1977	231
2076	N-[3-[(Diethylamino)methyl]-4-hydroxy-5-(1-methylethyl)phenyl]-acetamide	6	1977	232
2077	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1-methylethyl)phenol, N ^ω -oxide	6	1977	233
2078	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1-methylpropyl)phenol	6	1977	235
2079	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(1-methylpropyl)phenol, N ^ω -oxide	6	1977	238
2082	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-methylphenol, N ^ω -oxide	6	1977	239
2099	7-Chloro-N-[4'-[(diethylamino)methyl]-6-methoxy-[1,1'-biphenyl]-3-yl]-4-quinolinamine	6	1977	240
2117	7-Chloro-N-[5-[(diethylamino)methyl]-6-methoxy-[1,1'-biphenyl]-3-yl]-4-quinolinamine, dihydrochloride, hydrate (1:1.2)	6	1977	243

AM Number	Name	Annual Report Number	Year	Page
2118	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-methyl [1,1'-biphenyl]-2-ol, hydrate (1:0.1)	6	1977	246
2120	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-methyl [1,1'-biphenyl]-2-ol, N ^ω -oxide, hydrate (1:0.8)	6	1977	249
2121	7-Chloro-N-[5-[(diethylamino)methyl]-6-methoxy[1,1'-biphenyl]-3-yl]-4-quinolinamine, 1-oxide, compound with methylbenzene (1:0.2), hydrate (1:0.3)	6	1977	251
2128	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3',4'-dimethoxy-[1,1'-biphenyl]-2-ol, hemihydrate	6	1977	253
2129	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3',4'-dimethoxy[1,1'-biphenyl]-2-ol, N ^ω -oxide	6	1977	256
2147	4'-Chloro-5-[(7-Chloro-4-quinolinyl)-amino]-3-[[1-methylpropyl)amino]-methyl [1,1'-biphenyl]-2-ol, dihydrochloride	6	1977	258
2152	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[[1-methylpropyl)amino]-methyl [1,1'-biphenyl]-2-ol, N ^ω -oxide, hemihydrate	6	1977	260
2174	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[[2-methylpropyl)amino]-methyl [1,1'-biphenyl]-2-ol, dihydrochloride	6	1977	262
2187	5-[(7-Chloro-4-quinolinyl)amino]-3-[[1,1-dimethylethyl)amino]methyl [1,1'-biphenyl]-2-ol, monohydrate	6	1977	264

AM Number	Name	Annual Report Number	Year	Page
2188	5-[(7-Chloro-4-quinolinyl)amino]-3-[[(1,1-dimethylethyl)amino]methyl] [1,1'-biphenyl]-2-ol, N ^ω -oxide	6	1977	266
2199	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-(trifluoromethyl) [1,1'-biphenyl]-2-ol	6	1977	268
2200	5-[(7-Chloro-4-quinolinyl)amino]-3-[[(1,1-dimethylethyl)amino]methyl]-4'-(trifluoromethyl) [1,1'-biphenyl]-2-ol, dihydrochloride	6	1977	271
2201	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-(trifluoromethyl) [1,1'-biphenyl]-2-ol, N ^ω -oxide, monohydrate	6	1977	273
2283 (BH-72737)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-5,6,7,8-tetrahydro-1-naphthalenol	7	1978	73
2310 (BH-74044)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(dipropylamino)methyl]-[1,1'-biphenyl]-2-ol	7	1978	76
2313 (BH-76226)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(dimethylamino)methyl]-[1,1'-biphenyl]-2-ol	7	1978	78
2314 (BH-76235)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(dimethylamino)methyl]-[1,1'-biphenyl]-2-ol, N ^ω -oxide, hydrate (1:0.3)	7	1978	80
2316 (BH-76253)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(dibutylamino)methyl]-[1,1'-biphenyl]-2-ol	7	1978	81
2317 (BH-76262)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(dibutylamino)methyl]-[1,1'-biphenyl]-2-ol, N ^ω -oxide, monohydrate	7	1978	82

AM Number	Name	Annual Report Number	Year	Page
2319 (BH-81549)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-fluoro-[1,1'-biphenyl]-2-ol	7	1978	84
2322 (BH-81576)	7-Chloro-N-[4'-chloro-5-[(diethylamino)methyl]-6-ethoxy[1,1'-biphenyl]-3-yl]-4-quinolinamine	7	1978	87
2329 (BH-81852)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl] [1,1'-biphenyl]-2,4'-diol	7	1978	89
2330 (BH-81861)	4-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-fluoro-[1,1'-biphenyl]-2-ol, N ^w -oxide, hemihydrate	7	1978	92
2331 (BH-84031)	7-Chloro-N-[4'-chloro-5-[(diethylamino)methyl]-6-ethoxy[1,1'-biphenyl]-3-yl]-4-quinolinamine, 1-oxide, hydrate (1:03)	7	1978	94
2332 (BH-84040)	5-[(7-Chloro-4-quinolinyl)amino]-3-[[1,1-dimethylethyl)amino]methyl]-4'-fluoro[1,1'-biphenyl]-2-ol, hydrate (1:0.35)	7	1978	96
2333 (BH-84059)	4'-Chloro-5-[(7-chloro-4-quinolinyl)-amino]-3-[(cyclohexylamino)methyl]-[1,1'-biphenyl]-2-ol, compound with cyclohexane (1:0.1)	7	1978	98
2347 (BH-86571)	5-[(7-Chloro-4-quinolinyl)amino]-3-nitro-[1,1'-biphenyl]-2-ol, mono-hydrochloride	7	1978	101
2353 (BH-89134)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(2-pyridinyl)phenol, N ^w -oxide, hydrate (10:17)	7	1978	103
2354 (BH-89143)	4-[(7-Chloro-4-quincliny)amino]-2-[(diethylamino)methyl]-6-(2-pyridinyl)phenol, hydrate (10:3)	7	1978	106

AM Number	Name	Annual Report Number	Year	Page
2355 (BH-89152)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(3-pyridinyl)phenol	7	1978	108
2356 (BH-89750)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(4-pyridinyl)phenol, hydrate (10:3)	7	1978	112
2357 (BH-89769)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(4-pyridinyl)phenol, N ^w -oxide, hydrate (4:1)	7	1978	115
2360 (BH-89796)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-(trifluoromethyl)[1,1'-biphenyl]-2-ol	7	1978	117
2361 (BH-89803)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-(trifluoromethyl)[1,1'-biphenyl]-2-ol, N ^w -oxide	7	1978	121
2362 (BH-96228)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3'-fluoro-[1,1'-biphenyl]-2-ol	7	1978	123
2363 (BH-96237)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-3'-fluoro-[1,1'-biphenyl]-2-ol, N ^w -oxide, monohydrate	7	1978	126
2364 (BH-96246)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-(methylthio)-1,1'-biphenyl]-2-ol	7	1978	128
2365 (BH-96255)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-fluoro-[1,1'-biphenyl]-2-ol	7	1978	131
2366 (BH-96264)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-2'-fluoro-[1,1'-biphenyl]-2-ol, N ^w -oxide, 0.8 hydrate	7	1978	134

AM Number	Name	Annual Report Number	Year	Page
2367 (BH-96273)	5-[(7-Chloro-4-quinolinyl)amino]-3-[(diethylamino)methyl]-4'-(methylthio)-1,1'-biphenyl]-2-ol, N ^W -oxide, 0.2 hydrate	7	1978	136
2454 (BJ-39451)	4-[7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(2,3-difluorophenyl)phenol	8	1979	60
2455 (BJ-39460)	7-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(2,6-difluorophenyl)phenol	8	1979	64
2478 (BJ-45628)	4-[(7-Chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]-6-(pentafluorophenyl)phenol, compound with cyclohexane (3:2)	8	1979	68
2480 (BJ-45646)	2-(4-Chlorophenyl)-4-[(7-chloro-4-quinolinyl)amino]-6-[(tricyclo-[3.3.1.1 ^{3,7}]dec-1-ylamino)methyl]-phenol, compound with ethanol (4:1) hydrate (10:1)	8	1979	72
2656 (BK-12651)	4'-Chloro-6-[(7-chloro-4-quinolinyl)-amino]-4-[(diethylamino)methyl]-[1,1'-biphenyl]-3-ol	10	1981	184

C. Camoform Analogs

AM Number	Name	Annual Report Number	Year	Page
2456 (BJ-39782)	4-(1,1-Dimethylethyl)-2-(2-propenyl)-6-(1-pyrrolidinylmethyl)phenol, mono-hydrochloride, hydrate (4:1)	8	1979	253
2458 (BJ-39808)	3,3'-Bis[[(1,1-dimethylethyl)amino]-methyl]-5,5'-di-2-propenyl[1,1'-biphenyl]4,4'-diol, dihydrochloride, hydrate (5:6)	8	1979	255
2459 (BJ-39817)	3,3'-Dipropyl-5,5'-bis(1-pyrrolidinylmethyl)[1,1'-biphenyl]-4,4'-diol, dihydrochloride, hydrate (4:1)	8	1979	257
2465 (BJ-44603)	3,3'-Di-2-propenyl-5,5'-bis[(tricyclo[3.3.1.1.3.7]dec-1-ylamino)-methyl][1,1'-biphenyl]-4,4'-diol, hydrate (10:1)	8	1979	259
2492 (BJ-51724)	4,4'''-Dichloro-5',5''-bis(1-pyrrolidinylmethyl)[1,1':3',1'':3'',1'''-quaterphenyl]-4'',6'-diol	9	1980	230
2503 (BJ-57084)	4,4'-Oxybis[2-(2-propenyl)-6-(1-pyrrolidinylmethylphenol)]dihydrochloride	9	1980	234
2509 (BJ-58330)	4,4'-Oxybis[2-[(1,1-dimethylethyl)-amino]methyl]-6-(2-propenyl)-phenol], dihydrochloride	9	1980	236
2510 (BJ-58349)	4,4'-(1-Methylethylidene)bis[2-(2-propenyl)-6-(1-pyrrolidinylmethyl)-phenol]dihydrochloride, hydrate (20:7)	9	1980	238
2511 (BJ-58358)	4,4'-(1-Methylethylidene)bis[2-[(1,1-dimethylethyl)amino]methyl]-6-(2-propenyl)phenol], dihydrochloride	9	1980	241

AM Number	Name	Annual Report Number	Year	Page
2519 (BJ-58590)	5,5'-(1-Methylethylidene)bis[3-(1-pyrrolidinylmethyl) [1,1'-biphenyl]-2-ol], compound with ethanol (10:3)	9	1980	243
2520 (BJ-58607)	5,5'-(1-Methylethylidene)bis[3-[[(1,1-dimethylethyl)amino]methyl] [1,1'-biphenyl]-2-ol]	9	1980	245
2530 (BJ-63813)	1,1'-'[(4,4'-Diethoxy-5,5'-di-2-propenyl[1,1'-biphenyl]-3,3'-diyl)-bis(methylene)][pyrrolidine], dihydrochloride	9	1980	247

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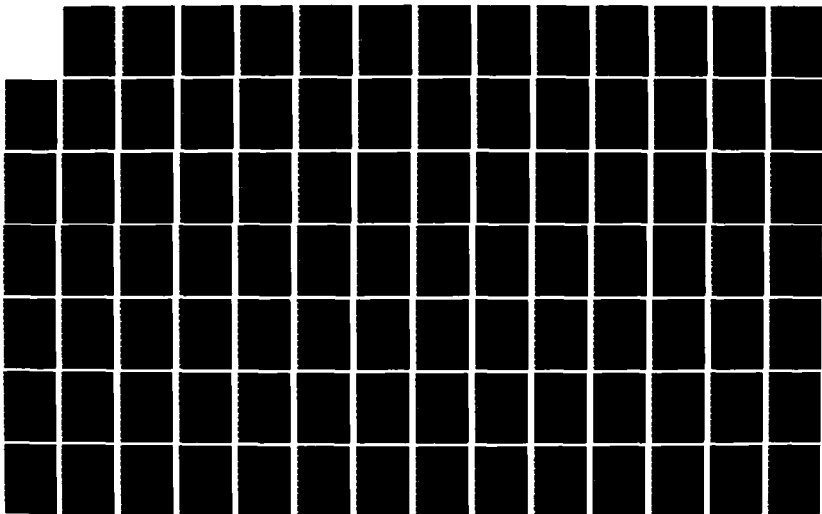
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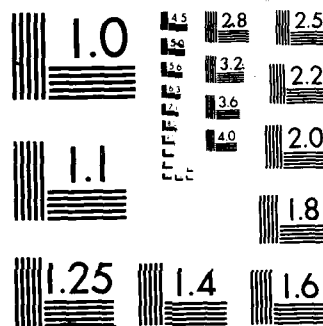
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XEROCOPY RESOLUTION TEST CHART

D. Indoloquinolines

AM Number	Name	Annual Report Number	Year	Page
2267 (BH-69847)	2-(4-Chloro-2-nitrophenyl)-1H-indole	7	1978	138
2351 (BH-8911)	3-Chloro-N,N-diethyl-1H-indolo- [3,2-c]quinoline-11-ethanamine, 5-oxide, hydrate (25:4)	7	1978	140
2442 (BJ-36914)	3-Chloro-N,N-diethyl-8-methoxy-1H- indolo[3,2-c]quinoline-9-methanamine	8	1979	241
2449 (BJ-36987)	N'-(7-Chloro-3-phenyl-4-quinolinyl)- N,N-diethyl-1,2-ethanediamine, dihydrochloride	8	1979	245
2466 (BJ-44612)	8-Methoxy-1H-indolo[3,2-c]quinoline, monohydrochloride, hydrate (10:7)	8	1979	248
2475 (BJ-45593)	2-Chloro-8-methoxy-1H-indolo[3,2-c]- quinoline, monohydrochloride	8	1979	251
2485 (BJ-46125)	3-Chloro-8-methoxy-1H-indolo[3,2-c]- quinoline	9	1980	175
2487 (BJ-46143)	3-Chloro-8-methoxy-N,N-dimethyl-1H- indolo[3,2-c]quinolin-11-ethanamine	9	1980	177
2489 (BJ-46161)	3-Chloro-11-[2-(diethylamino)ethyl]- 5,11-dihydro-6H-indolo[3,2-c]- quinolin-6-one	9	1980	179
2490 (BJ-46170)	3-Chloro-N,N-diethyl-1H-indolo- [3,2-c]quinolin-11-ethanamine	9	1980	180
2493 (BJ-51733)	2-Chloro-6-methyl-1H-indolo[3,2-c]- quinoline, hydrochloride (10:9), hydrate (5:1)	9	1980	181
2494 (BJ-51742)	6,11-Dihydro-8-methoxy-5H-benzo[a]- carbazole	9	1980	184
2495 (BJ-51751)	6,11-Dihydro-8-methoxy-[1]benzo- pyrano[4,3-b]indole	9	1980	185

AM Number	Name	Annual Report Number	Year	Page
2496 (BJ-51760)	6,11-Dihydro-8-methoxy[1]benzothio- pyrano[4,3- <u>b</u>]indole	9	1980	186
2497 (BJ-57020)	3-Chloro-6-methyl-11H-indolo[3,2- <u>c</u>]- quinoline, 5-oxide	9	1980	187
2498 (BJ-57039)	8-Methoxy-11H-benzo[a]carbazole	9	1980	189
2499 (BJ-57048)	5,6-Dihydro-8-methoxy-N,N-dimethyl- 11H-benzo[a]carbazole-11-ethanamine, monohydrochloride	9	1980	190
2504 (BJ-57806)	6-Chloro-3-methyl-1-(2-pyridinyl)-1H- indazole	9	1980	192
2505 (BJ-57815)	3-Chloro-6-phenyl-11H-indolo[3,2- <u>c</u>]- quinoline, 5-oxide	9	1980	194
2506 (BJ-57824)	8-Methoxy-N,N-dimethyl-11H-benzo[a]- carbazole-11-ethanamine, monohydro- chloride	9	1980	196
2513 (BJ-58376)	2-(4-Chlorophenyl)-N,N-dimethyl-1H- indole-1-ethanamine, monohydro- chloride	9	1980	197
2514 (BJ-58385)	3-Chloro-N,N-diethyl-8-nitro-11H- indolo[3,2- <u>c</u>]quinoline-11-ethanamine, 5-oxide, hydrochloride (10:19), hydrate (10:16)	9	1980	199
2515 (BJ-58394)	11-Benzoyl-3-chloro-6-phenyl-11H- indolo[3,2- <u>c</u>]quinoline, 5-oxide	9	1980	201
2527 (BJ-63788)	N,N-Dimethyl-11H-indolo[3,2- <u>c</u>]- quinoline-11-ethanamine, 5-oxide	9	1980	203
2528 (BJ-63797)	8-Methoxy-N,N-dimethyl-[1]benzothio- pyrano[4,3- <u>b</u>]indole-11-ethanamine, monohydrochloride	9	1980	206
2529 (BJ-63804)	3-Chloro-N,N-dimethyl-6-phenyl-11H- indolo[3,2- <u>c</u>]quinoline-11-ethanamine, 5-oxide	9	1980	208

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2531 (BJ-63822)	11H-Pyrido[3',2':4,5]pyrrolo[3,2-c]-quinoline	9	1980	210
2541 (BJ-76383)	8-Methoxy-11-methyl-11H-indolo[3,2-c]quinoline	9	1980	212
2542 (BJ-76392)	8-Methoxy-11-methyl-11H-indolo[3,2-c]quinoline, 5-oxide	9	1980	213
2560 (BJ-82854)	8-Chloro-11H-indolo[3,2-c]quinoline	9	1980	214
2561 (BJ-82863)	8-Methoxy-11-(3-methylbutyl)-11H-indolo[3,2-c]quinoline	9	1980	216
2562 (BJ-82872)	8-Methoxy-11-(3-methylbutyl)-11H-indolo[3,2-c]quinoline, 5-oxide	9	1980	218
2563 (BJ-82881)	8-Methoxy-11-(phenylmethyl)-11H-indolo[3,2-c]quinoline	9	1980	220
2564 (BJ-82890)	8-Methoxy-11-(phenylmethyl)-11H-indolo[3,2-c]quinoline, 5-oxide hydrochloride (10:11), hydrate (10:1)	9	1980	221
2570 (BJ-83404)	N,N-Diethyl-8-methoxy-11H-indolo[3,2-c]quinoline-11-ethanamine	9	1980	223
2572 (BJ-83440)	11-Butyl-8-methoxy-11H-indolo[3,2-c]quinoline	9	1980	225
2573 (BJ-83459)	N,N-Diethyl-11H-pyrido[3',2':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine	9	1980	226
2574 (BJ-83468)	8-Methoxy-N,N-dimethyl-11H-indolo[3,2-c]quinoline-11-propanamine	9	1980	228
2595 (BJ-90847)	2-Chloro-N,N-dimethyl-11H-indolo[3,2-c]quinoline-11-ethanamine-5-oxide	10	1981	78
2596 (BJ-90856)	3-Chloro-11H-indolo[3,2-c]quinoline, hydrate (20:1)	10	1981	83

AM Number	Name	Annual Report Number	Year	Page
2597 (BJ-90865)	8-Chloro-N,N-diethyl-11H-indolo- [3,2- <u>c</u>]quinoline-11-ethanamine	10	1981	84
2598 (BJ-90874)	3-Chloro-9-[(diethylamino)methyl]- N,N-diethyl-8-methoxy-11H-indolo- [3,2- <u>c</u>]quinoline-11-ethanamine	10	1981	86
2601 (BJ-91415)	3-Chloro-8,9,10,11-tetrahydro-7H- indolo[3,2- <u>c</u>]quinoline	10	1981	88
2602 (BJ-91424)	3-Chloro-N,N-diethyl-7,8,9,10-tetra- hydro-11H-indolo[3,2- <u>c</u>]quinoline-11- ethanamine	10	1981	90
2603 (BJ-91433)	2-Chloro-N,N-diethyl-6-methyl-11H- indolo[3,2- <u>c</u>]quinoline-11-ethanamine- 5-oxide, hydrate (5:1)	10	1981	92
2615 (BJ-92672)	3-Chloro-N,N-diethyl-7,8,9,10-tetra- hydro-11H-indolo[3,2- <u>c</u>]quinoline-11- ethanamine, N,5-dioxide	10	1981	95
2623 (BJ-93633)	3,8-Dichloro-N,N-dimethyl-11H-indolo- [3,2- <u>c</u>]quinoline-11-propanamine, 5-oxide	10	1981	96
2624 (BJ-93642)	3,8-Dichloro-N,N-diethyl-11H-indolo- [3,2- <u>c</u>]quinoline-11-ethanamine-5- oxide, hydrate (5:1)	10	1981	99
2633 (BK-02815)	N,N-Diethyl-11H-indolo[3,2- <u>c</u>]- quinoline-11-ethanamine	10	1981	101
2634 (BK-02824)	3-Chloro-N,N-dimethyl-11H-indolo- [3,2- <u>c</u>]quinoline-11-ethanamine-5- oxide, hydrate (5:1)	10	1981	103
2635 (BK-02833)	3-Chloro-N,N-diethyl-8-methoxy-11H- indolo[3,2- <u>c</u>]quinoline-11-ethanamine	10	1981	105
2636 (BK-02842)	3-Chloro-11-[2-(1-piperidinyl)ethyl]- 11H-indolo[3,2- <u>c</u>]quinoline-5-oxide, hydrate (5:2)	10	1981	106

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2637 (BK-02851)	N,N-Diethyl-11H-indolo[3,2-c]-quinoline-11-ethanamine, N,5-dioxide, hydrate (10:13)	10	1981	108
2638 (BK-02860)	3-Chloro-11-(3-methylbutyl)-11H-indolo[3,2-c]quinoline-5-oxide)-hydrate (5:1)	10	1981	109
2639 (BK-02879)	3-Chloro-13H-pyrrolo[3,2-c:4,5-c']-diquinoline, hydrate (10:1)	10	1981	111
2640 (BK-05165)	3-Chloro-N,N-diethyl-8-methoxy-11H-indolo[3,2-c]quinoline-11-ethanamine-N,5-dioxide, hydrate (5:11)	10	1981	112
2643 (BK-05192)	3-Chloro-8-(1,1-dimethylethyl)-8,9,10,11-tetrahydro-7H-indolo[3,2-c]-quinoline	10	1981	113
2651 (BK-12437)	2-Chloro-11H-pyrido[3',2':4,5]-pyrrolo[3,2-c]quinoline	10	1981	114
2652 (BK-12446)	3-Chloro-11H-pyrido[3',2':4,5]-pyrrolo[3,2-c]quinoline	10	1981	115
2654 (BK-12464)	11-Methyl-11H-pyrido[3',2':4,5]-pyrrolo[3,2-c]quinoline	10	1981	116
2657 (BK-12991)	3-Chloro-8-methoxy-5-methyl-11H-indolo[3,2-c]quinolinium, iodide, hydrate (5:1)	10	1981	118
2658 (BK-13005)	3-Chloro-11-methyl-11H-indolo[3,2-c]-quinoline-5-oxide	10	1981	120
2659 (BK-13014)	3-Chloro-N,N-diethyl-11H-pyrido[3',2':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine	10	1981	122
2660 (BK-13023)	2-Chloro-N,N-diethyl-11H-pyrido[3',2':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine	10	1981	124

AM Number	Name	Annual Report Number	Year	Page
2661 (BK-13032)	3-Chloro-6,11-dihydro-5-[(4-methyl-phenyl)sulfonyl]-11-phenyl-5H-indolo-[3,2- <u>c</u>]quinoline	10	1981	126
2665 (BK-15241)	3-Chloro-8-methoxy-5-methyl-5H-indolo-[3,2- <u>c</u>]quinoline, monohydrate	10	1981	128
2668 (BK-16248)	3-Bromo-11H-indolo[3,2- <u>c</u>]quinoline	11	1982	90
2669 (BK-16257)	3-Fluoro-11H-indolo[3,2- <u>c</u>]quinoline	11	1982	93
2670 (BK-16266)	3-Chloro-11-methyl-11H-indolo[3,2- <u>c</u>]-quinoline	11	1982	96
2671 (BK-16275)	3-Bromo-N,N-diethyl-11H-indolo-[3,2- <u>c</u>]quinoline-11-ethanamine	11	1982	97
2672 (BK-16284)	N,N-Diethyl-3-fluoro-11H-indolo-[3,2- <u>c</u>]quinoline-11-ethanamine	11	1982	98
2675 (BK-16319)	3-Chloro-N,N-diethyl-10H-pyrido-[3',2':4,5]pyrrolo[3,2- <u>c</u>]quinoline-10-ethanamine, hydrochloride (10:21)	11	1982	99
2676 (BK-16926)	3-Bromo-N,N-diethyl-11H-indolo-[3,2- <u>c</u>]quinoline-11-ethanamine, N,5-dioxide	11	1982	101
2677 (BK-16935)	4-Methoxy-11H-indolo[3,2- <u>c</u>]quinoline	11	1982	103
2678 (BK-16944)	N,N-Diethyl-3-fluoro-11H-indolo-[3,2- <u>c</u>]quinoline-11-ethanamine-N,5-dioxide	11	1982	106
2684 (BK-21105)	7-Chloro-3-methyl-1H-pyrrolo[3,2- <u>c</u>]-quinoline	11	1982	108
2685 (BK-21114)	1-Chloro-11H-indolo[3,2- <u>c</u>]quinoline	11	1982	110

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2686 (BK-21123)	3-Chloro-11,12-dihydro-indeno[1',2':4,5]pyrrolo[3,2-c]quinoline, hydrate (10:1)	11	1982	112
2687 (BK-21132)	1,3-Dihydro-2H-inden-2-one, (7-chloro-4-quinolinyl)hydrazone	11	1982	114
2688 (BK-21141)	1-(Benzoylmethyl)-2-[[2-(diethylamino)ethyl]amino]pyridinium, perchlorate	11	1982	115
2689 (BK-21150)	3,6-Dichloro-N,N-diethyl-11H-indolo[3,2-c]quinoline-11-ethanamine	11	1982	117
2690 (BK-21169)	1,4-Cyclohexanedione, 1,4-bis(7-chloro-4-quinolinyl)hydrazone	11	1982	119
2691 (BK-21178)	3-Chloro-11H-indolo[3,2-c]quinoline-5-oxide	11	1982	120
2692 (BK-21187)	3-Chloro-8,9,10,11-tetrahydro-8-(phenylmethyl)-7H-pyrido[3',4':4,5]-pyrrolo[3,2-c]quinoline	11	1982	121
2693 (BK-21196)	N,N-Diethyl-3-fluoro-11H-indolo[3,2-c]quinoline-11-ethanamine, 5-oxide	11	1982	122
2695 (BK-21212)	3,10-Dichloro-13H-pyrrolo[3,2-C:4,5-C']diquinoline, hydrate (10:7), compound with ethanol (15:1)	11	1982	214
2696 (BK-21221)	3-Chloro-11-phenyl-11H-indolo[3,2-c]-quinoline	11	1982	126
2697 (BK-21936)	7-Chloro-N,N-diethyl-2-methyl-1H-pyrrolo[3,2-c]quinoline-3-ethanamine, hydrate (10:1)	11	1982	128
2698 (BK-21945)	3-Chloro-5-methyl-11-phenyl-11H-indolo[3,2-c]quinolinium, iodide	11	1982	130
2703 (BK-21990)	3-Chloro-5,11-dihydro-5-methyl-indeno[1',2':4,5]pyrrolo[3,2-c]-quinoline, monohydriodide, hydrate (10:1)	11	1982	132

AM Number	Name	Annual Report Number	Year	Page
2704 (BK-22004)	3-Chloro-N,N-diethyl-8-methoxy-11H-indolo[3,2-c]quinoline-11-ethanamine, 5-oxide	11	1982	134
2705 (BK-22013)	N,N-Diethyl-4-methoxy-5H-indolo[3,2-c]quinoline-5-ethanamine	11	1982	136
2706 (BK-22022)	N,N-Diethyl-4-methoxy-11H-indolo[3,2-c]quinoline-11-ethanamine	11	1982	136
2707 (BK-22031)	N,N-Diethyl-4-methoxy-11H-indolo[3,2-c]quinoline-11-ethanamine-N,5-dioxide	11	1982	139
2708 (BK-22406)	3-Chloro-N,N-diethyl-7,8,9,10-tetrahydro-8-(phenylmethyl)-11H-pyrido[3',4':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine	11	1982	139
2709 (BK-22522)	3-Chloro-11-phenyl-11H-indolo[3,2-c]quinoline-5-oxide, hydrate (5:2)	11	1982	141
2710 (BK-22504)	N'-[3-Chloro-11-[2-(diethylamino)ethyl]-11H-indolo[3,2-c]quinolin-6-yl]-N,N-diethyl-1,2-ethanediamine	11	1982	143
2711 (BK-22513)	3-Chloro-8-(1,1-dimethylethyl)-11H-indolo[3,2-c]quinoline	11	1982	145
2715 (BK-23627)	3-Chloro-8-(1,1-dimethylethyl)-N,N-diethyl-11H-indolo[3,2-c]quinoline-11-ethanamine	11	1982	147
2716 (BK-23636)	2-(4-Chloro-2-nitrophenyl)-1-[2-(diethylamino)ethyl]-1H-indole-3-carboxaldehyde	11	1982	149
2717 (BK-23645)	3-Chloro-N,N-diethyl-11H-pyrido[3',2':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine-N, 5-dioxide	11	1982	151
2718 (BK-23654)	3-Chloro-8-(methylthio)-11H-indolo[3,2-c]quinoline	11	1982	153

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2719 (BK-23663)	3-Chloro-5-methyl-8-(methylthio)-5H-indolo[3,2-c]quinoline, hydrate (5:4)	11	1982	155
2720 (BK-23672)	3-Chloro-8-(1,1-dimethylethyl)-11-ethenyl-11H-indolo[3,2-c]quinoline-5-oxide	11	1982	157
2721 (BK-39509)	3-Chloro-N,N-diethyl-11H-pyrido[3',2':4,5]pyrrolo[3,2-c]quinoline-11-ethanamine, 5-oxide	11	1982	159
2722 (BK-39518)	3-Chloro-8-(1,1-dimethylethyl)-N,N-diethyl-11H-indolo[3,2-c]quinoline-11-ethanamine, N,5-dioxide, hydrate (5:11)	11	1982	161
2723 (BK-39527)	5-Chloro-2(1H-indol-2-yl)benzenamine	11	1982	163
2727	7-Chloro-2,3-dihydro-4(1H)quinolinone	11	1982	164
2728	3-Chloro-N,N-diethyl-7,12-dihydro-13H-benz[5,6]indolo[3,2-c]quinoline-13-ethanamine	11	1982	165
2729	3-Chloro-7,12-dihydro-5-methyl-5H-benz[5,6]indolo[3,2-c]quinoline	11	1982	167
2730	3-Chloro-7,12-dihydro-5,13-dimethyl-5H-benz[5,6]indolo[3,2-c]quinolin-13-ium, iodide, hydrate (25:1)	11	1982	168
2731	6-Chloro-N,N-diethyl-9H-indolo[3,2-c]tetrazolo[1,5-a]quinoline-9-ethanamine	11	1982	169
2624 (BK-45981)	3,8-Dichloro-N,N-diethyl-11H-indolo[3,2-c]quinoline-11-ethanamine-5-oxide, hydrate (5:3)	11	1982	171

IV. Tetrazines

A. 3-Amino-6-aryl-1,2,4,5-tetrazines and 3-amino-5-aryl-4H-1,2,4-triazoles

AM Number	Name	Annual Report Number	Year	Page
1555 (BD-57838)	3-Bromo-6-(4-chlorophenyl)-1,2,4,5-tetrazine	3	1974	255
1557 (BD-57856)	6-(4-Chlorophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	3	1974	257
1558 (BD-57865)	6-(4-Chlorophenyl)-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	3	1974	258
1565 (BD-59038)	1,2-Diacetyl-6-(4-chlorophenyl)-1,2-dihydro-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	3	1974	259
1583 (BE-11864)	5-(4-Chlorophenyl)-N,N-dimethyl-4H-1,2,4-triazol-3-amine	3	1974	260
1606 (BE-13966)	3-(4-Chlorophenyl)-6-(4-methyl-1-piperazinyl)-1,2,4,5-tetrazine	3	1974	261
1638 (BE-18185)	3-(4-Chlorophenyl)-6-(1-pyrrolidinyl)-1,2,4,5-tetrazine	3	1974	262
1639 (BE-18194)	3-(4-Chlorophenyl)-6-(1-piperidinyl)-1,2,4,5-tetrazine	3	1974	263
1640 (BE-18201)	3-(4-Chlorophenyl)-6-(4-morpholinyl)-1,2,4,5-tetrazine	3	1974	264
1642 (BE-18229)	N'-[6-(4-Chlorophenyl)-1,2,4,5-tetrazin-3-yl]-N,N-diethyl-1,2-ethanediamine	3	1974	265
1643 (BE-18238)	6-(4-Chlorophenyl)-N-(1-ethyl-3-piperidinyl)-1,2,4,5-tetrazin-3-amine	3	1974	266
1644 (BE-18247)	N-[6-(4-Chlorophenyl)-1,2,4,5-tetrazin-3-yl]-N',N'-diethyl-N-methyl-1,2-ethanediamine	3	1974	267

AM Number	Name	Annual Report Number	Year	Page
1647 (BE-19128)	6-(4-Chlorophenyl)-N-ethyl-1,2,4,5-tetrazin-3-amine	3	1974	268
1648 (BE-19137)	6-(3,4-Dichlorophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	3	1974	269
1649 (BE-19146)	6-(3,4-Dichlorophenyl)- <u>N,N</u> -dimethyl-1,2,4,5-tetrazin-3-amine	3	1974	270
1653 (BE-19691)	N-Methyl-6-(2-naphthalenyl)-1,2,4,5-tetrazin-3-amine	3	1974	273
1654 (BE-19708)	<u>N,N</u> -Dimethyl-6-(2-naphthalenyl)-1,2,4,5-tetrazin-3-amine	3	1974	275
1656 (BE-19726)	3-(3,4-Dichlorophenyl)-6-(4-methyl-1-piperazinyl)-1,2,4,5-tetrazine	3	1974	276
1657 (BE-19735)	N'-[6-(3,4-Dichlorophenyl)-1,2,4,5-tetrazin-3-yl]- <u>N,N</u> -diethyl-1,2-ethanediamine	3	1974	277
1658 (BE-19744)	3-(4-Methyl-1-piperazinyl)-6-(2-naphthalenyl)-1,2,4,5-tetrazine	3	1974	278
1659 (BE-19753)	<u>N,N</u> -Diethyl-N'-[6-(2-naphthalenyl)-1,2,4,5-tetrazin-3-yl]-1,2-ethanediamine	3	1974	279
1660 (BE-50209)	2-(2-Naphthalenylmethylene)hydrazine-carboximidamide, mononitrate	3	1974	280

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1692	6-(4-Chlorophenyl)-1,2,4,5-tetrazin-3-amine	4	1975	158
1697	6-(3-Bromophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	4	1975	159
1706	6-(3-Bromophenyl)-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	4	1975	162
1708	6-(4-Chlorophenyl)-N-(3,4-dichlorophenyl)-1,2,4,5-tetrazin-3-amine	4	1975	163
1709	6-(4-Chlorophenyl)-N,N-diethyl-1,2,4,5-tetrazin-3-amine	4	1975	164
1712	3-(3,5-Dichlorophenyl)-6-methoxy-1,2,4,5-tetrazine	4	1975	165
1723	6-(4-Chlorophenyl)-N,N-dipropyl-1,2,4,5-tetrazin-3-amine	4	1975	167
1724	3-(4-Chlorophenyl)-6-hydrazino-1,2,4,5-tetrazine	4	1975	168
1727	6-(3,4-Dichlorophenyl)-N-methyl-N-(1-methylethyl)-1,2,4,5-tetrazin-3-amine	4	1975	169
1740	6-(4-Chlorophenyl)-N-[3-[(diethylamino)methyl]-4-methoxyphenyl]-1,2,4,5-tetrazin-3-amine	4	1975	170
1751	6-(4-Chlorophenyl)-N-[(3,4-dichlorophenyl)methyl]-1,2,4,5-tetrazin-3-amine	4	1975	171
1755	3-(4-Chlorophenyl)-7-(2,2-dimethylhydrazino)-1,2,4,5-tetrazine	4	1975	172
1777	2,2'-Bis[3,4-dichlorophenyl)-methylene]carbonic dihydrazide	4	1975	173

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1779	2-[(3,4-Dichlorophenyl)methylene]-carbonothioic dihydrazide	4	1975	175
1782	3,4-Dichlorobenzoic acid, (2,2,2-trifluoroethylidene)hydrazide	4	1975	176
1783	5-(3,4-Dichlorophenyl)-1,3,4-oxadiazol-2(3H)-one	4	1975	178
1790	6-(3-Bromophenyl)-N-[3-[(diethylamino)methyl]-4-methoxyphenyl]-1,2,4,5-tetrazin-3-amine	4	1975	179
1795	1,4,5,6-Tetrahydro-6-(phenylmethyl)-2H-1,2,4,5-tetrazine-3-thione	4	1975	180
1798	6-(3,4-Dichlorophenyl)-1,2,4,5-tetrazin-3-amine	4	1975	181
1805	3,4-Dichlorobenzoic acid, 2-(hydrazinocarbonyl)hydrazide	4	1975	182
1808	3,4-Dichlorobenzoic acid, 2-[(dimethylamino)carbonyl]hydrazide	4	1975	183
1821	4-Amino-5-(3,4-dichlorophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one	4	1975	184
1822	2,2'-Bis(2-phenylethylene)carbonic dihydrazide	4	1975	186
1833	3,4-Dichlorobenzoic acid, 2-[(2,2-dimethylhydrazino)carbonyl]hydrazide	4	1975	187
1837	5-(3,4-Dichlorophenyl)-4-[[3,4-dichlorophenyl)methylene]amino]-2,4-dihydro-3H-1,2,4-triazol-3-one	4	1975	188
1840	4-Amino-5-(3,4-dichlorophenyl)-2,4-dihydro-3H-1,2,4-triazole-3-thione	4	1975	189
1875 (BG-56041)	[[[4-(Dimethylamino)phenyl]thioxomethyl]thio]acetic acid	5	1976	141

AM Number	Name	Annual Report Number	Year	Page
1883 (BG-56621)	[[Thioxo(3,4,5-trimethoxyphenyl)-methyl]thio]acetic acid	5	1976	143
1887 (BG-58198)	[[(4-Methylphenyl)thioxomethyl]thio]-acetic acid	5	1976	145
1888 (BG-58205)	[[(4-Methoxyphenyl)thioxomethyl]-thio]acetic acid	5	1976	147
1889 (BG-58214)	[[Thioxo- [3-(trifluoromethyl)phenyl]-methyl]thio]acetic acid	5	1976	149
1894 (BG-58289)	N-Methyl-6-(3,4,5-trimethoxyphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	151
1895 (BG-58278)	N-Butyl-6-(3,4-dichlorophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	152
1896 (BG-58287)	N,N-Dimethyl-6-(3,4,5-trimethoxyphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	155
1901 (BG-59800)	6-(4-Methylphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	157
1902 (BG-59819)	N-Methyl-6-(4-methylphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	158
1903 (BG-59828)	N,N-Dimethyl-6-(4-methylphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	159
1904 (BG-59837)	6-(3,4,5-Trimethoxyphenyl)-1,2,4,5-tetrazin-3-amine	5	1976	161
1905 (BG-59846)	N'-[6-(3,4-Dichlorophenyl)-1,2,4,5-tetrazin-3-yl]-N,N-dimethyl-1,3-propanediamine	5	1976	162
1910 (BG-60787)	6-[(3-Trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	163
1911 (BG-60796)	N-Methyl-6-[3-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	164
1912 (BG-60803)	N,N-Dimethyl-6-[3-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	165

AM Number	Name	Annual Report Number	Year	Page
1917 (BG-60858)	6-(4-Methoxyphenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	167
1918 (BG-60867)	6-(4-Methoxyphenyl)-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	5	1976	168
1919 (BG-60876)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-(4-methylphenyl)-1,2,4,5-tetrazin-3-amine, monohydrochloride	5	1976	170
1926 (BG-63528)	6-(3,4-Dichlorophenyl)-N-ethyl-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	171
1927 (BG-63537)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-(4-methoxyphenyl)-1,2,4,5-tetrazin-3-amine, monohydrochloride	5	1976	172
1929 (BG-63555)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-(3,4,5-trimethoxyphenyl)-1,2,4,5-tetrazin-3-amine, monohydrochloride, monohydrate	5	1976	173
1931 (BG-63573)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-[3-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine, hydrate (1:0.1)	5	1976	175
1932 (BG-63582)	[[(2-Methylphenyl)thioxomethyl]thio]-acetic acid	5	1976	177
1934 (BG-66869)	6-(3,4-Dichlorophenyl)-N-[3-[(diethylamino)methyl]-4-methoxyphenyl]-1,2,4,5-tetrazin-3-amine, monohydrochloride	5	1976	179
1947 (BG-70541)	6-(4-Methoxyphenyl)-1,2,4,5-tetrazin-3-amine, hydrate (1:0.5)	5	1976	180
1948 (BG-70952)	N,N-Dimethyl-6-(4-nitrophenyl)-1,2,4,5-tetrazin-3-amine	5	1976	181
1958 (BG-72438)	[[(4-Fluorophenyl)thioxomethyl]thio]-acetic acid	5	1976	184

AM Number	Name	Annual Report Number	Year	Page
1975 (BG-78958)	[[Thioxo[4-(trifluoromethyl)phenyl]-methyl]thio]acetic acid	5	1976	186
1976 (BG-78967)	6-(4-Bromophenyl)-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	5	1976	188
1979 (BG-78994)	6-(4-Bromophenyl)-1,2,4,5-tetrazin-3-amine	5	1976	191
1980 (BG-79008)	6-(4-Bromophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	192
1981 (BG-81473)	6-[4-(Trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	193
1982 (BG-81482)	N-Methyl-6-[4-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	194
1983 (BG-81491)	N,N-Dimethyl-6-[4-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	195
1987 (BG-81535)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-[4-(trifluoromethyl)phenyl]-1,2,4,5-tetrazin-3-amine	5	1976	197
1988 (BG-81544)	6-(4-Fluorophenyl)-1,2,4,5-tetrazin-3-amine	5	1976	198
1989 (BG-81553)	6-(4-Fluorophenyl)-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	199
1990 (BG-81562)	6-(4-Fluorophenyl)-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	5	1976	200
1993 (BG-81802)	N-[4-[6-(Dimethylamino)-1,2,4,5-tetrazin-3-yl]phenyl]acetamide	5	1976	202
1994 (BG-81811)	6-[(1,1'-Biphenyl)-3-yl]-1,2,4,5-tetrazin-3-amine	5	1976	205
1995 (BG-81820)	[[[(1,1'-Biphenyl)-3-yl]thioxomethyl]-thio]acetic acid	5	1976	206

AM Number	Name	Annual Report Number	Year	Page
1996 (BG-81839)	6-[(1,1'-Biphenyl)-3-yl]-N-methyl-1,2,4,5-tetrazin-3-amine	5	1976	209
1998 (BG-81857)	6-[(1,1'-Biphenyl)-3-yl]-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	5	1976	210
1999 (BG-81866)	6-[(1,1'-Biphenyl)-3-yl]-N-[3-[(diethylamino)methyl]-4-methoxyphenyl]-1,2,4,5-tetrazin-3-amine	5	1976	212
2001 (BG-89246)	6-[4-(Dimethylamino)phenyl]-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	5	1976	213

2. 3,6-Dithio-1,2,4,5-Tetrazines and Derivatives

AM Number	Name	Annual Report Number	Year	Page
1759	3,6-Bis(methylthio)-1,2,4,5-tetrazine	4	1975	191
1766	Hexahydro-1,2,4,5-tetrazine-3,6-dithione	4	1975	193
1769	N,N-Dimethyl-6-(methylthio)-1,2,4,5-tetrazin-3-amine	4	1975	194
1770	3,6-Bis[[(3,4-dichlorophenyl)methyl]-thio]-1,4-dihydro-1,2,4,5-tetrazine	4	1975	196
1772	3,6-Bis[[(3,4-dichlorophenyl)methyl]-thio]-1,2,4,5-tetrazine	4	1975	197
1773	6-[[[(3,4-Dichlorophenyl)methyl]thio]-N,N-dimethyl-1,2,4,5-tetrazine-3-amine	4	1975	199
1778	N'-(3,4-Dichlorophenyl)-N,N-dimethyl-1,2,4,5-tetrazine-3,6-diamine	4	1975	200
1780	N-[(3,4-Dichlorophenyl)methyl]-6-(methylthio)-1,2,4,5-tetrazin-3-amine	4	1975	202
1781	N'-[(3,4-Dichlorophenyl)methyl]-N,N-dimethyl-1,2,4,5-tetrazine-3,6-diamine	4	1975	203
1788	N-(3,4-Dichlorophenyl)-N'-methyl-1,2,4,5-tetrazin-3,6-diamine	4	1975	204
1789	6-[[[(3,4-Dichlorophenyl)methyl]thio]-N-methyl-1,2,4,5-tetrazin-3-amine	4	1975	205
1791	6-[[[(3,4-Dichlorophenyl)methyl]thio]-1,2,4,5-tetrazin-3-amine	4	1975	206
1792	6-[[[(3,4-Dichlorophenyl)methyl]-sulfinyl]-N,N-dimethyl-1,2,4,5-tetrazin-3-amine	4	1975	207
1799	1,1'-[Dithiobis(methylene)]bis[3,4-dichlorobenzene]	4	1975	208

AM Number	Name	Annual Report Number	Year	Page
1806	N-(3,4-Dichlorophenyl)-1,2,4,5-tetrazine-3,6-diamine	4	1975	209
1815	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-6-(methylthio)-1,2,4,5-tetrazine-3-amine	4	1975	210
1817	N'-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-N,N-dimethyl-1,2,4,5-tetrazine-3,6-diamine	4	1975	212
1826	N-[(3,4-Dichlorophenyl)methyl]-N'-methyl-1,2,4,5-tetrazine-3,6-diamine	4	1975	213
1992 (BG-81795)	6-[(4-Chlorophenyl)thio]-N,N-dimethyl-1,2,4,5-tetrazine-3-amine	5	1976	230

3. 5-(3,4-Dichlorophenyl)-1,2,4-triazine-3-amines

AM Number	Name	Annual Report Number	Year	Page
1784	5-(3,4-Dichlorophenyl)-1,2,4-triazine-3-amine	4	1975	214
1785	5-(3,4-Dichlorophenyl)-N,N-dimethyl-1,2,4-triazine-3-amine, monohydriodide	4	1975	216
1786	5-[3,4-Dichlorophenyl]-1,2,4-triazine-3-thiol	4	1975	218
1811	5-(3,4-Dichlorophenyl)-N-[(diethylamino)methyl]-4-methoxyphenyl]-1,2,4-triazine-3-amine, monohydrochloride, hydrate (1:0.2)	4	1975	219
1827	5-(3,4-Dichlorophenyl)-N-methyl-1,2,4-triazine-3-amine	4	1975	221

4. 6-(3,4-Dichlorophenyl)-1,2,4-triazin-3-amines

AM Number	Name	Annual Report Number	Year	Page
1836	6-(3,4-Dichlorophenyl)-1,2,4-triazin-3-amine	4	1975	222
1841	6-(3,4-Dichlorophenyl)-N,N-dimethyl-1,2,4-triazin-3-amine	4	1975	223
1846	6-(3,4-Dichlorophenyl)-N-methyl-1,2,4-triazin-3-amine	4	1975	225
1860 (BG-46750)	6-[4-(4-methyl-1-piperazinyl)phenyl]-1,2,4-triazin-3-amine	5	1976	214

5. 3-Amino-6-phenylpyridazines

AM Number	Name	Annual Report Number	Year	Page
1831	6-(3,4-Dichlorophenyl)-4,5-dihydro-3(2H)pyridazinone	4	1975	227
1832	6-(3,4-Dichlorophenyl)-3(2H)-pyridazinone	4	1975	229
1838	3-Chloro-6-(3,4-dichlorophenyl)-pyridazine	4	1975	230
1849 (BG-44596)	6-(3,4-Dichlorophenyl)-N,N-dimethyl-3-pyridazinamine	5	1976	216
1859 (BG-46741)	6-(3,4-Dichlorophenyl)-N-methyl-3-pyridazinamine	5	1976	217

6. 5-Amino-1-(4-chlorophenyl)-1H-tetrazoles

AM Number	Name	Annual Report Number	Year	Page
1820	5-Chloro-1-(4-chlorophenyl)-1H-tetrazole	4	1975	231
1825	1-(4-Chlorophenyl)-N,N-dimethyl-1H-tetrazol-5-amine	4	1975	232
1834	1-(4-Chlorophenyl)-N-methyl-1H-tetrazol-5-amine	4	1975	233
1890 (BG-58223)	N ¹ -[1-(4-Chlorophenyl)-1H-tetrazol-5-yl]-N ² ,N ² -dimethyl-1,2-propanediamine	5	1976	218
1891 (BG-58232)	N'-[1-(4-Chlorophenyl)-1H-tetrazol-5-yl]-N,N-diethyl-1,2-ethanediamine	5	1976	219
1892 (BG-58241)	N-[1-(4-Chlorophenyl)-1H-tetrazol-5-yl]-N',N'-diethyl-N-methyl-1,2-ethanediamine	5	1976	220
1913 (BG-60812)	N'-[1-(4-Chlorophenyl)-1H-tetrazol-5-yl]-N,N-diethyl-1,3-propanediamine	5	1976	221
1920 (BG-63466)	1-[1-(4-Chlorophenyl)-1H-tetrazol-5-yl]-4-methylpiperazine	5	1976	222
1924 (BG-63500)	1-(4-Chlorophenyl)-N-[3-[(diethylamino)methyl]-4-methoxyphenyl]-1H-tetrazol-5-amine	5	1976	223
1930 (BG-63564)	1-(4-Chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-1H-tetrazol-5-amine	5	1976	225
1972 (BG-78930)	1-(4-Chlorophenyl)-4-[(2-diethylamino)ethyl]-1,4-dihydro-5H-tetrazol-5-one, monohydrochloride, monohydrate	5	1976	226
1973 (BG-78921)	1-(4-Chlorophenyl)-1,4-dihydro-4-[3-(1-pyrrolidinyl)propyl]-5H-tetrazol-5-imine, monohydrochloride	5	1976	228

7. 6-(3,4-Dichlorophenyl)-1,2,4-triazolo[3,4-b] [1,2,4,5]-
tetrazine-3-amines

AM Number	Name	Annual Report Number	Year	Page
1797	4-Amino-5-hydrazino-4H-1,2,4- triazole-3-thiol	4	1975	234
1835	6-(3,4-Dichlorophenyl)-1,2,4- triazolo[3,4- <u>b</u>] [1,2,4,5]-tetrazine- 3(2H)-thione	4	1975	235

8. 5-Amino-2-phenylpyrimidines

AM Number	Name	Annual Report Number	Year	Page
2007 (BG-89308)	2-(4-Chlorophenyl)-5-nitropyrimidine	5	1976	435
2015 (BG-89175)	2-(4-Chlorophenyl)-5-pyrimidinamine	5	1976	438
2019 (BG-94738)	2-(4-Chlorophenyl)-N,N-dimethyl-5- pyrimidinamine	5	1976	439

V. Acridinediones

1. 7-Chloro-3-substituted-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinones

AM Number	Name	Annual Report Number	Year	Page
1856 (BG-46714)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinedione	5	1976	393
1870 (BG-47364)	7-Chloro-3,4-dihydro-10-hydroxy-3,3-dimethyl-1,9-(2H,10H)-acridinedione	5	1976	395
1873 (BG-56023)	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridinedione	5	1976	396
1906 (BG-59855)	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione, sodium salt, monohydrate	5	1976	398
1939 (BG-66912)	7-Chloro-3,4-dihydro-3-[3-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridinedione	5	1976	399
1940 (BG-66921)	7-Chloro-3-(3,4-dichlorophenyl)-1-hydrazono-1,2,3,4-tetrahydro-10-hydroxy-9(10H)acridinone, hydrochloride (1:1.1), hemihydrate	5	1976	401
1946 (BG-70532)	3-(3,4-Dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	5	1976	403
1949 (BG-70961)	2-[(2-Nitrophenyl)methylene]-1H-indene-1,3(2H)-dione	5	1976	405
1952 (BG-70998)	7,8-Dichloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, compound with N,N-dimethylformamide (1:0.1)	5	1976	406
1953 (BG-71002)	7-Chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-1,10-dihydroxy-9(2H)-acridinone	5	1976	407

AM Number	Name	Annual Report Number	Year	Page
1954 (BG-71011)	7-Chloro-3-cyclohexyl-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	5	1976	409
1956 (BG-72410)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)-acridinedione, hydrate (1:0.2)	5	1976	411
1957 (BG-72429)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-[[2-(diethylamino)-ethyl]hydrazone]	5	1976	412
1965 (BG-72509)	1,1'-Azinobis[7-chloro-3-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-10-hydroxy-9(10H)-acridinone]	5	1976	414
2018 (BG-89200)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	5	1976	416
2021 (BG-94756)	4-(Acetyloxy)-7-chloro-3,4-dihydro-3,3-dimethyl-1,9(2H,10H)-acridinedione	5	1976	418
2022 (BG-94765)	7-Chloro-4-[(chloroacetyl)oxy]-3-(3,4-dichlorophenyl)-3,4-dihydro-1,9-(2H,10H)-acridinedione, monohydrochloride	5	1976	420
2023 (BG-94774)	4-(Acetyloxy)-7-chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-1,9-(2H,10H)-acridinedione	5	1976	422
2024 (BG-94783)	1-(Butylimino)-7-chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	5	1976	424
2047	2,3-Dihydro-2,2-dimethyl-1H-phenothiazin-4(10H)-one	6	1977	275
2048	2,3-Dihydro-2-(3,4-dichlorophenyl)-1H-phenothiazin-4(10H)-one	6	1977	276

AM Number	Name	Annual Report Number	Year	Page
2065	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridinedione, hydrate (1:0.1)	6	1977	277
2066	7-Chloro-3,4-dihydro-10-hydroxy-3-(1-naphthalenyl)-1,9(2H,10H)-acridinedione	6	1977	279
2067	7-Chloro-3,4-dihydro-10-hydroxy-3-(3,4,5-trimethoxyphenyl)-1,9(2H,10H)-acridinedione, hydrate (1:1.1)	6	1977	281
2068	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone, hydrate (1:0.4)	6	1977	284
2069	7-Chloro-3-(3,4-dichlorophenyl)-1-[[2-(diethyl amino)ethyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	286
2070	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-0-[2-(diethyl amino)-ethyl]oxime	6	1977	287
2071	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(diethyl amino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	289
2072	5-Chloro-2-[(1,4-dihydro-1,4-dioxo-2-naphthalenyl)-amino]benzoic acid	6	1977	290
2073	7-Chloro-1,2,3,4,9,10-hexahydro-10-hydroxy-1,9-dioxo-3-(3,4,5-trimethoxyphenyl)-2-acridinecarboxylic acid, ethyl ester	6	1977	291
2081	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(1-naphthalenyl)-9(2H)-acridinone	6	1977	293

AM Number	Name	Annual Report Number	Year	Page
2083	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone, hydrate (1:0.15)	6	1977	294
2086	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-9(2H)acridinone	6	1977	295
2088	7-Chloro-1-(heptyl imino)-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-9(2H)acridinone	6	1977	296
2089	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[(3-methoxypropyl)imino]-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone, hemihydrate	6	1977	297
2091	7-Chloro-1-[[3-(4-dichlorophenyl)-methyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-9(2H)acridinone	6	1977	298
2092	7-Chloro-3-(3,4-dichlorophenyl)-1-[[7-(dimethyl amino)-heptyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	299
2093	7-Chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(1-piperidinyl)propyl]imino]-9(2H)-acridinone	6	1977	300
2094	7-Chloro-1,2,3,4,9,10-hexahydro-10-hydroxy-1,9-dioxo-3-[3-(trifluoromethyl)phenyl]-2-acridinecarboxylic acid, ethyl ester	6	1977	301
2095	7-Chloro-3-[4-[2-(diethyl amino)-ethoxy]phenyl]-3,4-dihydro-10-hydroxy-1,9(2H,10H)acridinedione, monosodium salt, hydrate (1:0.4)	6	1977	302

AM Number	Name	Annual Report Number	Year	Page
2096	5,7-Dichloro-2,3,4,9-tetrahydro-3,3-dimethyl-1H-xanthene-1-one	6	1977	304
2097	7-Chloro-3-[4-(dimethyl amino)phenyl]-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, hydrochloride (1:0.3), hydrate (1:0.3)	6	1977	305
2098	3-(3-Bromophenyl)-7-chloro-1,2,3,4,-9,10-hexahydro-10-hydroxy-1,9-dioxo-2-acridinecarboxylic acid, ethyl ester	6	1977	307
2101	7-Chloro-3-(3,4-dichlorophenyl)-1-[[4-(diethyl amino)-1-methylbutyl]-imino]-1,3,4,10-tetrahydro-9(2H)-acridinone, dihydrochloride, hydrate (1:1.2)	6	1977	309
2102	7-Chloro-3-(2,6-dichlorophenyl)-1,2,-3,4,9,10-hexahydro-10-hydroxy-1,9-dioxo-2-acridinecarboxylic acid, ethyl ester	6	1977	310
2104	3-(3-Bromophenyl)-7-chloro-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinedione	6	1977	312
2105	7-Chloro-3-[4-(dimethyl amino)phenyl]-1-[[3-(dimethyl amino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.4)	6	1977	314
2106	7-Chloro-3-(3,4-dichlorophenyl)-1,3,-4,10-tetrahydro-10-hydroxy-1-[[3-(methylthio)propyl]imino]-9(2H)-acridinone	6	1977	315
2107	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethyl amino)-propyl]amino]-1,-3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	316

AM Number	Name	Annual Report Number	Year	Page
2108	7-Chloro-3-(3,4-dichlorophenyl)-1-[[2-(diethyl amino)-ethyl amino]-1,3-4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	317
2109	3,4,5,6,7,9-Hexahydro-3,3,6,6-tetramethyl-9-(2-nitrophenyl)-1H-xanthene-1,8(2H)dione	6	1977	318
2112	7-Chloro-3-(2,6-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, hydrate (1:0.2)	6	1977	319
2113	7-Chloro-3-(2,6-dichlorophenyl)-1-[[3-(dimethyl amino)propyl imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.7)	6	1977	321
2115	3-(3-Bromophenyl)-7-chloro-1-[[3-(dimethyl amino)propyl imino]1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.3)	6	1977	323
2122	7-Chloro-3-(3,4-dichlorophenyl)-1,2,-3,4,9,10-hexahydro-10-hydroxy-1,9-dioxo-2-acridinecarboxylic acid, ethyl ester	6	1977	325
2130	9-Chloro-4-(3,4-dichlorophenyl)-1,4,-5,6-tetrahydro-3,6-dihydroxy-1H-pyrazolo[3,4-a]acridin-11-one, hydrate (1:0.3)	6	1977	327
2133	3,4-Dihydro-3,3,10-trimethyl-1,9-(2H,10H)-acridinedione	6	1977	329
2134	7-Chloro-3-(3,4-dichlorophenyl)-1,3,-4,10-tetrahydro-10-hydroxy-1-(methyl-imino)-9(2H)-acridinone	6	1977	330
2138	7-Chloro-3,4-dihydro-10-hydroxy-3,3-dimethyl-2-methylene-1,9(2H,10H)-acridinedione, compound with N,N-dimethylformamide (1:0.2)	6	1977	331

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2140	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-9(2H)-acridinone	6	1977	332
2142	7-Chloro-3-(3,4-dichlorophenyl)-1-[(1-ethyl-3-piperidinyl)imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	333
2143	7-Chloro-3,4-dihydro-10-hydroxy-3-phenyl-1,9(2H,10H)-acridinedione	6	1977	334
2144	7-Chloro-1,2,3,4,10-hexahydro-10-hydroxy-1,9-dioxo-3-phenyl-2-acridinecarboxylic acid, ethyl ester	6	1977	336
2145	7-Chloro-1[[3-Dimethyl amino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-phenyl-9(2H)-acridinone, monohydrate	6	1977	337
2148	7-Chloro-3-(3,4-dichlorophenyl)-1-[[2-[ethyl(2-hydroxy-2-methylpropyl)-amino]ethyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	338
2149	1-[[2-(Bis-(2-methylpropyl)amino)-ethyl]imino]-7-chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-9(2H)acridinone	6	1977	340
2151	7-Chloro-10-hydroxyspiro[acridine-3-(2H), 1'-cyclohexane]-1,9(4H,10H)-dione, hydrate (1:0.1)	6	1977	342
2153	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-[bis(2-hydroxyethyl)amino]-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.8)	6	1977	344
2154	7-Chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-[(2-hydroxyethyl)amino]propyl]imino]-9(2H)acridinone	6	1977	346

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2155	7-Chloro-3-(3,4-dichlorophenyl)-1-[[4-(diethyl amino)-cyclohexyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.4)	6	1977	348
2156	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinedione, salt with N ¹ -(1-methylethyl)-2-methyl-1,2-propanediamine (1:1) monohydrate	6	1977	350
2157	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-imino-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	352
2158	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[2-(2-propenyl amino)-ethyl]imino]-3-[3-trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	354
2159	7-Chloro-3-(3,4-dichlorophenyl)-1-[3-[(diethyl amino)-methyl]phenyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:1.1)	6	1977	355
2160	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,10-dihydro-10-hydroxyspiro[acridine-3(2H),1'-cyclohexan]-9(4H)-one	6	1977	357
2161	1-[[2-(Butyl amino)butyl]imino]-7-chloro-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	358
2162	7-Chloro-1-[[2-(dibutyl amino)ethyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	359
2163	7-Chloro-3,4-dihydro-3,3-dimethyl-1,9(2H,10H)-acridinedione	6	1977	360

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2164	5-Chloro-3,4-dihydro-6 (or 7), 10-dihydroxy-7 (or 6)-methoxy-1,9(2H, 10H)-acridinedione, hydrate (1:0.2)	6	1977	362
2165	7-Chloro-1-[[3-(dimethyl amino)-propyl] imino]-1,3,4,10-tetrahydro-10-hydroxy-3,3-dimethyl-9(2H)-acridinone, hemihydrate	6	1977	364
2166	1-[[3-Butyl amino)propyl] imino]-7-chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hydrate (1:0.3)	6	1977	366
2167	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-[ethyl(2-hydroxyethyl) amino]-propyl] imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone, hemihydrate	6	1977	367
2168	7-Chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(4-methyl-1-piperazinyl)propyl]-imino]-9(2H)-acridinone	6	1977	368
2169	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[4-(1-pyrrolidinyl)butyl]-imino]-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	369
2170	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(diethyl amino)-2,2-dimethyl-propyl] imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	370
2171	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[5-(1-pyrrolidinyl)-pentyl] imino]-3-[3-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	371
2172	7-Chloro-1-[[2-(diethyl amino)propyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	372

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2173	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(1-pyrrolidinyl)-propyl]imino]-3-[3-(trifluoromethyl)-phenyl]-9(2H)-acridinedione, hydrate (1:0.25)	6	1977	373
2176	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridinedione, 1-oxime	6	1977	374
2177	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[2-(1-pyrrolidinyl)ethyl]imino]-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	375
2178	1,1'-Iminobis(3,1-propanediyl-nitrilo)bis[7-chloro-1,3,4,10-tetrahydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone], monohydrate	6	1977	376
2179	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[6-(1-piperidiny)hexyl]imino]-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone, sesquihydrochloride, hydrate (1:1.1)	6	1977	377
2180	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[1-(phenylmethyl)-4-piperidiny]imino]-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone, hydrochloride (1:0.1), hemihydrate	6	1977	379
2181	7-Chloro-1-[[2-(diethylamino)ethyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	381
2182	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(1-pyrrolidinyl)-propyl]imino]-3-[4-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	382

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2183	7-Chloro-1-[[3-(diethyl amino)propyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	383
2184	7-Chloro-1-[[4-(diethyl amino)butyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)-phenyl]-9(2H)-acridinone	6	1977	384
2186	9-Chloro-4-(3,4-dichlorophenyl)-1,2,4,5-tetrahydro-6-hydroxy-1 (or 2)-methyl-5H-pyrazolo[3,4-a]acridine-3,11-(6H)-dione, hemihydrate	6	1977	385
2189	1-[[3-[Bis(2-hydroxyethyl)amino]-propyl]imino]-7-chloro-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	387
2190	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(piperidiny)propyl]-imino]-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	388
2191	7-Chloro-1-[[3-(diethyl amino)-2,2-dimethylpropyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	389
2192	9-Chloro-4-(3,4-dichlorophenyl)-1 (or 2)-[2-(diethyl amino)ethyl]-1 (or 2), 4,5,6-tetrahydro-3,6-dihydroxy-11H-pyrazolo[3,4-a]acridine-11-one, hydrochloride (1:0.8), hydrate (1:0.8)	6	1977	390
2193	7-Chloro-1-[[3-(diethyl amino)propyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone	6	1977	392
2194	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-methyl-1,9-(2H,10H)-acridinedione	6	1977	394

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2195	2-[7-Chloro-3,4,9,10-tetrahydro-10-hydroxy-9-oxo-3-[3-(trifluoromethyl)-phenyl]-1(2H)-acridinylidene]-hydrazinecarboximidamide	6	1977	395
2196	1-(Butyl imino)-7-chloro-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	396
2197	7-Chloro-1-(heptyl imino)-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	6	1977	397
2198	Bis[7-chloro-3,4-dihydro-3-[3-(trifluoromethyl)phenyl]-1,9(2H-9AH)-acridinedionato-0,0']copper, N-oxide	6	1977	398
2202	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[3-(1-pyrrolidinyl)-propyl]imino-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone	6	1977	399
2203	7-Chloro-1-[[3-(diethyl amino)-2,2-dimethylpropyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone	6	1977	401
1856	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9-(2H,10H)-acridinedione	6	1977	416
1873	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)-phenyl]-1,9-(2H,10H)acridinedione	6	1977	418
2018	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethyl amino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	6	1977	420
2211 (BH-50044)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[2-(2-propenylamino)-ethyl]imino-3-[4-(trifluoromethyl)-phenyl]-9(2H)-acridinone	7	1978	182

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2213 (BH-50062)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-(1-piperidinyl)propyl]-imino]-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone	7	1978	183
2214 (BH-50071)	7-Chloro-1-[[2-(diethylamino)ethyl]-imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(3,4,5-trimethoxyphenyl)-9(2H)-acridinone	7	1978	185
2215 (BH-50080)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-[[3-[(2-hydroxyethyl)-amino]propyl]imino]-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	187
2216 (BH-50099)	1-[[2-(Butylamino)butyl]imino]-7-chloro-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	189
2225 (BH-57178)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	7	1978	190
2228 (BH-57203)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	7	1978	192
2246 (BH-65456)	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-[3-(dimethylamino)propyl]hydrazone, hydrate (1:0.25)	7	1978	193
2248 (BH-65474)	2 (or 4)-Bromo-7-chloro-1,10-dihydroxy-3-[3-(trifluoromethyl)phenyl]-9(10H)-acridinone	7	1978	194
2255 (BH-67398)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-2-methyl-1,9(2H,10H)-acridinedione, compound with N,N-dimethylformamide (1:0.3)	7	1978	196

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2261 (BH-67530)	7-Chloro-6-fluoro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	199
2262 (BH-67549)	7,8-Dichloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridinedione	7	1978	201
2286 (BH-72764)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-2-methyl-9(2H)-acridinone	7	1978	203
2288 (BH-73136)	7-Chloro-3-(2-chlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	7	1978	204
2291 (BH-73163)	7-Chloro-3-(2-chlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	7	1978	206
2305 (BH-73994)	7-Chloro-3-(4-cyclohexylphenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	7	1978	207
2308 (BH-74026)	7-Chloro-3,4-dihydro-10-hydroxy-3-[2-(trifluoromethyl)phenyl]-1,9(2H,10H)acridinedione	7	1978	210
2309 (BH-74035)	7-Chloro-3,4-dihydro-10-hydroxy-3-(4-methylphenyl)-1,9(2H,10H)-acridinedione	7	1978	212
2311 (BH-74053)	7-Chloro-3-(4-cyclohexylphenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	7	1978	214
2312 (BH-76217)	7-Chloro-3,4-dihydro-10-hydroxy-3-(2-methoxyphenyl)-1,9(2H,10H)-acridinedione	7	1978	215
2315 (BH-76244)	7-Chloro-3,4-dihydro-10-hydroxy-3-(4-methoxyphenyl)-1,9(2H,10H)-acridinedione	7	1978	217

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2324 (BH-81594)	7-Chloro-3-(4-fluorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione	7	1978	219
2340 (BH-84362)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[2-(trifluoromethyl)-phenyl]-9(2H)acridinedione	7	1978	221
2341 (BH-84371)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(2-methoxyphenyl)-9(2H)-acridinone	7	1978	222
2342 (BH-84380)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(4-methoxyphenyl)-9(2H)-acridinone, hydrate (1:0.1)	7	1978	223
2343 (BH-84399)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(4-methylphenyl)-9(2H)-acridinone, monohydrate	7	1978	224
2221 (BH-57132)	7-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	225
2222 (BH-57141)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	226
2226 (BH-57187)	4-(Acetyloxy)-7-chlorospiro[acridine-3(2H)-1'-cyclohexane]-1,9(4H,10H)-dione	7	1978	227
2227 (BH-57196)	7-Chloro-1-[[3-(dimethyl amino)-propyl]imino]-1,10-dihydrospiro[acridine-3(2H),1'-cyclohexane]-9(4H)-one	7	1978	228
2230 (BH-58193)	7-Chlorospiro[acridine-3(2H),1'-cyclohexane]-1,9(4H,10H)-dione	7	1978	229

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2249 (BH-65483)	4-(Acetyloxy)-7-chloro-1-[[3-(di-dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3,3-dimethyl-9(2H)-acridinone	7	1978	230
2250 (BH-65492)	7-Chloro-1-[[3-(dimethylamino)-propyl]imino]-1,3,4,10-tetrahydro-3-[3-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	232
2256 (BH-67405)	1-(Acetyloxy)-7-chloro-3-(3,4-dichlorophenyl)-9(10H)-acridinone	7	1978	234
2257 (BH-67414)	7-Chloro-3-(3,4-dichlorophenyl)-1-hydroxy-9(10H)-acridinone, compound with N,N-dimethylformamide (1:0.8)	7	1978	235
2264 (BH-67567)	1-(Butylimino)-7-chloro-3-(3,4-dichlorophenyl)-1,3,4,10-tetrahydro-9(2H)-acridinone	7	1978	236
2265 (BH-67576)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[2-(diethylamino)ethyl]imino]-1,3,4,10-tetrahydro-9(2H)-acridinone	7	1978	237
2275 (BH-70153)	8,9-Dihydro-8-[4-(trifluoromethyl)-phenyl]benzo[b][1,8]naphthyridine-5,6(7H,10H)-dione	7	1978	238
2289 (BH-73145)	3,4-Dihydro-7-iodo-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridine-dione	7	1978	239
2294 (BH-73190)	1-[[3-(Dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-7-iodo-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	241
2296 (BH-73430)	7-Chloro-1-[[2-(dimethylamino)-propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	242

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2297 (BH-73449)	7-Chloro-1-[[2-(diethylamino)ethyl]-imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	243
2298 (BH-73458)	7-Chloro-1-[[7-(diethylamino)heptyl]-imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	244
2299 (BH-73467)	1-(Butylimino)-7-chloro-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	245
2300 (BH-73476)	7-Chloro-1-[[4-(diethylamino)-1-methylbutyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	246
2301 (BH-73485)	7-Chloro-1-[[4-(diethylamino)butyl]-imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone, hemihydrate	7	1978	247
2302 (BH-73494)	7-Chloro-3-(2-chlorophenyl)-3,4-dihydro-1,9(2H,10H)-acridinedione	7	1978	249
2303 (BH-73501)	3,4-Dihydro-7-nitro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridine-dione	7	1978	251
2304 (BH-73510)	7-Chloro-3-(2-chlorophenyl)-1-[[3-dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)-acridinone	7	1978	253
2306 (BH-74008)	1-[[3-(Dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-7-nitro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	255
2307 (BH-74017)	7-Chloro-1-[[3-(diethylamino)-2,2-dimethylpropyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	256

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2318 (BH-76271)	7-Ethyl-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)-acridine-dione	7	1978	258
2320 (BH-81558)	7-Chloro-3-(4-cyclohexylphenyl)-3,4-dihydro-1,9-(2H,10H)-acridinedione	7	1978	260
2321 (BH-81567)	1-[[3-(Dimethylamino)propyl]imino]-7-ethyl-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	262
2323 (BH-81585)	7-Chloro-3-(4-cyclohexylphenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)-acridinone	7	1978	263
2326 (BH-81825)	7-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridine-dione, 1-[[2-(diethylamino)ethyl]-hydrazone], hemihydrate	7	1978	264
2327 (BH-81834)	5,7-Dibromo-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	265
2328 (BH-81843)	5,7-Dibromo-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	267
2334 (BH-84068)	3,4-Dihydro-3,3-dimethyl-1,9(2H,10H)-acridinedione	7	1978	268
2335 (BH-84077)	7-Bromo-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridine-dione	7	1978	269
2336 (BH-84080)	3,4-Dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	271
2337 (BH-84335)	6-[[3-(Dimethylamino)propyl]imino]-6,8,9,10-tetrahydro-8-[4-(trifluoromethyl)phenyl]benzo[b][1,8]-naphthyridin-5(7H)-one	7	1978	273

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2338 (BH-84344)	7-Bromo-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	274
2339 (BH-84353)	1-[[3-(Dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	275
2344 (BH-86544)	7-Chloro-3,4-dihydro-3-[2-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	276
2345 (BH-86553)	7-Chloro-3,4-dihydro-3-(4-methylphenyl)-1,9(2H,10H)-acridinedione	7	1978	277
2346 (BH-86562)	7-Chloro-3,4-dihydro-3-(2-methoxyphenyl)-1,9-(2H,10H)-acridinedione	7	1978	278
2358 (BH-89778)	3,4-Dihydro-7-methoxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	279
2359 (BH-89787)	1-[[3-(Dimethylamino)propyl]imino]-7-methoxy-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	281
2368 (BH-96282)	5,7-Dichloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	282
2369 (BH-96291)	5,7-Dichloro-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	284
2238 (BH-58620)	8,9-Dihydro-8,8,10-trimethylpyrido[2,3-b]quinoline-5,6(7H,10H)-dione	7	1978	285
2239 (BH-58639)	8,9-Dihydro-10-methyl-8-[4-(trifluoromethyl)phenyl]pyrido[2,3-b]quinoline-5,6(7H,10H)-dione	7	1978	286

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2244 (BH-58684)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-10-methyl-1,9(2H,10H)-acridinedione, 1-hydrazone	7	1978	287
2245 (BH-58693)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]amino]-10-methyl-9(10H)-acridinone	7	1978	288
2268 (BH-69856)	7-Chloro-10-ethyl-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	290
2269 (BH-69865)	10-Butyl-7-chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	292
2270 (BH-69874)	7-Chloro-3,4-dihydro-10-(phenylmethyl)-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	294
2292 (BH-73172)	10-Ethyl-8,9-dihydro-8-[4-trifluoromethylphenyl]-benzo[b][1,8]-naphthyridine-5,6(7H,10H)-dione	7	1978	296
2293 (BH-73181)	7-Chloro-3,4-dihydro-10-(2-propenyl)-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	298
2295 (BH-73421)	7-Chloro-10-hexyl-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	7	1978	300
2370 (BH-96308)	2,3,10,11-Tetrahydro-10-[(4-trifluoromethyl)phenyl]-1H,7H-pyrido[3,2,1-de]acridine-7,8(9H)dione	7	1978	302
2218 (BH-57105)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-(4-morpholinylimino)-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	305
2263 (BH-67558)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-(1H-1,2,4-triazol-3-ylimino)-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	7	1978	306

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2274 (BH-70144)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-(1H-benzimidazol-2-yl)hydrazone	7	1978	307
2276 (BH-70162)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-(2-benzothiazolyl)-hydrazone, compound with N,N-dimethyl-formamide (1:0.3), hydrate (1:0.4)	7	1978	308
2277 (BH-70171)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-(5-phenyl-1,2,4-triazin-3-yl)hydrazone	7	1978	309
2278 (BH-70180)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-[4,6-bis(4-methoxyphenyl)-2-pyrimidinyl]hydrazone, compound with N,N-dimethyl-formamide (1:0.5)	7	1978	310
2279 (BH-72693)	2-[7-Chloro-3,4,9,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1(2H)-acridinylidene]-N-phenylhydrazinecarboximidamide	7	1978	312
2280 (BH-72700)	2-[7-Chloro-3,4,9,10-tetrahydro-10-hydroxy-9-oxo-3-[4-(trifluoromethyl)phenyl]-1(2H)acridinylidene]-N-[(3,4-dimethoxyphenyl)sulfonyl]-hydrazinecarboximidamide	7	1978	314
2282 (BH-72728)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-(2-pyridinylhydrazone)	7	1978	315
2287 (BH-72773)	2-[7-Chloro-3,4,9,10-tetrahydro-10-hydroxy-9-oxo-3-[4-(trifluoromethyl)phenyl]-1(2H)-acridinylidene]-N-(3-phenoxypropyl)hydrazinecarboximidamide, monohydroiodide	7	1978	316

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2325 (BH-81816)	7-Chloro-3,4-dihydro-10-hydroxy-3-[3-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione, 1-phenylhydrazone, hemihydrate	7	1978	318
2217 (BH-50106)	3-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)acridinone	7	1978	319
2229 (BH-58184)	2,2'-Azoxybis[3-methylpyridine]	7	1978	320
2247 (BH-65465)	7-Chloro-3-(3,4-dichlorophenyl)-3,4,9,10-tetrahydro-9-imino-1(2H)-acridinone	7	1978	321
2254 (BH-67389)	7-Chloro-3,4,9,10-tetrahydro-9-imino-3-[4-(trifluoromethyl)phenyl]-1(2H)-acridinone	7	1978	323
2281 (BH-72719)	8-Chloro-5H-indeno[1,2-b]quinoline-10,11-dione	7	1978	325
2284 (BH-72746)	Pyrimido[4,5-b]quinoline-2,4(1H,3H)-dione	7	1978	326
2285 (BH-72755)	3,4-Dihydro-3,3,9-trimethyl-1(2H)-acridinone	7	1978	327
2290 (BH-73154)	8-Chloro-11-[[3-(dimethylamino)propyl]imino]-5,11-dihydro-10H-indeno[1,2-b]quinolin-10-one	7	1978	328
2348 (BH-86580)	7-Chloro-3,4-dihydro-9-(methylamino)-3-[4-(trifluoromethyl)phenyl]-1(2H)-acridinone	7	1978	329
2349 (BH-86599)	7-Chloro-9-[[3-(dimethylamino)propyl]amino]-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1(2H)acridinone	7	1978	331
2350 (BH-86606)	7-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1(2H)acridinone	7	1978	333
2352 (BH-89152)	N'-[(7-Chloro-1,2,3,4-tetrahydro-3-[(4-trifluoromethyl)phenyl]-1-acridinylidene]-N,N-dimethyl-1,3-propanediamine	7	1978	335

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2389 (BJ-06327)	4-(7-Chloro-1,2,3,4,9,10-hexahydro-10-hydroxy-1,9-dioxo-3-acridinyl)-benzoic acid	8	1979	75
2394 (BJ-07511)	7-Chloro-3,4-dihydro-10-hydroxy-3-(4-pyridinyl)1,9(2H,10H)acridine-dione	8	1979	77
2397 (BJ-07548)	7-Chloro-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-3-(4-pyridinyl)-9(2H)acridinone	8	1979	79
2417 (BJ-23659)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-2-methyl-1,9(2H,10H)acridinedione	8	1979	80
2421 (BJ-28396)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-2-methyl-9(2H)acridinone	8	1979	82
2423 (BJ-28412)	7-Chloro-3,4-dihydro-10-hydroxy-2-methyl-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridinedione	8	1979	83
2431 (BJ-34205)	7-Chloro-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-10-hydroxy-2-methyl-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	84
2371 (BJ-01671)	8-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridine-dione	8	1979	85
2372 (BJ-01680)	7-Fluoro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridine-dione	8	1979	87
2373 (BJ-01699)	1-[[3-(Dimethylamino)propyl]imino]-7-fluoro-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	89

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2375 (BJ-01715)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(2-methoxyphenyl)-9(2H)acridinone	8	1979	90
2376 (BJ-02025)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(4-methylphenyl)-9(2H)acridinone	8	1979	92
2377 (BJ-02034)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	8	1979	93
2379 (BJ-02052)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	95
2380 (BJ-02061)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-2-methyl-1,9(2H,10H)acridinedione	8	1979	96
2383 (BJ-06265)	5,8-Dichloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	8	1979	98
2384 (BJ-06274)	5-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	8	1979	100
2385 (BJ-06283)	3,4-Dihydro-7-(trifluoromethyl)-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione	8	1979	102
2386 (BJ-06292)	5-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	104
2387 (BJ-06309)	8-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone, hydrochloride, hydrate (10:1)	8	1979	105
2388 (BJ-06318)	1-[[3-(Dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-7-(trifluoromethyl)-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	107

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2390 (BJ-06336)	7-Chloro-3,4-dihydro-3-(4-methoxyphenyl)-1,9(2H,10H)acridinedione	8	1979	108
2391 (BJ-06345)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(4-methoxyphenyl)-9(2H)acridinone	8	1979	109
2392 (BJ-07495)	5,8-Dichloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	110
2393 (BJ-07502)	7-Chloro-3,4-dihydro-3-(4-pyridinyl)-1,9(2H,10H)acridinedione	8	1979	111
2395 (BJ-07520)	7-Chloro-1,2,3,4,9,10-hexahydro-1,9-dioxo-3-(4-pyridinyl)-2-acridine-carboxylic acid, ethyl ester	8	1979	113
2396 (BJ-07539)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(4-pyridinyl)-9(2H)acridinone	8	1979	115
2398 (BJ-09588)	7-Chloro-3,4-dihydro-3-[4-(methylthio)phenyl]-1,9(2H,10H)acridinedione	8	1979	116
2399 (BJ-09597)	7-Chloro-3,4-dihydro-3-(4-nitrophenyl)-1,9(2H,10H)acridinedione	8	1979	118
2400 (BJ-06904)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-[4-(methylthio)phenyl]-9(2H)-acridinone	8	1979	120
2401 (BJ-09622)	7-Chloro-1-[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(4-nitrophenyl)-9(2H)acridinone	8	1979	122
2402 (BJ-09613)	7-Chloro-2-ethyl-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione	8	1979	124
2403 (BJ-21717)	7-Chloro-3,4-dihydro-2-methyl-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	8	1979	128

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2404 (BJ-21726)	7-Chloro-1,2,3,4,9,10-hexahydro-1,9-dioxo-3-(2-pyridinyl)-2-acridine-carboxylic acid, ethyl ester	8	1979	131
2405 (BJ-21735)	7-Chloro-3,4-dihydro-3-(2-pyridinyl)-1,9(2H,10H)acridinedione	8	1979	133
2406 (BJ-21744)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-3-(2-pyridinyl)-9(2H)acridinone	8	1979	135
2408 (BJ-21762)	7-Chloro-3-(3,4-dichlorophenyl)-3,4-dihydro-2-phenyl-1,9(2H,10H)acridine-dione, hydrate (5:1)	8	1979	137
2409 (BJ-21771)	Cis(±)-7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-2-methyl-9(2H)acridinone	8	1979	139
2410 (BJ-21780)	Trans(±)-7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-2-methyl-9(2H)acridinone	8	1979	139
2411 (BJ-21799)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-2-phenyl-9(2H)-acridinone	8	1979	143
2412 (BJ-23604)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-2-methyl-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone, cis ±	8	1979	144
2413 (BJ-23613)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-1,3,4,10-tetrahydro-2-methyl-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone, trans ±	8	1979	144
2414 (BJ-23622)	7-Chloro-1-[[3-(dimethylamino)propyl]-imino]-2-ethyl-1,3,4,10-tetrahydro-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	8	1979	146

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2415 (BJ-23631)	7-Chloro-3-[4-chloro-3-(trifluoromethyl)phenyl]-3,4-dihydro-1,9-(2H,10H)acridinedione	8	1979	148
2416 (BJ-23640)	7-Chloro-3-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	151
2418 (BJ-28369)	6-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	8	1979	152
2419 (BJ-28378)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-2-methyl-1,9(2H,10H)acridinedione	8	1979	154
2420 (BJ-28387)	6-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	155
2422 (BJ-28403)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[2-(diethylamino)ethyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	156
2428 (BJ-30869)	7-Chloro-3-(3,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-2-(phenylmethyl)-9(2H)acridinone, hemihydrate	8	1979	157
2429 (BJ-34189)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-2-methyl-9(2H)acridinone	8	1979	160
2432 (BJ-34214)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[4-(diethylamino)butyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	162
2436 (BJ-24350)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-1-[[3-(1-pyrrolidinyl)propyl]imino]-9(2H)acridinone	8	1979	163

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2437 (BJ-34269)	1[[3-[Bis(2-hydroxyethyl)amino]-propyl]imino]-7-chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-9(2H)acridinone, hemihydrate	8	1979	165
2438 (BJ-34278)	1-[[2-(Butylamino)butyl]imino]-7-chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	167
2439 (BJ-36889)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(diethylamino)-2,2-dimethylpropyl]-1,3,4,10-tetrahydro-9(2H)-acridinone	8	1979	168
2440 (BJ-36898)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[4-(diethylamino)-1-methylbutyl]-imino]-1,3,4,10-tetrahydro-9(2H)-acridinone	8	1979	169
2441 (BJ-36905)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[7-(diethylamino)heptyl]imino]-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	170
2447 (BJ-36969)	7-Chloro-3,4-dihydro-2-phenyl-1,9-(2H,10H)acridinedione, compound with N,N-dimethylformamide (10:1)	8	1979	172
2468 (BJ-44630)	6-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridine-dione	8	1979	174
2469 (BJ-44649)	7-Chloro-1,3,4,10-tetrahydro-1-imino-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone	8	1979	176
2471 (BJ-44667)	6-Chloro-1-[[3-(dimethylamino)propyl]-imino[1,3,4,10-tetrahydro-3[4-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	178
2474 (BJ-44694)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-1-[[2-[(2-hydroxyethyl)amino]ethyl]imino]-9(2H)-acridinone	8	1979	179

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2476 (BJ-45600)	1-[(2-Aminoethyl)imino]-7-chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-9(2H)acridinone	8	1979	180
2374 (BJ-01706)	7-Chloro-1-[[3-(dimethylamino)propyl]imino]-1,3,4,10-tetrahydro-3-[2-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	181
2424 (BJ-28421)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-dimethylhydrazone	8	1979	182
2425 (BJ-30832)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-(1-pyrrolidinylimino)-9(2H)acridinone	8	1979	183
2426 (BJ-30841)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-(4-morpholinylimino)-9(2H)acridinone	8	1979	185
2427 (BJ-30850)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-(1-piperidinylimino)-9(2H)acridinone	8	1979	187
2430 (BJ-34198)	7-Chloro-3-(2,4-dichlorophenyl)-1-[(2,6-dimethyl-1-piperidinyl)imino]-1,3,4,10-tetrahydro-10-hydroxy-9(2H)-acridinone	8	1979	189
2434 (BJ-34232)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-hydrazone	8	1979	191
2435 (BJ-34241)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-2-methyl-1-(1-piperidinylimino)-9(2H)-acridinone	8	1979	192
2443 (BJ-36923)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-(phenylmethylene)-hydrazone	8	1979	193

AM Number	Name	Annual Report Number	Year	Page
2444 (BJ-36932)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridinedione, 1-hydrazone	8	1979	195
2445 (BJ-36941)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione, 1-dimethyl-hydrazone	8	1979	196
2446 (BJ-36950)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-[(2,4-dichlorophenyl)methylene]hydrazone	8	1979	197
2450 (BJ-39415)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-2-methyl-1,9-(2H,10H)acridinedione, 1-dimethyl-hydrazone, hemihydrate	8	1979	199
2451 (BJ-39424)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-[(4-methyl-1-piperazinyl)imino]-9(2H)acridinone	8	1979	200
2452 (BJ-39433)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione, 1-(phenyl-methylene)hydrazone	8	1979	202
2457 (BJ-39791)	7-Chloro-1-[(2,6-dimethyl-1-piperidinyl)imino]-1,3,4,10-tetrahydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone	8	1979	203
2472 (BJ-44676)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-10-hydroxy-1,9(2H,10H)-acridinedione, 1-(4-methoxyphenyl)-hydrazone, monohydrochloride	8	1979	204
2483 (BJ-45673)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-2-methyl-1-(4-morpholinylimino-9(2H)-acridinone, monohydrate	8	1979	206

AM Number	Name	Annual Report Number	Year	Page
2484 (BJ-45682)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-(1-piperidinylimino)-3-[4-(trifluoromethyl)phenyl]-9(2H)-acridinone, hydrate (5:2)	8	1979	208
2488 (BJ-46152)	7-Chloro-10-ethyl-1-[[2-[(2-hydroxyethyl)amino]ethyl]amino]-3-[4-(trifluoromethyl)phenyl]-9(10H)acridinone	9	1980	114
2521 (BJ-58616)	7-Chloro-3,4-dihydro-4-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)-acridinedione	9	1980	116
2522 (BJ-58625)	4-(Acetyloxy)-7-chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione, <u>trans</u>	9	1980	117
2533 (BJ-64114)	7-Chloro-3,4-dihydro-10-hydroxy-3-[4-(trifluoromethyl)phenyl]-1,9-(2H,10H)acridinedione, 1-oxime	9	1980	118
2534 (BJ-64123)	7-Chloro-1,3,4,10-tetrahydro-10-hydroxy-1-imino-3-[4-(trifluoromethyl)phenyl]-9(2H)acridinone, hydrate (10:1), compound with ethanol (5:2)	9	1980	119
2535 (BJ-64132)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-xanthene-1,9(2H)-dione, 1-[7-chloro-3-(2,4-dichlorophenyl)-9-hydrazono-2,3,4,9-tetrahydro-1H-xanthen-1-ylidene]hydrazone, 9-hydrazone, compound with N,N-dimethylformamide (1:2)	9	1980	120
2549 (BJ-78681)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-1-imino-9(2H)-acridinone, hydrate (20:7), compound with N,N-dimethylformamide (10:1)	9	1980	122
2550 (BJ-78690)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-imino-9(2H)acridinone	9	1980	124

AM Number	Name	Annual Report Number	Year	Page
2551 (BJ-78707)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-1-(methylinino)-9(2H)acridinone	9	1980	126
2552 (BJ-78716)	7-Chloro-3-(2,4-dichlorophenyl)-1,3,4,10-tetrahydro-10-hydroxy-1-(methylinino)-9(2H)acridinone, hydrate (100:33)	9	1980	127
2582 (BJ-86209)	9-(Acetyloxy)-7-chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1(2H)-acridine, O-acetyloxime, 10-oxide	10	1981	43
2589 (BJ-86272)	3,3,9-Trichloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo-[3,4,5-kl]acridine, hydrate (5:1)	10	1981	45
2604 (BJ-91442)	8-Chloro-3,4-dimethylisoxazolo[4,5-c]-quinoline	10	1981	47
2605 (BJ-91451)	3-Acetyl-6-chloro-2-methyl-4(1H)-quinolinone	10	1981	48
2606 (BJ-91460)	6-Chloro-3-[1-(hydroxyimino)ethyl]-2-methyl-4(1H)quinolinone	10	1981	49
2607 (BJ-91479)	6-Chloro-3-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-2-methyl-4(1H)quinolinone	10	1981	50
2608 (BJ-91488)	9-(Acetyloxy)-7-chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1(2H)-acridinone-O-acetyloxime	10	1981	53
2609 (BJ-91497)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo[3,4,5-kl]-acridine-6-oxide	10	1981	55
2612 (BJ-92270)	6-Chloro-3-[3-(4-chlorophenyl)-1-oxopropyl]-2-methyl-4(1H)quinolinone	10	1981	56

AM Number	Name	Annual Report Number	Year	Page
2616 (BJ-92690)	7-Chloro-3-(2,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-[(1-phenylethyl)imino]-9-acridinol, fast moving, low melting isomer	10	1981	57
2617 (BJ-92707)	7-Chloro-3-(2,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-[(1-phenylethyl)imino]-9-acridinol, hydrate (10:1), slow moving, high melting isomer	10	1981	57
2618 (BJ-92716)	(+)-7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione, hydrate (10:3)	10	1981	60
2619 (BJ-92725)	(-)-7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione, hydrate (10:1)	10	1981	62
2625 (BJ-01970)	2,7-Dichloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]amino]-9(10H)acridinone, hydrate (10:9), compound with ethanol (5:1)	10	1981	64
2626 (BK-01989)	6-Chloro-3-[3-(2,4-dichlorophenyl)-1-oxo-2-propenyl]-2-methyl-4(1H)-quinolinone, hydrate (4:3)	10	1981	66
2627 (BK-01998)	6-Chloro-3-[3-(2,4-dichlorophenyl)-1-oxopropyl]-2-methyl-4(1H)quinolinone, hydrate (5:2)	10	1981	68
2644 (BK-12366)	6-Chloro-3-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]-2-methyl-4-quinolinol hydrate (10:13)	10	1981	70
2645 (BK-12375)	7-Chloro-3-(2,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-[(1-phenylethyl)imino]-9-acridinol	10	1981	72
2646 (BK-09298)	6-Chloro-3-[3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-propyl]-2-methyl-4-quinolinol	10	1981	74
2666 (BK-15250)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-9-hydroxy-1(2H)acridinone oxime, 10-oxide	10	1981	76

2. Isoxazoloacridines and Pyrazoloacridines

AM Number	Name	Annual Report Number	Year	Page
2381 (BJ-02070)	9-Chloro-4,5-dihydro-4-[4-(trifluoromethyl)phenyl]-3H-isoxazolo[3,4,5-kl]-acridine	8	1979	210
2448 (BJ-36978)	9-Chloro-1,3,4,5-tetrahydro-4-[4-(trifluoromethyl)phenyl]pyrazolo[3,4,5-kl]acridine	8	1979	212
2462 (BJ-39844)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione, 1-oxime	8	1979	213
2463 (BJ-44587)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo[3,4,5-kl]-acridine	8	1979	214
2464 (BJ-44596)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-9-hydroxy-2-methyl-1(2H)-acridinone, oxime, hydrate (10:1)	8	1979	215
2467 (BJ-44621)	9-Chloro-4,5-dihydro-4-[4-(trifluoromethyl)phenyl]3H-isoxazolo[3,4,5-kl]-acridine, 6-oxide	8	1979	216
2470 (BJ-44658)	7-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1,9(2H,10H)acridine-dione, 1-oxime	8	1979	218
2477 (BJ-45619)	9-Chloro-4,5-dihydro-4-[4-(trifluoromethyl)phenyl]-3H-isoxazolo[3,4,5-kl]-acridin-5-ol, acetate (ester), <u>trans</u>	8	1979	219
2479 (BJ-45637)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3-methyl-3H-isoxazolo[3,4,5-kl]acridine	8	1979	221
2481 (BJ-45655)	1-Amino-2,4-dibromo-7-chloro-3-(2,4-dichlorophenyl)-9-acridinol	8	1979	222
2536 (BJ-72714)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo[3,4,5-kl]-acridine, 6-oxide	9	1980	163

AM Number	Name	Annual Report Number	Year	Page
2537 (BJ-72723)	9-Chloro-4,5-dihydro-4-[3-(trifluoromethyl)phenyl]-3H-isoxazolo[3,4,5-kl]-acridine	9	1980	165
2538 (BJ-72732)	4,5-Dihydro-9-nitro-4-[4-(trifluoromethyl)phenyl]-3H-isoxazolo[3,4,5-kl]-acridine	9	1980	167
2539 (BJ-72741)	4,5-Dihydro-4-[4-(trifluoromethyl)phenyl]-3H-isoxazolo[3,4,5-kl]-acridine	9	1980	169
2540 (BJ-72750)	9-Chloro-4,5-dihydro-4-(3,4,5-trimethoxyphenyl)-3H-isoxazolo[3,4,5-kl]acridine	9	1980	171
2543 (BJ-76436)	8-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo[3,4,5-kl]-acridine	9	1980	173
2463 (BJ-44587)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazole-[3,4,5-kl]-acridine	10	1981	188
2463 (BJ-92190)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo-[3,4,5-kl]-acridine	10	1981	189
2422 (BK-02771)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[2-(diethylamino)ethyl]imino-1,3,4,10-tetrahydro-9(2H)acridinone	10	1981	190
2463 (BK-02780)	9-Chloro-4-(2,4-dichlorophenyl)-4,5-dihydro-3H-isoxazolo[3,4,5-kl]-acridine	10	1981	191

3. Xanthene and Thioxanthenediones

AM Number	Name	Annual Report Number	Year	Page
2486 (BJ-46134)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-xanthene-1,9(2H)dione	9	1980	129
2507 (BJ-57833)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-xanthene-1,9(2H)dione, 1-[2-(diethylamino)ethyl]hydrazone	9	1980	130
2508 (BJ-57842)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-xanthene-1,9(2H)dione, 9-[2-(diethylamino)ethyl]hydrazone	9	1980	130
2525 (BJ-59257)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-xanthene-1,9(2H)dione, 1-oxime	9	1980	132
2491 (BJ-51715)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-2-methyl-1H-thioxanthene-1,9(2H)dione, hydrate (20:1)	9	1980	134
2500 (BJ-57057)	7-Chloro-3-(2,4-dichlorophenyl)-1-(4-morpholinylamino)-9H-thioxanthen-9-one	9	1980	135
2501 (BJ-57066)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-dimethylamino)propyl]amino]-3,4-dihydro-9H-thioxanthen-9-one	9	1980	137
2502 (BJ-57075)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]amino]-9H-thioxanthen-9-one	9	1980	139

AM Number	Name	Annual Report Number	Year	Page
2512 (BJ-58367)	7'-Chloro-3'-(2,4-dichlorophenyl)-3',4'-dihydrospiro[1,3-dioxolane-2,1'-[1H]thioxanthen]-9'(2'H)-one	9	1980	141
2523 (BJ-59275)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-thioxanthen-1,9(2H)-dione, 1-oxime, compound with N,N-dimethyl-formamide (5:2)	9	1980	143
2526 (BJ-59284)	7'-Chloro-3'-(2,4-dichlorophenyl)-3',4'-dihydro-spiro[1,3-dioxolane-2,1'-[1H]thioxanthen]-9'(2'H)-one, 10,10-dioxide	9	1980	144
2532 (BJ-63831)	7'-Chloro-3'-(2,4-dichlorophenyl)-3'4'-dihydrospiro[1,3-dioxolane-2,1'[1H]thioxanthen]-9(2H)-one, 10'-oxide	9	1980	146
2547 (BJ-76472)	5-Chloro-2{[5-(2,4-dichlorophenyl)-3-oxo-1-cyclohexen-1-yl]thio}benzoic acid	9	1980	148

4. Novel Related Structures

AM Number	Name	Annual Report Number	Year	Page
2378 (BJ-02043)	5-Chloro-2,3,10,11-tetrahydro-10-[4-(trifluoromethyl)phenyl]-1H,7H-pyrido[3,2,1-de]acridine-7,8(9H)-dione	8	1979	224
2382 (BJ-02089)	7-Chloro-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1(2H)acridinone, 10-oxide	8	1979	227
2407 (BJ-21753)	7-Chloro-1,3-dimethylpyrimido[4,5-b]-quinoline-2,4,5-(1H,3H,10H)trione	8	1979	229
2433 (BJ-34223)	6-Chloro-3-(2,4-dichlorophenyl)-9-[[3-[(diethylamino)methyl]-4-hydroxyphenyl]amino]-3,4-dihydro-1-(2H)-acridinone	8	1979	230
2453 (BJ-39422)	9-Chloro-4,5-dihydro-4,4-dimethyl-2,11(3H,6H)oxepino[3,2-b]quinoline-dione	8	1979	233
2460 (BJ-39826)	2,3-Dihydro-2,2-dimethyl-1H-phenthiazin-4(10H)-one, 5-oxide	8	1979	234
2461 (BJ-39835)	9-Chloro-4,5-dihydro-6-hydroxy-4,4-dimethyloxepino[3,2-b]quinoline-2,11-(3H,6H)dione	8	1979	236
2473 (BJ-44685)	6-Chloro-9-[[3-[(diethylamino)methyl]-4-hydroxyphenyl]amino]-3,4-dihydro-3-[4-(trifluoromethyl)phenyl]-1(2H)-acridinone	8	1979	237
2482 (BJ-45664)	7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1H-thioxanthene-1,9(2H)dione	8	1979	239
2516 (BJ-58401)	3-(2,4-Dichlorophenyl)-3,4-dihydro-9,10-dihydroxy-1(2H)anthracenone	9	1980	150
2559 (BJ-82569)	3-(2,4-Dichlorophenyl)-1-hydroxy-9,10-anthracenedione	9	1980	153

AM Number	Name	Annual Report Number	Year	Page
2575 (BJ-83477)	3-(2,4-Dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,2,3,4-tetrahydro-9,10-anthracenediol	9	1980	155
2517 (BJ-58572)	7-Chloro-2-(2,4-dichlorophenyl)-2,3-dihydro-1H-phenothiazin-4(10H)-one	9	1980	157
2524 (BJ-59266)	7-Chloro-2-(2,4-dichlorophenyl)-2,3-dihydro-10H-phenothiazin-4(1H)-one, 5-oxide	9	1980	152
2518 (BJ-58581)	7,8-Dichloro-3-(2,4-dichlorophenyl)-2,3,4,5,10,11-hexahydro-11-phenyl-1H-dibenzo[b,e][1,4]diazepin-1-one, hydrochloride (10:9), hydrate (5:1)	9	1980	161
2667 (BK-16239)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,2,3,4-tetrahydro-4,9-acridinediol-4-acetate	11	1982	79
2694 (BK-21203)	7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-1,2,3,4-tetrahydro-4,9-acridinediol, hydrate (10:1)	11	1982	81
2732	(S)-7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-9-acridinol	11	1982	83
2733	(R)-7-Chloro-3-(2,4-dichlorophenyl)-1-[[3-(dimethylamino)propyl]imino]-9-acridinol	11	1982	85
2618B	(R)-7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	11	1982	87
2619B	(S)-7-Chloro-3-(2,4-dichlorophenyl)-3,4-dihydro-1,9(2H,10H)acridinedione	11	1982	89

VI. Basically Substituted Trichloromethylheterocycles

A. 2-Aryl-4-amino-6-(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1707 (BE-58732)	4-(Methyl-1-piperazinyl)-2-(4-nitrophenyl)-6-(trichloromethyl)pyrimidine, hydrochloride (1:0.7), hydrate (1:0.7)	4	1975	237
1715 (BE-76374)	N,N-Dimethyl-N'-[2-(4-nitrophenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,3-propanediamine, hydrochloride (1:1.3), hydrate (1:0.35)	4	1975	240
1753 (BG-01046)	4-(4-Methyl-1-piperazinyl)-6-(trichloromethyl)-2-[(3-trifluoromethyl)phenyl]pyrimidine, hydrochloride (1:0.9), hydrate (1:0.4)	4	1975	241
1762 (BG-03933)	N,N-Dimethyl-N'-[6-(trichloromethyl)-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]-1,3-propanediamine	4	1975	244
1810 (BG-32185)	4-(4-Methyl-1-piperazinyl)-6-(trichloromethyl)-2-[(4-trifluoromethyl)phenyl]pyrimidine	4	1975	246
1813 (BG-37975)	2-(3,4-Dichlorophenyl)-4-(4-methyl-1-piperazinyl)-6-(trichloromethyl)pyrimidine	4	1975	249
1818 (BG-37519)	4-(4-Methyl-1-piperazinyl)-2-(2-naphthalenyl)-6-(trichloromethyl)pyrimidine	4	1975	250
1852 (BG-44621)	N ¹ ,N ¹ -Dimethyl-N ² -[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-propanediamine, compound with 2-propanol (1:0.2), hydrochloride (1:1.1)	5	1976	232
1853 (BG-44630)	N,N-Diethyl-N'-methyl-N'-[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine, mono-hydrochloride	5	1976	234

AM Number	Name	Annual Report Number	Year	Page
1858 (BG-46732)	N,N-(Dimethyl)-N'-methyl-N'-[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine	5	1976	236
1863 (BG-46787)	N ² ,N ² -Dimethyl-N ¹ -[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-propanediamine	5	1976	238
1868 (BG-47346)	N,N-Dimethyl-N'-ethyl-N'-[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine, mono-hydrochloride	5	1976	239
1881 (BG-56603)	N,N,N'-Triethyl-N'-[2-(2-naphthalenyl)-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine, mono-hydrochloride	5	1976	241
1898 (BG-58303)	N ² -[2-(3,4-Dichlorophenyl)-6-(trichloromethyl)-4-pyrimidinyl]-N ¹ ,N ¹ -diethyl-1,2-propanediamine, compound with ethanol (1:0.17)	5	1976	243
1907 (BG-60750)	N ¹ -[2-(3,4-Dichlorophenyl)-6-(trichloromethyl)-4-pyrimidinyl]-N ² ,N ² -dimethyl-1,2-propanediamine	5	1976	244
1908 (BG-60769)	2-(3,4-Dichlorophenyl)-N-(1-ethyl-3-piperidinyl)-6-(trichloromethyl)-4-pyrimidinamine, ethanedioate (1:1), compound with ethanol (1:0.3)	5	1976	245
1909 (BG-60778)	N-[2-(3,4-Dichlorophenyl)-6-(trichloromethyl)-4-pyrimidinyl]-N',N'-diethyl-N-methyl-1,2-ethanediamine	5	1976	247
1915 (BG-60830)	2-(3,4-Dichlorophenyl)-N-[4-(1-pyrrolidinyl)butyl]-6-(trichloromethyl)-4-pyrimidinamine, compound with ethanedioic acid (1:1)	5	1976	248

B. 2-(Aminoalkylamino)-4-aryl-6-(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1675 (BE-66734)	4-(3,4-Dichlorophenyl)-2-(4-methyl-1-piperazinyl)-6-(trichloromethyl)-pyrimidine	3	1974	295
1678 (BE-66761)	2-(4-Methyl-1-piperazinyl)-6-(2-naphthalenyl)-4-(trichloromethyl)-pyrimidine, monohydrochloride, compound with 2-propanol (1:0.45)	3	1974	297
1684 (BE-67099)	4-(4-Chlorophenyl)-2-(4-methyl-1-piperazinyl)-6-(trichloromethyl)-pyrimidine, monohydrochloride	4	1975	253
1685 (BE-67106)	N'-[4-(3,4-Dichlorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-diethyl-1,3-propanediamine, monohydrochloride, compound with 2-propanol (1:1)	4	1975	255
1695 (BE-58205)	N,N-Dimethyl-N ¹ -[4-(trichloromethyl)-6-(2-naphthalenyl)-2-pyrimidinyl]-1,4-butanediamine, monohydrate, 1.1 hydrochloride	4	1975	256
1696 (BE-58214)	N-[2-(1-Methyl-2-pyrrolidinyl)ethyl]-4-(2-naphthalenyl)-6-trichloromethyl)-2-pyrimidinamine	4	1975	257
1698 (BE-58545)	4-(3,4-Dichlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-6-(trichloromethyl)-2-pyrimidinamine	4	1975	258
1703 (BE-58698)	4-(2-Naphthalenyl)-N-[3-(1-pyrrolidinyl)propyl]-6-(trichloromethyl)-2-pyrimidinamine, 1.64 hydrochloride, 1.4 hydrate	4	1975	259
1718 (BE-76409)	4-(3,4-Dichlorophenyl)-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-6-(trichloromethyl)-2-pyrimidinamine	4	1975	260

AM Number	Name	Annual Report Number	Year	Page
1719 (BE-76418)	4-(4-Chlorophenyl)-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-6-(trichloromethyl)-2-pyrimidinamine	4	1975	261
1720 (BE-76427)	N,N-Dimethyl-N'-[4-(2-naphthalenyl)-6-(trichloromethyl)-2-pyrimidinyl]-1,3-propanediamine	4	1975	262
1730 (BE-79857)	N ¹ -[4-(4-Chlorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N ² ,N ² -dimethyl-1,2-propanediamine	4	1975	263
1731 (BE-79866)	N ¹ -[4-(3,4-Dichlorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-diethyl-1,2-ethanediamine	4	1975	264
1732 (BE-79875)	N ¹ -[4-(4-Chlorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-diethyl-1,2-ethanediamine	4	1975	265
1733 (BE-79884)	4-(3,4-Dichlorophenyl)-N-[(1-ethyl-4-piperidinyl)methyl]-6-(trichloromethyl)-2-pyrimidinamine	4	1975	266
1734 (BE-79893)	4-(4-Chlorophenyl)-N-[(1-ethyl-4-piperidinyl)methyl]-6-(trichloromethyl)-2-pyrimidinamine	4	1975	267
1735 (BE-79900)	N,N-Diethyl-N'-[4-(2-naphthalenyl)-6-(trichloromethyl)-2-pyrimidinyl]-1,2-ethanediamine	4	1975	268
1736 (BE-79919)	N,N-Diethyl-N'-[4-(2-naphthalenyl)-6-(trichloromethyl)-2-pyrimidinyl]-1,3-propanediamine	4	1975	269
1758 (BG-03899)	N-[(1-Ethyl-4-piperidinyl)methyl]-4-(2-naphthalenyl)-6-(trichloromethyl)-2-pyrimidinamine	4	1975	270
1763 (BG-03942)	N,N-Dimethyl-N'-[4-(2-naphthalenyl)-6-(trichloromethyl)-2-pyrimidinyl]-1,2-ethanediamine	4	1975	271

AM Number	Name	Annual Report Number	Year	Page
1921 (BG-63475)	N,N-Diethyl-N'-[4-(4-methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-1,2-ethanediamine, compound with ethanol (1:0.1), hydrate (1:0.1)	5	1976	250
1928 (BG-63546)	N,N-Diethyl-N'-[4-(4-methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N'-methyl-1,2-ethanediamine, monohydrochloride	5	1976	253
1935 (BG-66878)	N'-[4-(3-Bromophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-diethyl-1,2-ethanediamine, ethanedioate (1:1)	5	1976	254
1936 (BG-66887)	N,N-Diethyl-N'-[4-(trichloromethyl)-6-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,2-ethanediamine, ethanedioate (1:1.1)	5	1976	257
1937 (BG-66896)	N-[4-(3-Bromophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N',N'-diethyl-N-methyl-1,2-ethanediamine, ethanedioate (1:1.15)	5	1976	260
1938 (BG-66903)	N'-[4-(3-Bromophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-dimethyl-1,3-propanediamine, hydrate (1:0.1)	5	1976	262
1943 (BG-70505)	N ¹ -[4-(4-Methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N ² ,N ² -dimethyl-1,2-propanediamine	5	1976	263
1945 (BG-70523)	N,N-Diethyl-N'-methyl-N'-[4-(trichloromethyl)-6-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,2-ethanediamine, ethanedioate (1:1.55) hydrate (1:0.34)	5	1976	264
1950 (BG-70970)	N'-[4-(3-Methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-dimethyl-1,3-propanediamine, dihydrochloride, hydrate (1:1.3)	5	1976	266

AM Number	Name	Annual Report Number	Year	Page
1955 (BG-71020)	N ¹ -[4-(3-Methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N ² ,N ² -dimethyl-1,2-propanediamine, ethanedioate (1:1.5), hydrate (1:0.22)	5	1976	268
1960 (BG-72456)	N ¹ -[4-(4-Fluorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N ² ,N ² -dimethyl-1,2-propanediamine, hydrochloride (1:1.1)	5	1976	271
1961 (BG-72465)	N,N-Diethyl-N'-[6-(trichloromethyl)-4-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,2-ethanediamine, monohydrochloride	5	1976	274
1962 (BG-72474)	N,N-Diethyl-N'-[4-(3-methoxyphenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N'-methyl-1,2-ethanediamine, hydrochloride (1:1.1)	5	1976	276
1963 (BG-72483)	4-(4-Fluorophenyl)-2-(4-methyl)-1-piperazinyl-6-(trichloromethyl)-pyrimidine	5	1976	278
1964 (BG-72492)	4-(4-Fluorophenyl)-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-6-(trichloromethyl)-2-pyrimidinamine	5	1976	279
1969 (BG-74969)	N'-[4-(4-Fluorophenyl)-6-(trichloromethyl)-2-pyrimidinyl]-N,N-dimethyl-1,4-butanediamine, salt with ethanedioic acid (1:1)	5	1976	280
1984 (BG-81508)	2-(4-Methyl-1-piperazinyl)-4-(trichloromethyl)-6-[4-(trifluoromethyl)phenyl]pyrimidine	5	1976	282
1985 (BG-81517)	N,N-Dimethyl-N'-[4-(trichloromethyl)-6-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,3-propanediamine, monohydrochloride, hydrate (1:0.13)	5	1976	283
1986 (BG-81526)	N,N-Diethyl-N'-methyl-N'-[4-(trichloromethyl)-6-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,2-ethanediamine, monohydrochloride, monohydrate	5	1976	284

C. 4-(Aminoalkylamino)-6-aryl-2-(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1801 (BG-22143)	2-Methyl-4-(4-methyl-1-piperazinyl)-6-phenylpyrimidine, hydrochloride (1:2.06), hydrate (1:0.65), compound with 2-propanol (1:0.04)	4	1975	272
1802 (BG-22152)	N,N-Dimethyl-N'-(2-methyl-6-phenyl-4-pyrimidinyl)-1,3-propanediamine, hydrochloride (1:2.03), hydrate (1:1.05), compound with 2-propanol (1:0.1)	4	1975	275
1803 (BG-22161)	N,N-Diethyl-N'-(2-methyl-6-phenyl-4-pyrimidinyl)-1,2-ethanediamine, hydrochloride (1:2.04), hydrate (1:1.01)	4	1975	276
1804 (BG-22170)	N-[(1-Ethyl-4-piperidinyl)methyl]-2-methyl-6-phenyl-4-pyrimidinamine, hydrate (1:0.2), compound with oxalic acid (1:2.07)	4	1975	278
1807 (BG-32158)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-2-methyl-6-phenyl-4-pyrimidinamine	4	1975	279

D. 2-(Aminoalkylamino)-4-(trichloromethyl)-pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1771 (BG-10652)	2-(4-Methyl-1-piperazinyl)-4-(trichloromethyl)pyrimidine	4	1975	281
1775 (BG-11551)	4-(Trichloromethyl)-N-[(1-ethyl-4-piperidinyl)methyl]-2-pyrimidinamine	4	1975	283
1776 (BG-11560)	N-[2-(1-Methyl-2-pyrrolidinyl)ethyl]-4-(trichloromethyl)-2-pyrimidinamine	4	1975	284
1828 (BG-41522)	N-(3,4-Dichlorophenyl)-4-(trichloromethyl)-2-pyrimidinamine	4	1975	285
1829 (BG-41531)	N-[3-[(Diethylamino)methyl]-4-methoxyphenyl]-4-(trichloromethyl)-2-pyrimidinamine	4	1975	286
1847 (BG-44578)	N,N-Diethyl-N'-[4-(trichloromethyl)-2-pyrimidinyl]-1,2-ethanediamine, compound with 2-propanol (1:0.05), hydrochloride (1:1.95)	5	1976	286
1869 (BG-47355)	N-[3-(1-Pyrrolidinyl)propyl]-4-(trichloromethyl)-2-pyrimidinamine, compound with ethanol (1:0.1), hydrate (1:1.3), hydrochloride (1:1.95)	5	1976	287
1872 (BG-56014)	N,N-Dimethyl-N'-[4-(trichloromethyl)-2-pyrimidinyl]-1,3-propanediamine, hydrate (1.0:9), hydrochloride (1:1.95)	5	1976	288
1877 (BG-56569)	N-(1-Ethyl-3-piperidinyl)-4-(trichloromethyl)-2-pyrimidinamine, monohydrochloride	5	1976	289
1893 (BG-58250)	N ² ,N ² -Dimethyl-N ¹ -[4-(trichloromethyl)-2-pyrimidinyl]-1,2-propanediamine, salt with ethanedioic acid (1:1.05)	5	1976	290

E. (Aminoalkylamino)anilino(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1800 (BG-22134)	N-(3,4-Dichlorophenyl)-4-(4-methyl-1-piperazinyl)-6-(trichloromethyl)-2-pyrimidinamine	4	1975	287
1812 (BG-37966)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[3-(1-pyrrolidinyl)propyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, hydrate (1:0.29)	4	1975	289

F. 4-(Aminoalkylamino)-2-anilino-6-(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1854 (BG-46698)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[2-(diethylamino)ethyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, dihydrochloride	5	1976	291
1855 (BG-46705)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[2-(1-methyl-2-pyrrolidinyl)ethyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, dihydrochloride, hydrate (1:1.1)	5	1976	293
1857 (BG-46723)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[2-(dimethylamino)-1-methylethyl]-6-(trichloromethyl)-2,4-pyrimidinediamine	5	1976	295
1866 (BG-47328)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[2-(dimethylamino)propyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, compound with 2-propanone (1:0.2), dihydrochloride	5	1976	297
1874 (BG-56032)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[3-(dimethylamino)propyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, dihydrochloride	5	1976	299
1914 (BG-60821)	N ² -(3,4-Dichlorophenyl)-N ⁴ -(1-ethyl-3-piperidinyl)-6-(trichloromethyl)-2,4-pyrimidinediamine, hydrochloride (1:1.9)	5	1976	300
1916 (BG-60849)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[4-(diethylamino)cyclohexyl]-6-(trichloromethyl)-2,4-pyrimidinediamine, dihydrochloride, hydrate (1:0.8)	5	1976	301

G. 2-[(Aryl and benzyl)thio]-4-amino-6-(trichloromethyl)-s-triazines and 2-amino-4-[(aryl and benzyl)thio]-6-(trichloromethyl)pyrimidines

AM Number	Name	Annual Report Number	Year	Page
1823 (BG-37564)	2-[[(4-Chlorophenyl)methyl]thio]-4-methyl-6-(4-methyl-1-piperazinyl)-pyrimidine, compound with ethanedioic acid (1:1)	4	1975	291
1842 (BG-44489)	4-Methyl-2-[(4-nitrophenyl)thio]-pyrimidine	4	1975	293
1843 (BG-44498)	4-Methyl-2-[[4-nitro-3-(trifluoromethyl)phenyl]thio]pyrimidine	4	1975	294
1844 (BG-44505)	2-[[(4-Chlorophenyl)methyl]thio]-4-methylpyrimidine, hydrochloride (1:0.95)	4	1975	295
1848 (BG-44587)	4-[(4-Methyl-2-pyrimidinyl)thio]-2-(trifluoromethyl)benzenamine	5	1976	314
1861 (BG-46769)	4-[(4-Methyl-2-pyrimidinyl)thio]benzenamine	5	1976	315
1864 (BG-46796)	4-(Trichloromethyl)-2-[(4-nitrophenyl)thio]pyrimidine	5	1976	316
1878 (BG-56578)	N,N-Diethyl-N'-[2-[[4-nitro-3-(trifluoromethyl)phenyl]thio]-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine, monohydrochloride	5	1976	317
1879 (BG-56587)	N,N-Diethyl-N'-[6-methyl-2-[[4-nitro-3-(trifluoromethyl)phenyl]thio]-4-pyrimidinyl]-1,2-ethanediamine, hydrochloride (1:2.1)	5	1976	319
1897 (BG-58296)	N,N-Diethyl-N'-[2-[(4-nitrophenyl)thio]-6-(trichloromethyl)-4-pyrimidinyl]-1,2-ethanediamine, monohydrochloride	5	1976	321
1951 (BG-70989)	N'-[2-[[(4-Chlorophenyl)thio]methyl]-6-methyl-4-pyrimidinyl]-N,N-diethyl-1,2-ethanediamine, ethanedioate (1:1.9), hydrate (1:0.43)	5	1976	323

H. N-oxides of Basically Substituted (Trichloromethyl)heterocycles

AM Number	Name	Annual Report Number	Year	Page
1814 (BG-37984)	N'-[7-Chloro-2-(trichloromethyl)-4-quinazolinyl]-N,N-diethyl-1,2-ethanediamine, N-oxide, hemihydrate	4	1975	297
1830 (BG-41540)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[2-(dimethylamino)propyl]-6-(trichloromethyl)-1,3,5-triazine-2,4-diamine, N ^ω -oxide compound with dichloromethane (0:0.1)	4	1975	299
1850 (BG-44603)	4,6-Bis-(trichloromethyl)-N-[3-(1-pyrrolidinyl)propyl]-2-pyrimidinamine, N-oxide	5	1976	303
1851 (BG-44612)	N ² -(3,4-Dichlorophenyl)-N ⁴ -[1-(1-ethyl-4-piperidinyl)ethyl]-6-(trichloromethyl)-1,3,5-triazine-2,4-diamine, N-oxide, compound with ethyl acetate (1:0.2)	5	1976	305

I. 1-(Aminoalkylamino)-4-(trichloromethyl)phthalazines

AM Number	Name	Annual Report Number	Year	Page
1885 (BG-56649)	1-Methyl-4-(4-methyl-1-piperazinyl)-phthalazine, hydrochloride (1:2.03)	5	1976	307
1970 (BG-74978)	N ² ,N ² -Dimethyl-N ¹ -(4-methyl-1-phthalazinyl)-1,2-propanediamine, dihydrochloride, hydrate (1:0.75)	5	1976	309
1971 (BG-74987)	4-Methyl-N-[3-(1-pyrrolidinyl)propyl]-1-phthalazinamine, dihydrochloride, hydrate (1:1.25)	5	1976	311
1997 (BG-81848)	N,N-Diethyl-N'-(4-methyl-1-phthalazinyl)-1,2-ethanediamine, dihydrochloride, hydrate (1:1.67)	5	1976	313

VII. Miscellaneous Classes

A. 5,5-Bis(Benzyl)-2-(Aryl and Heterocyclic)-1,4,5,6-tetrahydro-pyrimidines

AM Number	Name	Annual Report Number	Year	Page
995	5,5-Bis(3,4-dichlorobenzyl)-1,4,5,6-tetrahydro-2-(4-pyridyl)pyrimidine, sesquihydrochloride	2	1973	386
1446 (BD-25443)	5,5-Bis(3,4-dichlorobenzyl)tetrahydro-2(1H)pyrimidinethione	2	1973	389
1462 (BD-09725)	6,6-Bis(3,4-dichlorophenyl)-6,7-dihydro-3-(<u>o</u> -methoxyphenyl)-5H-thiazolo[3,2- <u>a</u>]pyrimidine, 1.05 f wt hydrobromide	2	1973	390
1472 (BD-26128)	3-(4-Chlorophenyl)-6,6-bis[(3,4-dichlorophenyl)methyl]-6,7-dihydro-5H-thiazolo[3,2- <u>a</u>]pyrimidine, 0.7 f wt acetonitrile of crystallization, monohydrobromide	2	1973	392
1561 (BD-57892)	2-[6,6-Bis[(3,4-dichlorophenyl)-methyl]-6,7-dihydro-5H-thiazolo[3,2- <u>a</u>]pyrimidin-3-yl]phenol, monohydrobromide	3	1974	201
1571 (BE-10545)	2,2-Bis[(3,4,5-trimethoxyphenyl)-methyl]propanedinitrile	3	1974	203
1582 (BE-11855)	2,2-Bis(2-naphthalenylmethyl)-1,3-propanediamine	3	1974	204
1596 (BD-99130)	2,2-Bis[(3,4,5-trimethoxyphenyl)-methyl]-1,3-propanediamine, dihydrochloride	3	1974	205
1598 (BD-99158)	1,4,5,6-Tetrahydro-5,5-bis(2-naphthalenylmethyl)-2-(4-pyridinyl)pyrimidine, hydrochloride (1:1.9), hydrate (1:1.4)	3	1974	207

AM Number	Name	Annual Report Number	Year	Page
1612 (BE-14570)	2-[[5,5-Bis(3,4-dichlorophenyl)-methyl]-1,4,5,6-tetrahydro-2-pyrimidinyl]pyrazine, dihydrochloride	3	1974	208
1624 (BE-15184)	5,5-Bis[(3,4-dichlorophenyl)methyl]-1,4,5,6-tetrahydro-2-thienyl-pyrimidine, monohydrochloride, monohydrate	3	1974	209
1625 (BE-16565)	2-(3,4-Dichlorophenyl)-5,5-bis[(3,4-dichlorophenyl)methyl]-1,4,5,6-tetrahydropyrimidine, monohydrochloride	3	1974	210
1687 (BE-67124)	2-[(4-Chlorophenyl)methyl]-5,5-bis-[(3,4-dichlorophenyl)methyl]-1,4,5,6-tetrahydropyrimidine, monohydrochloride	4	1975	378

B. Guanidines

1. 1-Aryl-3-(1-alkyl-4,5-dioxo-2-imidazolidinylidene)guanidines

AM Number	Name	Annual Report Number	Year	Page
1172 (AY-98947)	1-(<u>p</u> -Chlorophenyl)-3-(1-isopropyl-4,5-dioxo-2-imidazolidinylidene)-guanidine	1	1972	372
1178 (AY-99551)	1-(3,4-Dichlorophenyl)-3-(1-isopropyl-4,5-dioxo-2-imidazolidinylidene)guanidine	1	1972	374
1562 (BD-59001)	N-(4-Chlorophenyl)-N'-(4,5-dioxo-1-propyl-2-imidazolidinylidene)guanidine	3	1974	178
1577 (BE-11168)	N-(4-Chlorophenyl)-N'-(4,5-dioxo-2-imidazolidinylidene)guanidine	3	1974	180
1578 (BE-11177)	N-(4-Chlorophenyl)-N'-(1-ethyl-4,5-dioxo-2-imidazolidinylidene)guanidine	3	1974	181
1579 (BE-11186)	6-[(4-Chlorophenyl)amino]-4-(<u>n</u> -propylamino)-1,3,5-triazine-2-carboxylic acid, methyl ester	3	1974	183
1584 (BE-12290)	1-(4-Chlorophenyl)-tetrahydro-4,6-diimino-1,3,5-triazin-2(1H)-one	3	1974	184
1585 (BE-12307)	N,N'''-(1,2-Dioxo-1,2-ethanediyl)bis-[N'-(5-chloro-1H-benzimidazol-2-yl)-guanidine], compound with N,N-dimethylformamide (1:1)	3	1974	185
1586 (BE-12316)	N-(4-Chlorophenyl)-N'-(1,4,5,6-tetrahydro-4-oxo-2-pyrimidinyl)guanidine	3	1974	186
1587 (BE-12325)	N-(4-Chlorophenyl)-N'-[1,4,5,6-tetrahydro-1-methyl-4 (and 6) -oxo-2-pyrimidinyl]guanidine	3	1974	187
1588 (BE-12610)	N'-(4-Chlorophenyl)-N,N''-dimethylimidodicarbonimidicdiamide, monohydrochloride	3	1974	189

AM Number	Name	Annual Report Number	Year	Page
1589 (BE-12629)	N-(4-Chlorophenyl)-N'-[imino[(1-methylethyl)amino)methyl]thiourea	3	1974	191
1590 (BE-12638)	N'-(4-Chlorophenyl)-N,N-dimethyl-N'-(1-methylethyl)imidodicarbonimidodiamide, dihydrochloride	3	1974	193
1592 (BE-12656)	2-[[[(4-Chlorophenyl)amino]imino-methyl]imino]-5,5-diphenyl-4-imidazolidinone	3	1974	194
1600 (BD-99176)	1-(4-Chlorophenyl)tetrahydro-4,6-diimino-3-(1-methylethyl)-1,3,5-triazin-2(1H)-one	3	1974	195
	or			
1600 (BD-99176)	1-(4-Chlorophenyl)tetrahydro-6-imino-4-[(1-methylethyl)imino]-1,3,5-triazin-2(1H)-one	3	1974	195
1601 (BD-99185)	N-(4-Chlorophenyl)-N'-[1 (and 3)-(1-methylethyl)-1 (and 3), 4,5,6-tetrahydro-4-oxo-2-pyrimidinyl]-guanidine	3	1974	196
1602 (BD-99194)	N-(4-Chlorophenyl)-N'-[1 (or 3)-(1-methylethyl)-4-oxo-5,5-diphenyl-2-imidazolidinylidene]guanidine	3	1974	197
1608 (BE-13984)	1-[(4-Chlorophenyl)]-2-[[[(dimethyl-amino)iminomethyl]imino]-4,5-imidazolidinedione	3	1974	198
1633 (BE-17366)	(p-Methoxyphenyl)guanidine, mono-nitrate	3	1974	200

2. [(Benzylidene)amino]guanidines

AM Number	Name	Annual Report Number	Year	Page
1173 (AY-98956)	1,3-Bis[(p-chlorobenzylidene)amino]-guanidine	1	1972	399
1176 (AY-99533)	1-[(p-Chlorophenyl)amino]-3-[(p-chlorobenzylidene)amino]guanidine	1	1972	401
1185 (AY-99622)	1,2,3-Tris[(p-chlorobenzylidene)-amino]guanidine, monohydrate	1	1972	402
1186 (BB-40379)	1,2,3-Tris[(3,4-dichlorobenzylidene)-amino]guanidine	1	1972	404
1865 (BG-47319)	2,2'-Bis[(4-fluorophenyl)methylene]-carbonimidic dihydrazide, monohydrochloride	5	1976	450
1876 (BG-56050)	2,2'-Bis[1-(4-chlorophenyl)ethylidene]carbonimidic dihydrazide, monohydrochloride	5	1976	451
1884 (BG-56630)	2,2'-Bis[(4-hydroxyphenyl)methylene]-carbonimidic dihydrazide, monohydrochloride, monohydrate	5	1976	452
1886 (BG-56658)	2,2'-Bis[1-(4-hydroxyphenyl)ethylidene]carbonimidic dihydrazide, compound with ethanol (1:0.67), hydrochloride (1:1.1), hydrate (1:0.1)	5	1976	453
1922 (BG-63484)	2-[(4-Hydroxyphenyl)methylene]carbonimidic dihydrazide monohydrochloride	5	1976	454
1925 (BG-63519)	2,2'-Bis[1-[4-(dimethylamino)phenyl]ethylidene]carbonimidic dihydrazide, hydrochloride (1:2.3), hydrate (1:2.6)	5	1976	455
1941 (BG-66930)	2,2'-Bis[[4-(acetyl amino)phenyl]-methylene]carbonimidic dihydrazide, monohydrochloride, hydrate (1:1.3)	5	1976	456

C. Benzimidazoles

1. 2-[[(Dialkylamino)alkylamino]phenyl]benzimidazoles

AM Number	Name	Annual Report Number	Year	Page
1655 (BE-19717)	5,6-Dichloro-2-[4-(4-methyl-piperazinyl)phenyl]-1H-benzimidazole	3	1974	281
1663 (BE-50236)	4-[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-1-piperazineethanol	3	1974	283
1669 (BE-66672)	N-[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-N',N'-diethyl-N-methyl-1,2-ethanediamine	3	1974	285
1670 (BE-66681)	N-[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-N',N'-diethyl-N-methyl-1,2-ethanediamine, N'-oxide, dihydrochloride, dihydrate	3	1974	287
1671 (BE-66690)	5,6-Dichloro-2-[4-[4-(1-pyrrolidinyl)-1-piperidinyl]phenyl]-1H-benzimidazole, monohydrate	3	1974	289
1672 (BE-66707)	5,6-Dichloro-2-[4-[4-(1-piperidinyl)-1-piperidinyl]phenyl]-1H-benzimidazole, hydrate (1:0.9)	3	1974	291
1674 (BE-66725)	N-[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-N-methyl-1-piperidine-ethanamine, hydrate (1:0.6)	3	1974	293
1686 (BE-67115)	N'-[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-N,N,N'-trimethyl-1,3-propanediamine, monohydrate	4	1975	316
1700 (BE-58563)	5,6-Dichloro-2-(4-hydroxyphenyl)-1H-benzimidazole-1-methanol, monohydrochloride	4	1975	318
1701 (BE-58572)	N ¹ -[4-(5,6-Dichloro-1H-benzimidazol-2-yl)phenyl]-N ¹ ,N ² ,N ² -trimethyl-1,2-propanediamine, dihydrochloride, dihydrate	4	1975	320

AM Number	Name	Annual Report Number	Year	Page
1702 (BE-58581)	5,6-Dichloro-2-[p-[4-[3-(dimethyl-amino)propyl]-1-piperazinyl]phenyl]-benzimidazole, monohydrate	4	1975	322
1714 (BE-72296)	4-(5,6-Dichloro-1H-benzimidazol-2-yl)-2-[(diethylamino)methyl]phenol, monohydrate	4	1975	324
1721 (BE-76436)	5,6-Dichloro-2-[4-(4-ethyl-1-piperazinyl)phenyl]-1H-benzimidazole, monohydrate	4	1975	326
1738 (BE-85720)	5-Chloro-2-[4-(4-methyl-1-piperazinyl)phenyl]benzothiazole	4	1975	328
1750 (BE-96385)	4-(5,6-Dichloro-1H-benzimidazol-2-yl)-2-[(diethylamino)methyl]phenol, N ^W -oxide, compound with 3-chlorobenzoic acid (1:1)	4	1975	329
1764 (BG-03951)	5-Chloro-2-[4-[4-(1-piperidinyl)-1-piperidinyl]phenyl]benzothiazole	4	1975	330

2. 2-[(Dialkylaminoalkoxy)phenyl]benzimidazoles

AM Number	Name	Annual Report Number	Year	Page
1187 (BB-40388)	5(6)-Chloro-2-[p-(2-diethylaminoethoxy)phenyl]benzimidazole	4	1975	382
1208 (BB-42613)	5-Chloro-2-[p-[2-(diethylamino)ethoxy]phenyl]benzimidazole, 2'-oxide, dihydrochloride	4	1975	384

3. (2-Benzimidazolyl)guanidines

AM Number	Name	Annual Report Number	Year	Page
647 (BE-15166)	(5-Methyl-2-benzimidazolyl)guanidine	3	1974	239
1626 (BE-16574)	5,6-Dichloro-2-(trichloromethyl)-1H-benzimidazole, 0.5 mole methanol of crystallization	3	1974	240
1628 (BE-16592)	(5-Chloro-1H-benzimidazol-2-yl)-guanidine, monohydrochloride, hydrate (1:0.65)	3	1974	241
1629 (BE-16609)	(4-Nitro-1H-benzimidazol-2-yl)-guanidine, hydrochloride (1:0.95), hydrate (1:0.33)	3	1974	242
1630 (BE-16618)	(6-Chloro-4-nitro-1H-benzimidazol-2-yl)guanidine, monohydrochloride	3	1974	243
1632 (BE-17357)	(1H-Naphth[2,3-d]imidazol-2-yl)-guanidine, monohydrochloride, hydrate (1:0.4)	3	1974	244
1636 (BE-18167)	(5,6-Dimethyl-1H-benzimidazol-2-yl)-guanidine, dihydrochloride, hydrate (1:0.4)	3	1974	245
1637 (BE-18176)	5,6-Dichloro-2-(4,5-dihydro-1H-imidazol-2-yl)-1H-benzimidazole, hemihydrate	3	1974	246
1661 (BE-40218)	(5-Butyl-1H-benzimidazol-2-yl)-guanidine, hydrochloride (1:1.94)	3	1974	247
1662 (BE-50227)	N-(5,6-Dichloro-1H-benzimidazol-2-yl)-N'(1-methylethyl)guanidine, dihydrochloride	3	1974	250

AM Number	Name	Annual Report Number	Year	Page
1666 (BE-66421)	(5-Benzoyl-1H-benzimidazol-2-yl)- guanidine, hydrochloride (1:1.15), hydrate (1:1.2)	3	1974	252
1673 (BE-66716)	(1H-Fluoreno[2,3-d]imidazo-2-yl)- guanidine, hydrochloride (1:1.05), hemihydrate	3	1974	254
1761 (BG-03924)	N'-(5,6-Dichloro-1H-benzimidazol-2- yl)-N-methyl-N-(1-methylethyl)- guanidine	4	1975	347

D. 2,2'-(1,2-Ethandiylidene)bis[N'-(aryl)hydrazinecarboximidamides

AM Number	Name	Annual Report Number	Year	Page
1607 (BE-13975)	1- <u>p</u> -(Bromophenyl)-2-thiourea	3	1974	212
1613 (BE-14589)	(4-Methoxyphenyl)thiourea	3	1974	213
1614 (BE-14598)	N'-(4-Methoxyphenyl)carbamidodithioic acid, methyl ester	3	1974	214
1615 (BE-14605)	[4-(Dimethylamino)phenyl]thiourea	3	1974	215
1619 (BE-14758)	1-(<u>p</u> -Fluorophenyl)-2-thiourea	3	1974	216
1620 (BE-14767)	N'-(4-Fluorophenyl)carbamidodithioic acid, methyl ester	3	1974	217
1621 (BE-14776)	2,2'-(1,2-Ethandiylidene)bis[N'-(4-bromophenyl)hydrazinecarboximidamide]	3	1974	218
1622 (BE-14785)	2,2'-(1,2-Ethandiylidene)bis[N'-(4-methoxyphenyl)hydrazinecarboximidamide]	3	1974	220
1623 (BE-15175)	2,2'-(1,2-Ethandiylidene)bis[N'-(4-fluorophenyl)hydrazinecarboximidamide]	3	1974	222
1635 (BE-17384)	2,2'-(1,2-Ethandiylidene)bis[N'-(3-chloro-4-methylphenyl)hydrazinecarboximidamide]	3	1974	224
1641 (BE-18210)	2,2'-(1,2-Ethandiylidene)bis[N'-(4-bromo-3-(trifluoromethyl)phenyl)hydrazinecarboximidamide]	3	1974	226
1646 (BE-19119)	2,2'-(1,2-Ethandiylidene)bis[N'-(4-(methylthio)phenyl)hydrazinecarboximidamide]	3	1974	228
1650 (BE-19155)	2,2'-(1,2-Ethandiylidene)bis[N'-(3-(methylthio)phenyl)hydrazinecarboximidamide]	3	1974	230

AM Number	Name	Annual Report Number	Year	Page
1651 (BE-19673)	2,2'-(1,2-Ethanediyldiene)bis[N'-(4-chloro-3-(trifluoromethyl)phenyl)-hydrazinecarboximidamide]	3	1974	232
1665 (BE-66412)	2,2'-(1,2-Ethanediyldiene)bis[N'-(4-chloro-1-naphthalenyl)hydrazinecarboximidamide]	3	1974	234
1668 (BE-66449)	2,2'-(1,2-Ethanediyldiene)bis[N'-(3-fluorophenyl)hydrazinecarboximidamide]	3	1974	237
1682 (BE-67053)	N',3,5-Tris(4-chlorophenyl)-4,5-dihydro-1H-pyrazole-1-carboximidamide, monohydrochloride	4	1975	332
1690 (BE-57280)	2,2'-(1,2-Ethanediyldiene)bis[N'-(3-trifluoromethyl)phenyl]hydrazinecarboximidamide, monohydrate, dihydrochloride	4	1975	334
1691 (BE-57299)	2,2'-(1,2-Ethanediyldiene)bis[N'-(4-(trifluoromethyl)phenyl)hydrazinecarboximidamide], dihydrate, dihydrochloride	4	1975	336
1713 (BE-72287)	2-[(4-Chlorophenyl)amino]-N-(4-chlorophenyl)-4,5-dihydro-1H-imidazole-1-carboximidic acid, hydrazide	4	1975	338
1722 (BE-79722)	N-(3-Fluorophenyl)-2,4-dihydro-3H-1,2,4-triazole-3-imine	4	1975	340
1746 (BE-96349)	2,2'-(1,2-Ethanediyldiene)bis[N,N-dimethyl-N'-(4-chlorophenyl)hydrazinecarboximidamide]	4	1975	341
1747 (BE-96358)	2,2'-(1,2-Ethanediyldiene)bis[2',2'-dimethyl-N-(4-chlorophenyl)-carbonimidic dihydrazide]	4	1975	343
1749 (BE-96376)	2,2'-(1,2-Ethanediyldiene)bis[N'-(4-bromophenyl)-N-methylhydrazinecarboximidamide]	4	1975	345

E. 1,3-Bis[[4-(hydroxy or alkoxy)-3-(aminomethyl)benzylidene]-amino]guanidines, 1,3-bis[[p-[(dialkylamino)alkoxy]benzylidene]-amino]guanidines, and 1,3-bis[[4-(aminoalkylamino)benzylidene]-amino]guanidines

AM Number	Name	Annual Report Number	Year	Page
1717 (BE-76392)	2,2'-Bis[[4-[3-(diethylamino)propoxy]-phenyl]methylene]carbonimidic dihydrazide	4	1975	348
1726 (BE-79768)	2,2'-Bis[[4-[3-(dimethylamino)-2-methyl]propoxy]phenyl]methylene]-carbonimidic dihydrazide	4	1975	349
1737 (BE-85711)	2,2'-Bis[[4-methoxy-3-[(1-piperidinyl)methyl]phenyl]methylene]-carbonimidic dihydrazide, sesquihydrochloride, monohydrate	4	1975	350
1748 (BE-96367)	2,2'-Bis[[4-[2-(dimethylamino)-1-methylethoxy]phenyl]methylene]-carbonimidic dihydrazide, compound with 2-hydroxybenzoic acid (1:3), hydrate (1:1.2)	4	1975	352
1752 (BG-01037)	2,2'-Bis[[4-(4-methyl-1-piperazinyl)-phenyl]methylene]carbonimidic dihydrazide, hydrate (1:0.4)	4	1975	354
1754 (BG-01055)	2,2'-Bis[[4-[3-(1-piperidinyl)-propoxy]phenyl]methylene]carbonimidic dihydrazide	4	1975	356
1765 (BG-03960)	2,2'-Bis[[4-[4-(1-piperidinyl)-1-piperidinyl]phenyl]methylene]-carbonimidic dihydrazide, hydrate (1:0.2)	4	1975	358

AM Number	Name	Annual Report Number	Year	Page
1767 (BG-10616)	2,2'-Bis[[4-[2-(1-pyrrolidinyl)-ethoxy]phenyl]methylene]carbonimidic dihydrazide, sesquihydrate	4	1975	359
1774 (BG-11542)	2,2'-Bis[[3-[(diethylamino)methyl]-4-hydroxyphenyl]methylene]carbonimidic dihydrazide	4	1975	361
1787 (BG-14392)	N-[[4-Methoxy-3-(1-piperidinylmethyl)-phenyl]methylene]-4H-1,2,4-triazol-4-amine	4	1975	362
1816 (BG-38007)	2,2'-Bis[[4-[[2-(Diethylamino)ethyl]-methylamino]phenyl]methylene]carbonimidic dihydrazide	4	1975	363
1819 (BG-37528)	2,2'-Bis[[4-[methyl-[2-(1-piperidinyl)ethyl]amino]phenyl]methylene]-carbonimidic dihydrazide	4	1975	364

F. N,N'-Bis(Dialkylaminoalkyl)-N,N'-dialkyl-p-phenylenediamines

AM Number	Name	Annual Report Number	Year	Page
1181 (AY-99588)	N,N'-Bis[(2-diethylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride	1	1972	386
1191 (BB-40422)	N,N'-Bis[(2-dibutylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride	1	1972	388
1194 (BB-40459)	N,N'-Bis[(3-dimethylamino)propyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride	1	1972	390
1202 (BB-41830)	3,3'-[p-Phenylenebis(methylimino)]-bis[1-ethylpiperidine], dihydrochloride	1	1972	392
1203 (BB-41849)	N,N'-Bis[2-(diethylamino)-1-methylethyl]-N,N'-dimethyl-p-phenylenediamine, 2.33 hydrochloride, 0.6 hydrate	1	1972	394
1209 (BB-42622)	N,N'-Bis[(2-diethylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, 2'2''-dioxide, tetrahydrochloride	1	1972	396
1223 (BB-44822)	4-[[2-(Diethylamino)ethyl]methylamino]-7-nitrobenzofuran	1	1972	398

G. Ureas

1. Amidinoureas

AM Number	Name	Annual Report Number	Year	Page
1233 (BB-44920)	1-Amidino-3-(3-chloro-4-cyanophenyl)-urea, salt with 1 f wt ethanesulphonic acid	1	1972	375
1297 (BB-49210)	1-Amidino-3-(4-bromo- α,α,α -trifluorom-tolyl)urea, monoethanesulfonate	1	1972	377

2. Thioureas

AM Number	Name	Annual Report Number	Year	Page
1255 (BB-46522)	1-Methyl-3-(2-thiazolyl)-2-thiourea	1	1972	406
1258 (BB-47592)	1,1-Diethyl-3-(2-thiazolyl)-2-thiourea	1	1972	407

3. 1-Alkyl-3-(2-thiazolyl)-2-thioureas

AM Number	Name	Annual Report Number	Year	Page
1365 (BC-08581)	1,1-Dipropyl-3-(2-thiazolyl)-2-thiourea	2	1973	377
1376 (BC-08894)	N-2-Thiazolylthio-1-pyrrolidine-carboxamide	2	1973	378
1377 (BC-08901)	1-[3-(Dimethylamino)propyl]-1-methyl-3-(2-thiazolyl)-2-thiourea	2	1973	379
1387 (BC-09417)	1-Ethyl-1-(2-hydroxyethyl)-3-(2-thiazolyl)-2-thiourea	2	1973	380
1390 (BC-57002)	4-Methyl-N-2-thiazolylthio-1-piperazine-carboxamide	2	1973	381
1391 (BC-57011)	1-Butyl-1-methyl-3-(2-thiazolyl)-2-thiourea	2	1973	382
1401 (BC-57128)	1-(3,4-Dichlorophenyl)-3-(2-thiazolyl)-2-thiourea	2	1973	383

H. Quinolone Analogs

AM Number	Name	Annual Report Number	Year	Page
2002 (BG-89255)	6-Chloro-1,4-dihydro-1-hydroxy-2-methyl-4-oxo-3-quinolinecarboxylic acid, ethyl ester	5	1976	426
2008 (BG-89317)	3-Acetyl-6-chloro-1-hydroxy-2-methyl-4(1H)quinolinone, hydrochloride (1:0.23)	5	1976	427
2009 (BG-89326)	3-Acetyl-2-[(acetyloxy)methyl]-6-chloro-4(1H)quinolinone	5	1976	428
2016 (BG-89184)	4-Methylbenzenesulfonic acid, 2-[1-(6-chloro-2,4-dihydro-1-hydroxy-2-methyl-4-oxo-3-quinolinyl)ethylidene]-hydrazide	5	1976	430
2020 (BG-94747)	6-Chloro-1-hydroxy-2-methyl-3-[1-(methylhydrazono)ethyl]-4(1H)-quinolinone, hydrochloride (1:0.1)	5	1976	432
2025 (BG-94792)	3-Acetyl-6-chloro-2-ethyl-1-hydroxy-4(1H)-quinolinone and 6-chloro-1-hydroxy-2-methyl-3-(1-oxopropyl)-4(1H)quinolinone	5	1976	433
2036 (BH-07785)	6-Chloro-2-ethyl-1-hydroxy-3-(1-oxopropyl)-4(1H)quinolinone	6	1977	403
2037 (BH-07794)	6-Chloro-1-hydroxy-3-(1-oxobutyl)-2-propyl-4(1H)quinolinone	6	1977	404

I. Intermediates

AM Number	Name	Annual Report Number	Year	Page
1177 (AY-99542)	2-(<u>p</u> -Chlorobenzyl)piperidine	1	1972	410
1274 (BB-48348)	5-Amino-2-[(<u>p</u> -chlorophenyl)thio]-pyridine	1	1972	411
1279 (BB-48811)	2,6-Dimethyl-4(3 <u>H</u>)quinazolinone	1	1972	412
1290 (BB-48928)	<u>p</u> -Chloro-N-propylbenzylamine	1	1972	413
1291 (BB-48937)	3,4-Dichloro-N-methylbenzylamine	1	1972	415
1292 (BB-48946)	<u>p</u> -Chloro-N-ethylbenzylamine	1	1972	416
1298 (BB-49229)	N-Cyclopropyl- <u>p</u> -fluorobenzylamine	1	1972	417
1306 (BC-29357)	<u>m</u> -Bromo-N-methylbenzylamine	1	1972	418
1349 (BC-08125)	3-Chloro-4-oxo- α -phenyl-2,5-cyclohexadiene- Δ^1 , α -acetonitrile, oxime	1	1972	419
1358 (BC-50165)	<u>m</u> -Amino-N,N-dimethylbenzamide	2	1973	393
1411 (BC-58492)	N-Methyl-1-naphthalenemethylamine	2	1973	395
1412 (BC-58509)	N-Methyl-2-naphthalenemethylamine	2	1973	396
1464 (BD-26048)	3,4,5-Trimethoxy-N-methylbenzylamine, 0.25 hydrate	2	1973	397

AM Number	Name	Annual Report Number	Year	Page
1516 (BD-55307)	3,4-Dichloro-N-(2-methoxyethyl)-benzenemethanamine	2	1973	398
1532 (BD-55469)	2-Methoxy-N-methyl-1-naphthalene-methanamine	2	1973	399
1534 (BD-55487)	4-Methoxy-N-methyl-1-naphthalene-methanamine	2	1973	400
1539 (BD-57267)	N-Methyl-9H-fluorene-2-methanamine	2	1973	401
1542 (BD-57285)	N-Methyl-9-anthracenemethanamine	2	1973	402
1547 (BD-57338)	N-Methyl-9-phenanthrenemethanamine	2	1973	403
1549 (BD-57570)	3,4-Dichloro-N-(2,2,2-trifluoroethyl)-benzenemethanamine, monohydrochloride	2	1973	404
1548 (BD-57561)	2-[(4-Chlorophenyl)methylene]hydrazinecarboximidamide, mononitrate	2	1973	405
1389 (BC-56998)	5-Amino-9-nitro-1H-pyrimido[4,5,6-de]-quinazoline	2	1973	406
1564 (BD-59029)	N-(3,4,5-Trimethoxyphenyl)benzenemethanamine, monohydrochloride	3	1974	299
1604 (BD-99210)	N-Methyl-3-(trifluoromethyl)benzenemethanamine, monohydrochloride	3	1974	300

APPENDIX II

- AM-1170 Thiocarbonic Acid, O-ethyl-S-purin-6-yl ester
- AM-1171 Thiocarbonic Acid, O-methyl-S-purin-6-yl ester
- AM-1172 1-(p-Chlorophenyl)-3-(1-isopropyl-4,5-dioxo-2-imidazolidinylidene)guanidine
- AM-1173 1,3-Bis[(p-chlorobenzylidene)amino]guanidine
- AM-1174 Thiocarbonic Acid, O-n-propyl-S-purin-6-yl ester
- AM-1175 Thiocarbonic Acid, O-phenyl-S-purin-6-yl ester
- AM-1176 1-[(p-Chlorobenzyl)amino]-3-[(p-chlorobenzylidene)amino]guanidine
- AM-1177 2-(p-Chlorobenzyl)piperidine
- AM-1178 1-(3,4-Dichlorophenyl)-3-(1-isopropyl-4,5-dioxo-2-imidazolidinylidene)guanidine
- AM-1179 Dithiocarbonic acid, S-ethyl-S-6-puriny ester
- AM-1180 Thiocarbonic acid, O-n-heptyl-S-purin-6-yl ester
- AM-1181 N,N'-Bis[(2-diethylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride
- AM-1182 2,6-Dibromo-3-nitropyridine
- AM-1183 2,6-Dichloro-3-nitropyridine
- AM-1184 Thiocarbonic acid, O-3-chloropropyl-S-purin-6-yl ester
- AM-1185 1,2,3-Tris[(p-chlorobenzylidene)amino]guanidine, monohydrate

AM-1186	1,2,3-Tris[(3,4-dichlorobenzylidene)-amino]guanidine
AM-1187	5(6)-Chloro-2-[p-(2-diethylaminoethoxy)-phenyl]benzimidazole
AM-1188	p-[(3-Cyano-4-nitrophenyl)thio]benzoic acid
AM-1189	2,7-Diaminopyrimido[4,5-d]pyrimidine
AM-1190	2-Chloro-3-[2-(p-chlorobenzyl)piperidino]-6-nitrobenzonitrile
AM-1191	N,N'-Bis[(2-dibutylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride
AM-1192	6-[(3,4-Dichlorobenzyl)methylamino]-3-nitropicolinonitrile
AM-1193	6-(2-Naphthylthio)-3-nitropicolonitrile
AM-1194	N,N'-Bis[(3-dimethylamino)propyl]-N,N'-dimethyl-p-phenylenediamine, dihydrochloride
AM-1195	2,4,7-Triaminopyrimido[4,5-d]pyrimidine, 1.2 hydrate, 1.4 hydrate
AM-1196	9-β-D-Arabinofuranosyl-9H-purine-6-thiol, triacetate ester
AM-1197	1,5-bis-2-Dibenzothiénylbiguanide, monohydrochloride
AM-1198	6-Methylpyrido[3,2-d]pyrimidine-2,4-diol, 0.05 hydrate
AM-1199	6-[(3,4-Dichlorobenzyl)amino]-3-nitropicolinonitrile
AM-1200	p-[(4-Amino-3-cyanophenyl)thio]benzoic acid
AM-1201	3-Amino-6-(2-naphthylthio)picolinonitrile
AM-1202	3,3'-[p-Phylenebis(methylimino)]bis-[1-ethylpiperidine], dihydrochloride
AM-1203	N,N'-Bis[2-(diethylamino)-1-methylethyl]-N,N'-dimethyl-p-phenylenediamine, 2.33 hydrochloride, 0.6 hydrate
AM-1204	2-Chloro-3-[(1,6-dibromo-2-naphthyl)-oxy]-6-nitrobenzonitrile

- AM-1205 6-Chloro-5-[(1,6-dibromo-2-naphthyl)-oxy]anthranilonitrile
- AM-1206 2,4-Diamino-6-(2-naphthylthio)pyrido-[3,2-d]pyrimidine
- AM-1207 p-[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, monohydrate
- AM-1208 5-Chloro-2-[p-[2-(diethylamino)ethoxy]-phenyl]benzimidazole, 2'-oxide, dihydrochloride
- AM-1209 N,N'-Bis[(2-diethylamino)ethyl]-N,N'-dimethyl-p-phenylenediamine, 2',2''-dioxide, tetrahydrochloride
- AM-1210 3-Amino-6-chloropicolinonitrile
- AM-1211 3-Amino-6-[(3,4-dichlorobenzyl)amino]-picolinonitrile
- AM-1212 6-(2-Naphthylthio)-2,4(1H,3H)-quinazolinedithione
- AM-1213 2,4-Diamino-6-[(3,4-dichlorobenzyl)methylamino]pyrido[3,2-d]pyrimidine
- AM-1214 6-(2-Benzylpiperidino)-2,4(1H,3H)-quinazolinedithione
- AM-1215 p-[(2,4-Diamino-6-quinazolinyl)thio]-benzoic acid, methyl ester, 0.75 hydrate
- AM-1216 6-[(3,4-Dichlorobenzyl)methylamino]-pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dithione
- AM-1217 2,4-Diamino-5-chloro-6-[(1,6-dibromo-2-naphthyl)oxy]quinazoline, diacetate
- AM-1218 4-[(7-Chloro-4-quinolyl)amino]- α,α' -bis(diethylamino)-2,6-xyleneol
- AM-1219 2,4-Diamino-6-[(p-chlorophenyl)thio]-pyrido[3,2-d]pyrimidine
- AM-1220 N',N'''-[6-(2-Naphthylthio)-2,4-quinazolinediyl]-bis[N,N-dimethylformamide]
- AM-1221 2,4-Diamino-6-[[p-(dimethylamino)-phenyl]thio]pyrido[3,2-d]pyrimidine

- AM-1222 5-Amino-(p-(dimethylamino)phenyl)-thio]picolinonitrile
- AM-1223 4-[[2-(Diethylamino)ethyl]methylamino]-7-nitrobenzofurazan
- AM-1224 2,4-Diamino-6-(2-naphthylsulfonyl)-pyrido[3,2-d]pyrimidine, 0.86 hydrate
- AM-1225 2,4-Diamino-5-(methylamino)-6-nitro-quinazoline
- AM-1226 p-Chloro-N-(2,4-diamino-6-quinazolinyl)-benzamide
- AM-1227 6-[(p-Chlorobenzyl)isopropylamino]-3-nitropicolinonitrile
- AM-1228 5-[2-(p-Chlorobenzyl)-1-pyrrolidinyl]-2-nitrobenzonitrile
- AM-1229 6-[2-(p-Chlorobenzyl)piperidino]-3-nitropicolinonitrile
- AM-1230 N',N'''-[6-(2-Naphthylsulfonyl)-2,4-quinazolinediyl]-bis[N,N-dimethylformamide], 1/3 f. wt. N,N-dimethylformamide of crystallization
- AM-1231 2,4-Diamino-6-[(1,6-dibromo-2-naphthyl)-oxy]pyrido[3,2-d]pyrimidine, 0.62 hydrate
- AM-1232 3-(2,4-Diamino-6-quinazolinyl)-3,4-dihydro-1,2,3-benzotriazine, 1.5 H₂O
- AM-1233 1-Amidino-3-(3-chloro-4-cyanophenyl)-urea, salt with 1 f. wt. ethanesulphonic acid
- AM-1234 3,4-Dichloro-N-(2,4-diamino-6-quinazolinyl)benzamide, 1/2 f. wt. N,N-dimethylformamide of crystallization, monohydrate
- AM-1235 N'-[2-Amino-6-(2-naphthylthio)-4-quinazolinyl]-N,N-dimethylformamide, 1/3 f. wt. N,N-dimethylformamide of crystallization
- AM-1236 2-(p-Chlorobenzyl)pyrrolidine, monoacetate

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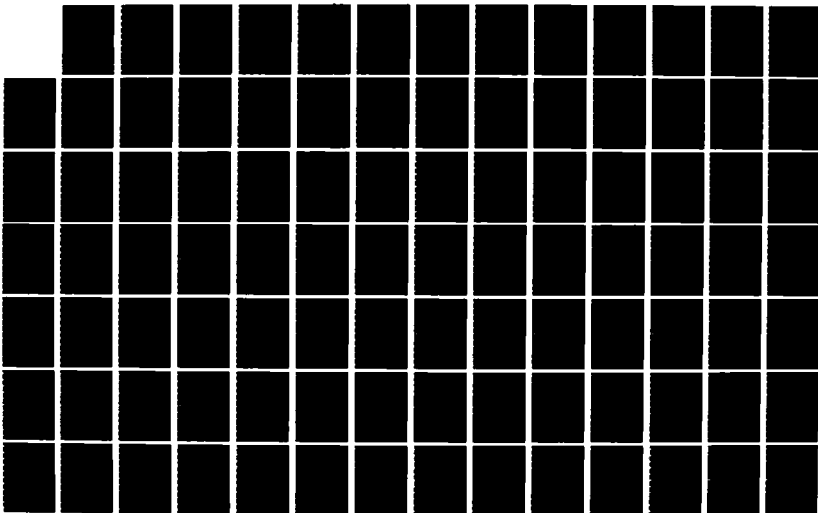
SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS(U)
WARNER-LAMBERT CO ANN ARBOR MI L M MERBEL DEC 83
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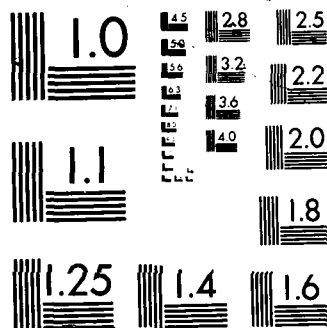
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PHOTOCOPY RESOLUTION TEST CHART

AM-1237	2,4-Diamino-5-(dimethylamino)-6-nitroquinazoline
AM-1238	N'-[2-Amino-6-[(3,4-dichlorophenyl)sulfonyl]-4-quinazolinyl]-N,N-dimethylformamidine
AM-1239	N',N'''-[6-[(3,4-Dichlorophenyl)sulfonyl]-2,4-quinazolinediyl]bis[N,N-dimethylformamidine]
AM-1240	2,4-Diamino-6-chloropyrido[3,2-d]pyrimidine, hemihydrate
AM-1241	2,4-Diamino-6-nitro-5-quinazoline thiol, 0.4 hydrate
AM-1242	1-(2,4-Diamino-6-quinazolinyl)-3-ethyl-2-thiourea, 0.33 hydrate
AM-1243	N'-[2-Amino-6-(2-naphthylsulfonyl)-4-quinazolinyl]-N,N-dimethylformamidine
AM-1244	2,4,6-Triamino-5-(dimethylamino)quinazoline, 0.6 hydrate
AM-1245	N'-[2-Amino-6-[(α,α,α -trifluoro-m-tolyl)-thio]-4-quinazolinyl]-N,N-dimethylformamidine
AM-1246	3,4-Dichloro-N-(2,4-diamino-5-chloro-6-quinazolinyl)benzamide
AM-1247	2,4-Diamino-6-nitro-5-quinazoline thiol, sodium salt, 2.3 hydrate
AM-1248	2,4-Diamino-6-[(p-chlorobenzyl)isopropylamino]pyrido[3,2-d]pyrimidine, 1 f. wt. acetonitrile of crystallization
AM-1249	3-(2,4-Diamino-6-quinazolinyl)-1-ethyl-2-methyl-2-thiopseudourea, monohydriodide
AM-1250	p-Chloro-N-(2,4-diamino-5-chloro-6-quinazolinyl)benzamide
AM-1251	2,4-Diamino-6-[(3,4-dichlorobenzyl)amino]-5-(dimethylamino)quinazoline
AM-1252	6-(2-Benzylpiperidino)-3-nitropicolonitrile
AM-1253	N',N'''-(5-Piperonyl-2,4-pyrimidinediyl)-bis[N,N-dimethylformamidine]

AM-1254 N',N'''-[6-[(α,α,α -Trifluoro-m-tolyl)thio]-2,4-quinazolinediyl]bis[N,N-dimethylformamidine]

AM-1255 1-Methyl-3-(2-thiazolyl)-2-thiourea

AM-1256 2,4-Diamino-6-[2-(p-chlorobenzyl)piperidino]pyrido[3,2-d]pyrimidine, 1 f. wt. ethanol of crystallization, 0.2 hydrate

AM-1257 2,4,5-Triamino-6-nitroquinazoline, 0.1 hydrate

AM-1258 1,1-Diethyl-3-(2-thiazolyl)-2-thiourea

AM-1259 2,4-Diamino-6-(2-benzylpiperidino)pyrido[3,2-d]pyrimidine, 0.33 hydrate

AM-1260 8-Bromoadenine

AM-1261 2,4-Diamino-6-piperidinopteridine, monohydrochloride, 1.8 hydrate

AM-1262 2,4-Diamino-6-[(3,4-dichlorobenzyl)amino]pyrido[3,2-d]pyrimidine, 0.18 hydrate

AM-1263 N-(2,4-Diaminopyrido[3,2-d]pyrimidin-6-yl)-N-(3,4-dichlorobenzyl)formamide

AM-1264 4-Amino-7-(β -D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine; Tubercidin

AM-1265 N-Furfuryladenosine

AM-1266 9- β -D-Arabinofuranosyl-6-chloro-9H-purine, triacetate

AM-1267 9- β -D-Arabinofuranosyl-N⁶-cyclohexyladenine

AM-1268 2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)thio]pyrido[3,2-d]pyrimidine

AM-1269 2,4-Diamino-6-[(3,4-dichlorobenzyl)methylamino]pteridine

AM-1270 N-[p-[2,4-Diamino-6-quinazolinyl)thio]benzoyl]-L-glutamic acid, diethyl ester

AM-1271 9- β -D-Arabinofuranosyl-N-ethyladenine

AM-1272 N-Allyl-9- β -D-arabinofuranosyladenine, 0.1 hydrate

AM-1273 2,4,5,6-Tetraaminoquinazoline, dihydrochloride

AM-1274, 5-Amino-2-[(p-chlorophenyl)thio]pyridine

AM-1275 2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)-sulfinyl]pyrido[3,2-d]pyrimidine, 0.8 hydrate

AM-1276 2,4-Diamino-6-[(α,α,α -trifluoro-m-tolyl)sulfonyl]pyrido[3,2-d]pyrimidine, 0.2 f. wt. ethanol of crystallization

AM-1277 N-Furfuryl-N-nitrosoadenosine

AM-1278 2,4-Diamino-6-[(3,4-dichloro-N-methylanilino)methyl]quinazoline, 1 f. wt. dimethylformamide of crystallization

AM-1279 2,6-Dimethyl-4(3H)-quinazolinone

AM-1280 2,4-Diamino-6-piperidinopyrido[3,2-d]pyrimidine

AM-1281 9- β -D-Arabinofuranosyl-N-ethyl-N-nitrosoadenine

AM-1282 9- β -D-Arabinofuranosyl-N,N-dimethyladenine

AM-1283 9- β -D-Arabinofuranosyl-N-furfuryladenine

AM-1284 9- β -D-Arabinofuranosyl-N-cyclohexyl-N-nitrosoadenine

AM-1285 2,4-Diamino-5-chloro-6-[(α,α,α -trifluoro-m-tolyl)thio]quinazoline

AM-1286 2,4-Diamino-6-(4-phenyl-2-thiazolyl)quinazoline, 1.5 f.wt. of N,N-dimethylformamide of crystallization, 0.2 hydrate

AM-1287 N'-[2-Amino-6-[(α,α,α -trifluoro-m-tolyl)thio]pyrido[3,2-d]pyrimidin-4-yl]-N,N-dimethylformamide

AM-1288 2,4-Diamino-6-[[3,4-dichlorobenzyl)methylamino]methyl]quinazoline

AM-1289 3-Nitro-6-[(4-phenyl-2-thiazolyl)thio]-picolinonitrile

AM-1290 p-Chloro-N-propylbenzylamine

AM-1291 3,4-Dichloro-N-methylbenzylamine

AM-1292 p-Chloro-N-ethylbenzylamine

AM-1293 Thiocarbonic acid, O-benzyl-S-purin-6-yl ester

AM-1294	1-(2,4-Diamino-6-quinazolinyl)-3-(3,4-dichlorophenyl)-2-thiourea, monohydrate
AM-1295	2,4-Diamino-6-[(p-bromo-N-methylanilino)-methyl]quinazoline, 0.7 f.wt. of N,N-dimethylformamide of crystallization
AM-1296	2,4-Diamino-6-[(3,4-dichlorobenzyl)nitrosoamino]-5-(dimethylamino)quinazoline
AM-1297	1-Amidino-3-(4-bromo- α,α,α -trifluoro-m-tolyl)urea, monoethanesulfonate
AM-1298	N-Cyclopropyl-p-fluorobenzylamine
AM-1299	N-Allyl-9- β -D-arabinofuranosyl-N-nitrosoadenine
AM-1300	9- β -D-Arabinofuranosyl-N-furfuryl-N-nitrosoadenine
AM-1301	N-[2,4-Diamino-5-(dimethylamino)-6-quinazolinyl]-N-(3,4-dichlorobenzyl)formamide
AM-1302	N-(3-Methyl-2-butenyl)-N-nitrosoadenosine
AM-1303	2,4-Diamino-6-methylpyrido[3,2-d]pyrimidine
AM-1304	N-Methyl-N-nitrosoadenine
AM-1305	9- β -D-Arabinofuranosyl-N-cyclopropyladenine
AM-1306	m-Bromo-N-methylbenzylamine
AM-1307	N,N-Dimethyladenosine
AM-1308	N-Benzyl-N-nitrosoadenosine
AM-1309	2,4-Diamino-6-(anilinomethyl)quinazoline, monoacetate, 0.6 hydrate
AM-1310	N-Methyl-N-nitrosoadenosine
AM-1311	Thiocarbonic acid, O-p-methoxyphenyl-S-purin-6-yl ester
AM-1312	2,4-Diamino-5-chloro-6-[(α,α,α -trifluoro-m-tolyl)sulfinyl]quinazoline
AM-1313	8-Bromoadenosine, triacetate ester
AM-1314	2,4-Diamino-6-[4-(p-chlorophenyl)-2-thiazolyl]quinazoline, 1.7 f. wt of N,N-dimethylformamide of crystallization

AM-1315 8-Bromoadenosine

AM-1316 2,4-Diaminothio-6-quinazolinecarboxamide, 0.3 f. wt. N,N-dimethylformamide of crystallization, 1.4 f. wt. hydrochloride

AM-1317 2-β-D-Arabinofuranosyladenine, 1-oxide

AM-1318 2,4-Diamino-6-[2-(p-chlorobenzyl)piperidino]quinazoline, 0.3 f. wt. methanol of crystallization

AM-1319 9-β-D-Arabinofuranosyl-N-methyl-N-nitrosadenine, 2' (or 3'), 5'-dibenzoate ester

AM-1320 2,4-Diamino-6-[(3,4-dichlorophenyl)thio]methyl]quinazoline, 0.2 hydrate

AM-1321 N,N'-[6-[(3,4-Dichlorophenyl)thio]methyl]-2,4-quinazolinediyl]bisbenzamide

AM-1322 N',N'''-[6-[3,4-Dichloro-N-methylanilino)methyl]-2,4-quinazolinediyl]bis[N,N-dimethylformamidine]

AM-1323 2,3-Dichloro-6-[(p-chlorobenzyl)thio]benzonitrile

AM-1324 2,4-Diamino-6-[(3,4-dichlorophenyl)thio]pyrido[3,2-d]pyrimidine

AM-1325 2,4-Diamino-5-chloro-6-[(α,α,α-trifluorom-tolyl)sulfonyl]quinazoline

AM-1326 9-β-D-Arabinofuranosyl-N-methyl-N-nitrosadenine, 5'-p-toluenesulfonate ester, 0.7 f. wt ethanol of crystallization

AM-1327 9-β-D-Arabinofuranosyl-N-methyl-N-nitrosadenine, 5'-p-anisate ester

AM-1328 N-[p-[(2,4-Diamino-6-quinazolinyl)thio]benzoyl]-L-glutamic acid, 0.25 f. wt hydrochloride, 1.3 hydrate

AM-1329 2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)thio]quinazoline

AM-1330 2,4-Diamino-6-[(4-phenyl-2-thiazolyl)sulfonyl]quinazoline

AM-1331 N,N'-(6-Methylpyrido[3,2-d]pyrimidine-2,4-diyl]bisbenzamide

AM-1332 2,4-Diamino-6-[(3,4-dichlorophenyl)thio]pteridine

- AM-1333 2,4-Diamino-6-(2-naphthylthio)pteridine
- AM-1334 2,4-Diamino-6-[(3,4-dichlorophenyl) sulfinyl]-pyrido[3,2-d]pyrimidine
- AM-1335 9-β-D-Arabinofuranosyl-N-methyl-N-nitrosadenine, 2' (or 3'), 5'-bis(3,4,5-trimethoxybenzoate ester)
- AM-1336 2,4-Diamino-6-[(p-fluorophenyl) thio]pyrido-[3,2-d]pyrimidine
- AM-1337 N',N'''-[6-[(4-Phenyl-2-thiazolyl) sulfonyl]-2,4-quinazolinediyl]bis[N,N-dimethylformamidine]
- AM-1338 N',N'''-[6-[(4-Phenyl-2-thiazolyl) thio]-2,4-quinazolinediyl]bis[N,N-dimethylformamidine], 0.25 f. wt. acetonitrile of crystallization
- AM-1339 2,4-Diamino-6-[(α,α,α-trifluoro-m-tolyl)-thio]pteridine
- AM-1340 2,4-Diamino-6-[(p-chloro-N-ethylanilino)-methyl]quinazoline, monoacetate, 1.3 hydrate
- AM-1341 2,4-Diamino-6-[(3,4-dichlorophenyl) sulfonyl]pyrido[3,2-d]pyrimidine
- AM-1342 2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)-sulfinyl]quinazoline
- AM-1343 2,4-Diamino-5-chloro-6-[(p-chlorobenzyl)-sulfonyl]quinazoline
- AM-1344 2,4-Diamino-6-[(5-bromo-4-phenyl-2-thiazolyl) thio]quinazoline
- AM-1345 2,4-Diamino-6-(1-naphthylthio)pyrido[3,2-d]pyrimidine
- AM-1346 2,4-Diamino-6-[(p-chlorophenyl) thio]methyl]-quinazoline
- AM-1347 1-Adamantanecarboxylic acid, 5'-ester with 9-β-D-arabinofuranosyl-N-methyl-N-nitrosadenine
- AM-1348 Palmitic acid, 5'-ester with 9-β-D-arabinofuranosyl-N-methyl-N-nitrosadenine
- AM-1349 3-Chloro-4-oxo-α-phenyl-2,5-cyclohexadiene-Δ^{1,α}-acetonitrile, oxime

- AM-1350 9- β -D-Arabinofuranosyl-N-isopropyl-adenine
- AM-1351 9- β -D-Arabinofuranosyl-N-methyl-N-nitrosoadenine
- AM-1352 2,4-Diamino-6-[(p-fluorophenyl) sulfinyl]-pyrido[3,2-d]pyrimidine
- AM-1353 6-Chloro-5-(phenylsulfonyl)-o-anisonitrile
- AM-1354 1-Butyl-3-(2,4-diamino-6-quinazolinyl)-2-thiourea, monohydrochloride, monohydrate
- AM-1355 2,4-Diamino-6-[(5-bromo-4-phenyl-2-thiazolyl) sulfonyl]quinazoline
- AM-1356 2,4-Diamino-6-[(p-chlorobenzyl)methylamino]-pyrido[3,2-d]pyrimidine
- AM-1357 N-Methyl-N-nitroso-9-(5-O-trityl- β -D-arabinofuranosyl)adenine
- AM-1358 m-Amino-N,N-dimethylbenzamide
- AM-1359 2,4-Diamino-6-[(p-chlorobenzyl)ethylamino]-pyrido[3,2-d]pyrimidine
- AM-1360 2,4-Diamino-6-[(p-chlorobenzyl)propylamino]-pyrido[3,2-d]pyrimidine
- AM-1361 9- β -D-Arabinofuranosyl-N-methyladenine, 1-oxide
- AM-1362 2,4-Diamino-6-[(o-chlorophenyl)thio]pteridine
- AM-1363 2,4-Diamino-5-chloro-6-(phenylthio)quinazoline
- AM-1364 3-(2,4-Diamino-6-quinazolinyl)-1-(3,4-dichlorophenyl)-2-methyl-2-thiopseudourea, monohydroiodide
- AM-1365 1,1-Dipropyl-3-(2-thiazolyl)-2-thiourea
- AM-1366 4-[(7-Chloro-4-quinolyl)amino]- α,α' -bis(diethylamino)-2,6-xyleneol, 1'-oxide
- AM-1367 2,4-Diamino-6-(1-naphthylthio)pteridine
- AM-1368 2,4-Diamino-6-[[$(\alpha,\alpha,\alpha$ -trifluoro-m-tolyl)-thio]methyl]quinazoline

- AM-1369 9-(2,6-Dichlorobenzyl)adenine
- AM-1370 2,4-Diamino-6-[(m-bromobenzyl)methylamino]-pyrido[3,2-d]pyrimidine
- AM-1371 6-Chloro-9-(tetrahydro-2H-pyran-2-yl)-9H-purine
- AM-1372 N-Methyl-9-(tetrahydro-2H-pyran-2-yl)adenine
- AM-1373 9-(2,6-Dichlorobenzyl)adenine, 1-oxide
- AM-1374 2,4-Diamino-5-chloro-6-(phenylsulfinyl)quinazoline
- AM-1375 2,4-Diamino-6-[(p-chlorobenzyl)methylamino]-pteridine
- AM-1376 N-2-Thiazolylthio-1-pyrrolidinecarboxamide
- AM-1377 1-[3-(Dimethylamino)propyl]-1-methyl-3-(2-thiazolyl)-2-thiourea
- AM-1378 2,4-Diamino-6-[(p-bromo-N-ethylanilino)methyl]quinazoline, 1.8 f. wt. hydrochloride, 1.2 hydrate
- AM-1379 2,4-Diamino-6-[(2-naphthylthio)methyl]quinazoline
- AM-1380 2,4-Diamino-6-[(2,4,5-trichlorophenyl)thio]-pteridine
- AM-1381 2'-Deoxy-N-methyladenosine
- AM-1382 4-(Methylamino-7- β -D-ribofuranosyl-7H-pyrrolo-[2,3-d]pyrimidine
- AM-1383 2,4-Diamino-5-chloro-6-(phenylsulfonyl)quinazoline
- AM-1384 2,4-Diamino-6-[(p-fluorophenyl)sulfonyl]-pyrido[3,2-d]pyrimidine
- AM-1385 9-(2,6-Dichlorobenzyl)-N-methyladenine
- AM-1386 2,4-Diamino-5-piperidino-6-[(p-piperidino-phenyl)sulfonyl]quinazoline
- AM-1387 1-Ethyl-1-(2-hydroxyethyl)-3-(2-thiazolyl)-2-thiourea
- AM-1388 2,4-Diamino-6-(phenylsulfonyl)-5-piperidino-quinazoline
- AM-1389 5-Amino-9-nitro-1H-pyrimido[4,5,6-de]quinazoline

- AM-1390 4-Methyl-N-2-thiazolylthio-1-piperazine-carboxamide
- AM-1391 1-Butyl-1-methyl-3-(2-thiazolyl)-2-thiourea
- AM-1392 9-(5-Azido-5-deoxy-β-D-arabinofuranosyl)-N-methyl-N-nitrosoadenine
- AM-1393 2,4-Diamino-6-[(p-chlorophenyl)sulfonyl]-pyrido[3,2-d]pyrimidine, hemihydrate
- AM-1394 2,4-Diamino-6-[2-(p-chlorophenyl)-1-pyrrolidinyl]pteridine
- AM-1395 2,4-Diamino-6-[(3,4-dichloro-α-methylbenzyl)-methylamino]pyrido[3,2-d]pyrimidine
- AM-1396 m-[(2,4-Diamino-6-quinazolinyl)methyl]amino]-N,N-dimethylbenzamide, 0.1 hydrate
- AM-1397 N-[(2,4-Diamino-5-chloro-6-quinazolinyl)-methyl]acetamide, 0.3 hydrate
- AM-1398 9-(2,6-Dichlorobenzyl)-N-methyl-N-nitrosoadenine
- AM-1399 4-(Methylnitrosamino)-7-β-D-ribofuranosyl-7H-pyrrolo[2,3-d]pyrimidine
- AM-1400 2,4-Diamino-5-chloro-6-[(o-chlorophenyl)-thio]quinazoline
- AM-1401 1-(3,4-Dichlorophenyl)-3-(2-thiazolyl)-2-thiourea
- AM-1402 N-Methyl-N-nitroso-9-(tetrahydro-2H-pyran-2-yl)adenine
- AM-1403 2,4-Diamino-5-chloro-N,N-diethyl-6-quinazolinesulfonamide
- AM-1404 2,4-Diamino-5-chloro-N,N-dimethyl-6-quinazolinesulfonamide
- AM-1405 2,4-Diamino-N,N,5-trimethyl-6-quinazoline-sulfonamide
- AM-1406 2,4-Diamino-6-[(p-methoxyphenyl)thio]-pteridine
- AM-1407 2,4-Diamino-6-[(2-naphthylsulfinyl)methyl]-quinazoline, hemihydrate
- AM-1408 2,4-Diamino-6-chloropteridine

- AM-1409 N,N'''-[6-[(3,4-Dichlorophenyl)thio]-2,4-pteridinediyl]bis[N,N-dimethylformamidine]
- AM-1410 2,4-Diamino-6-[(3,4-dichloro-N-propylanilino)methyl]quinazoline, 1.66 hydrochloride
- AM-1411 N-Methyl-1-naphthalenemethylamine
- AM-1412 N-Methyl-2-naphthalenemethylamine
- AM-1413 2,4-Diamino-6-[(m-bromobenzyl)methylamino]-pteridine
- AM-1414 2,4-Diamino-6-[[(3,4-dichlorophenyl)sulfonyl]methyl]quinazoline, hemihydrate
- AM-995 5,5-Bis(3,4-dichlorobenzyl)-1,4,5,6-tetrahydro-2-(4-pyridyl)pyrimidine, sesquihydrochloride
- AM-1415 2,4-Diamino-6-[(2,4,5-trichlorophenyl)thio]-pyrido[3,2-d]pyrimidine
- AM-1416 2,4-Diamino-6-(benzylethylamino)pyrido[3,2-d]pyrimidine
- AM-1417 N''-(p-Chlorobenzyl)-N,N',N'''-(pyrido[3,2-d]pyrimidine-2,4,6-triyl)trisacetamide
- AM-1418 2,4-Diamino-6-[(3,4-dichloro-N-isopropylanilino)methyl]quinazoline, 0.1 hydrate
- AM-1419 2,4-Diamino-6-[(o-chlorobenzyl)methylamino]-pteridine
- AM-1420 8-Bromo-N-methyladenosine
- AM-1421 8,10-Diamino-2,3-dimethylpyrazino[2,3-f]quinazoline
- AM-1422 2,4-Diamino-6-[(m-chlorobenzyl)methylamino]-pyrido[3,2-d]pyrimidine
- AM-1423 2,4-Diamino-6-[methyl(1-naphthylmethyl)amino]pteridine
- AM-1424 2,4-Diamino-6-[[(3,4-dichlorophenyl)sulfinyl]methyl]quinazoline, 0.33 hydrate
- AM-1425 8,10-Diamino-2,3-diphenylpyrazino[2,3-f]quinazoline, 0.3 hydrate

- AM-1426 2,4-Diamino-5-chloro-6-[(o-chlorophenyl)-sulfinyl]quinazoline
- AM-1427 2,4-Diamino-6-[methyl(2-naphthylmethyl)-amino]pteridine
- AM-1428 8-Bromo-N-methyl-N-nitrosoadenosine
- AM-1429 2,4-Diamino-6-[(p-fluoro-N-methylanilino)-methyl]quinazoline
- AM-1430 9-B-D-Arabinofuranosyl-N-hydroxyadenine
- AM-1431 N-Methyladenosine, 1-oxide
- AM-1432 13,15-Diaminodipyrido[3,2-a:2',3'-c]pyrimido-[5,4-h]phenazine, 1.05 f. wt. hydrochloride, 1.2 hydrate
- AM-1433 13,15-Diaminodibenzo[a,c]pyrimido[5,4-h]-phenazine, 0.15 f. wt. dimethylsulfoxide of crystallization, 0.7 hydrate
- AM-1434 2,4-Diamino-6-[(2-naphthylsulfonyl)methyl]-quinazoline, 1.0 f. wt. of acetonitrile of crystallization
- AM-1435 N-9-Dimethyladenine
- AM-1436 2,4-Diamino-6-[(N-methyl- α,α,α -trifluoro-m-toluidino)methyl]quinazoline, 1.1 f. wt. acetate, 0.6 hydrate
- AM-1437 o-[(2,4-Diamino-6-quinazolinyl)thio]benzoic acid, methyl ester, 1.1 f. wt. of N,N-dimethylformamide of crystallization, 0.1 hydrate
- AM-1438 N-Cyclohexyladenosine
- AM-1439 2,4-Diamino-N-isopropyl-N-methyl-6-quinazolinesulfonamide
- AM-1440 2,4-Diamino-6-[(m-chloro-N-methylanilino)-methyl]quinazoline
- AM-1441 2,4-Diamino-6-(piperidinosulfonyl)quinazoline
- AM-1442 N,9-Dimethyl-N-nitrosoadenine
- AM-1443 2,4-Diamino-6-(1-pyrrolidinylsulfonyl)quinazoline, 0.2 hydrate
- AM-1444 2,4-Diamino-6-(1,4'-bipiperidin-1'-ylsulfonyl)quinazoline, 0.2 hydrate

- AM-1445 2,4-Diamino-6-[[[2-(diethylamino)ethyl]-methylamino]sulfonyl]quinazoline, 0.2 hydrate
- AM-1446 5,5-Bis(3,4-Dichlorobenzyl) tetrahydro-2-(1H)pyrimidinethione
- AM-1447 2,4-Diamino-6-(morpholinosulfonyl)quinazoline
- AM-1448 4-Amino-5-bromo-2-(methylthio)pyrimidine
- AM-1449 2,4-Diamino-6-(thiomorpholinosulfonyl)quinazoline
- AM-1450 2,4-Diamino-6-[(4-methyl-1-piperazinyl)sulfonyl]quinazoline
- AM-1451 2,4-Diamino-6-[(3,4-dichloroanilino)methyl]-pyrido[2,3-d]pyrimidine
- AM-1452 4-[(2,4-Diamino-6-quinazolinyl)sulfonyl]-1-piperazinecarboxylic acid ethyl ester
- AM-1453 2,4-Diamino-6-[(N-ethyl-p-anisidino)methyl]-quinazoline
- AM-1454 N-Cyclohexyl-N-nitrosoadenosine
- AM-1455 1,3-Diamino-2(and/or 8)H-indeno[1',2'(and/or 2',1'):5,6]pyrazino[2,3-f]quinazolin-12-(and/or 8)-one, monoacetate, monohydrochloride
- AM-1456 N-(p-Chlorophenyl)glycine, 2-[2,4-diamino-5-[(p-chlorophenyl)azo]-6-pyrimidinyl]hydrazide, hemihydrate
- AM-1457 3',4'-Dichloro-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]formanilide
- AM-1458 2,4-Diamino-6-[(2-benzylpiperidino)sulfonyl]-quinazoline
- AM-1459 2,4-Diamino-6-[(4-chloro- α,α,α -trifluoro-m-toluidino)methyl]pyrido[2,3-d]pyrimidine
- AM-1460 2,4-Diamino-6-[(p-chloro-N-methylanilino)-methyl]quinazoline, 1.33 f. wt. acetate, monohydrate
- AM-1461 4'-Chloro-N-[(2,4-diaminopyrido[2,3-d]pyrimidin-6-yl)methyl]- α,α,α -trifluoro-m-formotoluidide, 0.6 hydrate
- AM-1462 6,6-Bis(3,4-dichlorobenzyl)-6,7-dihydro-3-(o-methoxyphenyl)-5H-thiazolo[3,2-a]pyrimidine, 1.05 f. wt hydrobromide

- AM-1463 2,4-Diamino-6-[(p-fluorobenzyl)methylamino]-
pteridine, 0.2 hydrate
- AM-1464 3,4,5-Trimethoxy-N-methylbenzylamine, 0.25
hydrate
- AM-1465 2,4-Diamino-6-(1-indolinylmethyl)quinazoline
- AM-1466 6-[[[4-Chloro-1-naphthalenyl]amino]methyl]-
pyrido[2,3-d]pyrimidine-2,4-diamine, 0.5
f. wt. N,N-dimethylformamide of crystalliza-
tion
- AM-1467 6-[[Ethyl(4-methylphenyl)amino]methyl]-2,4-
quinazolinediamine, 0.25 hydrate
- AM-1468 6-[[[3,4-Dichlorophenyl]nitrosoamino]methyl]-
pyrido[2,3-d]pyrimidine-2,4-diamine
- AM-1469 N-[(2,4-Diaminopyrido[2,3-d]pyrimidin-6-
yl)methyl]-N-(3,4-dichlorophenyl)acetamide,
0.4 hydrate
- AM-1470 6-[[[2-Chloro-4-methylphenyl)methylamino]-
methyl]-2,4-quinazolinediamine, 0.9
hydrate
- AM-1471 N-(4-Chloro-1-naphthalenyl)-N-[(2,4-diamino-
pyrido[2,3-d]pyrimidin-6-yl)methyl]form-
amide
- AM-1472 3-(4-Chlorophenyl)-6,6-bis[(3,4-dichloro-
phenyl)methyl]-6,7-dihydro-5H-thiazolo-
[3,2-a]pyrimidine, 0.7 f. wt. acetonitrile of
crystallization, monohydrobromide
- AM-1473 2(and 3)-methyl-3(and 2)-phenylpyrazino-
[2,3-f]quinazoline-8,10-diamine
- AM-1474 6-[[[4-Chloro-3-(trifluoromethyl)phenyl]-
nitrosoamino]methyl]pyrido[2,3-d]pyrimi-
dine-2,4-diamine
- AM-1475 2-[(2,4-Diamino-6-quinazolinyl)thio]ben-
zoic acid, 1.2 f. wt. N,N-dimethylformamide
of crystallization
- AM-1476 6-[Methyl(2-phenylethyl)amino]-2,4-pter-
idinediamine, 1.6 hydrate
- AM-1477 6-[[[2,5-Dichlorophenyl)methylamino]methyl]-
2,4-quinazolinediamine, 1.1 f. wt. acetate,
0.8 hydrate

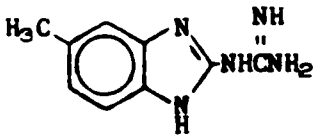
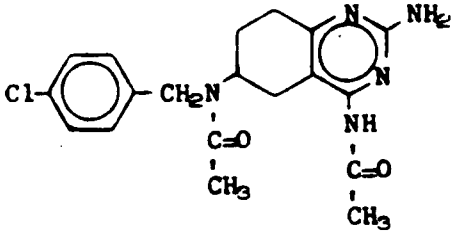
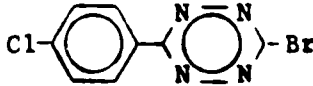
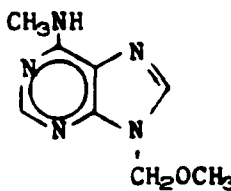
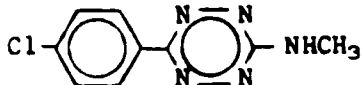
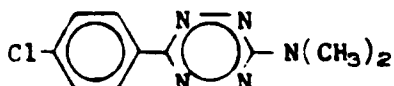
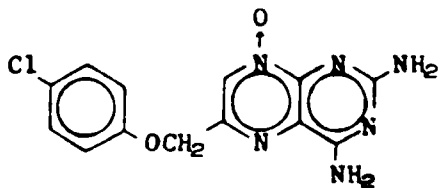
- AM-1478 6-[Methyl[(3,4,5-trimethoxyphenyl)methyl]-amino]-2,4-pteridinediamine
- AM-1479 6-[(1,2,3,4-Tetrahydro-1-quinoliny)methyl]-2,4-quinazolinediamine
- AM-1480 1,3(or 2,4)-Diamino-12H(or 11H)-[1]benzothio-pyrano[3,2-f (or 2,3-g)]quinazolin-12(or 11)-one, 0.2 hydrate
- AM-1481 2(and/or 3)-Phenylpyrazino[2,3-f]quinazoline-8,10-diamine, 0.3 hydrate
- AM-1482 6-[[[(3,4-Dichlorophenyl)ethylamino]methyl]-2,4-quinazolinediamine
- AM-1483 3-(6-Amino-9H-purin-9-yl)-1,2-propanediol, 0.3 hydrate
- AM-1484 6-[[[(3-Bromophenyl)amino]methyl]pyrido-[2,3-d]pyrimidine-2,4-diamine
- AM-1485 N⁶-Methyl-N⁶-[[4-(trifluoromethyl)phenyl]-methyl]pyrido[3,2-d]pyrimidine-2,4,6-triamine
- AM-1486 6-(3,4-Dihydro-2(1H)-isoquinoliny)pteridine-2,4-diamine, 0.2 hydrate
- AM-1487 6-[[Ethyl[3-(trifluoromethyl)phenyl]amino]-methyl]-2,4-quinazolinediamine
- AM-1488 6-(4-Phenyl-1-piperidiny)-2,4-pteridine-diamine, hemihydrochloride, 0.1 hydrate
- AM-1489 N-(3-Bromophenyl)-N-[(2,4-diaminopyrido-[2,3-d]pyrimidin-6-yl)methyl]formamide, 0.2 hydrate
- AM-1490 [1,2,3]Oxadiazolo[3,4-d]pyrimidine-5,7-diamine
- AM-1491 6-(1-Piperidiny)pyrido[3,2-d]pyrimidine-2,4-diamine-5-oxide
- AM-1492 2,4-Diamino-6-quinazolinemethanol, mono-acetate
- AM-1493 6-[[[(3,4-Dichlorophenyl)methylamino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1494 6-[[[(4-Chlorophenyl)(1-methylethyl)amino]-methyl]-2,4-quinazolinediamine, 0.15 hydrate

- AM-1495 6-[[(4-Chlorophenyl) thio]methyl]-2,4-
pteridinediamine, 8-oxide
- AM-1496 6-[[(4-Chlorophenyl) thio]methyl]-2,4-
pteridinediamine
- AM-1497 6-[[(3-Bromophenyl) nitrosoamino]methyl]-
pyrido[2,3-d]pyrimidine-2,4-diamine
- AM-1498 6-[[(3,4-Dichlorophenyl) methylamino]-
methyl]-2,4-pteridinediamine
- AM-1499 6-[[(3,4-Dichlorophenyl) amino]methyl]-2,4-
pteridinediamine, 8-oxide
- AM-1500 6-[[[3,5-bis(Trifluoromethyl)phenyl]methyl-
amino]methyl]-2,4-quinazolinediamine
- AM-1501 6-[[(3,4-Dichlorophenyl) amino]methyl]-2,4-
pteridinediamine, 0.3 f. wt. N,N-dimethyl-
formamide
- AM-1502 6-[[(4-Chlorophenyl) amino]methyl]-5-ethyl-
2,4-quinazolinediamine, 0.1 hydrate
- AM-1503 6-[[(4-Chlorophenyl) sulfinyl]methyl]-2,4-
pteridinediamine, 0.8 hydrate
- AM-1504 6-[[(4-Chlorophenyl) sulfonyl]methyl]-2,4-
pteridinediamine, 0.3 f. wt. N,N-dimethyl-
formamide of crystallization
- AM-1505 6-[[(3,4-Dichlorophenyl) (1-methylethyl) -
amino]methyl]-2,4-pteridinediamine
- AM-1506 6-[[(3,4-Dichlorophenyl) (1-methylethyl) -
amino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1507 3,3'-[1,3-Propanediylbis(oxy)]bis[6-nitro-
benzaldehyde]
- AM-1508 6-[(2,3-Dihydro-1H-indol-1-yl)methyl]-2,4-
pteridinediamine, 8-oxide
- AM-1509 6-[(3,4-Dihydro-1(2H)-quinoliny]methyl]-
2,4-pteridinediamine, 8-oxide, 0.9 f. wt.
N,N-dimethylformamide of crystallization

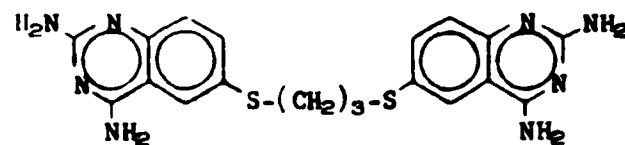
- AM-1510 N-[(2,4-Diamino-6-pteridinyl)methyl]-N-(3,4-dichlorophenyl)formamide
- AM-1511 6-[[[(4-Chlorophenyl)ethylamino]methyl]-2,4-pteridinediamine
- AM-1512 6-[[[(4-Chlorophenyl)ethylamino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1513 N-Methyl-2',3'-O-(1-methylethylidene)-N-nitrosoadenosine
- AM-1514 6-[(3,4-Dihydro-1(2H)-quinoliny)methyl]-2,4-pteridinediamine
- AM-1515 6-[[[(4-Chlorophenyl)methyl]thio]-2,4-pteridinediamine
- AM-1516 3,4-Dichloro-N-(2-methoxyethyl)benzenemethanamine
- AM-1517 6-[(4-Chlorophenyl)sulfonyl]pyrido[3,2-d]pyrimidine-2,4-diamine-1,5-dioxide, 0.71 hydrate
- AM-1518 6-[(2-Phenyl-1-piperidinyl)methyl]-2,4-pteridinediamine, 8-oxide
- AM-1519 6-[[[(4-Chlorophenyl)methylamino]methyl]-2,4-pteridinediamine
- AM-1520 6-[[[(4-Chlorophenyl)methylamino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1521 N⁶-Methyl-N⁶-(phenylmethyl)-2,4,6-pteridine-triamine, monohydrochloride, monohydrate
- AM-1522 6-[(2,3-Dihydro-1H-indol-1-yl)methyl]-2,4-pteridinediamine
- AM-1523 6-[[[(3,4-Dichlorophenyl)propylamino]methyl]-2,4-pteridinediamine
- AM-1524 6-[[[(3,4-Dichlorophenyl)propylamino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1525 6-[[[(4-Chlorophenyl)(1-methylethyl)amino]methyl]-2,4-pteridinediamine, 0.5 f. wt. N,N-dimethylformamide of crystallization
- AM-1526 6-[[[(4-Chlorophenyl)(1-methylethyl)amino]methyl]-2,4-pteridinediamine, 8-oxide
- AM-1527 6-[(2-Naphthalenylthio)methyl]-2,4-pteridine-diamine, 8-oxide

- AM-1528 6-[(2-Naphthalenylthio)methyl]-2,4-pteridinediamine
- AM-1529 6-[(2-Phenyl-1-piperidinyl)methyl]-2,4-pteridinediamine, 0.2 f. wt. N,N-dimethylformamide of crystallization
- AM-1530 6-[[2-(Phenylmethyl)-1-piperidinyl]methyl]-2,4-pteridinediamine, 0.9 f. wt. N,N-dimethylformamide of crystallization
- AM-1531 6-[[2-(Phenylmethyl)-1-piperidinyl]methyl]-2,4-pteridinediamine, 8-oxide, 1 f. wt. N,N-dimethylformamide of crystallization
- AM-1532 2-Methoxy-N-methyl-1-naphthalenemethanamine
- AM-1533 2,2'-Trimethylenebis[2-thiopseudourea], dihydrobromide
- AM-1534 4-Methoxy-N-methyl-1-naphthalenemethanamine
- AM-1535 6,6'-[1,3-Propanediylbis(oxy)]bis[2,4-quinazolinediamine], hemihydrate
- AM-1536 6,6'-[1,5-Pentanediybis(oxy)]bis[2,4-quinazolinediamine], hemihydrate
- AM-1537 3,β-D-Ribofuransyldimidazo[1,2-c;4',5'-e]-pyrimidine, monohydrochloride
- AM-1538 2,2'-Pentamethylenebis[2-thiopseudourea], dihydrobromide
- AM-1539 N-Methyl-9H-fluorene-2-methanamine
- AM-1540 5,6,7,8-Tetrahydro-6-phenylphosphorino-[4,3-d]pyrimidine-2,4-diamine
- AM-1541 6-(1-Methylhydrazino)-9-β-D-ribofuranosyl)-9H-purine
- AM-1542 N-Methyl-9-anthracenemethanamine
- AM-1543 N⁶-[(4-Methoxy-1-naphthalenyl)methyl]-N⁶-methyl-2,4,6-pteridinetriamine
- AM-1544 N-(4-Chlorophenyl)glycine, 2-(5-amino[1,2,5]-oxadiazolo[3,4-d]pyrimidin-7-yl)hydrazide
- AM-1545 N-(3,4-Dichlorophenyl)glycine, 2-(5-amino-[1,2,5]oxadiazolo[3,4-d]pyrimidin-7-yl)-hydrazide

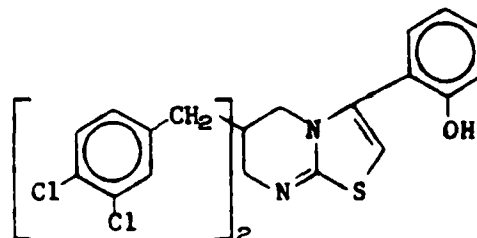
- AM-1546 N-[3-(Trifluoromethyl)phenyl]glycine, 2-(5-amino[1,2,5]oxadiazolo[3,4-d]pyrimidin-7-yl)hydrazide
- AM-1547 N-Methyl-9-phenanthrenemethanamine
- AM-1548 2-[(4-Chlorophenyl)methylene]hydrazinecarboximidamide, mononitrate
- AM-1549 3,4-Dichloro-N-(2,2,2-trifluoroethyl)benzenemethanamine, nonhydrochloride
- AM-1550 3-[6-(Methylnitrosoamino)-9H-purin-9-yl]-1,2-propanediol
- AM-1551 3,β-D-Arabino-furanosyldiimidazo[1,2-c:4',5'-e]pyrimidine, monohydrochloride
- AM-1552 N⁶-[(2-Methoxy-1-naphthalenyl)methyl]-N⁶-methyl-2,4,6-pteridinetriamine, 0.8 hydrate
- AM-1553 6-[[Ethyl(4-methoxyphenyl)amino]methyl]-2,4-pteridinediamine
- AM-1554 6-[[Ethyl(4-methoxyphenyl)amino]methyl]-2,4-pteridinediamine, 8-oxide, 0.25 hydrate

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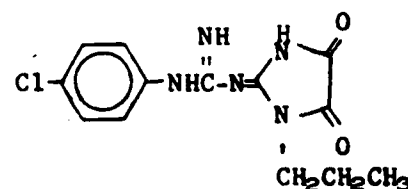
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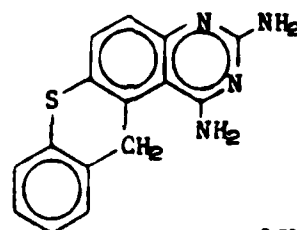
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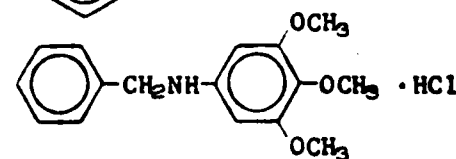
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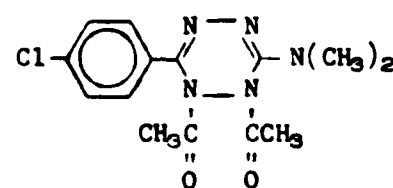
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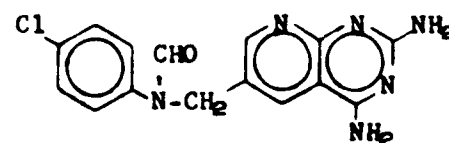
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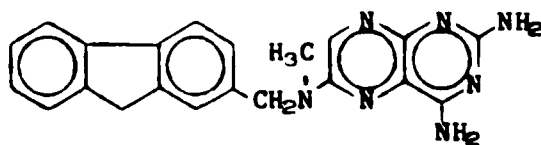
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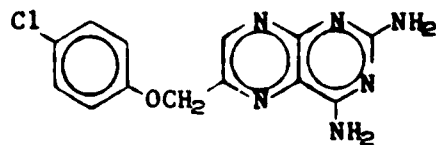
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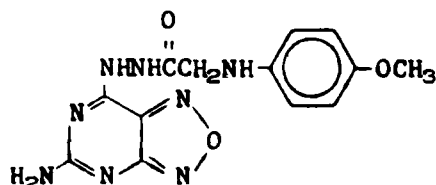
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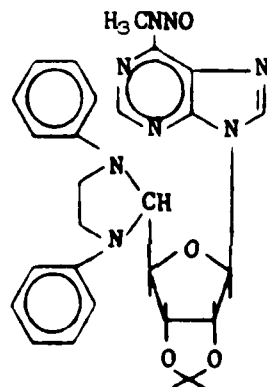
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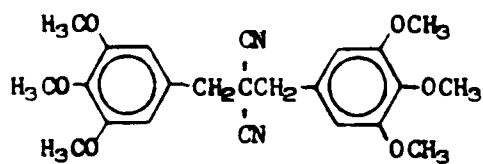
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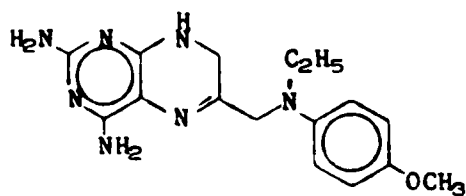
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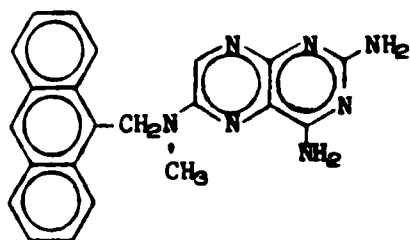
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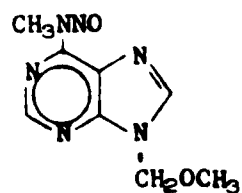
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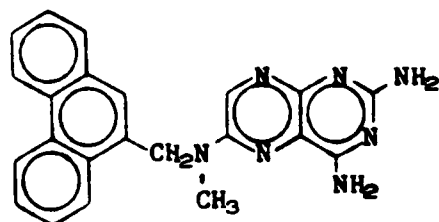
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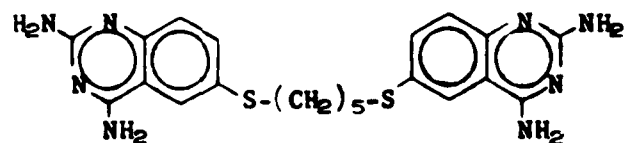
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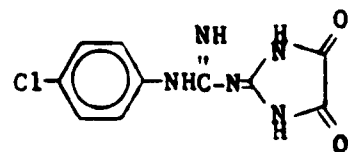
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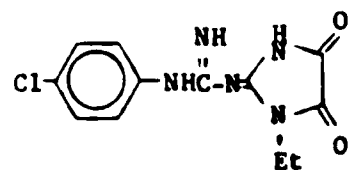
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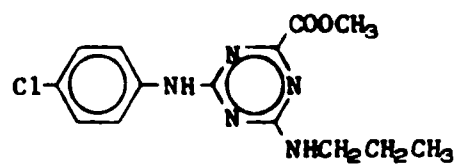
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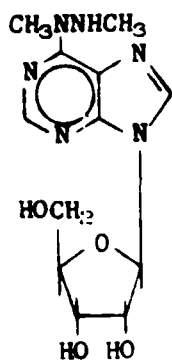
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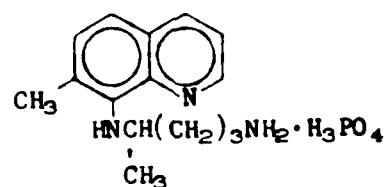
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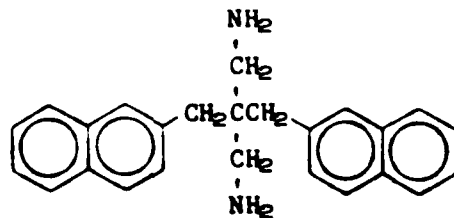
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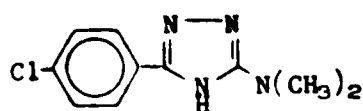
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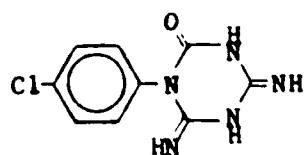
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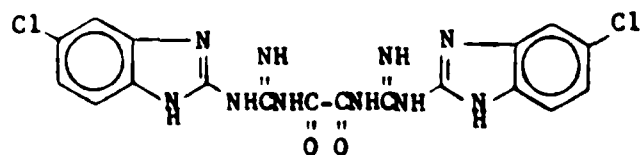
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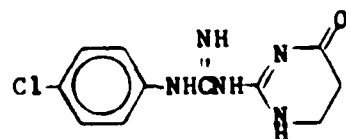
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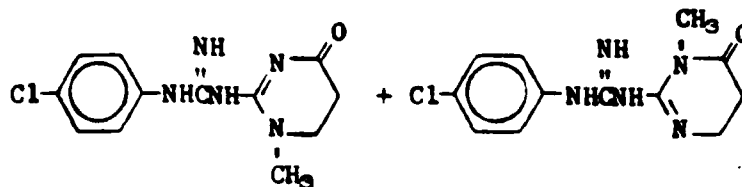
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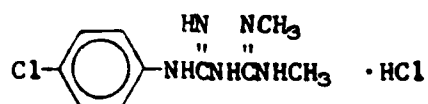
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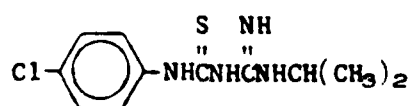
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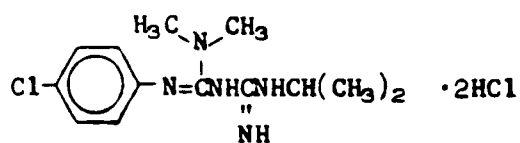
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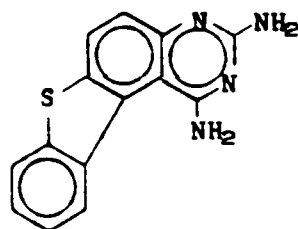
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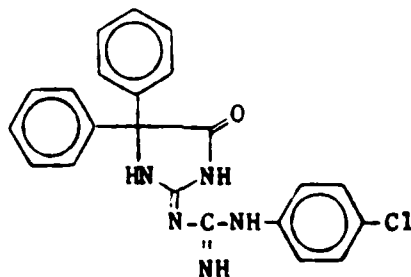
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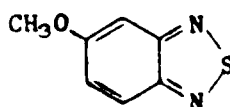
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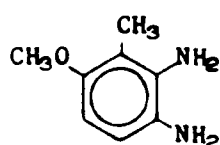
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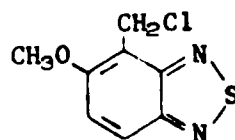
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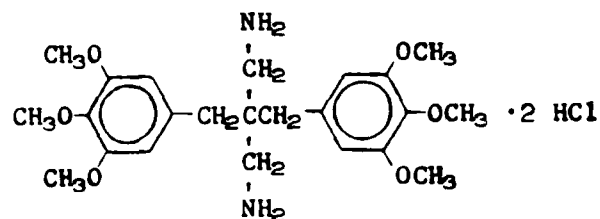
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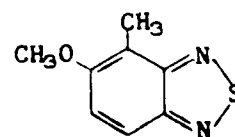
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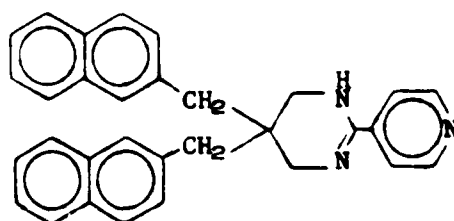
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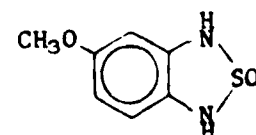
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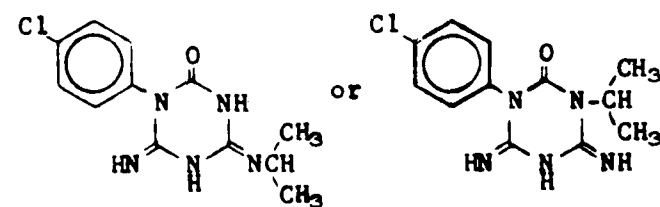
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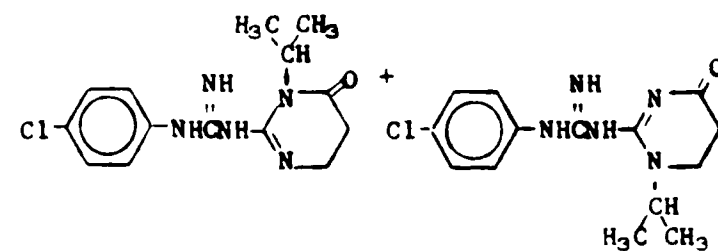
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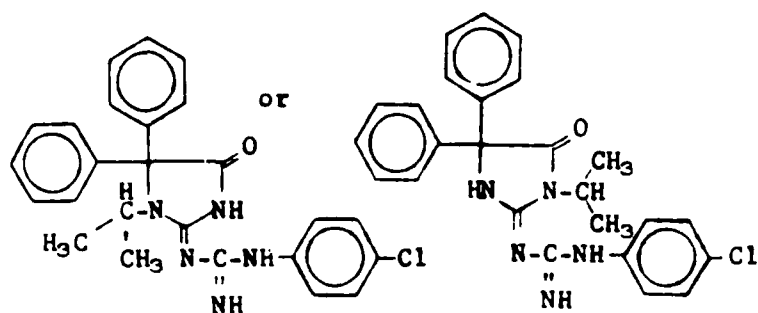
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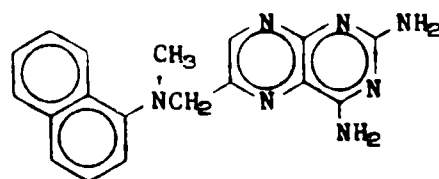
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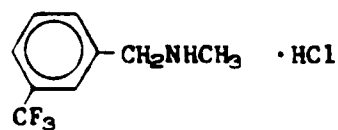
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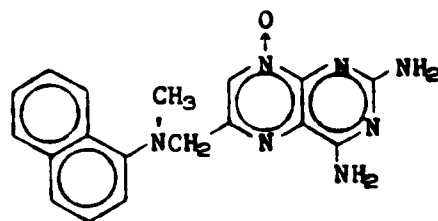
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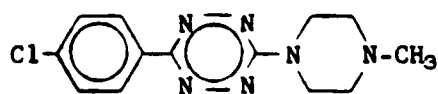
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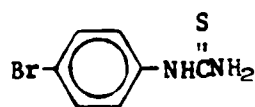
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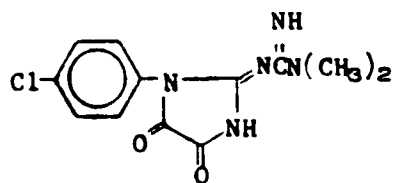
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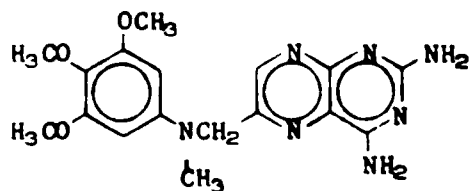
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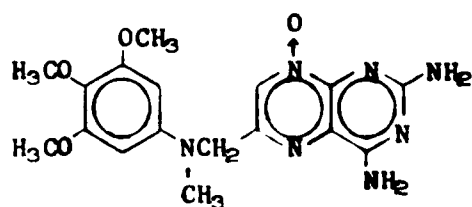
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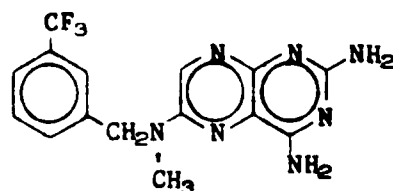
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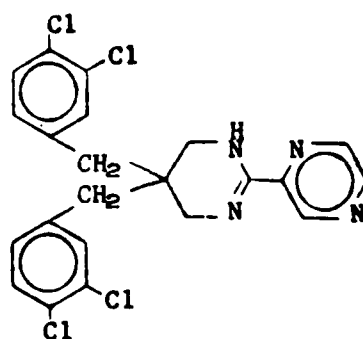
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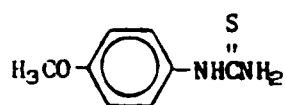
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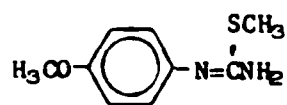
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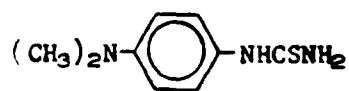
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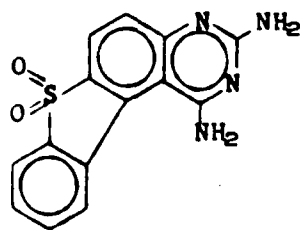
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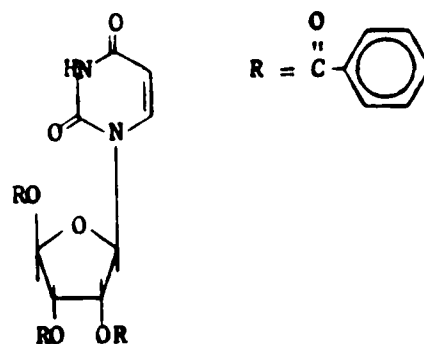
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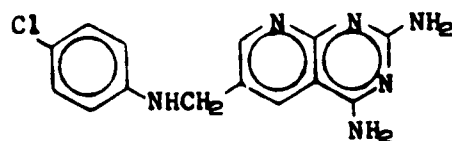
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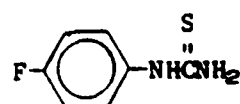
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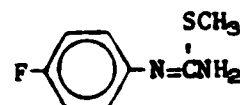
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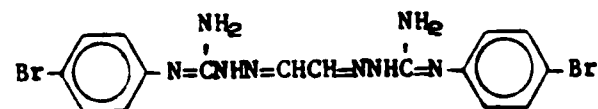
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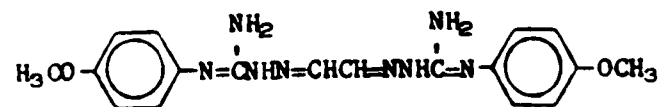
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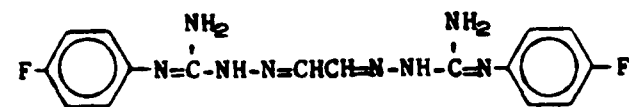
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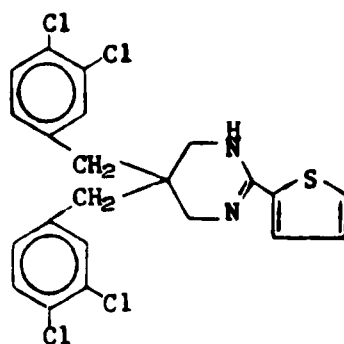
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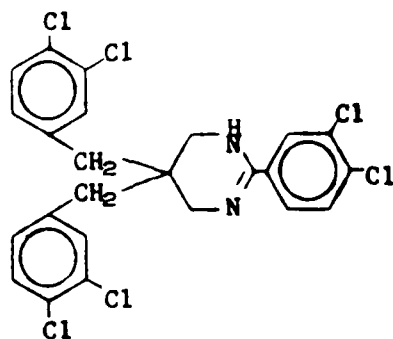
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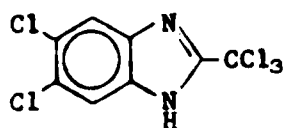
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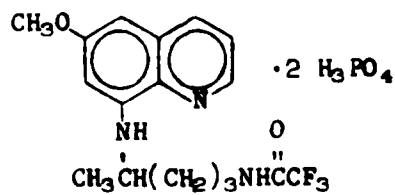
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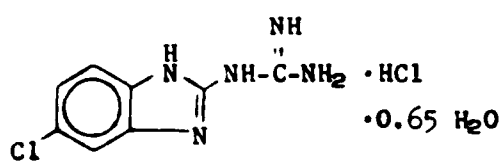
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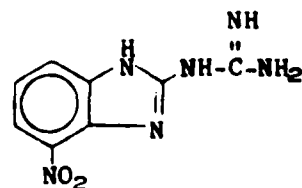
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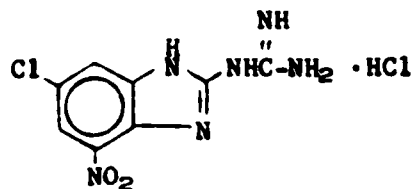
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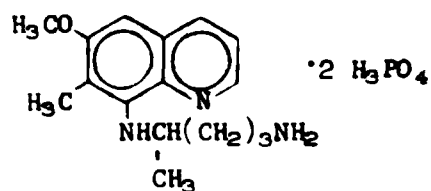
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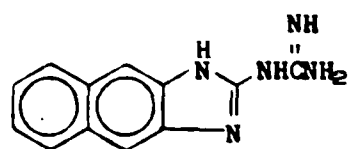
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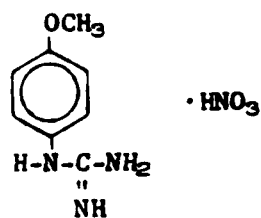
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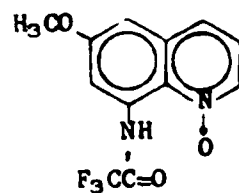
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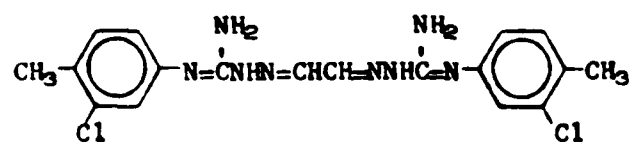
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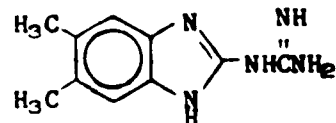
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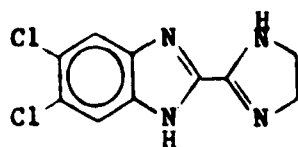
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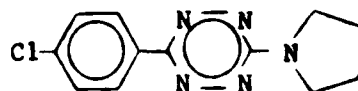
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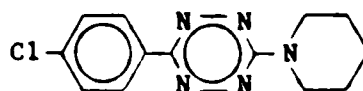
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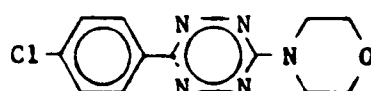
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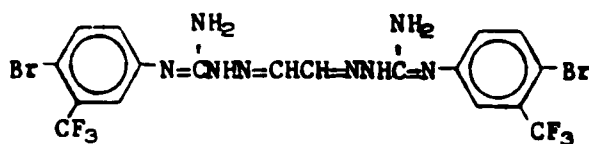
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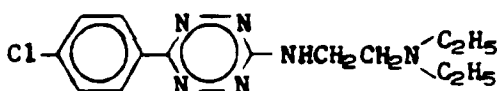
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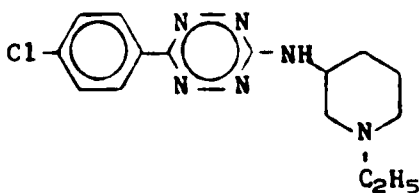
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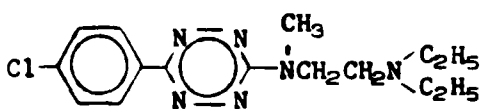
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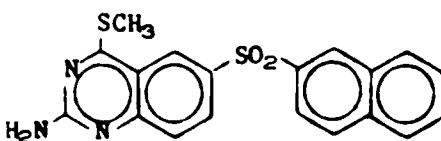
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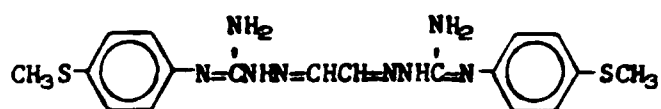
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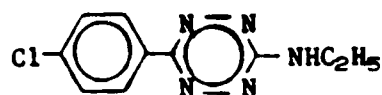
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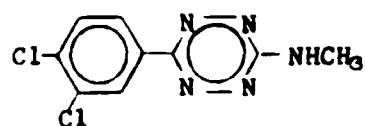
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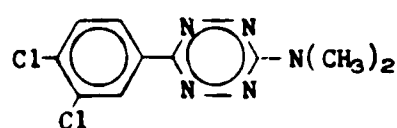
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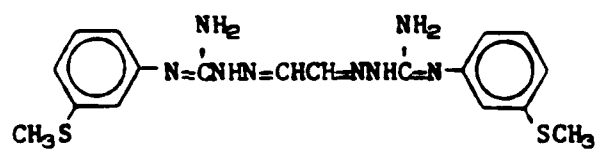
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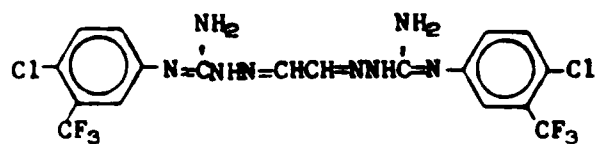
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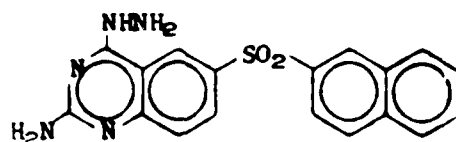
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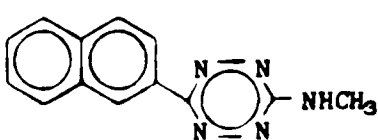
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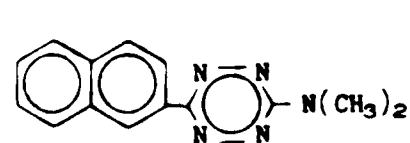
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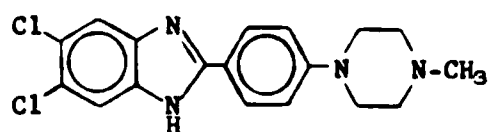
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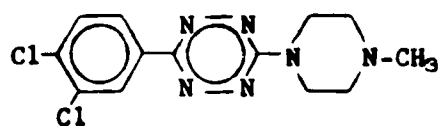
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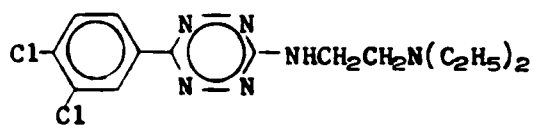
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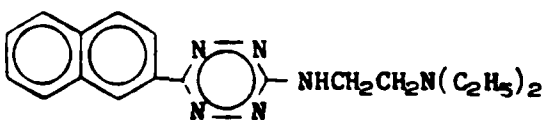
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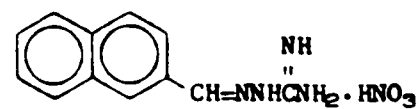
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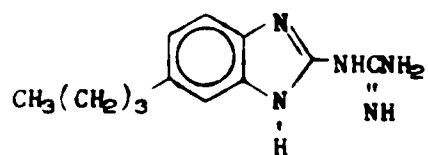
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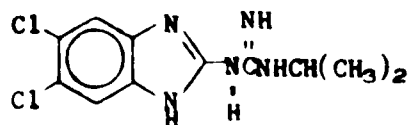
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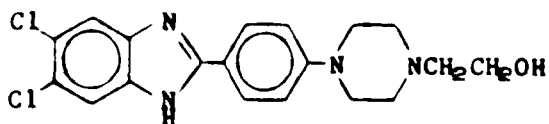
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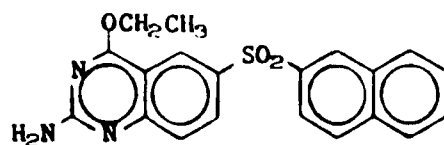
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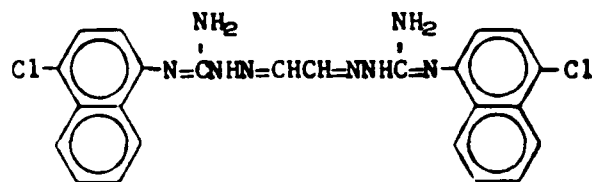
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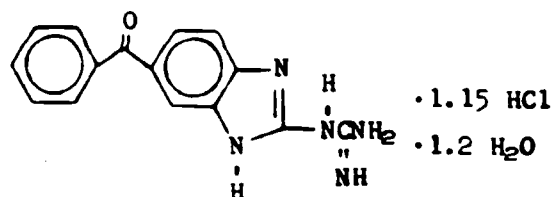
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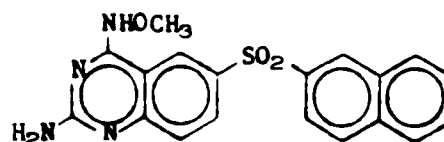
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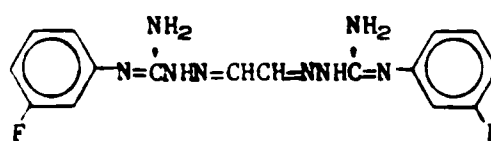
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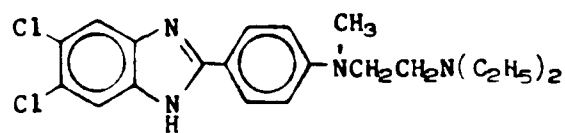
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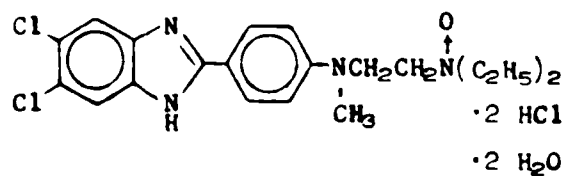
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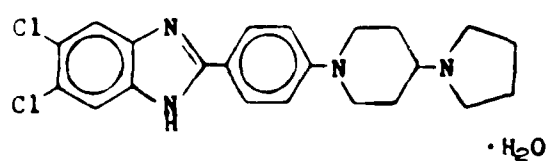
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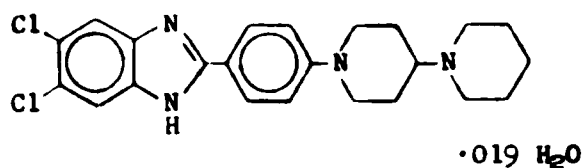
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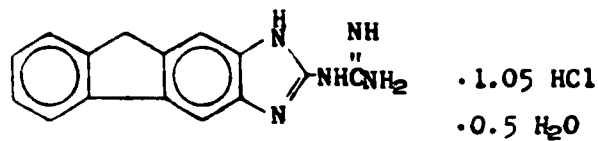
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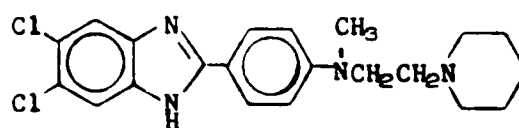
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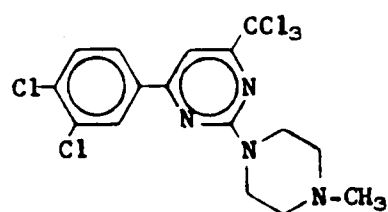
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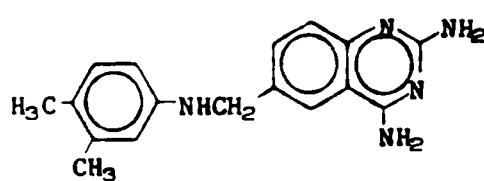
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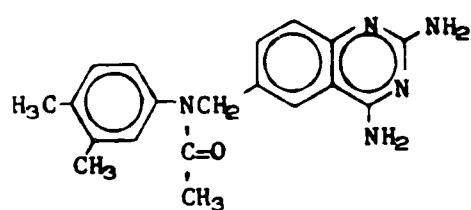
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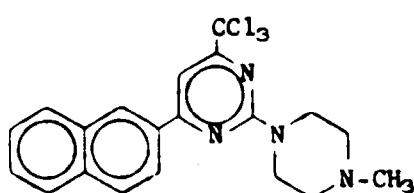
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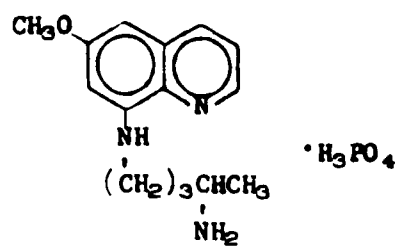
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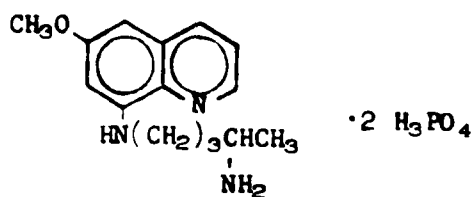


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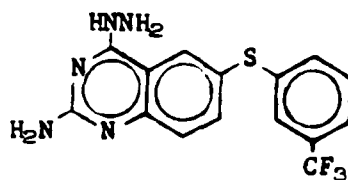


AMBNSTRUCTURE

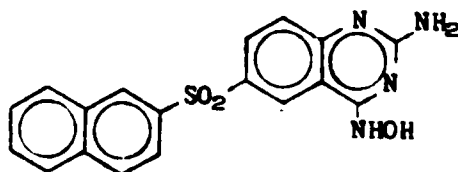
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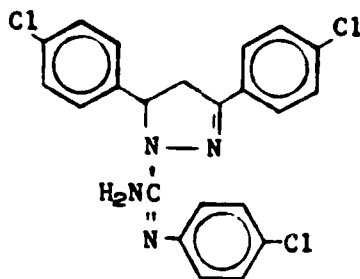
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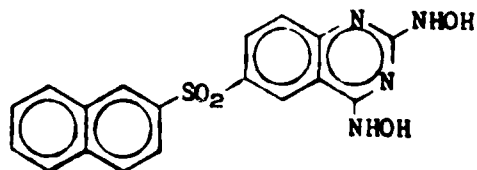
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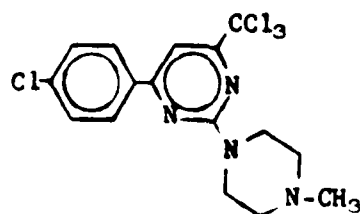
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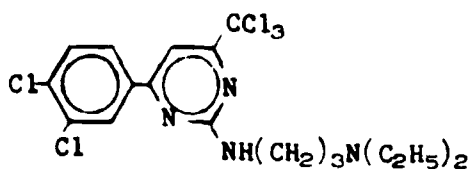
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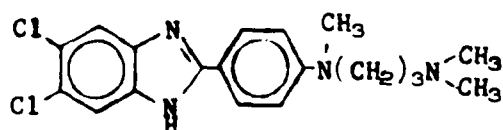
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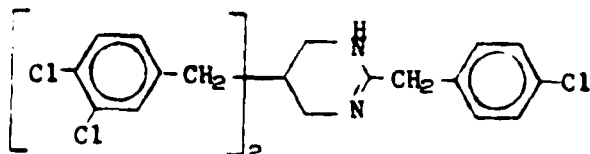
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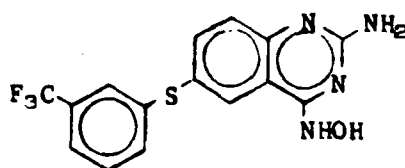
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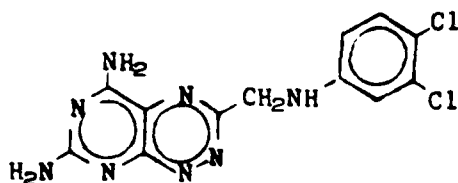
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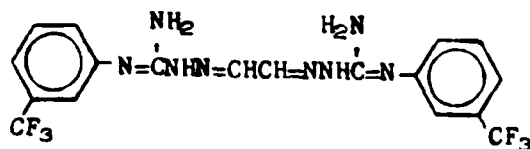
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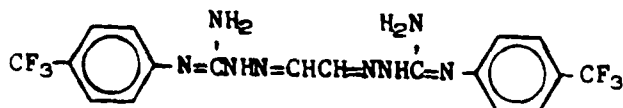
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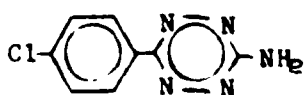
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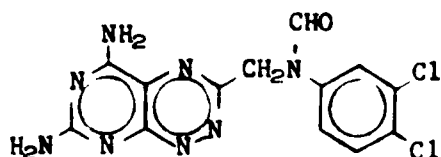
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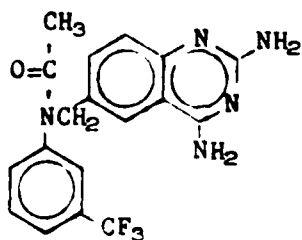
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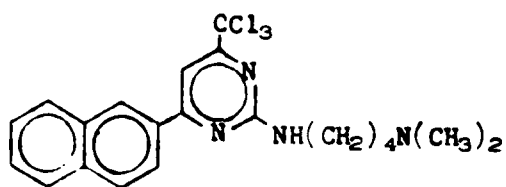
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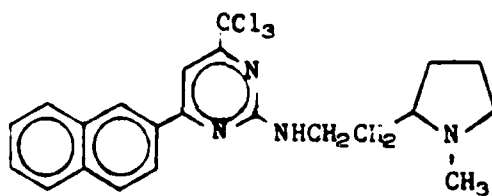
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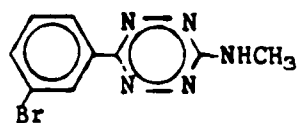
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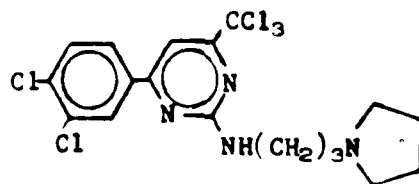
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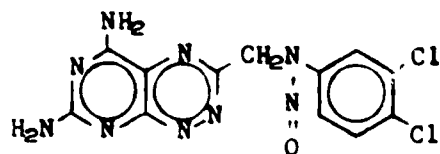
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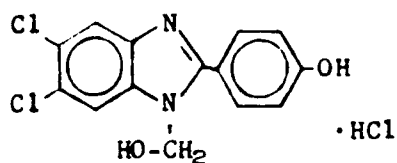
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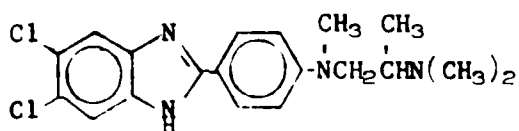
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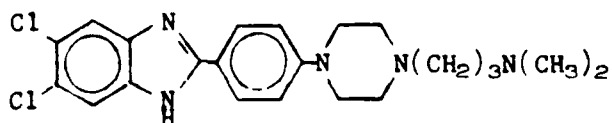
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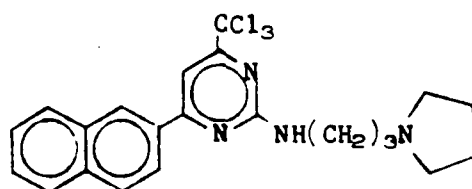
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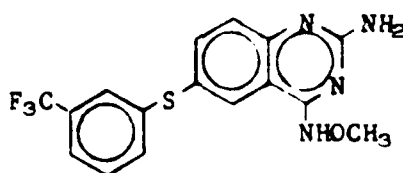
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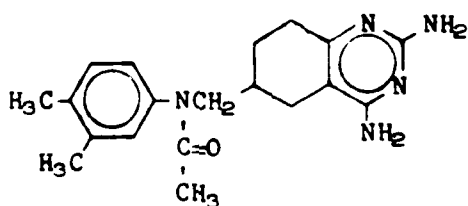
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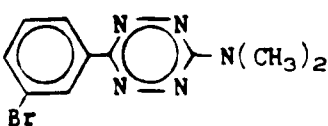
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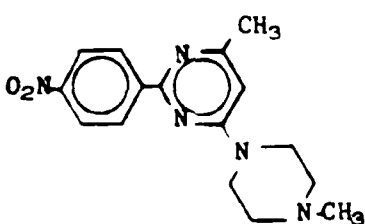
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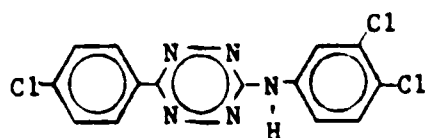
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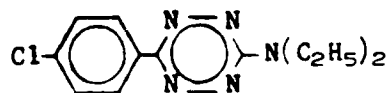
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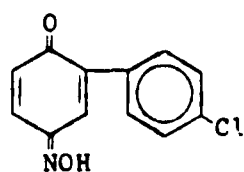
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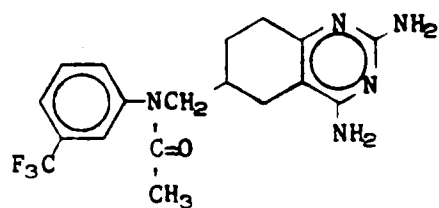
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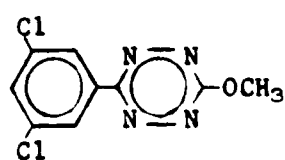
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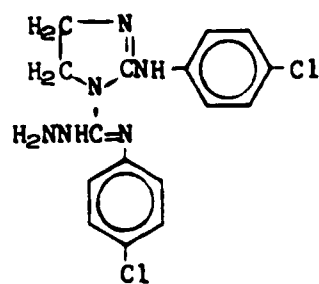
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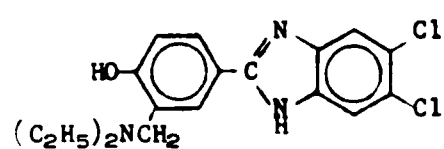
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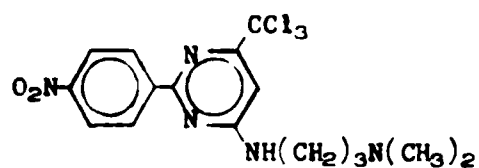
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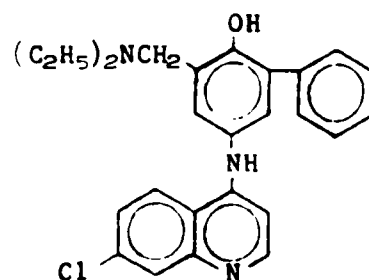
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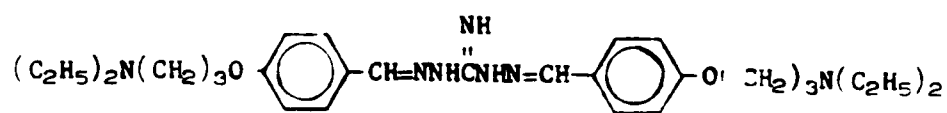
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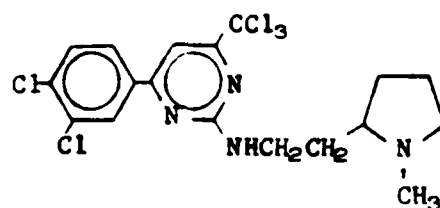
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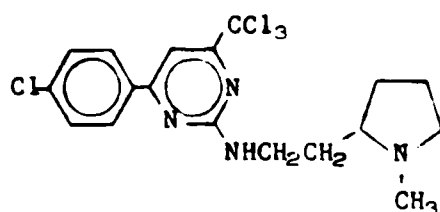
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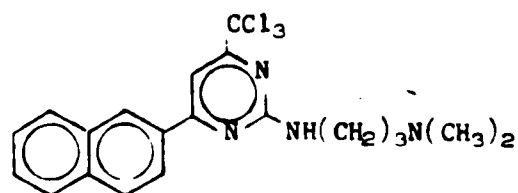
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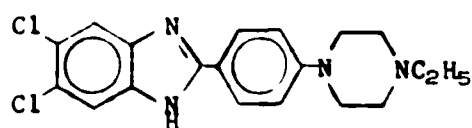
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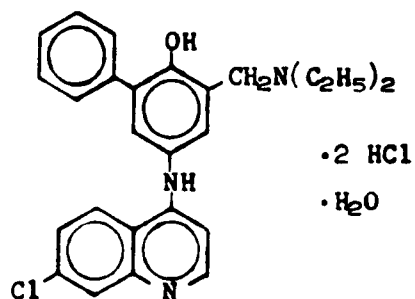
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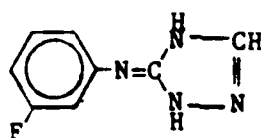
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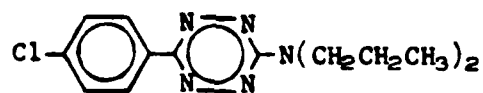
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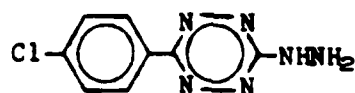
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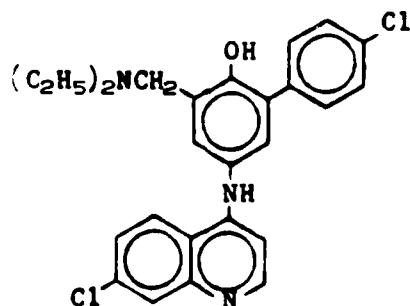
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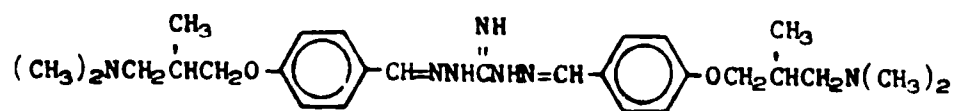
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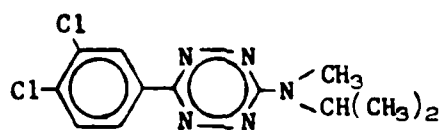
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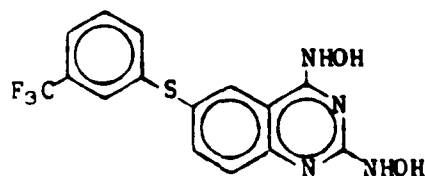
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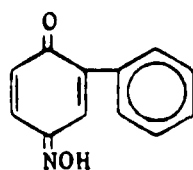
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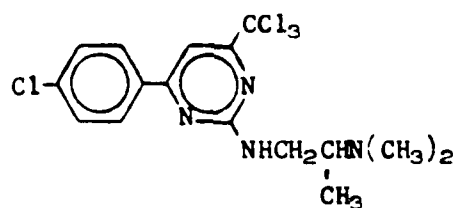
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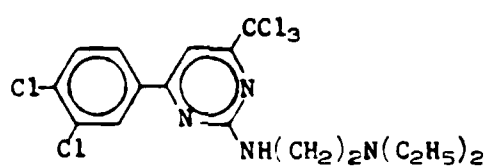
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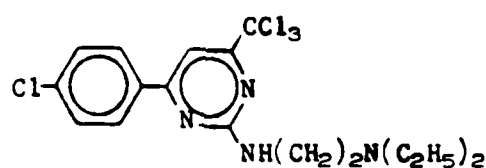
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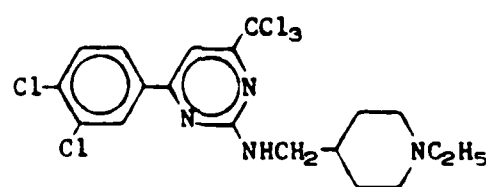
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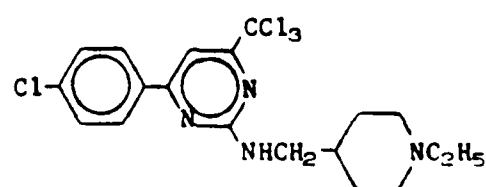
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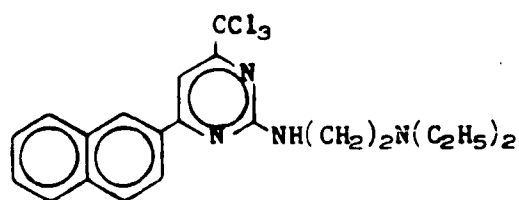
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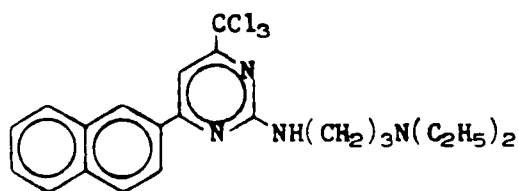
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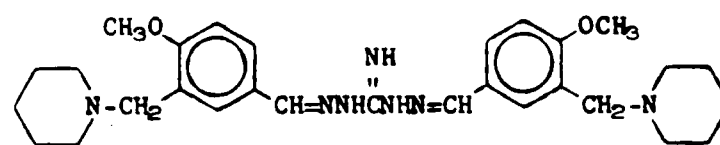
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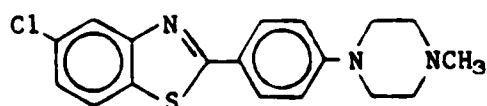
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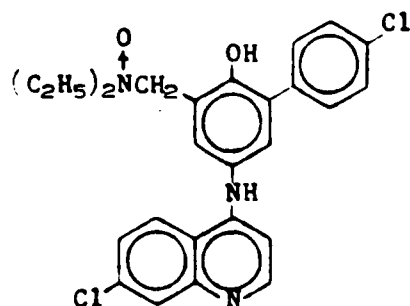
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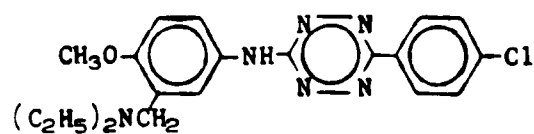
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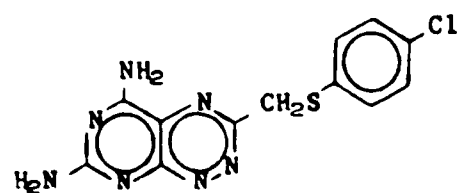
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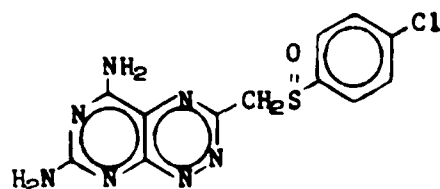
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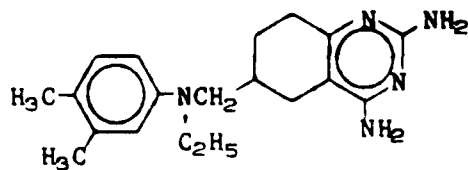
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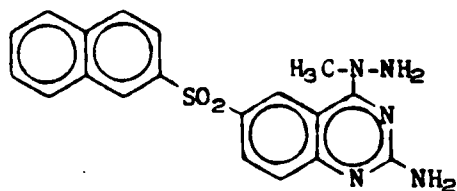
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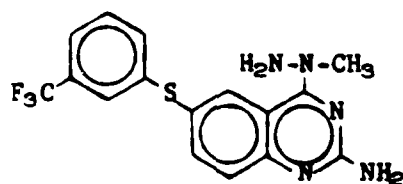
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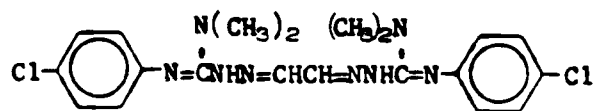
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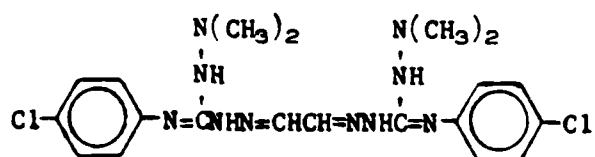
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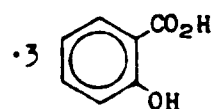
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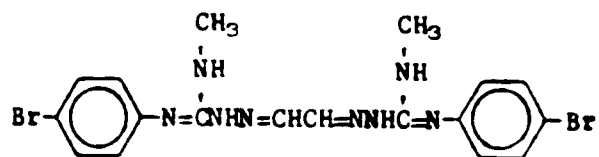
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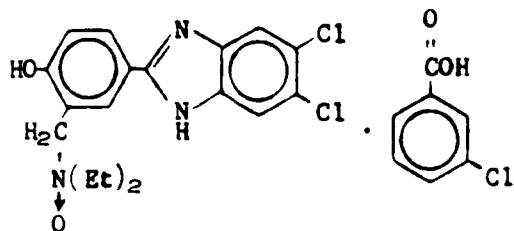
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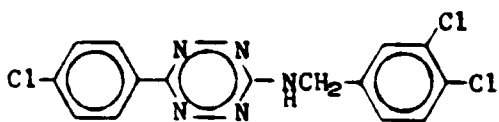
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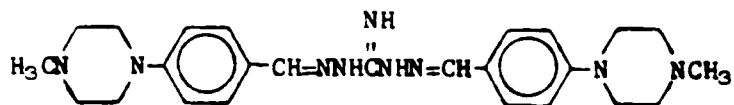
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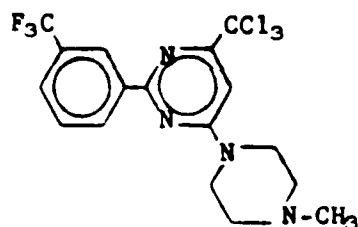
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1752 BG-01037



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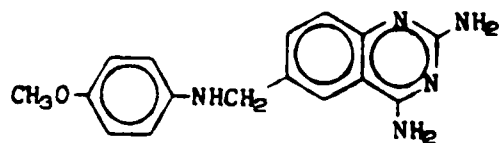
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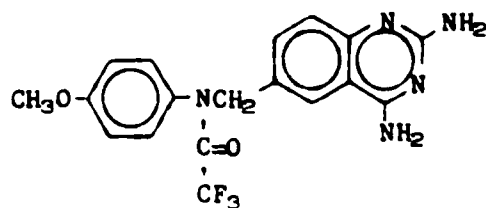
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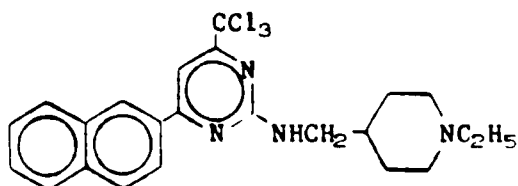
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1757 BG-03880



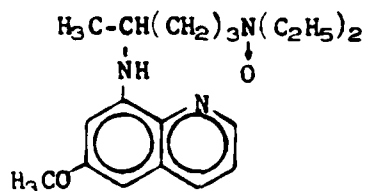
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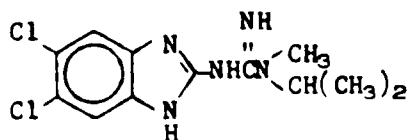
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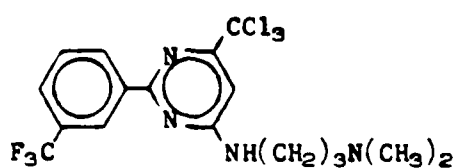
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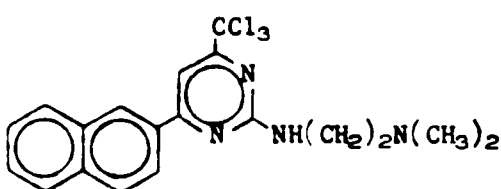
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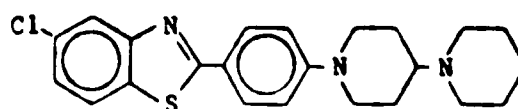
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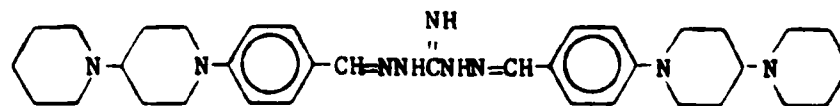
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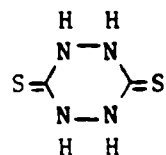
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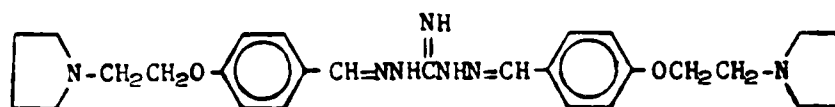
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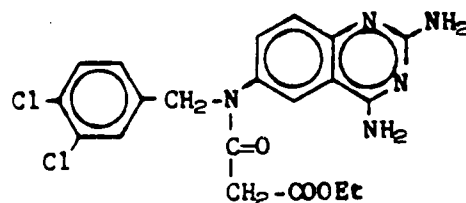
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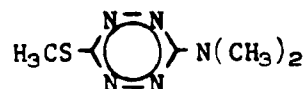
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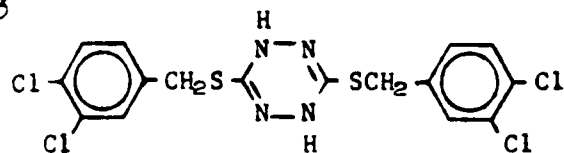
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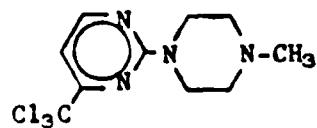
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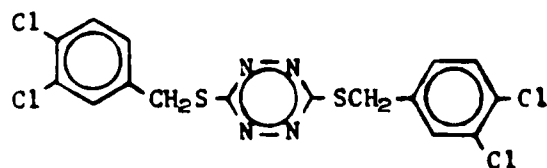
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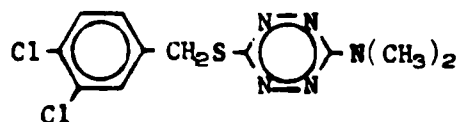
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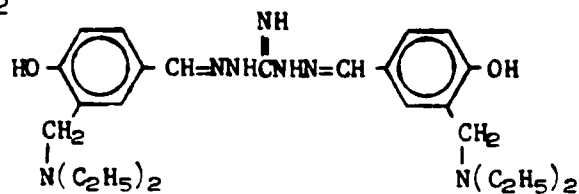
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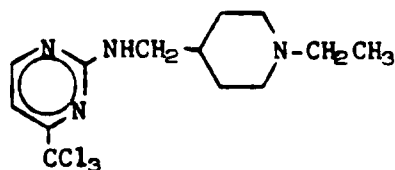
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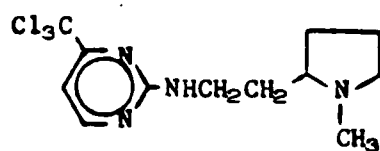
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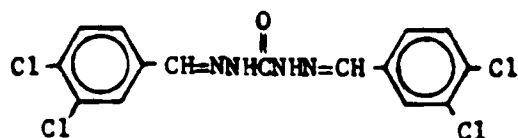
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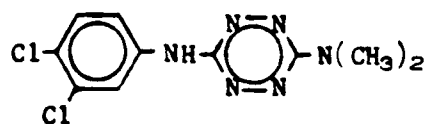
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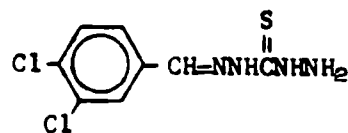
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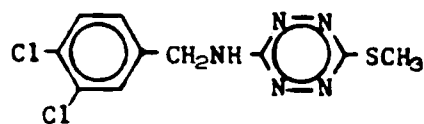
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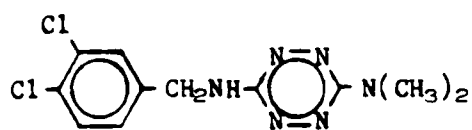
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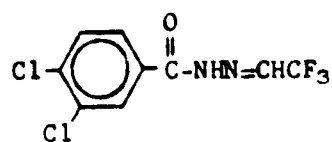
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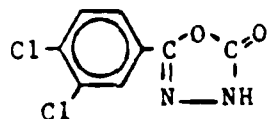
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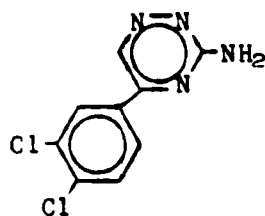
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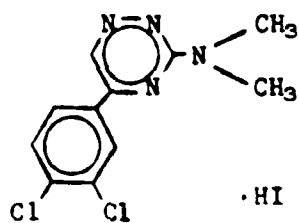
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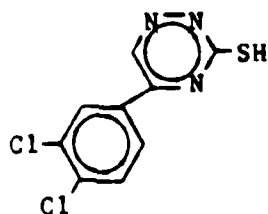
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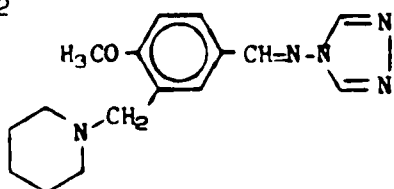
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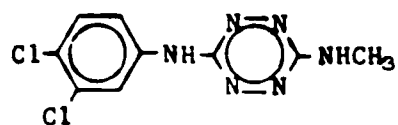
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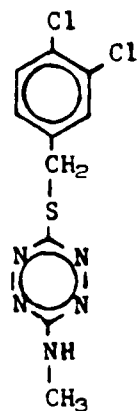
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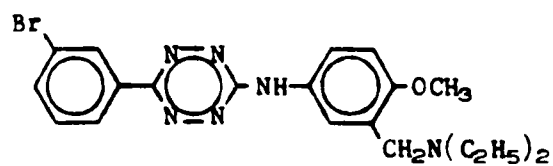
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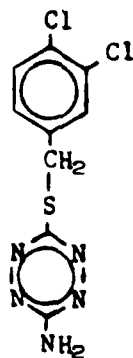
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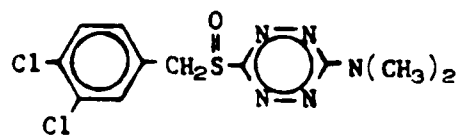
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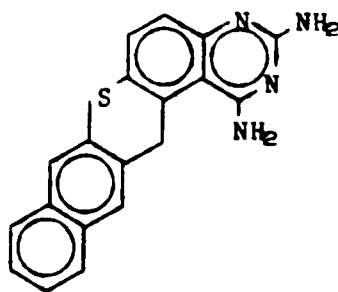
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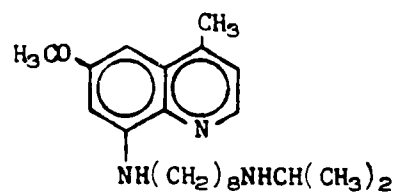
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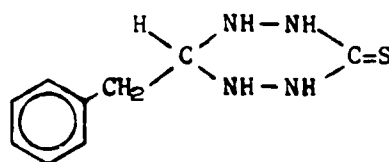
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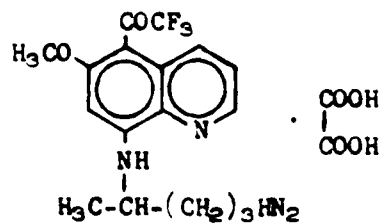
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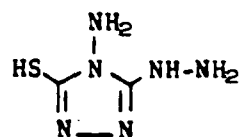
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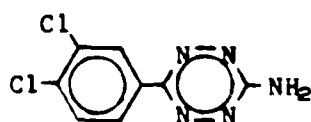
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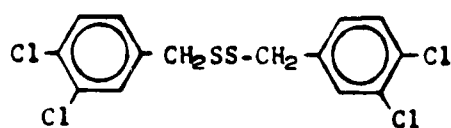
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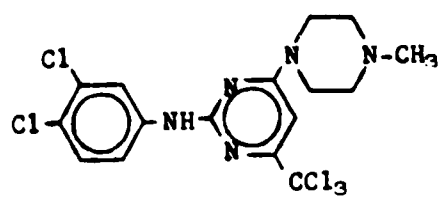
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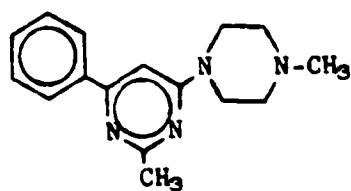
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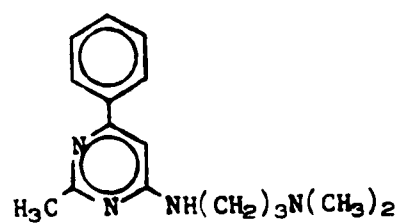
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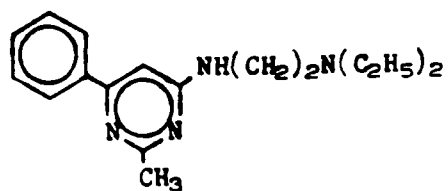
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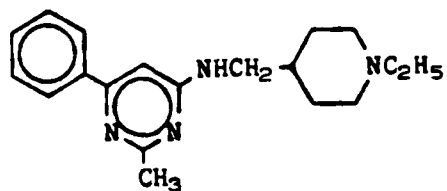
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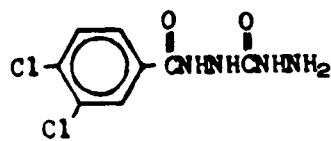
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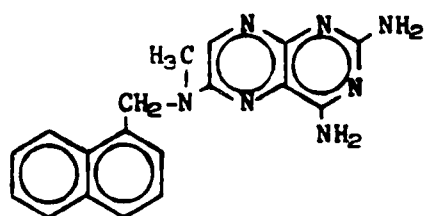


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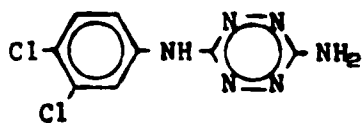


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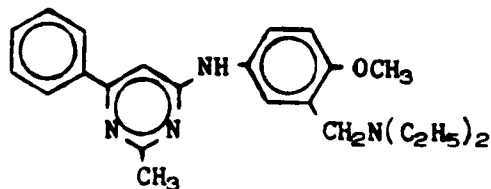
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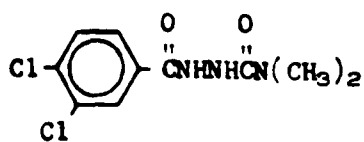
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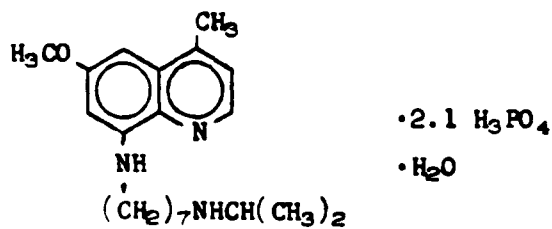
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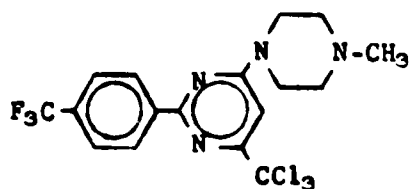
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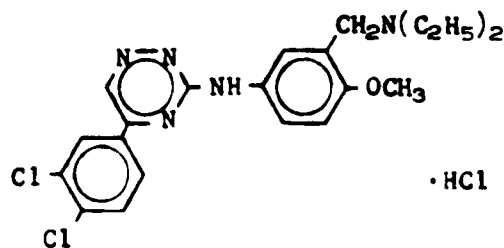
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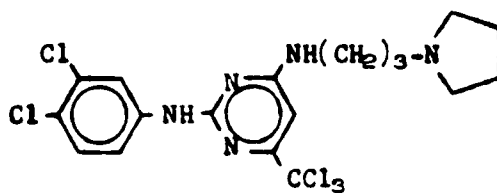
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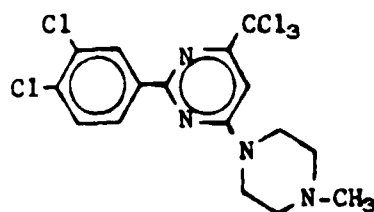
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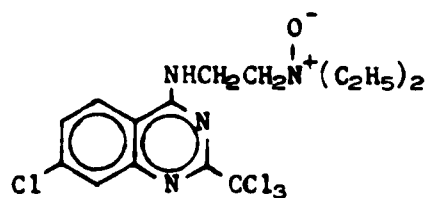
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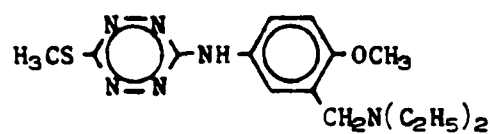
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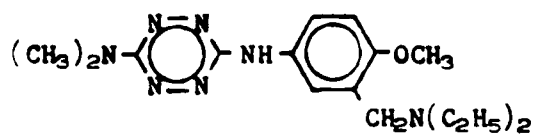
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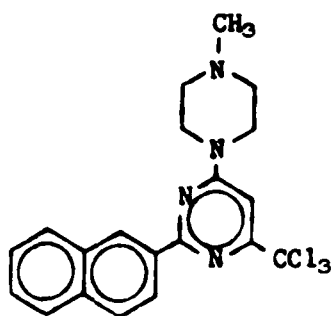
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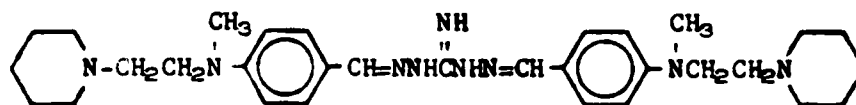
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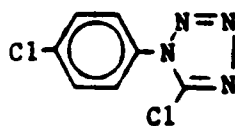
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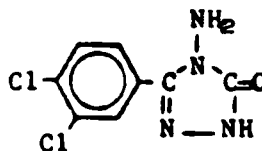
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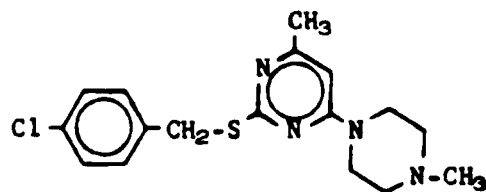
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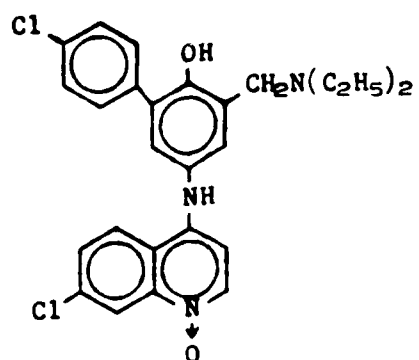
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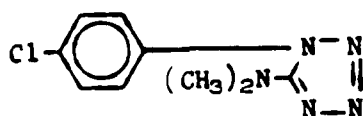
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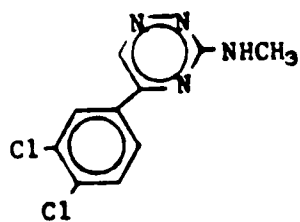
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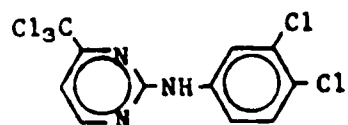
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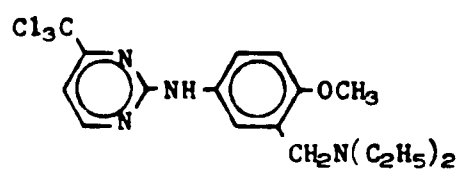
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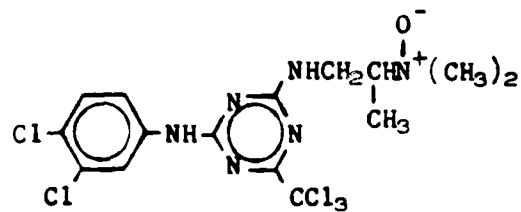
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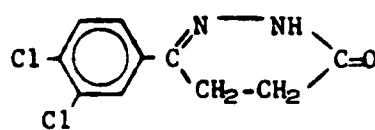
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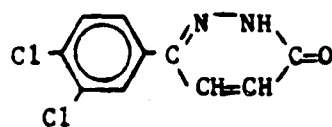
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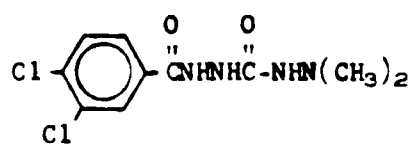
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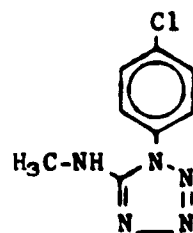
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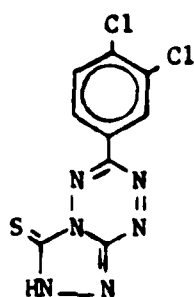
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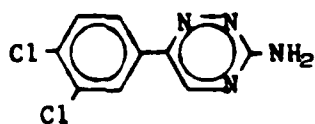
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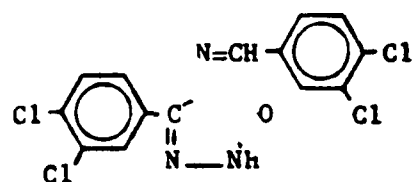
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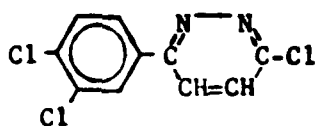
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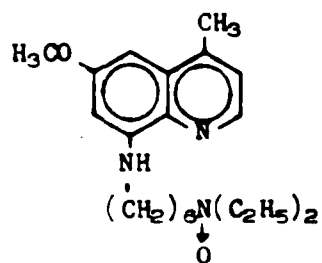
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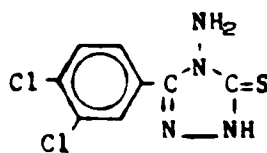
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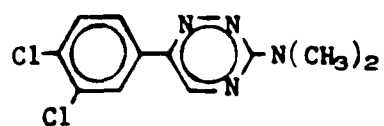
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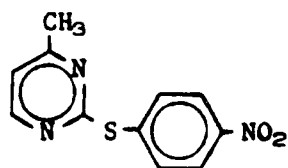
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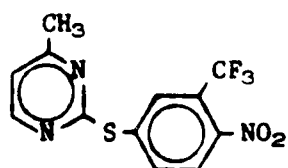
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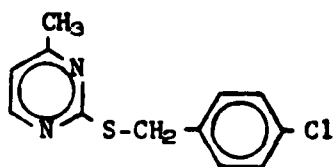
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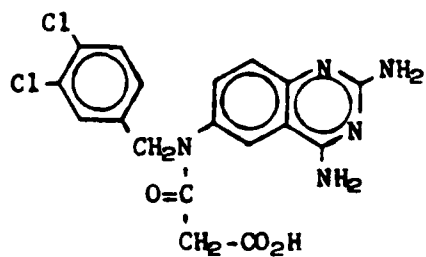
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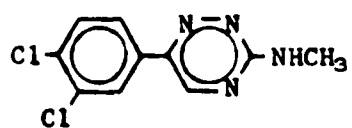
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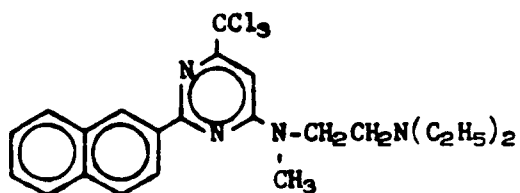


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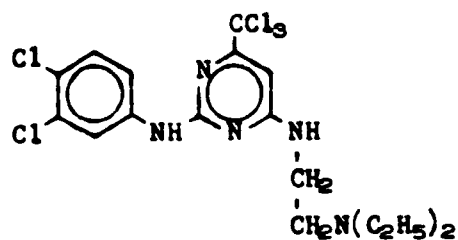


<u>AM</u>	<u>BN</u>	<u>STRUCTURE</u>
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1848	BG-44587	
1849	BG-44596	
1850	BG-44603	
1851	BG-44612	
1852	BG-44621	

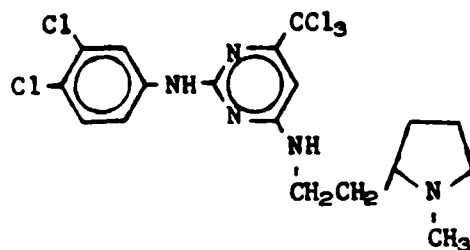
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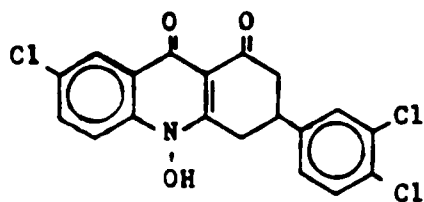
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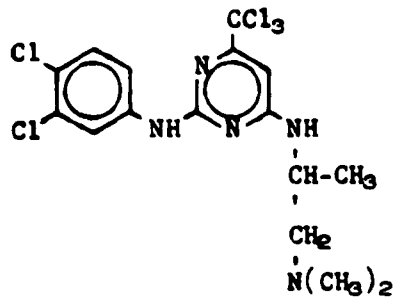
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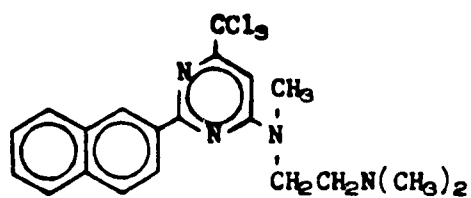
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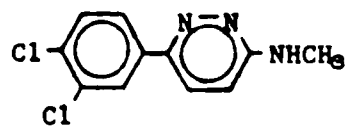
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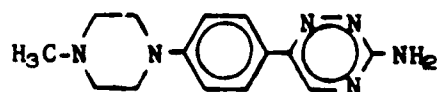
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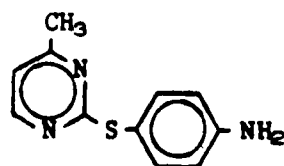
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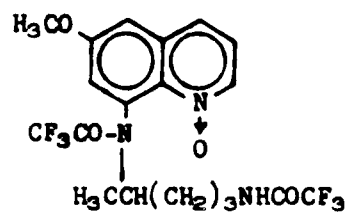
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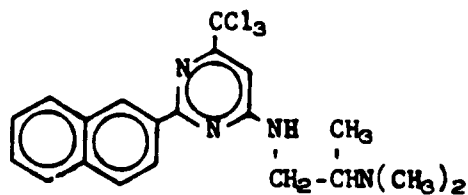
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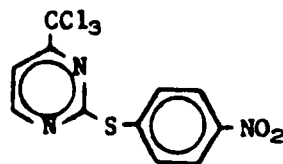
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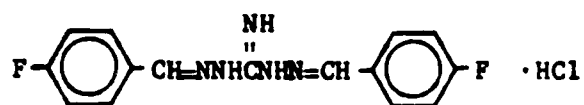
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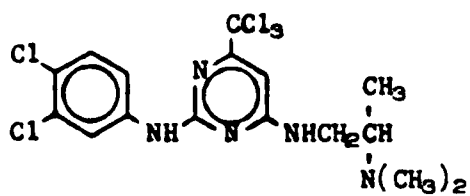
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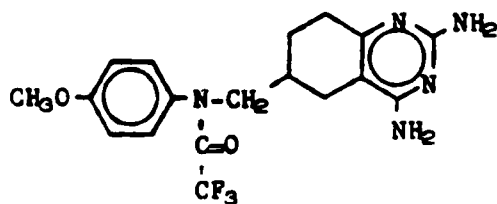
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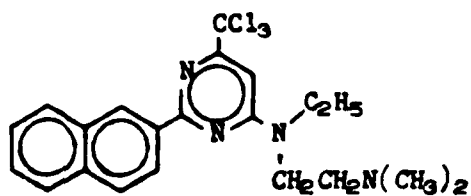
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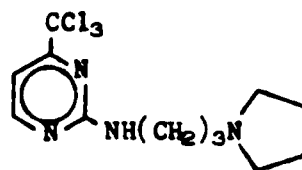
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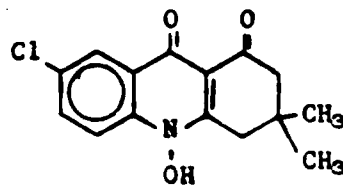
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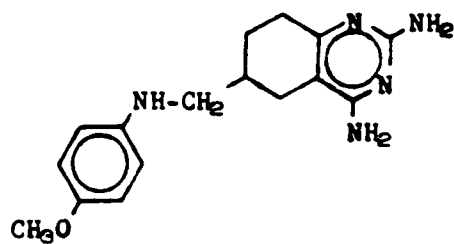
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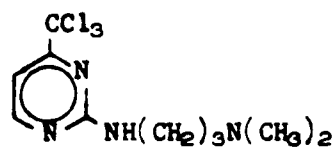
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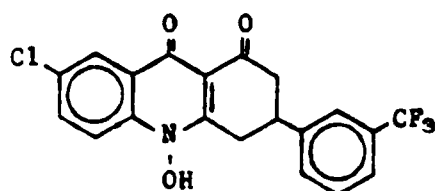
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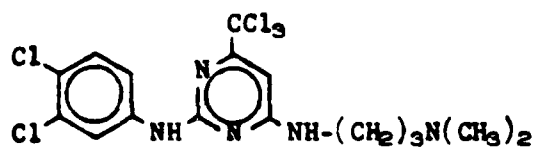
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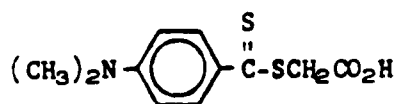
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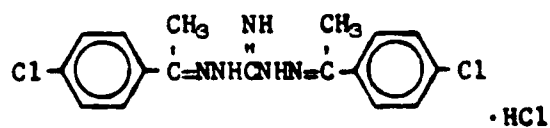
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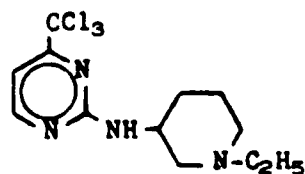
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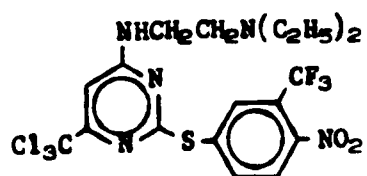
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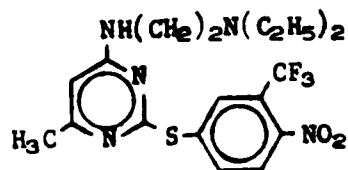
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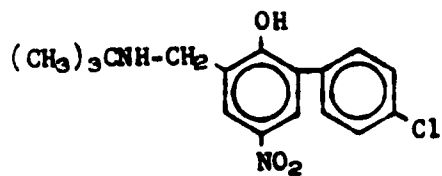
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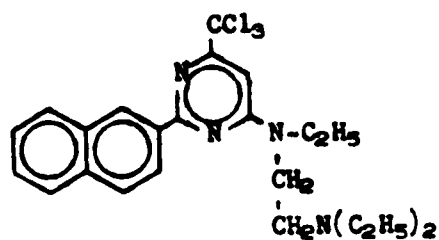
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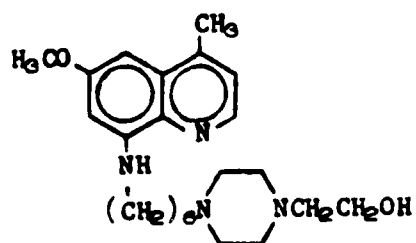
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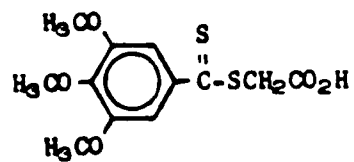
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1882 BG-56612



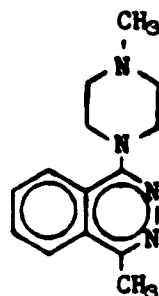
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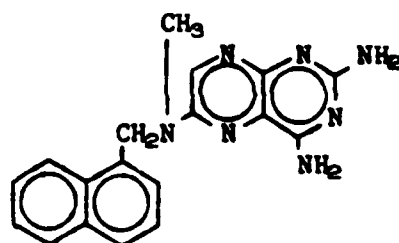
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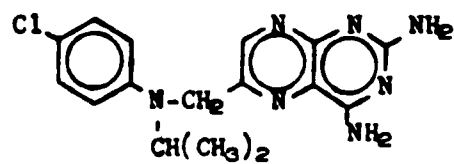
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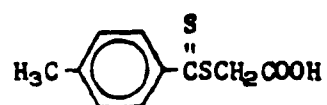
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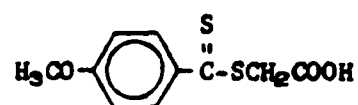
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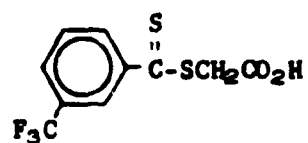
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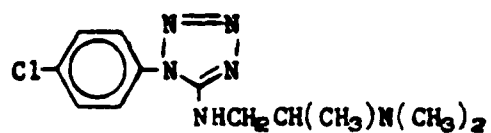
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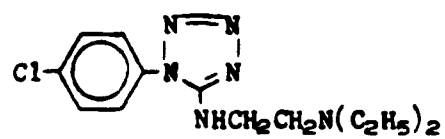
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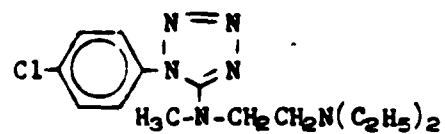
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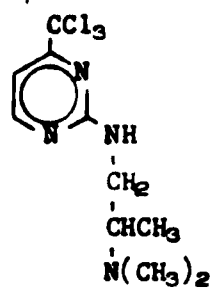
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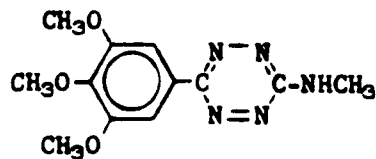
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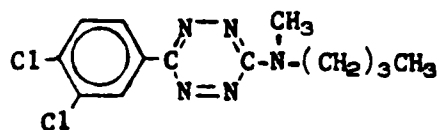
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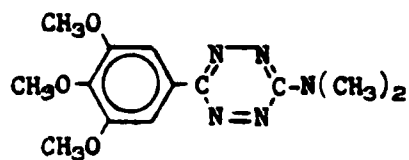
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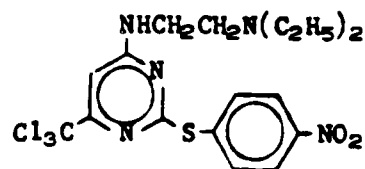
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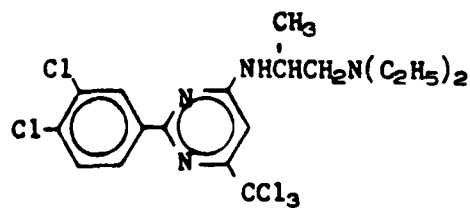
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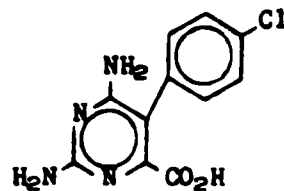
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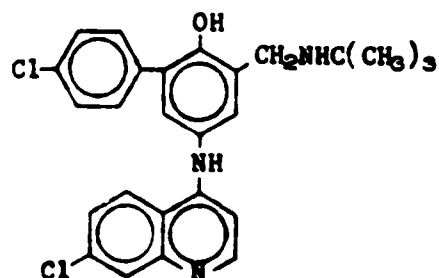
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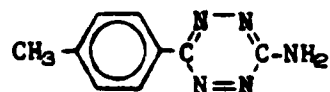
1899 BG-59784



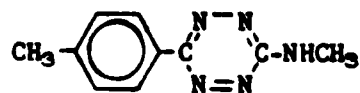
1900 BG-59793



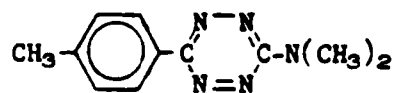
1901 BG-59800



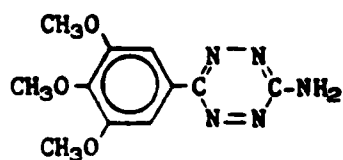
1902 BG-59819



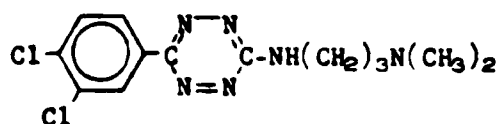
1903 BG-59828



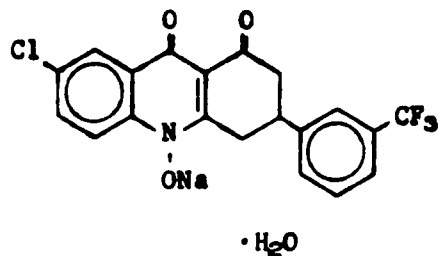
1904 BG-59837



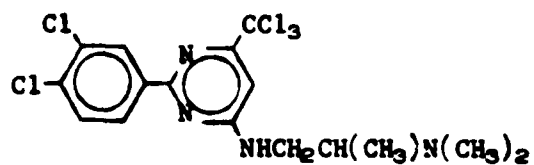
1905 BG-59846



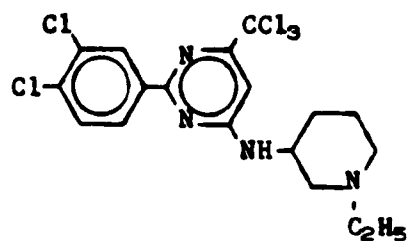
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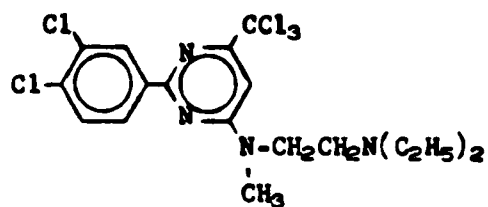
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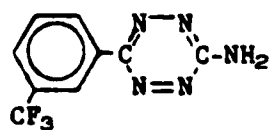
1908 BG-60769



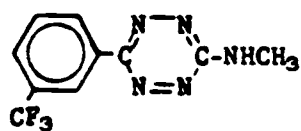
1909 BG-60778



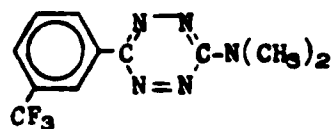
1910 BG-60787



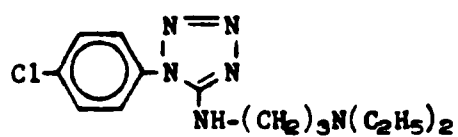
1911 BG-60796



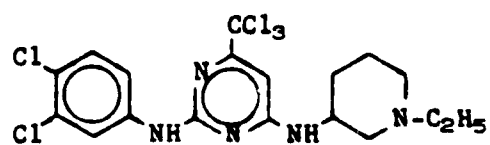
1912 BG-60803



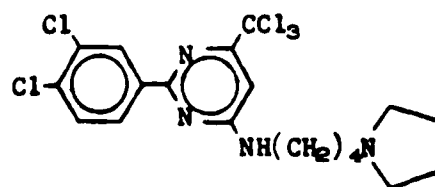
1913 BG-60812



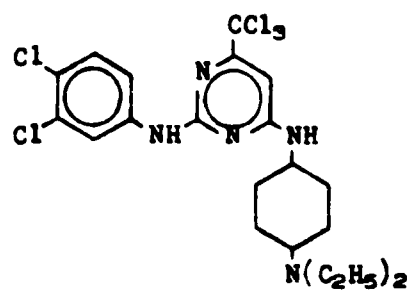
1914 BG-60821



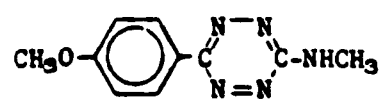
1915 BG-60830



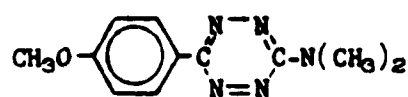
1916 BG-60849



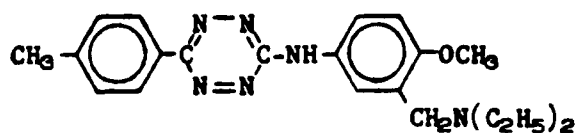
1917 BG-60858



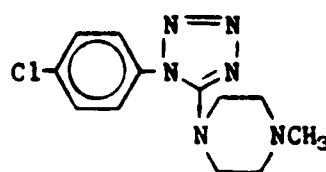
1918 BG-60867



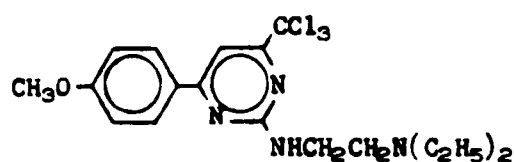
1919 BG-60876



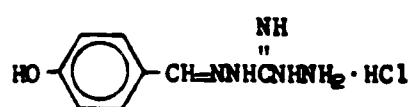
1920 BG-63466



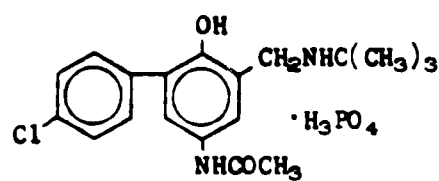
1921 BG-63475



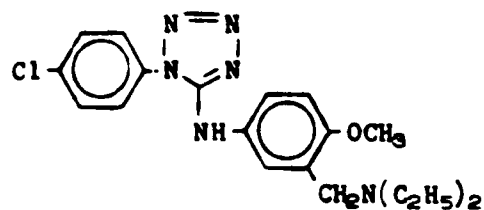
1922 BG-63484



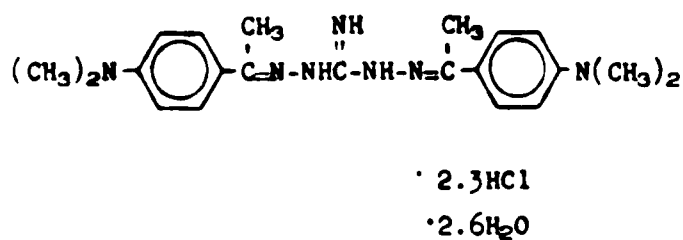
1923 BG-63493



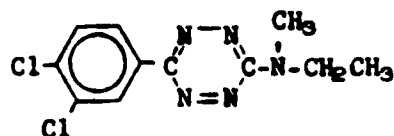
1924 BG-63500



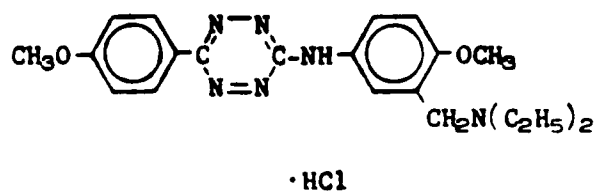
1925 BG-63519



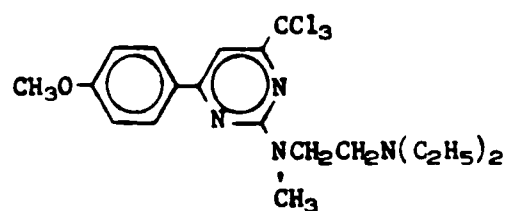
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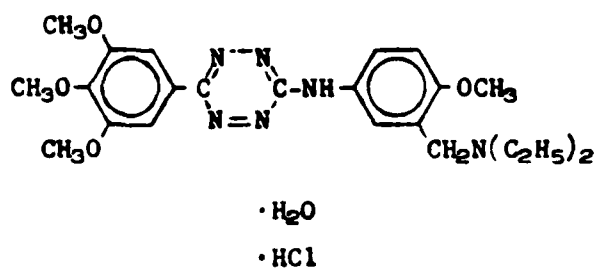
1927 BG-63537



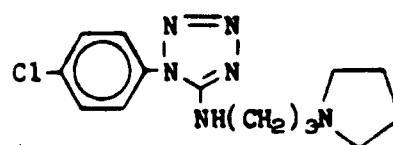
1928 BG-63546



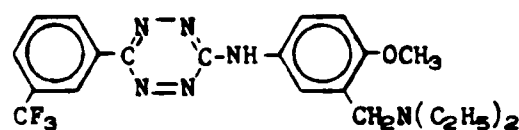
1929 BG-63555



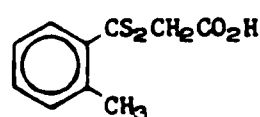
1930 BG-63564



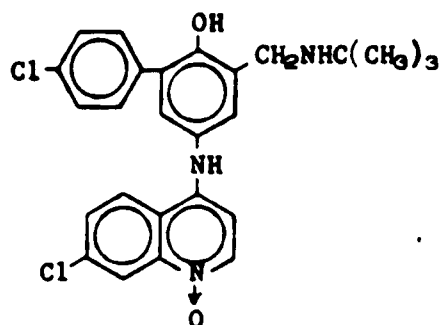
1931 BG-63573



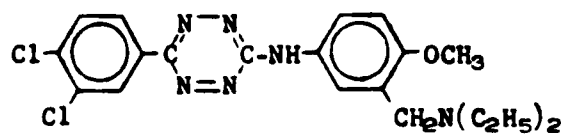
1932 BG-63582



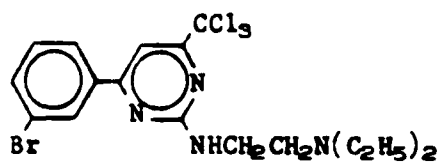
1933 BG-66850



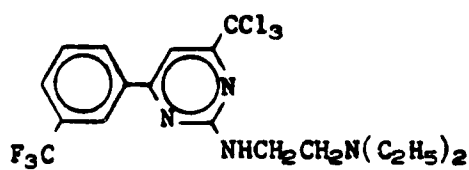
1934 BG-66869



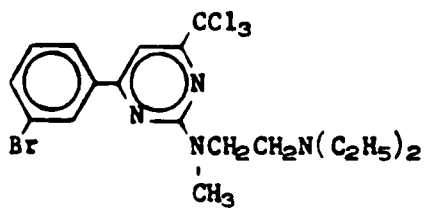
1935 BG-66878



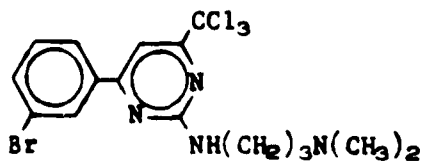
1936 BG-66887



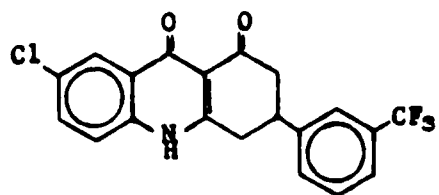
1937 BG-66896



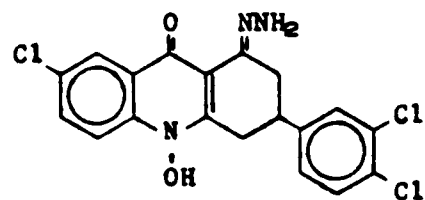
1938 BG-66903



1939 BG-66912



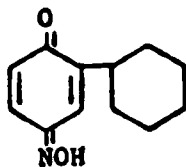
1940 BG-66921



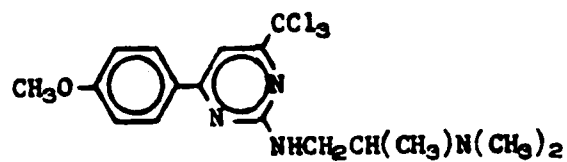
1941 BG-66930



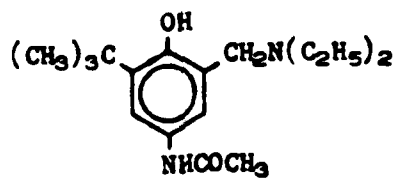
1942 BG-70498



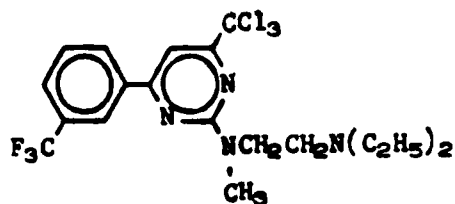
1943 BG-70505



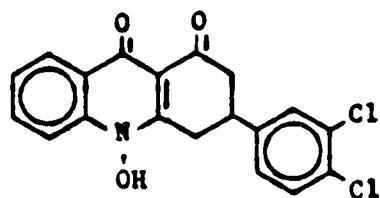
1944 BG-70514



1945 BG-70523



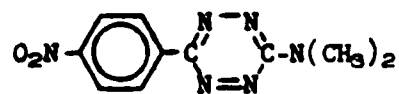
1946 BG-70532



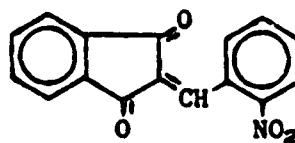
1947 BG-70541



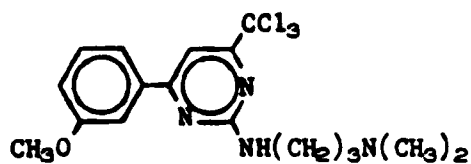
1948 BG-70952



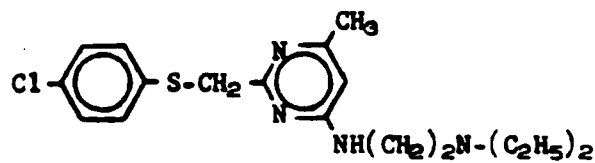
1949 BG-70961



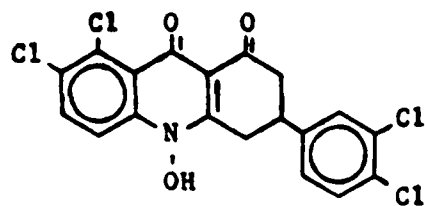
1950 BG-70970



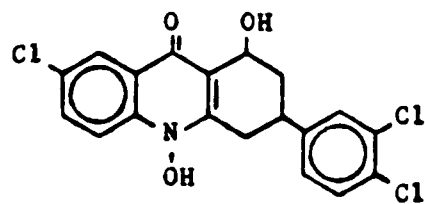
1951 BG-70989



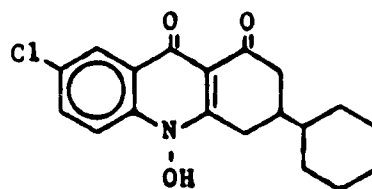
1952 BG-70998



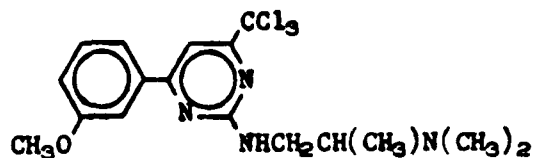
1953 BG-71002



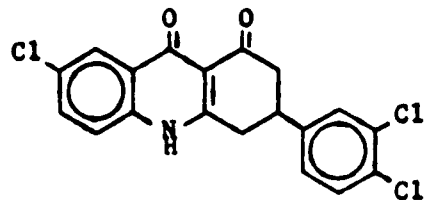
1954 BG-71011



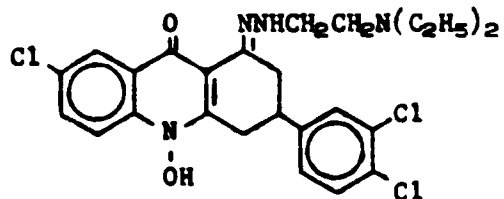
1955 BG-71020



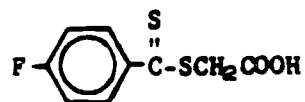
1956 BG-72410



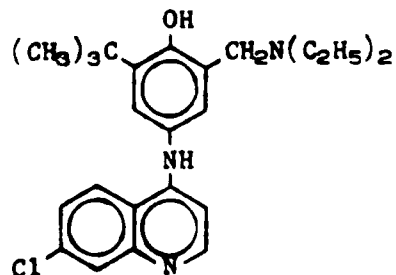
1957 BG-72429



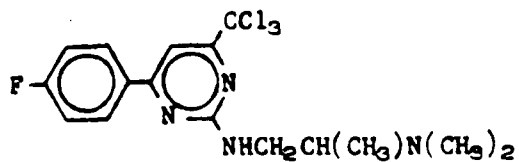
1958 BG-72438



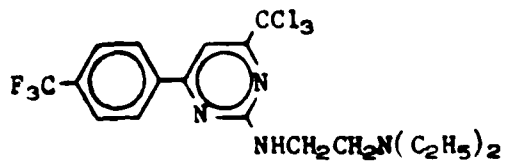
1959 BG-72447



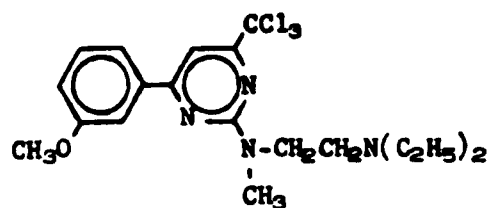
1960 BG-72456



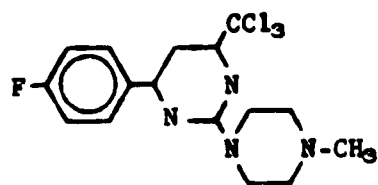
1961 BG-72465



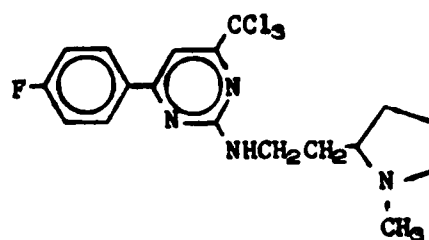
1962 BG-72474



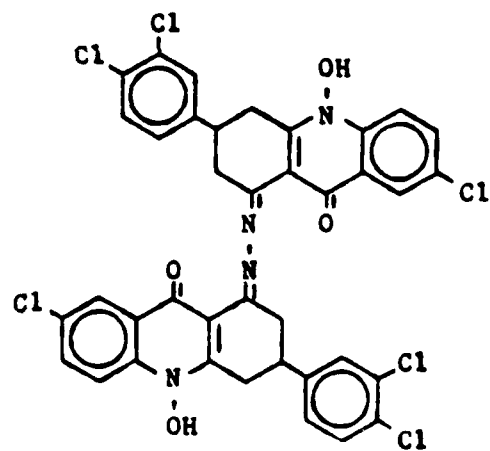
1963 BG-72483



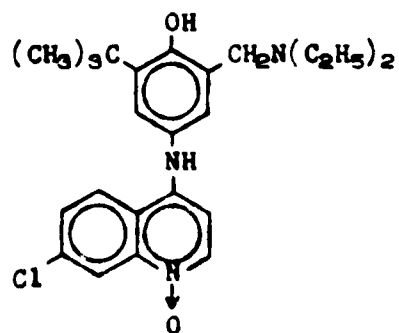
1964 BG-72492



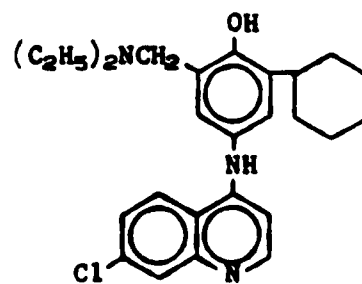
1965 BG-72509



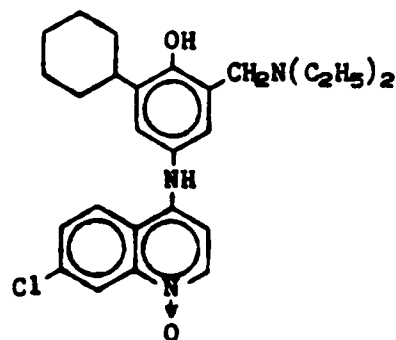
1966 BG-74932



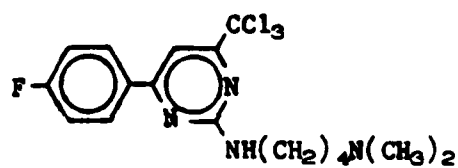
1967 BG-74941



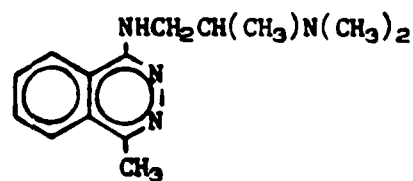
1968 BG-74950



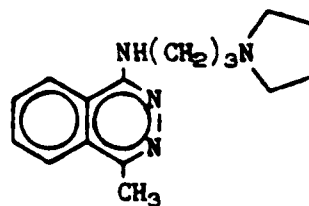
1969 BG-74969



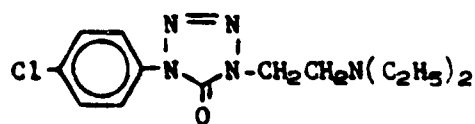
1970 BG-74978



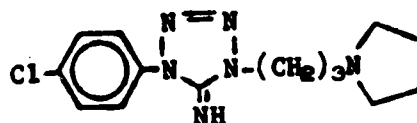
1971 BG-74987



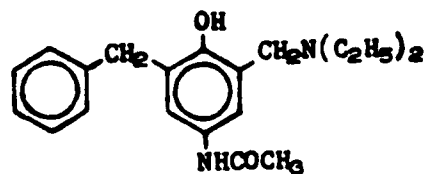
1972 BG-78930



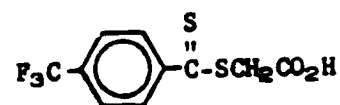
1973 BG-78921



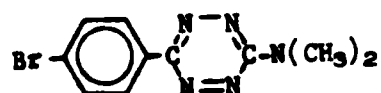
1974 BG-78949



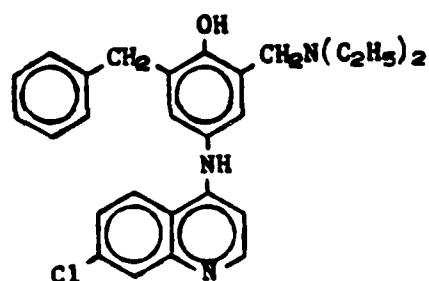
1975 BG-78958



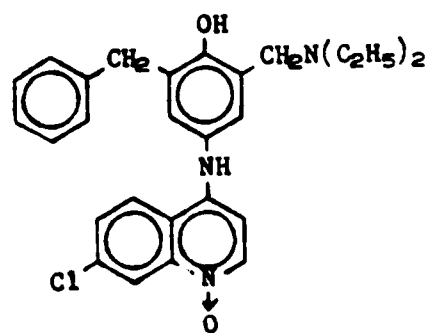
1976 BG-78967



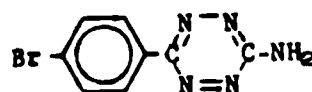
1977 BG-78976



1978 BG-78985



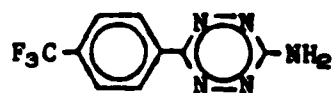
1979 BG-78994



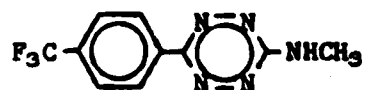
1980 BG-79008



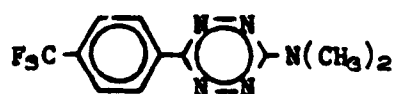
1981 BG-81473



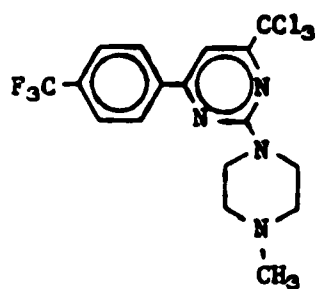
1982 BG-81482



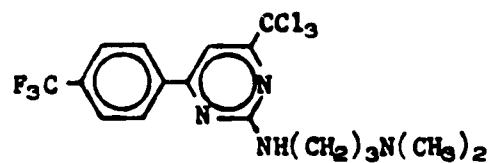
1983 BG-81491



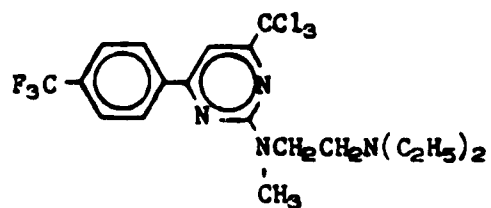
1984 BG-81508



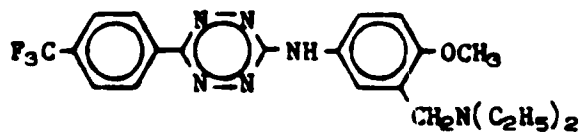
1985 BG-81517



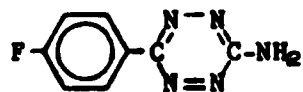
1986 BG-81526



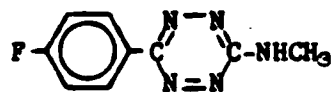
1987 BG-81535



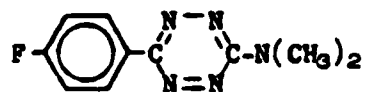
1988 BG-81544



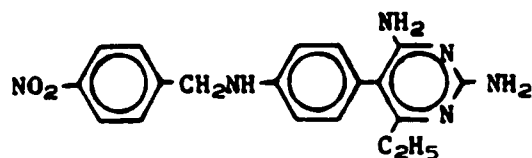
1989 BG-81553



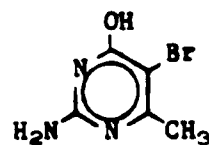
1990 BG-81562



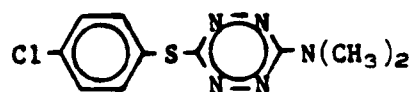
870-1L BG-89219



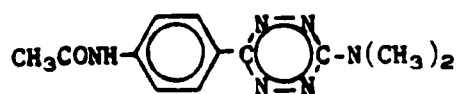
1991 BG-81786



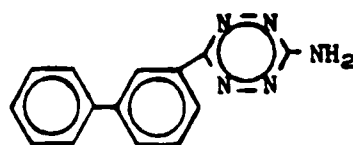
1992 BG-81795



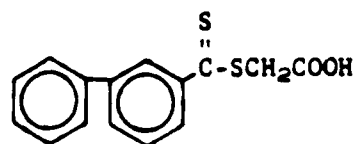
1993 BG-81802



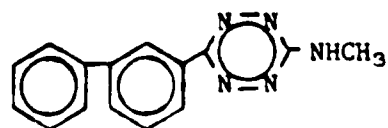
1994 BG-81811



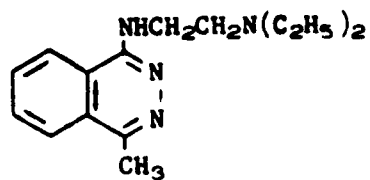
1995 BG-81820



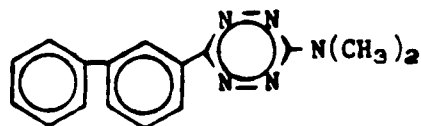
1996 BG-81839



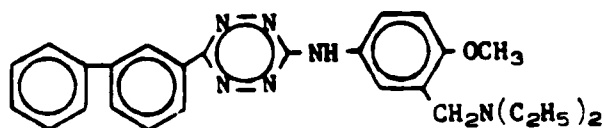
1997 BG-81848



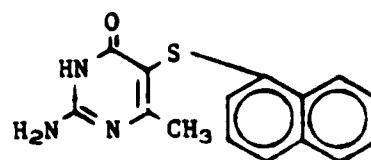
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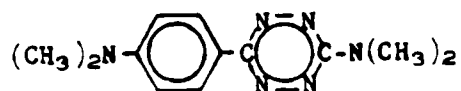
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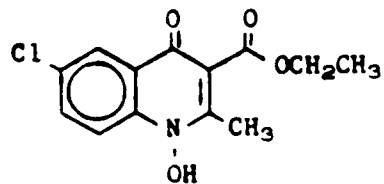
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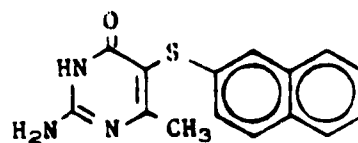
2001 BG-89246



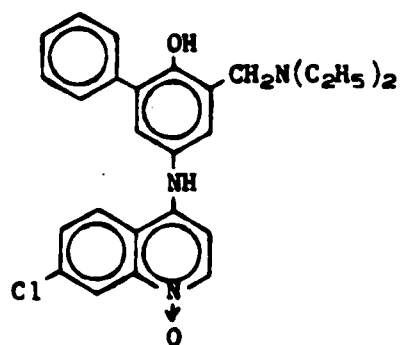
2002 BG-89255



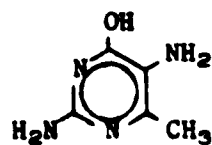
2003 BG-89264



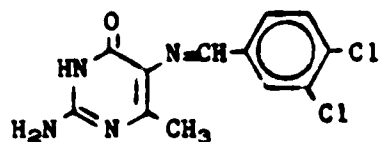
2004 BG-89273



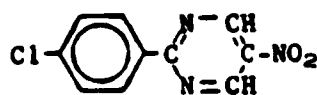
2005 BG-89282



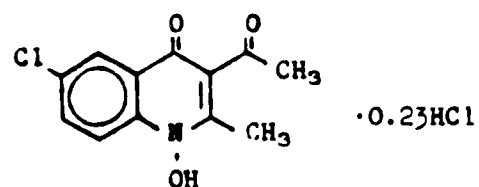
2006 BG-89291



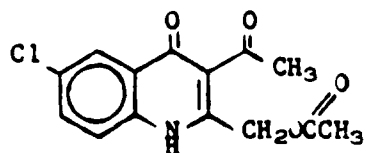
2007 BG-89308



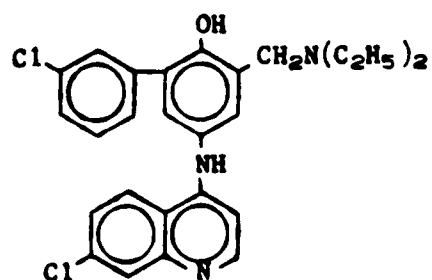
2008 BG-89317



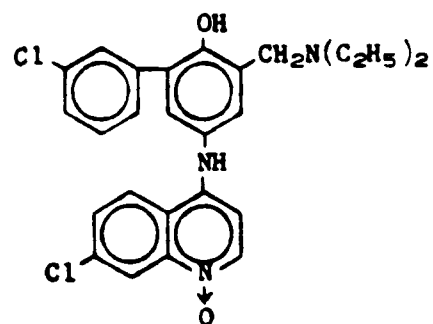
2009 BG-89326



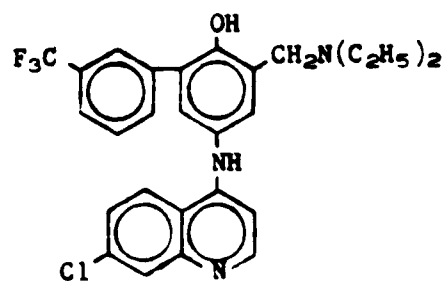
2010 BG-89120



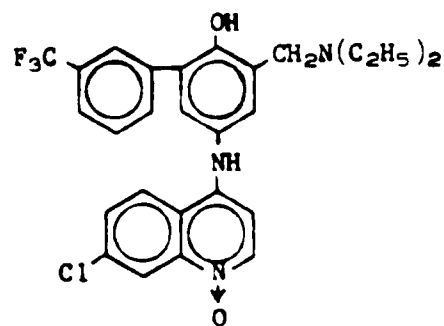
2011 BG-89139



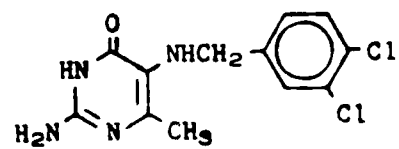
2012 BG-89148



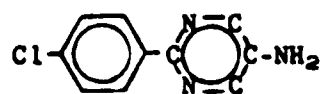
2013 BG-89157



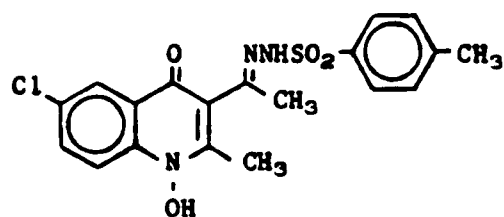
2014 BG-89166



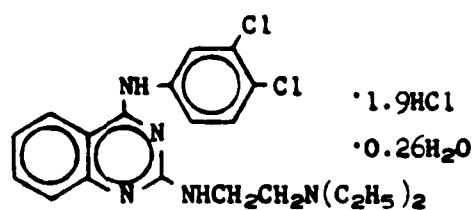
2015 BG-89175



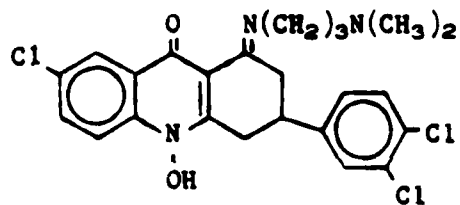
2016 BG-89184



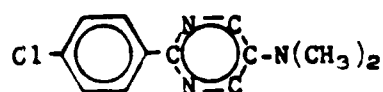
2017 BG-89193



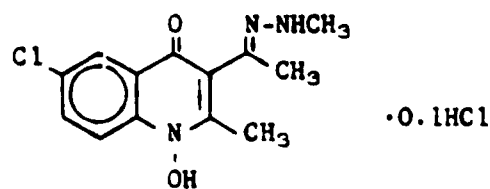
2018 BG-89200



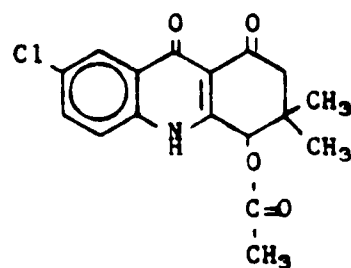
2019 BG-94738



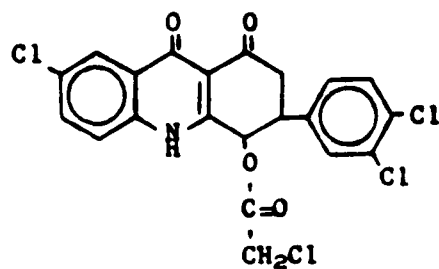
2020 BG-94747



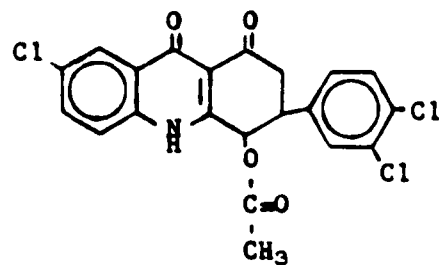
2021 BG-94756



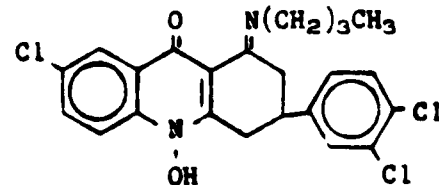
2022 BG-94765



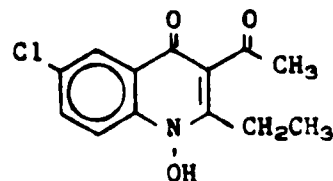
2023 BG-94774



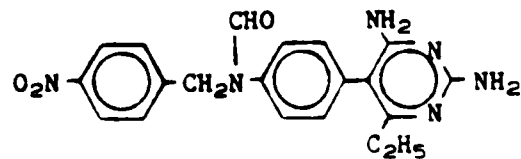
2024 BG-94783



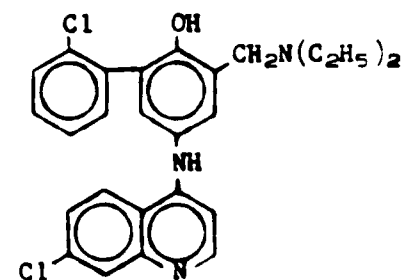
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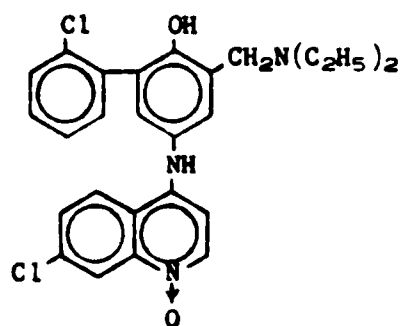
2026 BG-94809



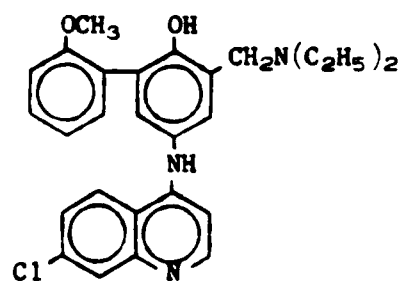
2027 BG-94818



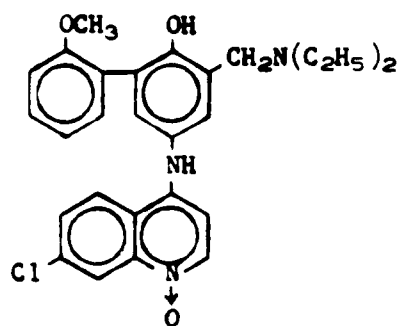
2028 BG-94827



2029 BG-94836



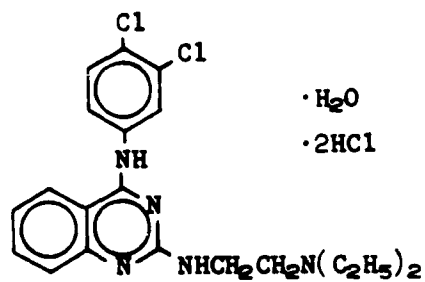
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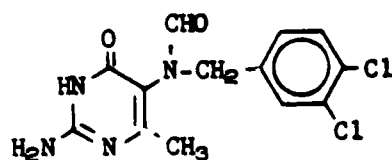
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2017-2B BH-09092

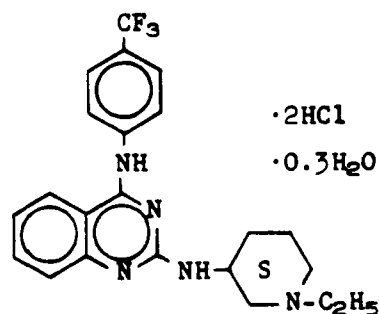
STRUCTURE



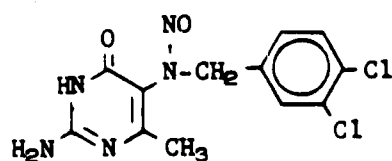
2031 BH-07730



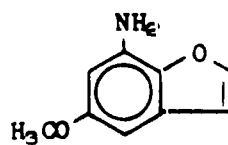
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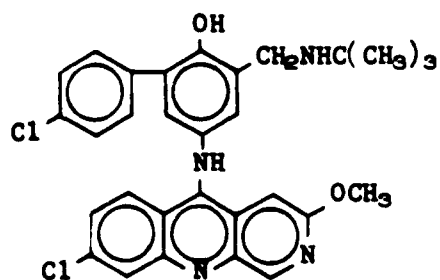
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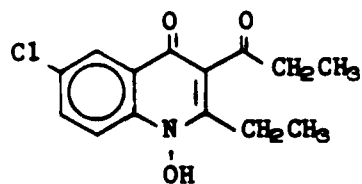
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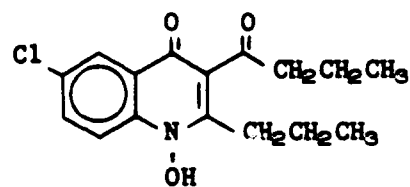
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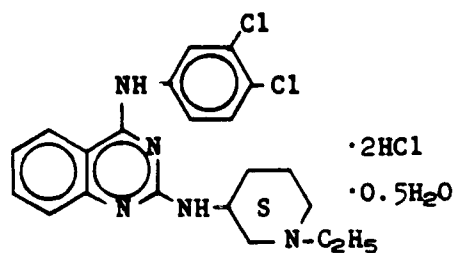
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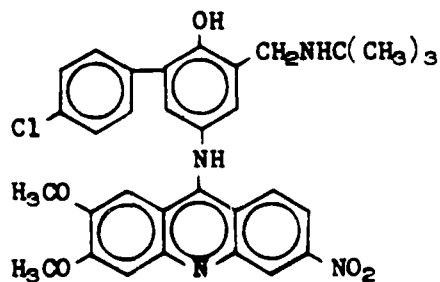
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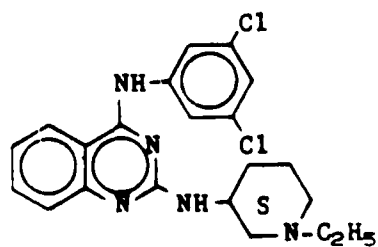
2038 BH-07801



2039 BH-09172



2040 BH-09109



NO-A175 171

SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS(0)

576

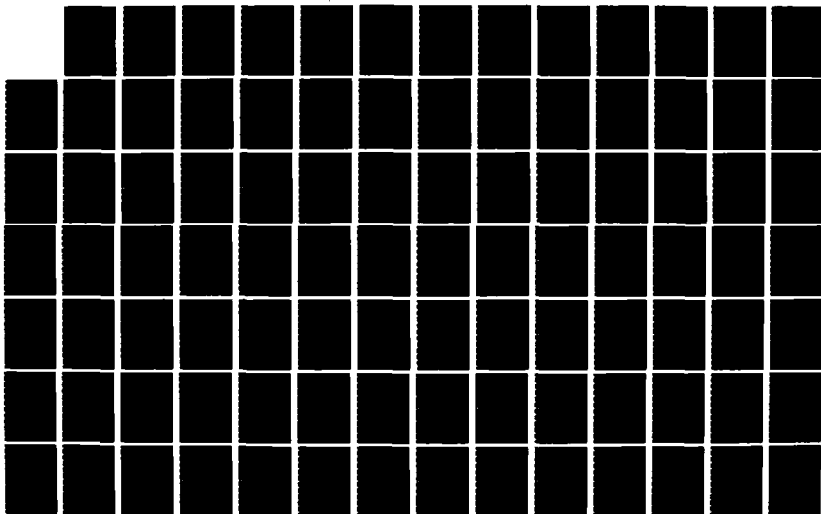
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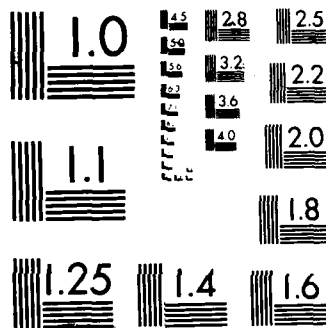
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UNCLASSIFIED

F/G 6/15

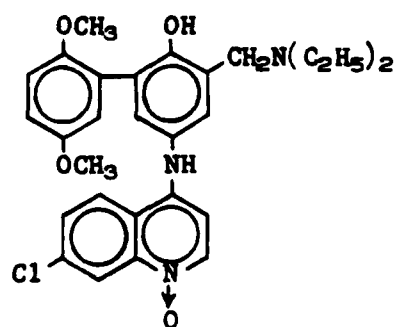
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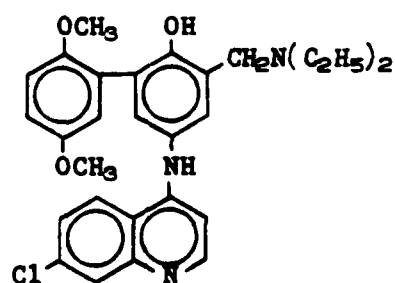


XEROCOPY RESOLUTION TEST CHART

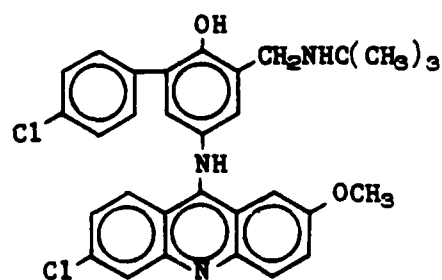
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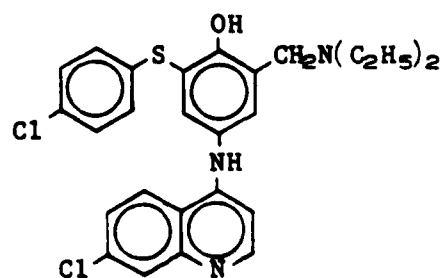
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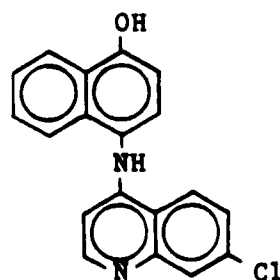
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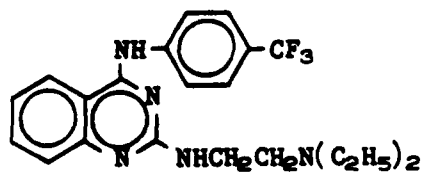
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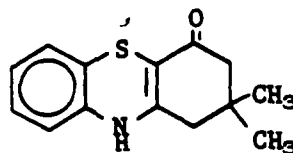
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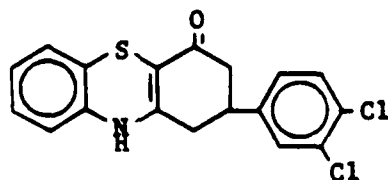
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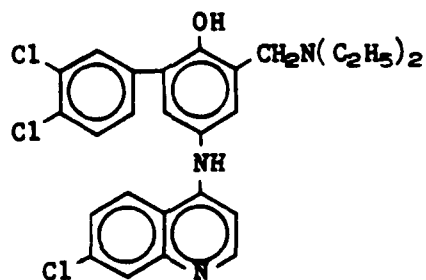
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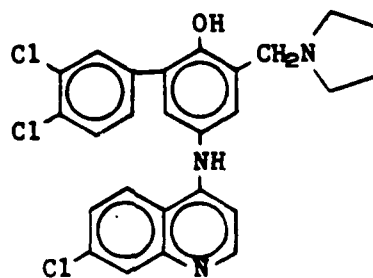
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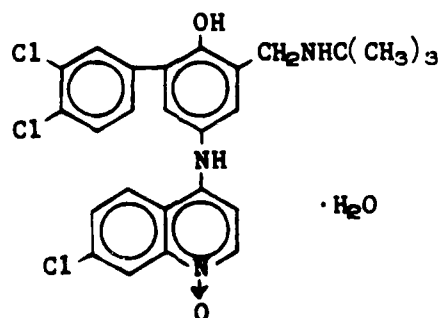
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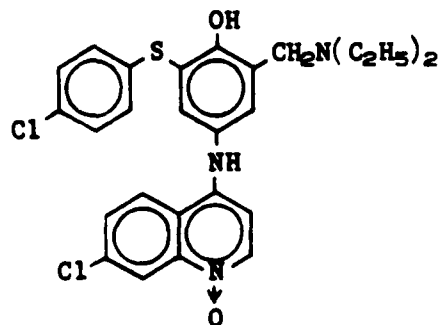
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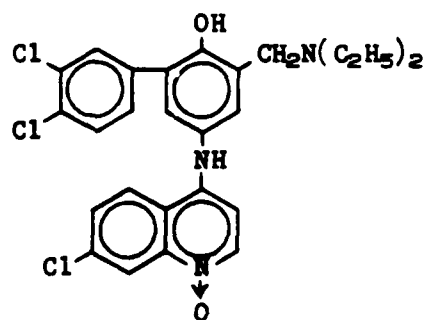
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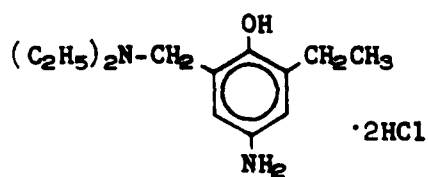
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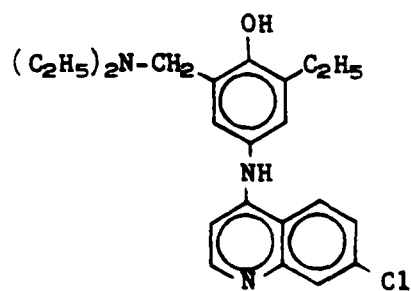
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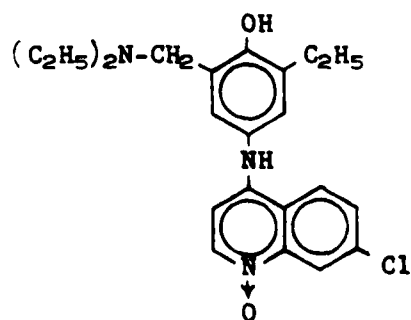
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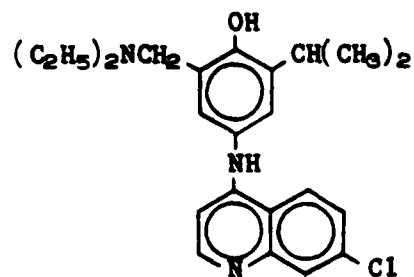
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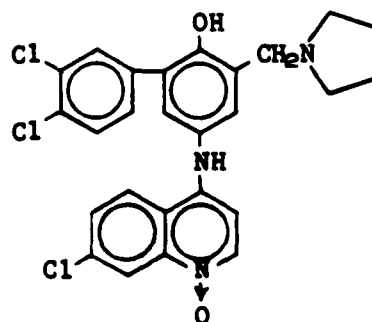
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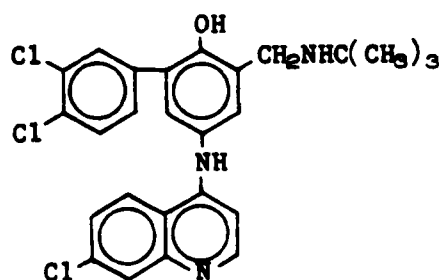
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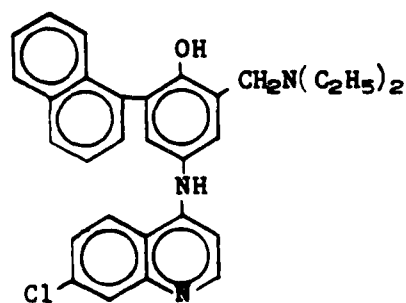
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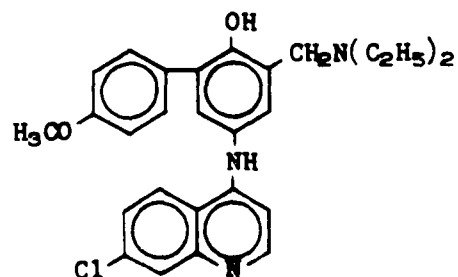
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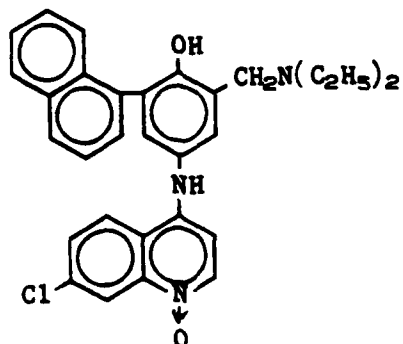


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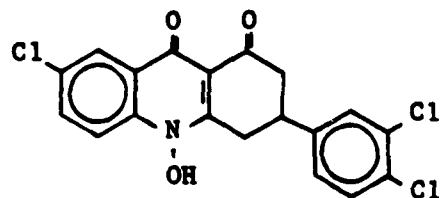


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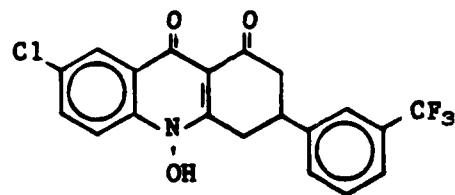
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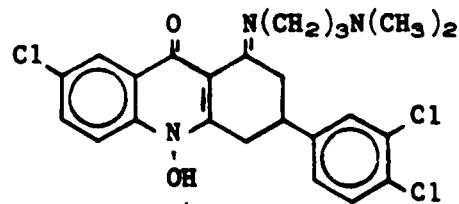
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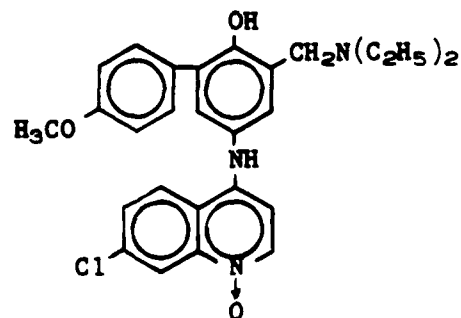
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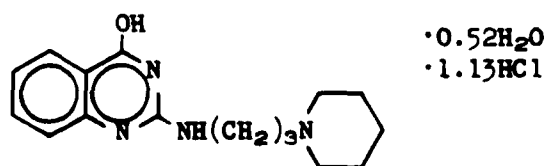
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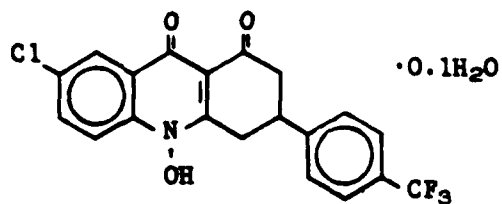
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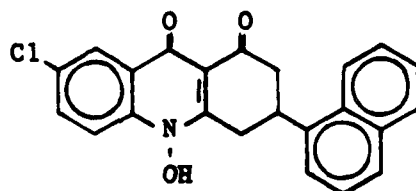
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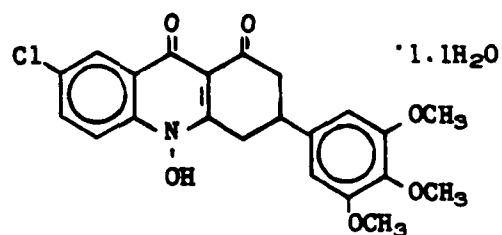
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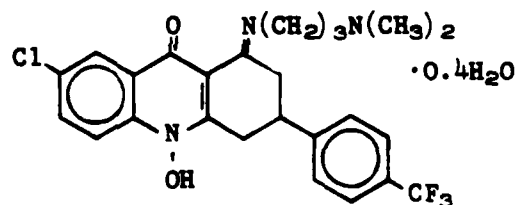
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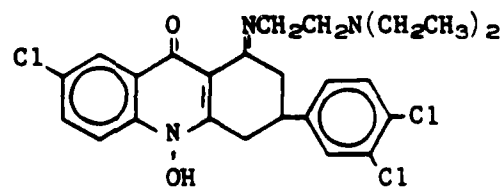
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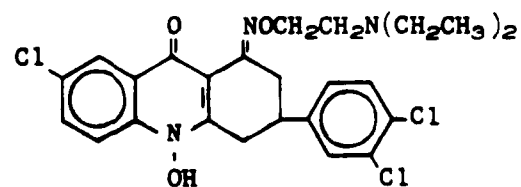
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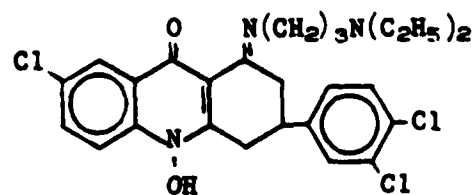
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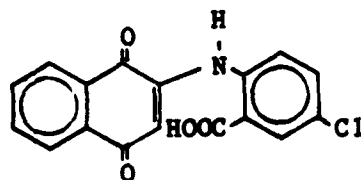
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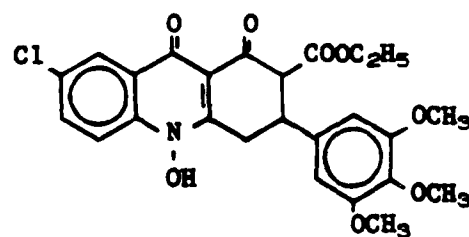
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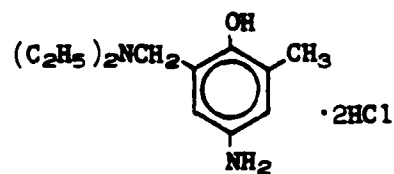
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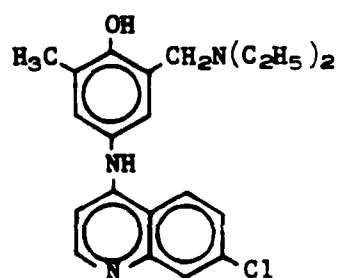
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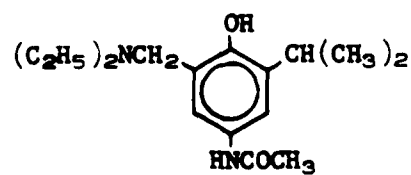
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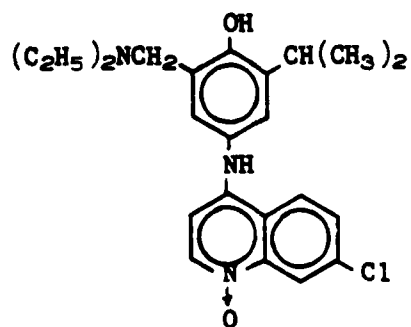


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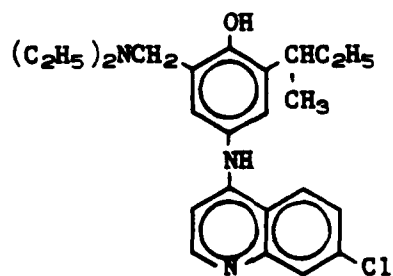


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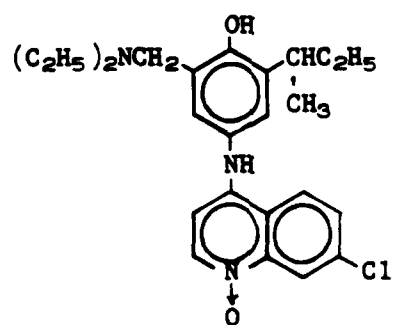




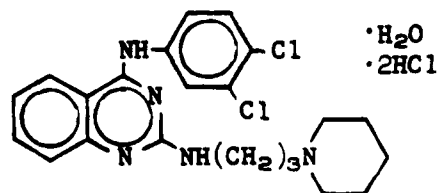
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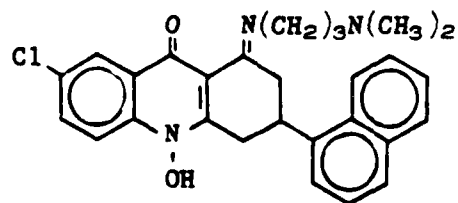
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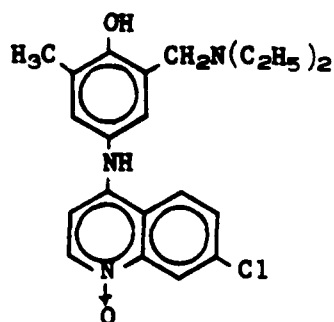
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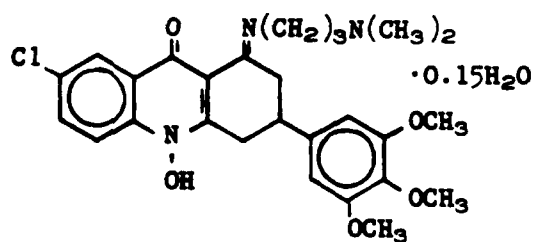
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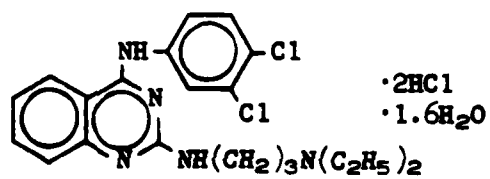
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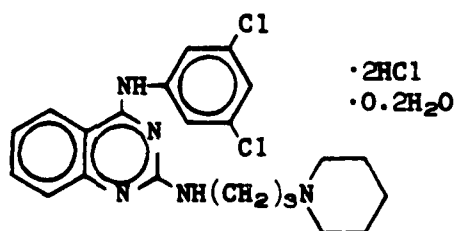
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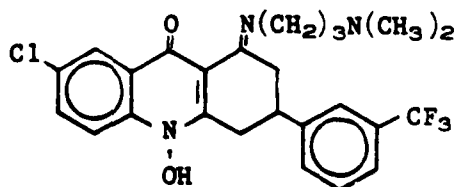
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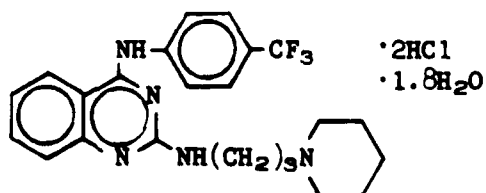
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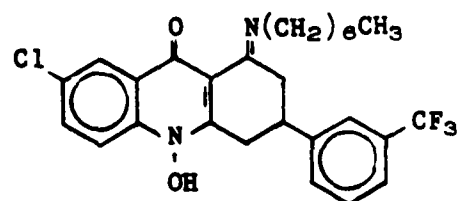
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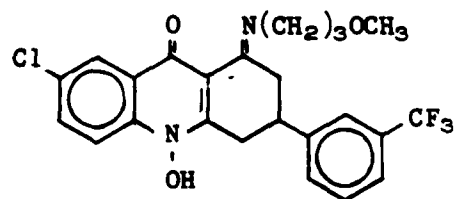
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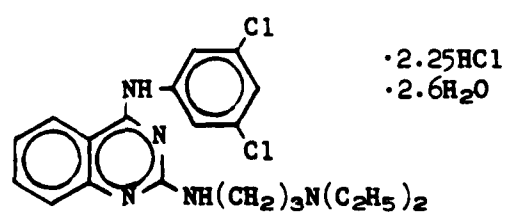
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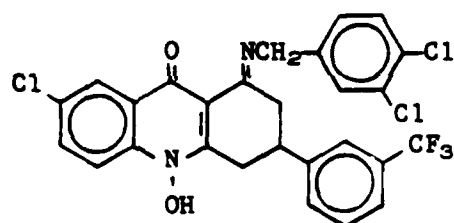
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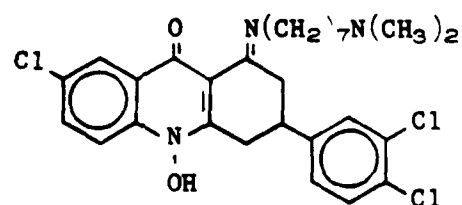
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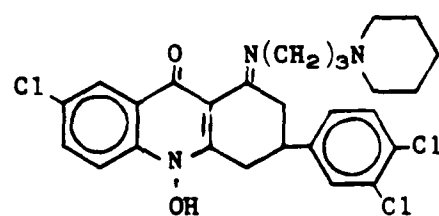
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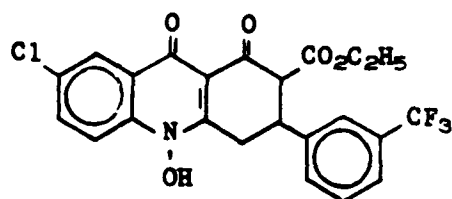
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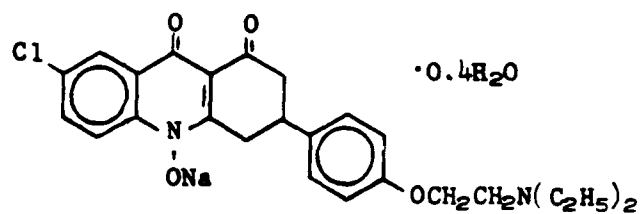
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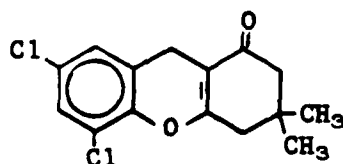
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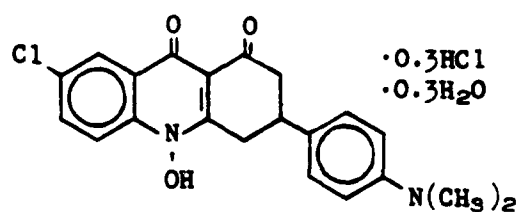
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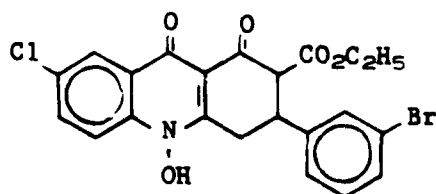
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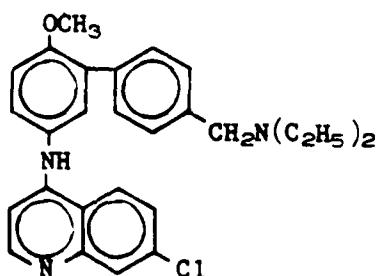
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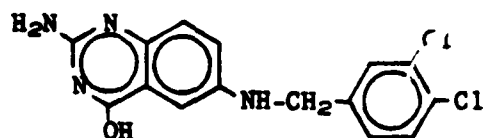
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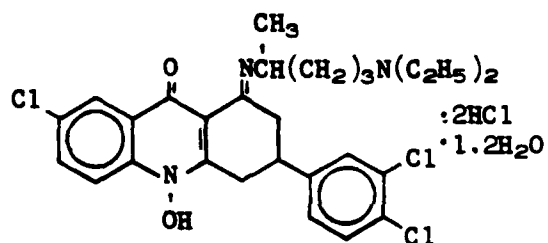
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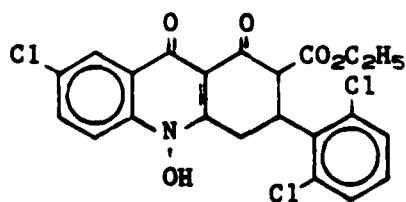
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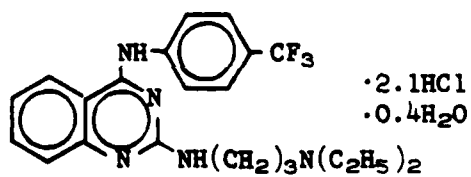
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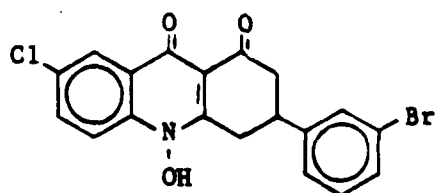
2102 BH-23896



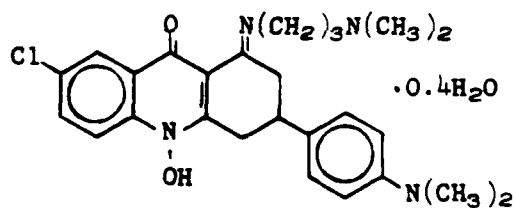
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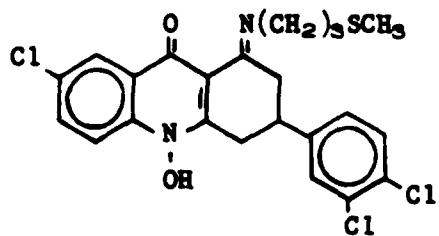
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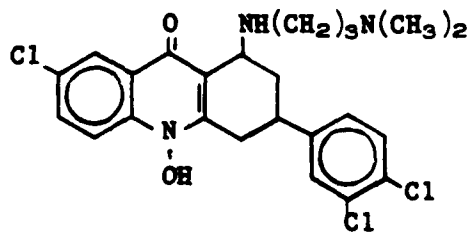
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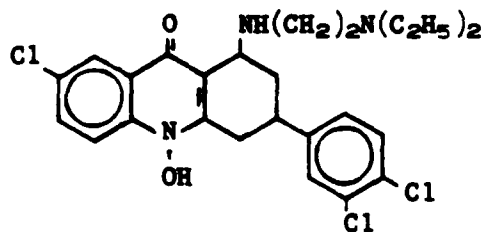
2106 BH-27278



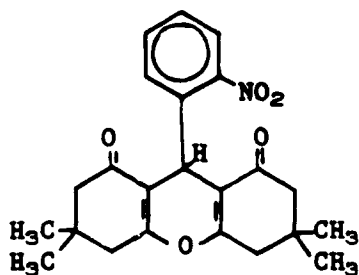
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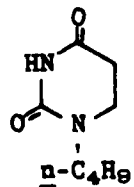
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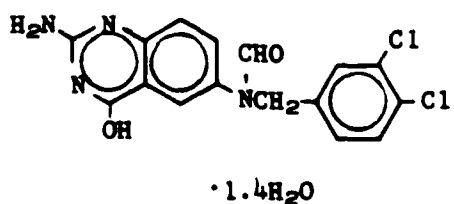
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2110 BH-27312

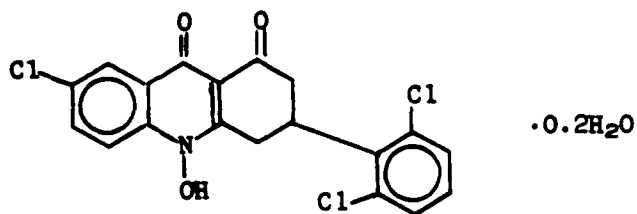


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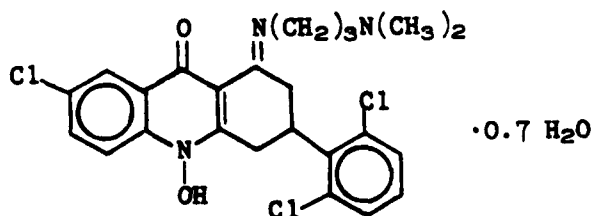
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BH-27330



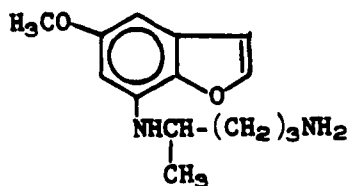
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BH-27349



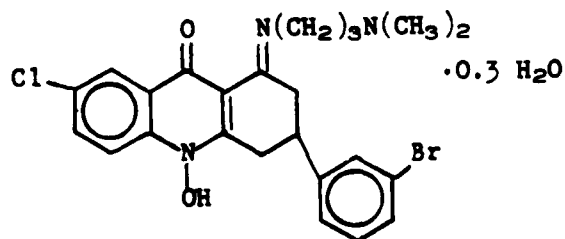
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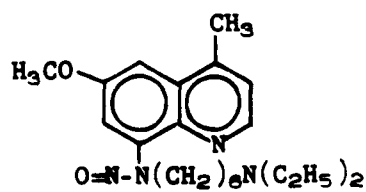
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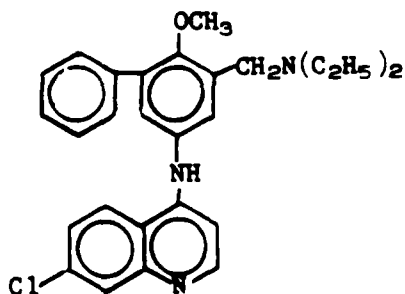
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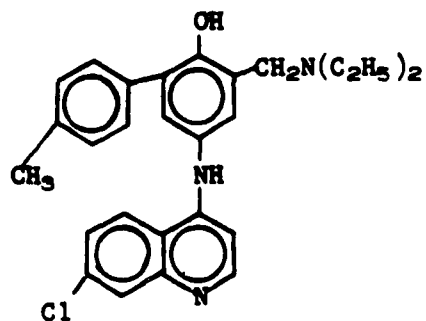
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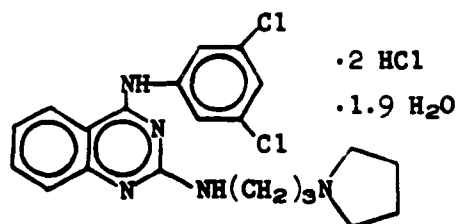
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BH-27385

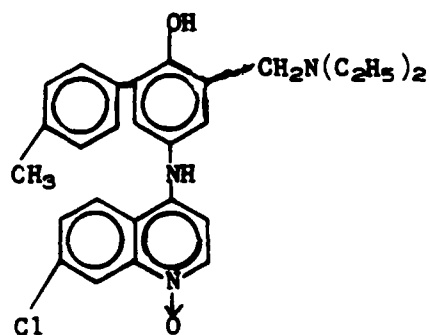




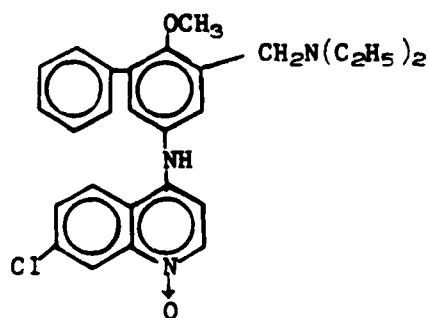
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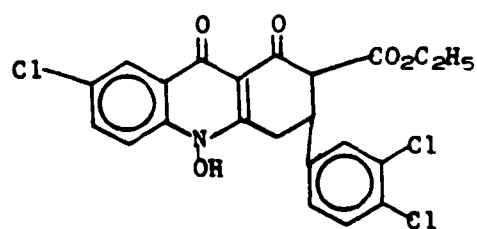
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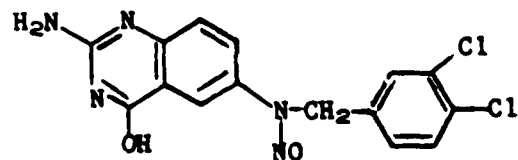
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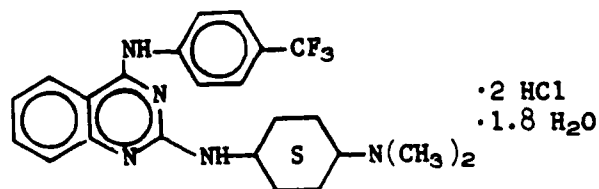
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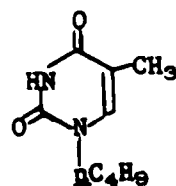
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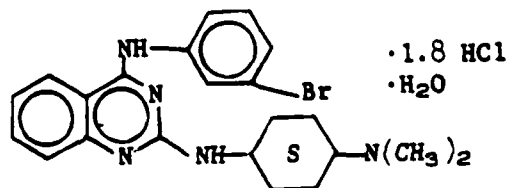
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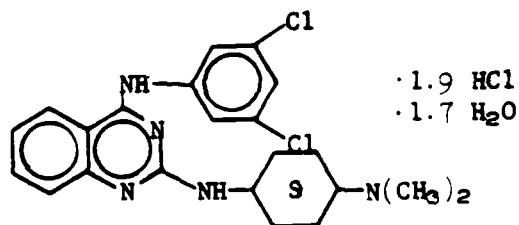
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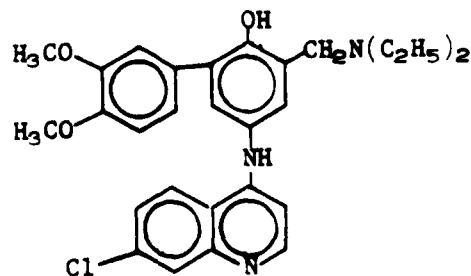
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2127 BH-30168

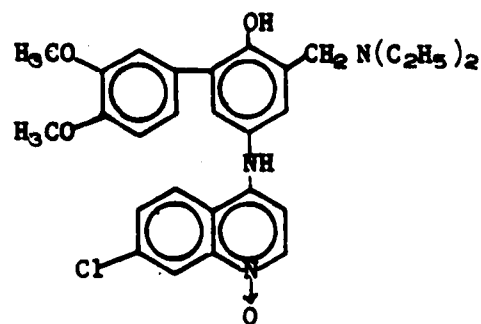


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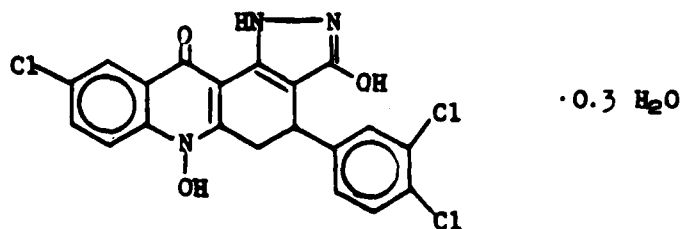
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BH-30186



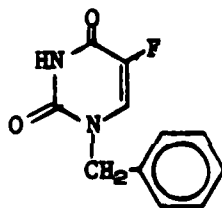
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BH-30668



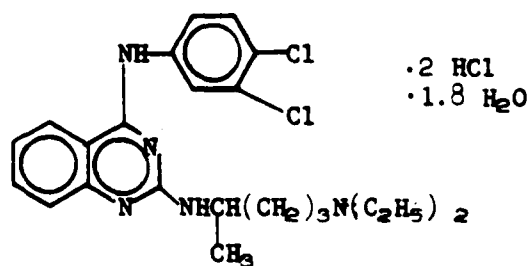
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BH-30677



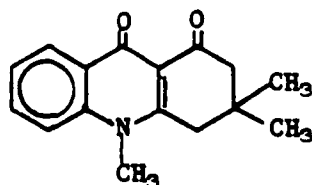
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BH-30686



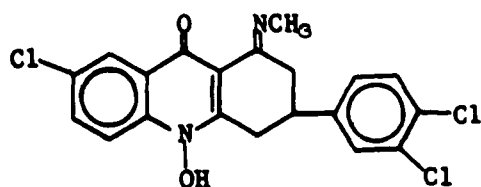
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BH-30695



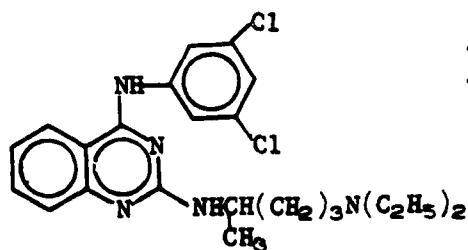
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BH-30702



2135

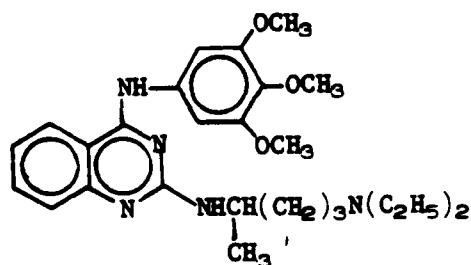
BH-30711



• 2.1 HCl
• 2.1 H₂O

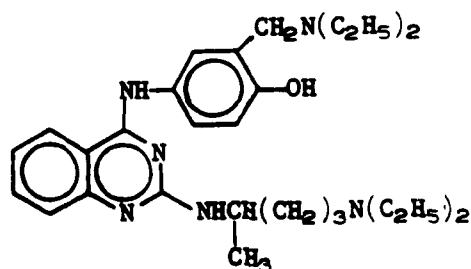
2136

BH-30720

• 0.9 H₂O

2137

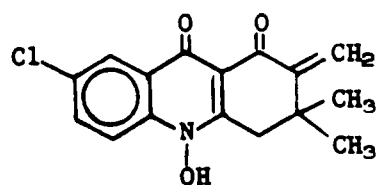
BH-34791



• 2.7 HCl
• 2 H₂O

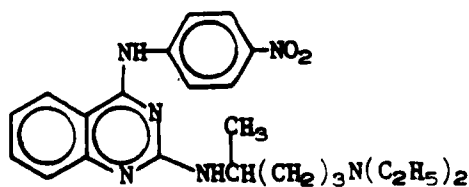
2138

BH-34808



2139

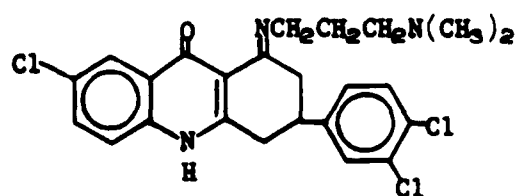
BH-34817



• 2.2 HCl
• 1.7 H₂O

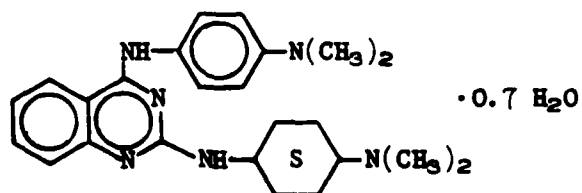
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BH-34826



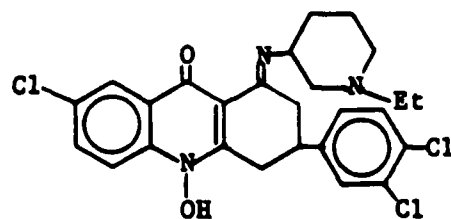
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BH-34835



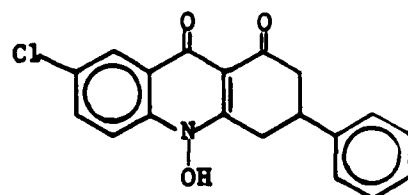
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BH-34844



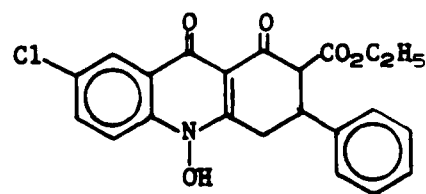
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BH-35172



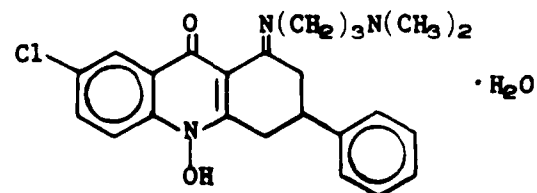
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BH-35181

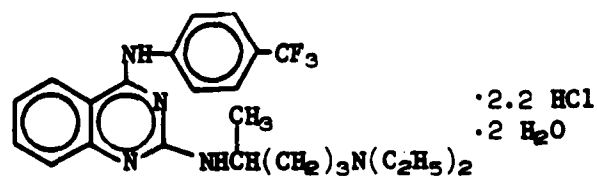


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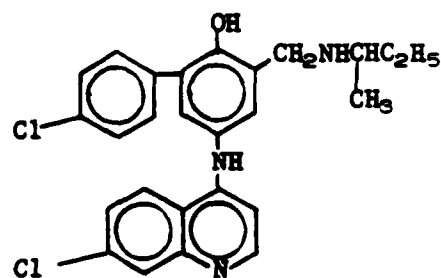
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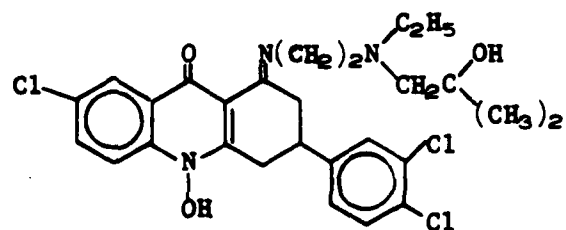
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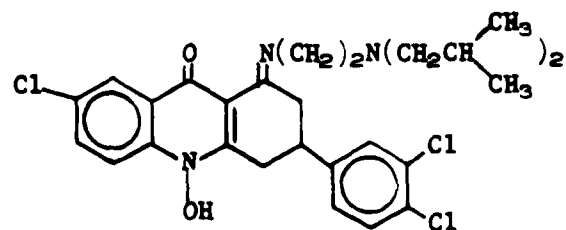
2147 BH-35216



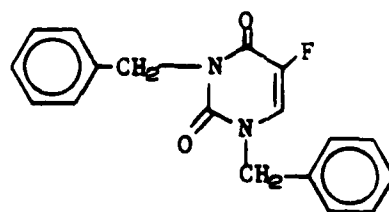
2148 BH-35225



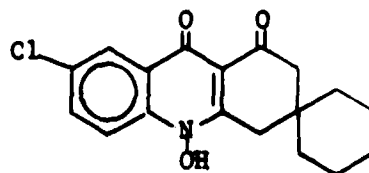
2149 BH-35163



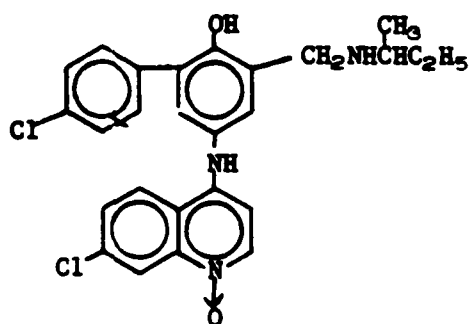
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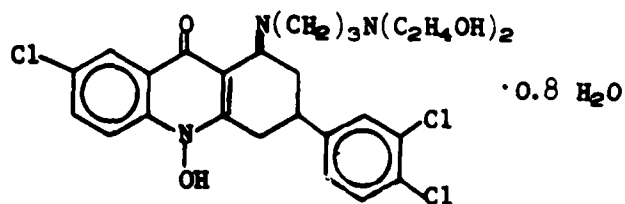
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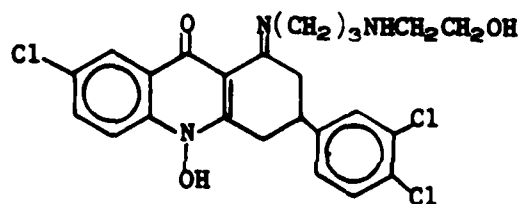
2152 BH-35949



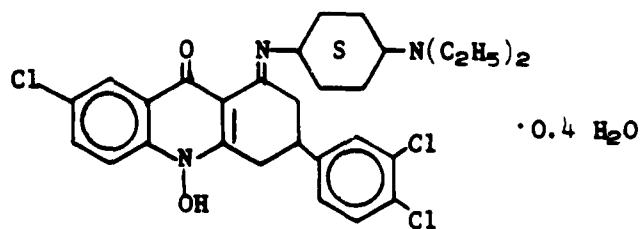
2153 BH-35958



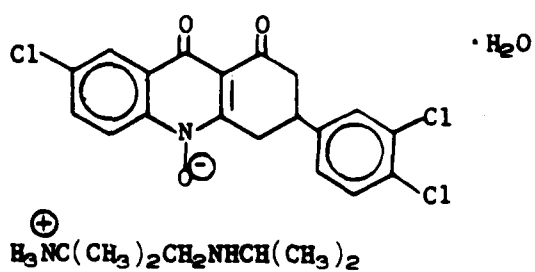
2154 BH-35967



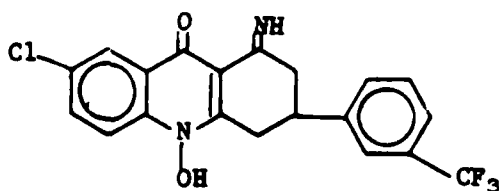
2155 BH-35976



2156 BH-35985

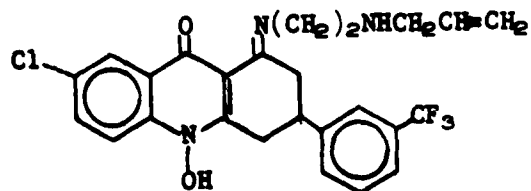


2157 BH-35994



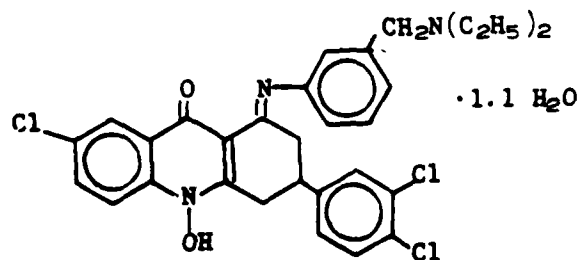
2158

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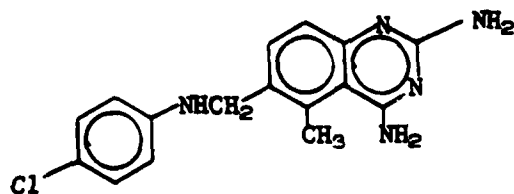
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BH-36017



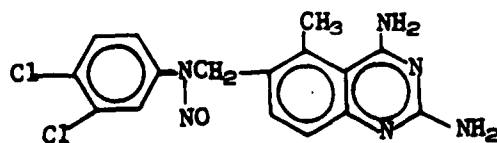
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BH-30097



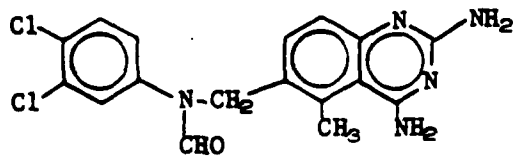
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BH-30828

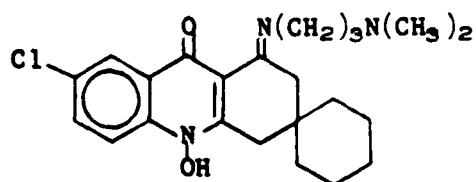


954

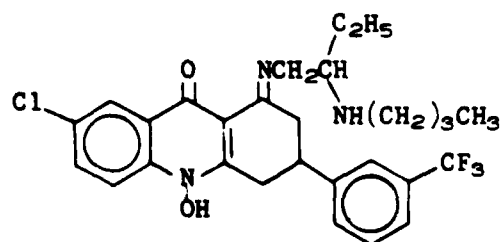
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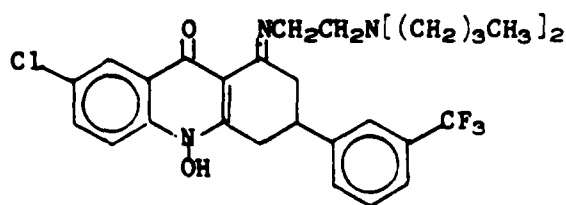
2160 BH-36231



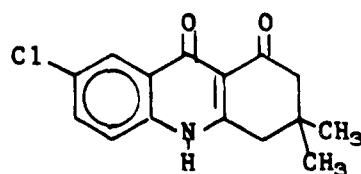
2161 BH-36240



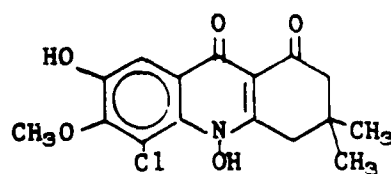
2162 BH-36259



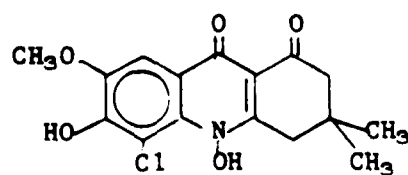
2163 BH-36268



2164 BH-36277

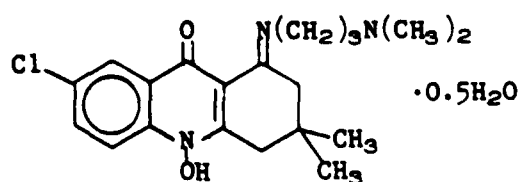


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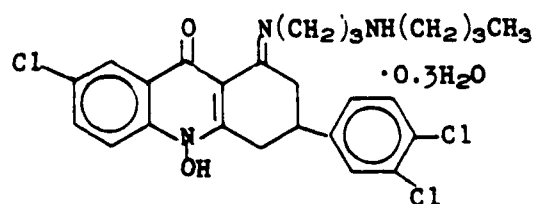


· 0.2H₂O

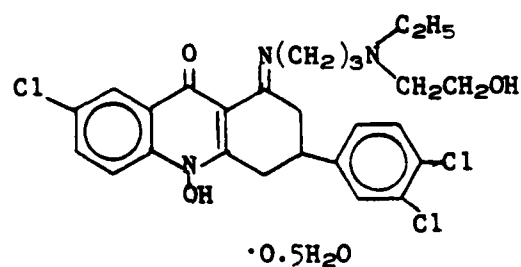
2165 BH-36286



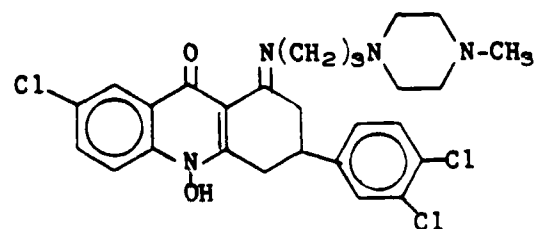
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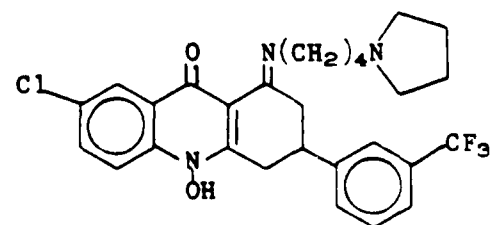
2167 BH-38155



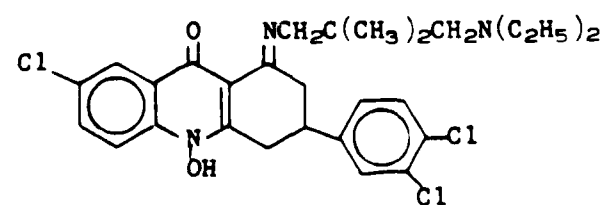
2168 BH-38164

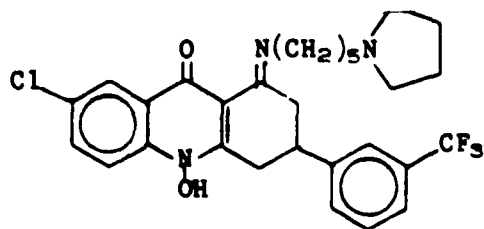


2169 BH-38173

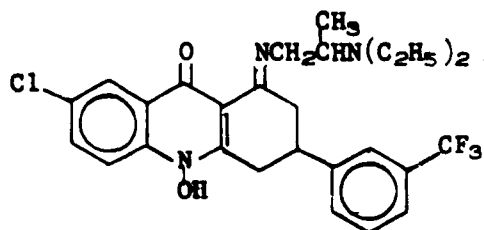


2170 BH-38182

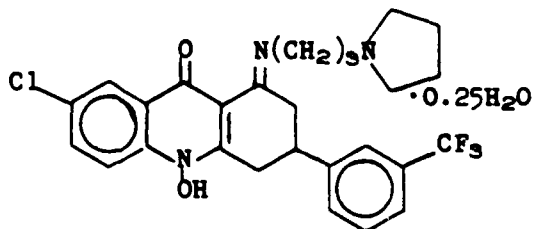




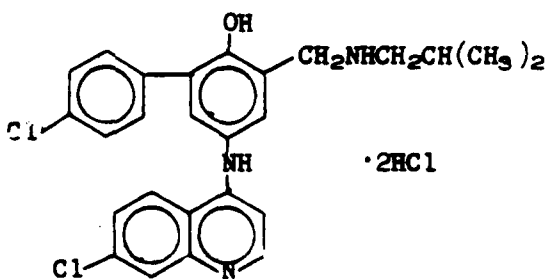
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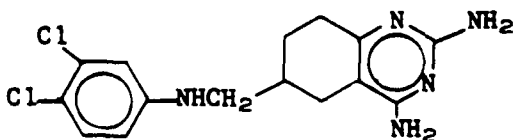
2173 BH-38217



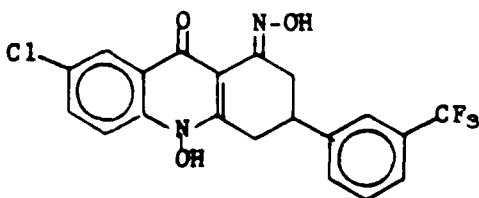
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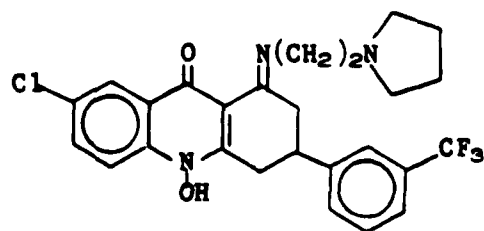
2175 BH-38235



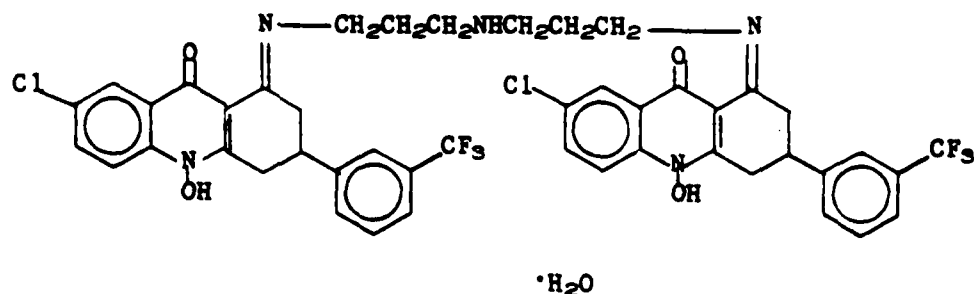
2176 BH-38280



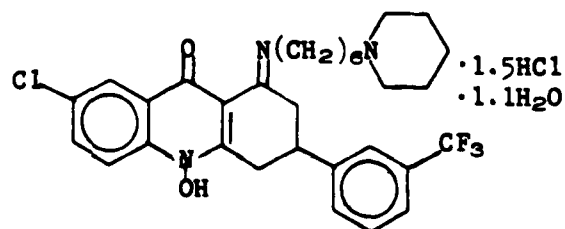
2177 BH-38299



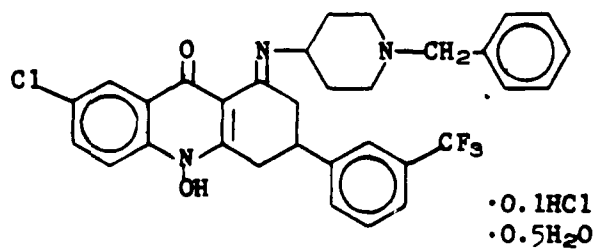
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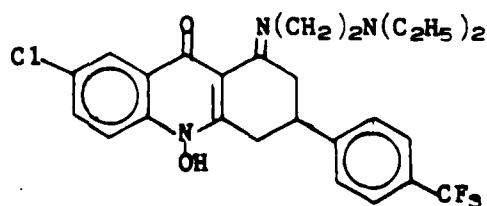
2179 BH-38315



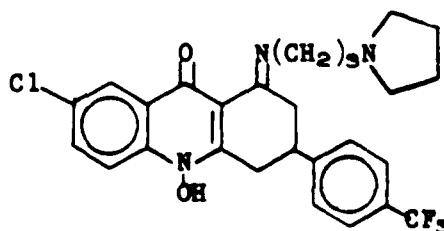
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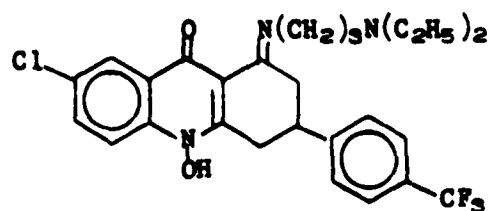
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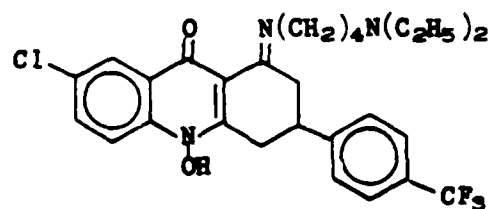
2182 BH-38342



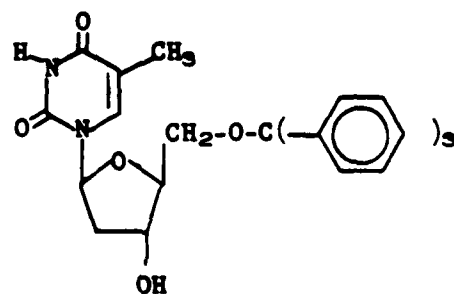
2183 BH-38351



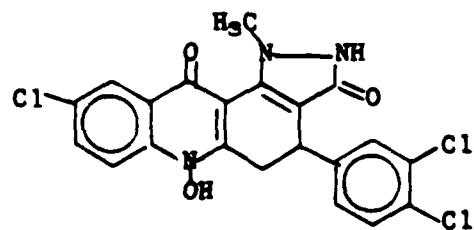
2184 BH-38995



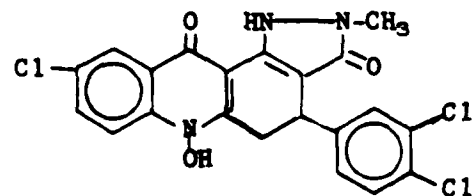
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2186 BH-39018

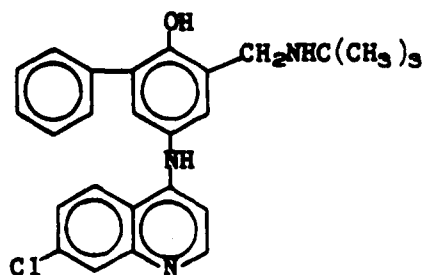


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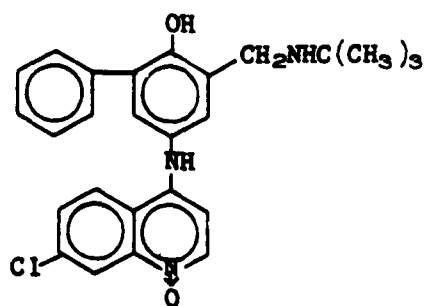


·0.5H₂O

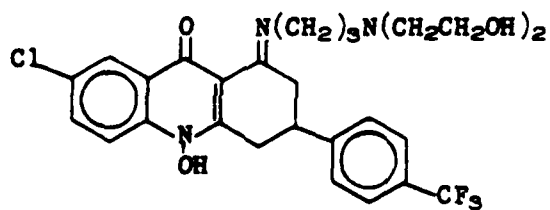
2187 BH-39027



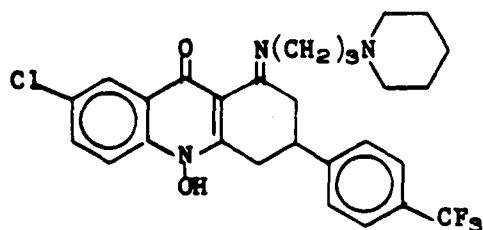
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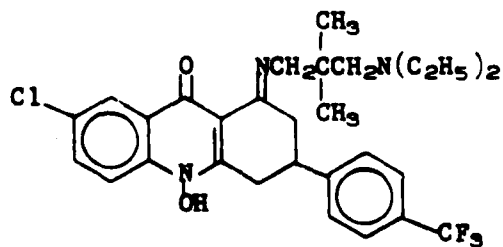
2189 BH-39045



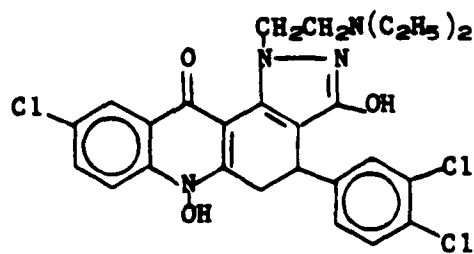
2190 BH-39054



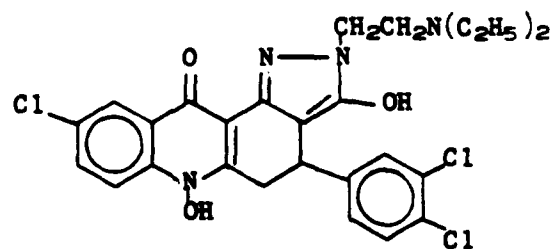
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2192 BH-47958

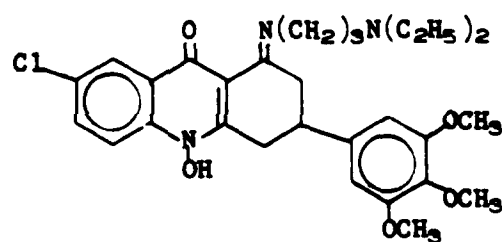


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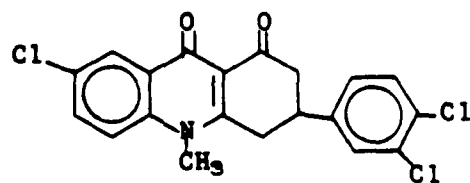


•0.8HCl
•0.8H₂O

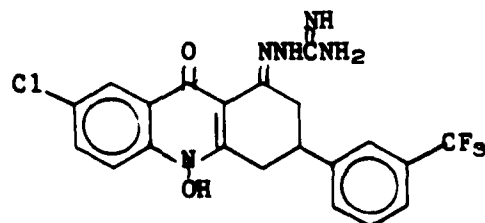
2193 BH-47967



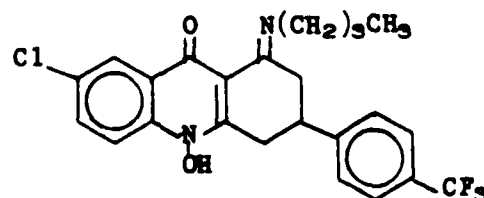
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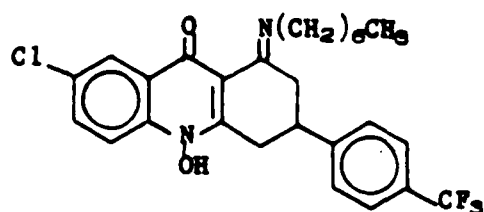
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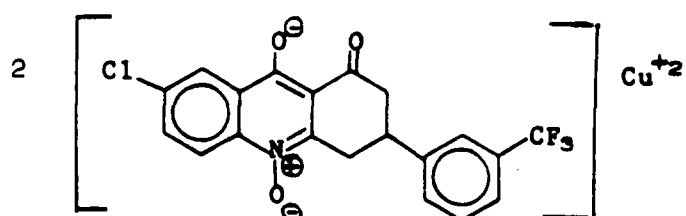
2196 BH-47994



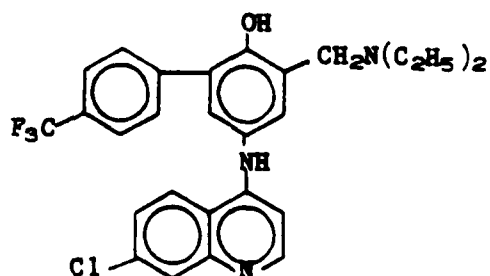
2197 BH-48008



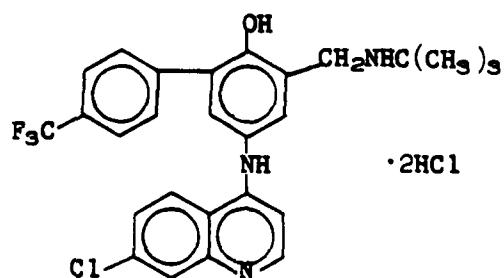
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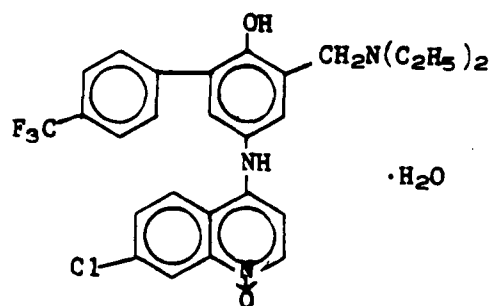
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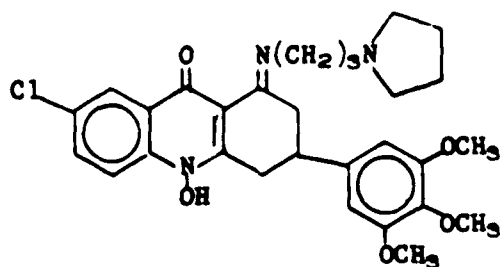
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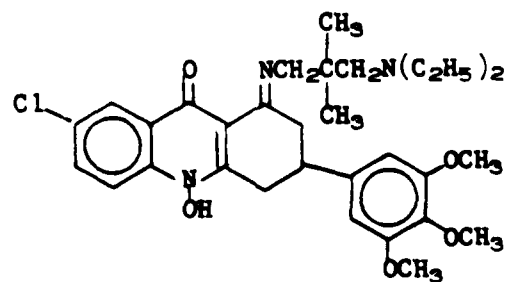
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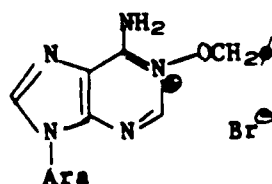
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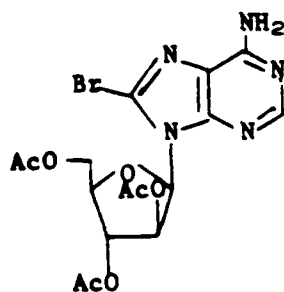
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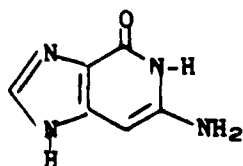
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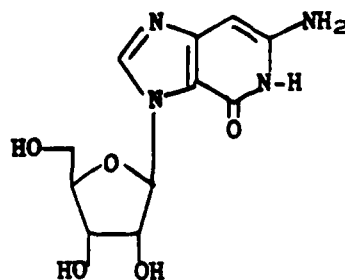
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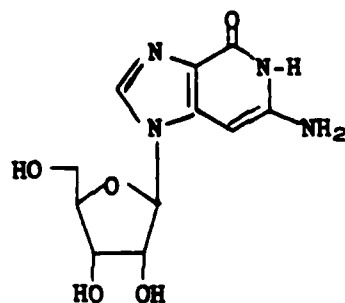
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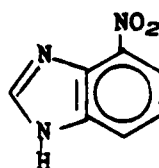
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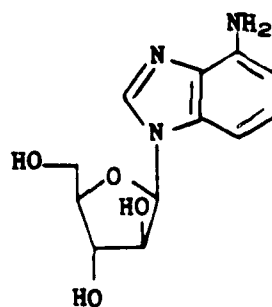
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2209 BH-49327



2210 BH-49336

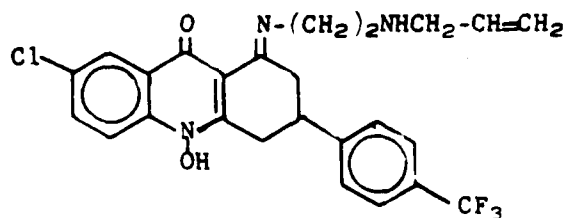


AM

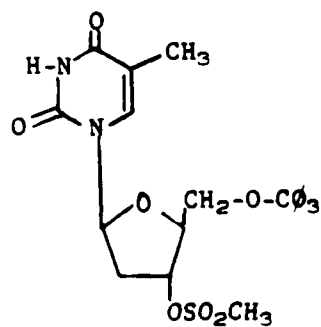
BN

STRUCTURE

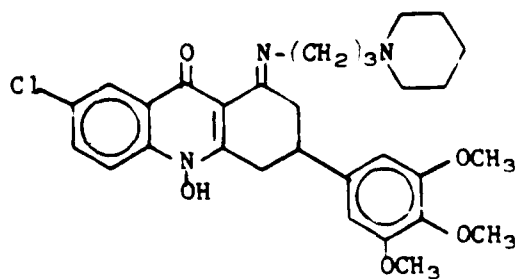
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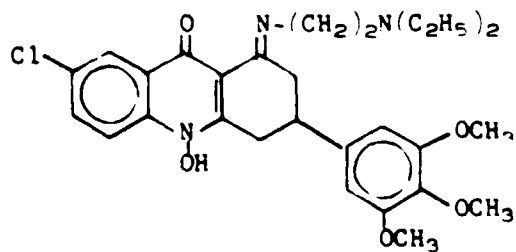
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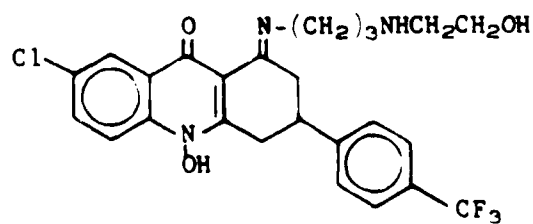
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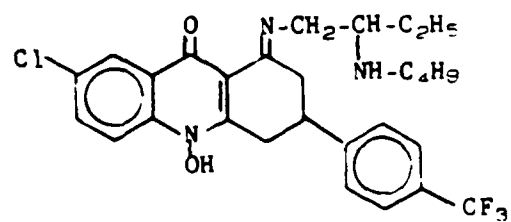
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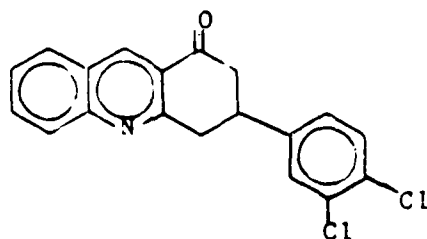
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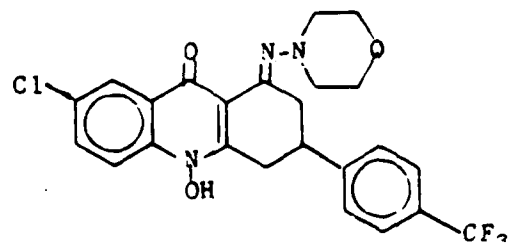
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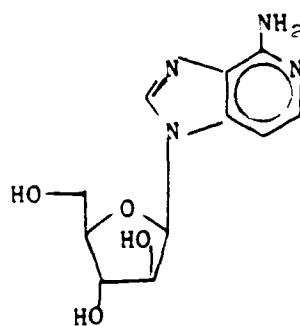
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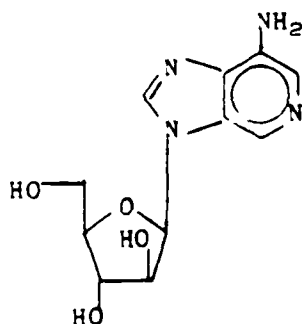
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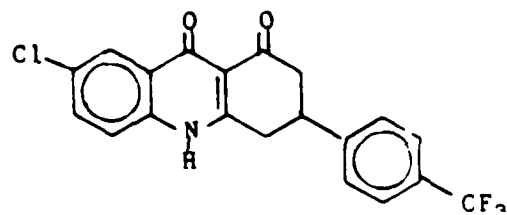
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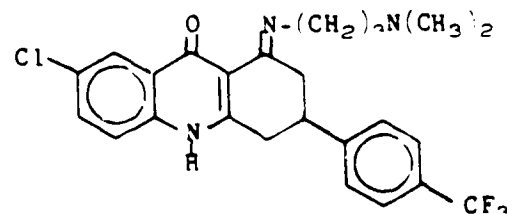
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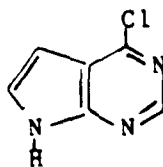
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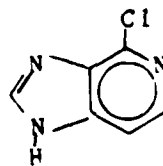
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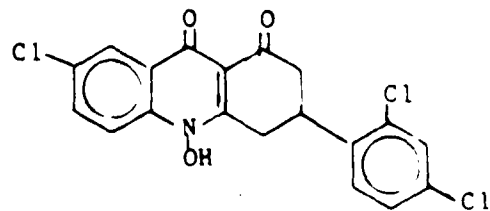
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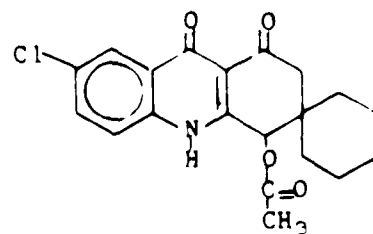
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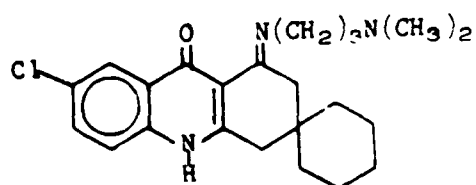
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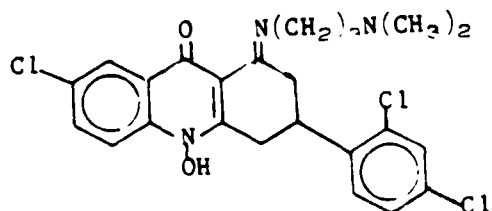
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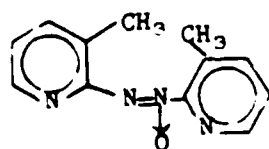
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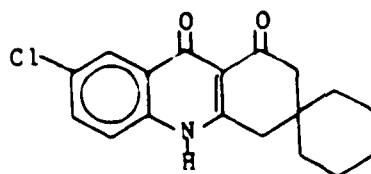
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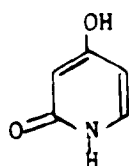
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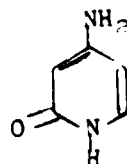
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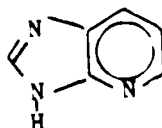
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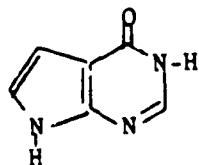
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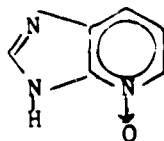
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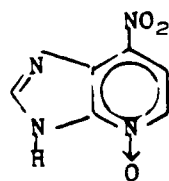
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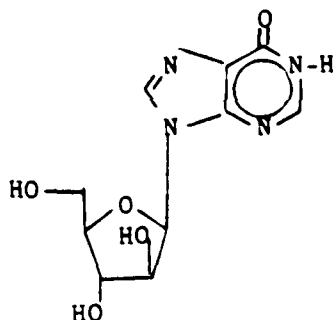
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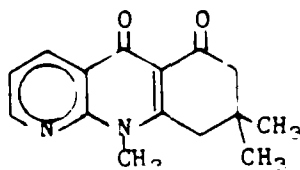
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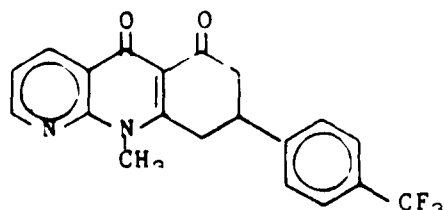
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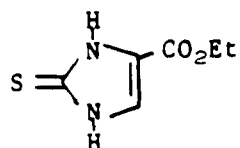
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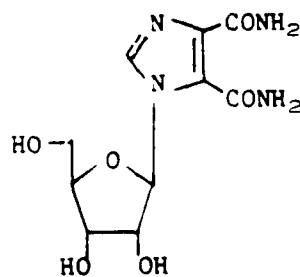
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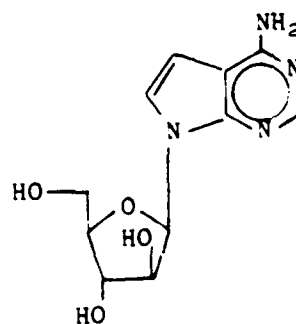
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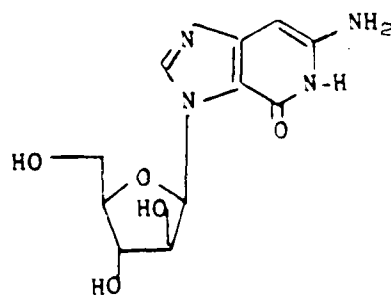
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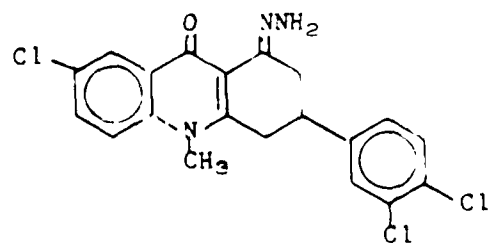
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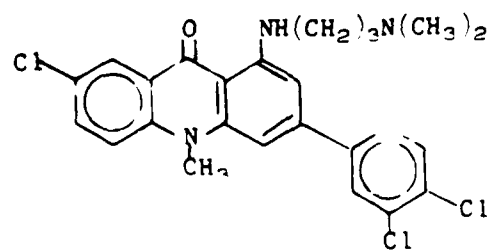
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2244 BH-58684



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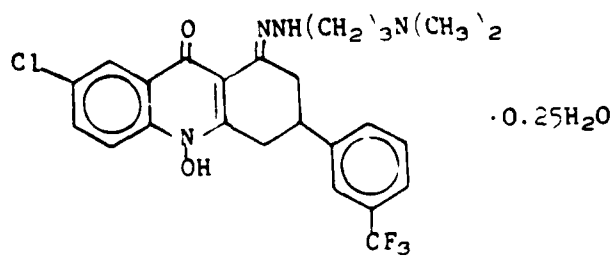


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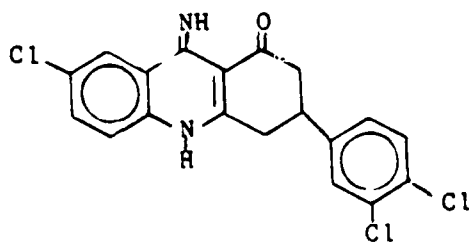
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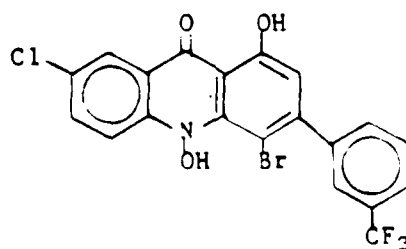
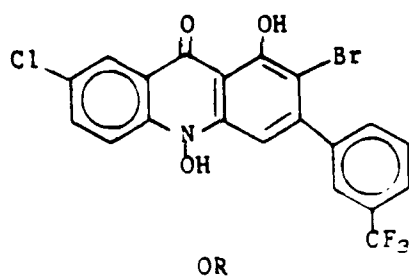
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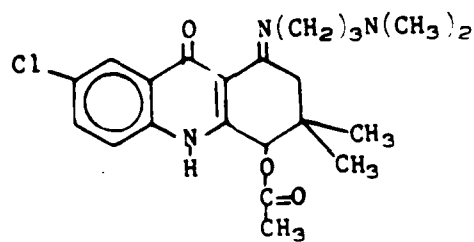
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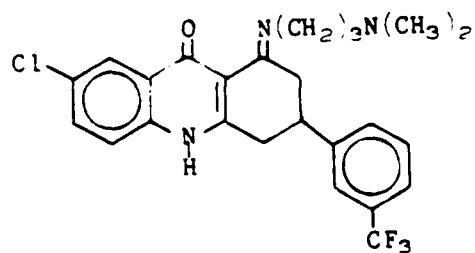
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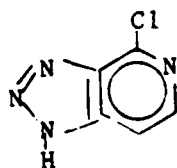
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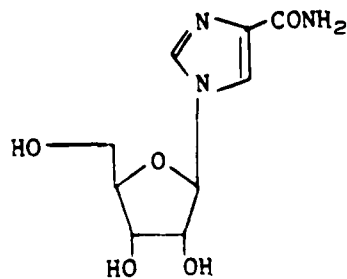
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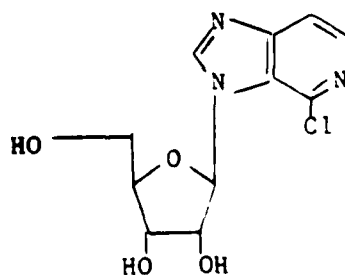
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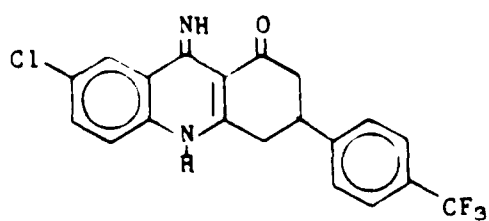
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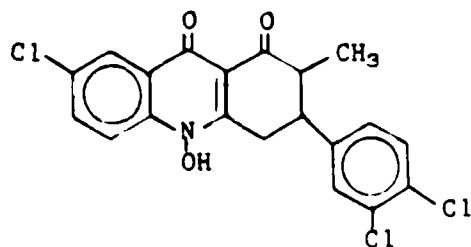
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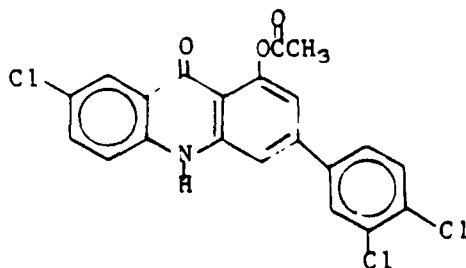


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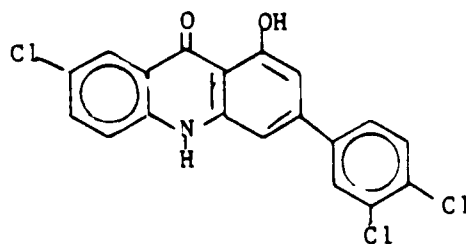


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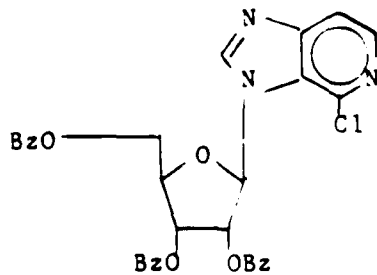
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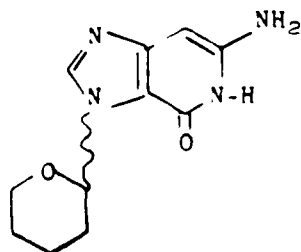
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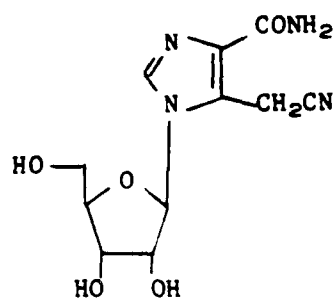
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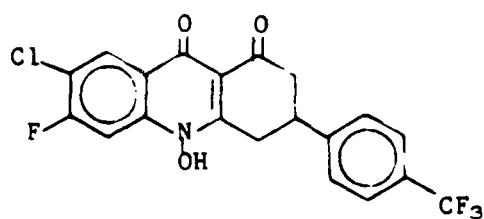
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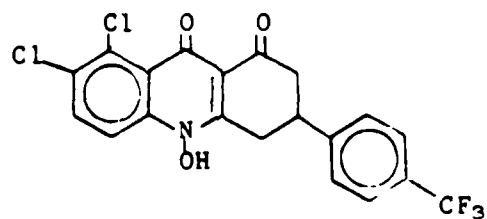
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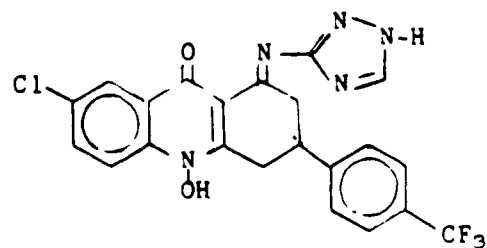
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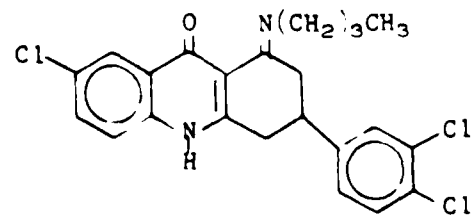
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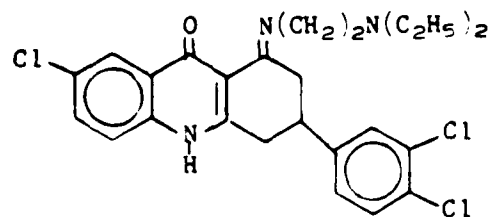
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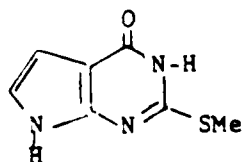
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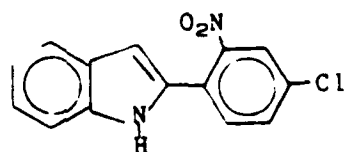
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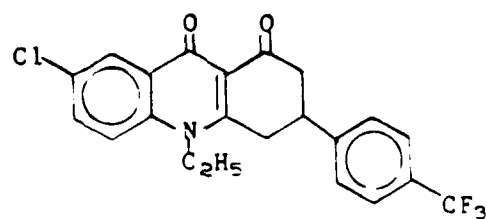
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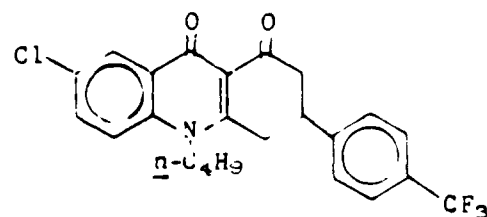
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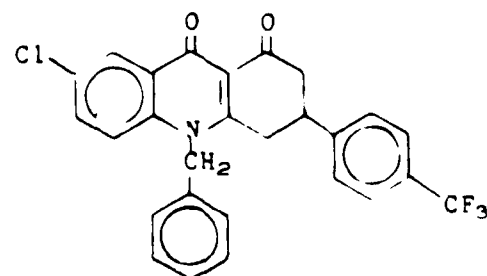
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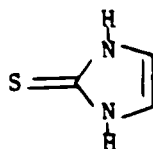
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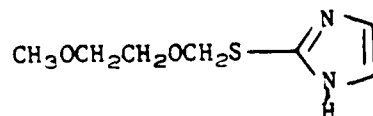
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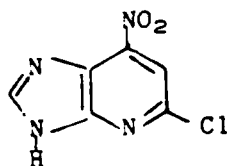
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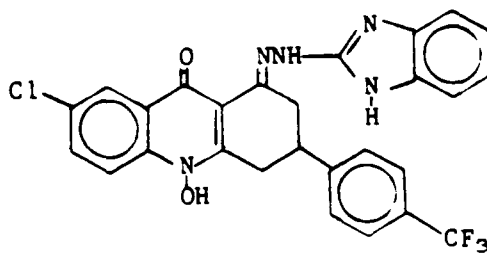
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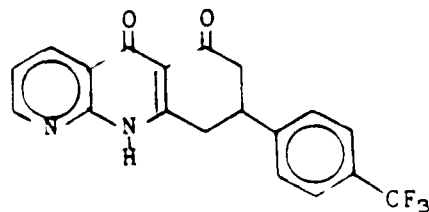
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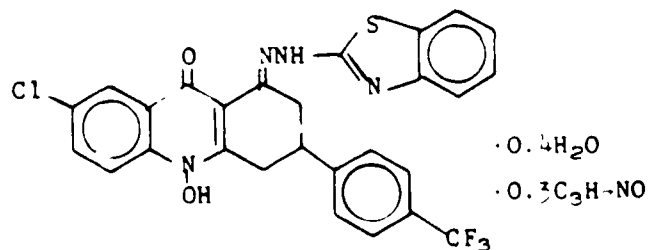
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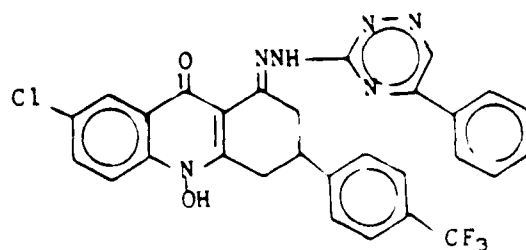
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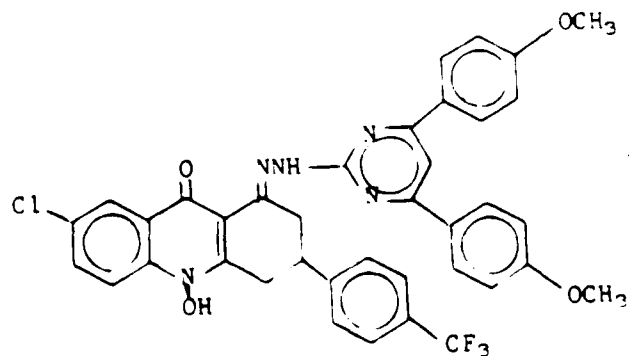
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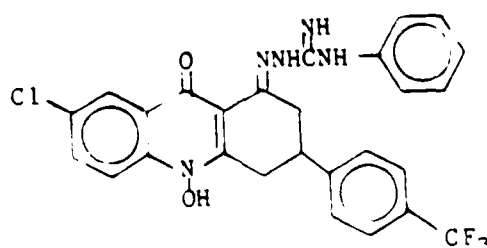
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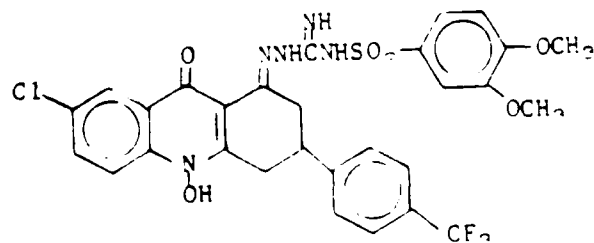
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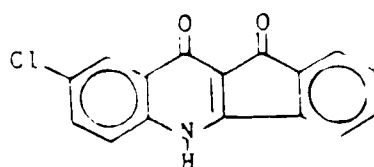
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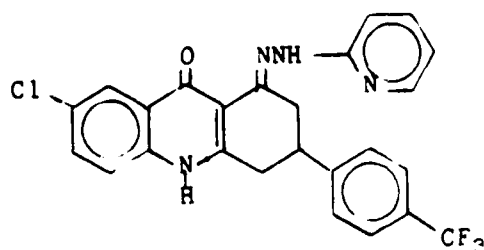
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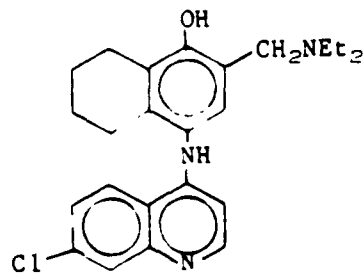
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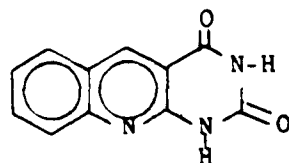
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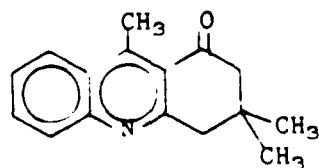
2283 BH-



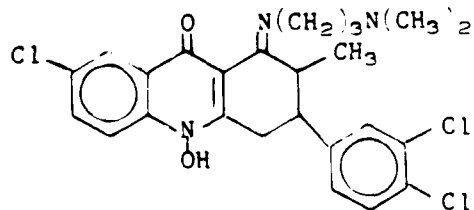
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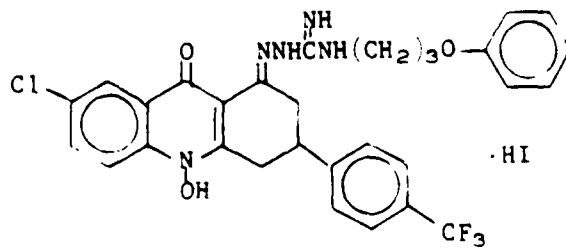
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2286 BH-72764

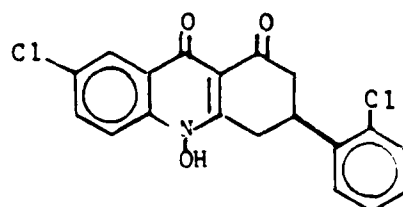


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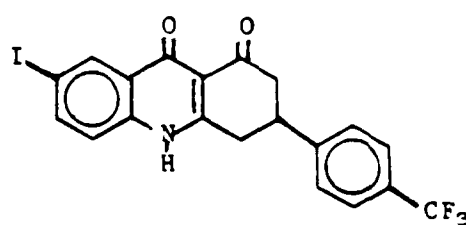


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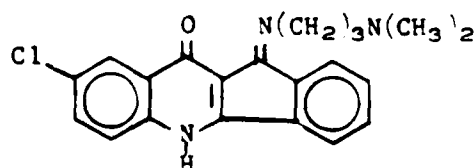
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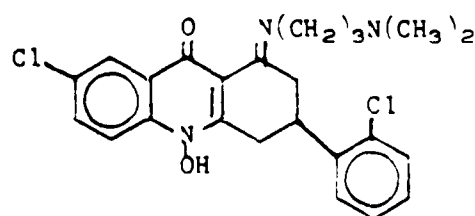
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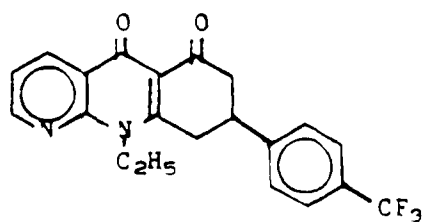
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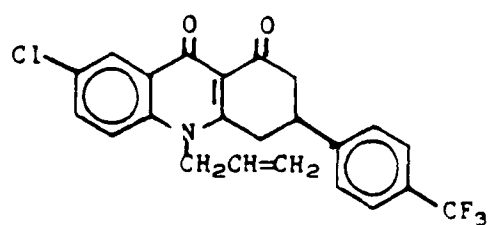
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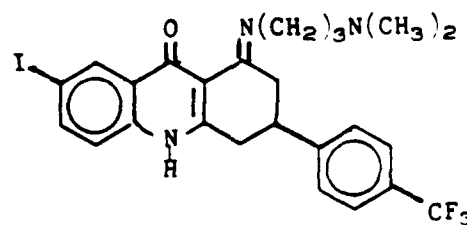
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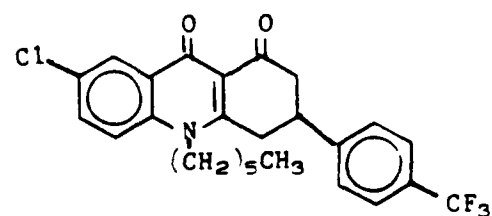
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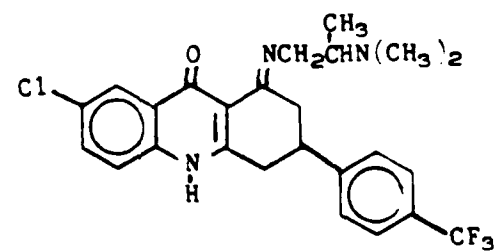
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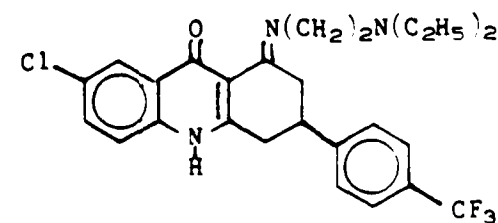
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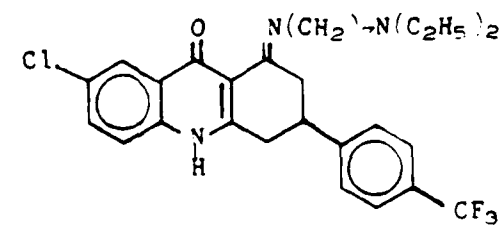
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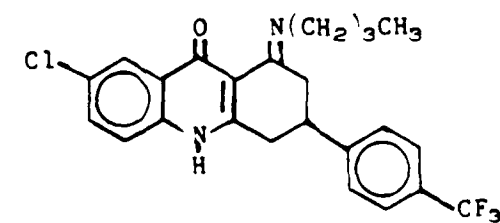
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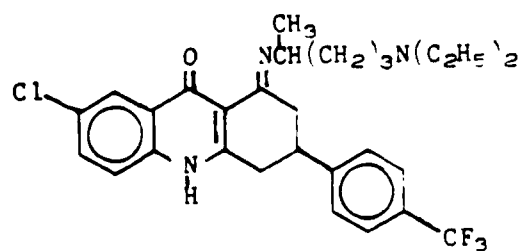
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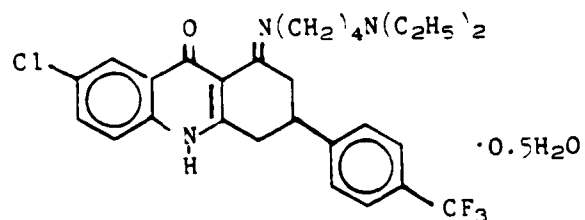
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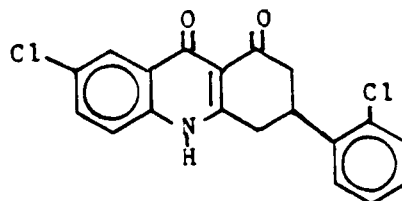
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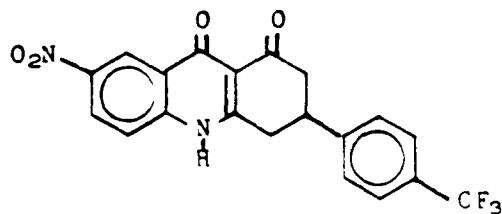
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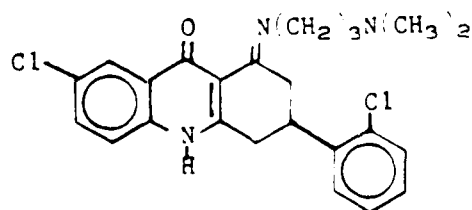
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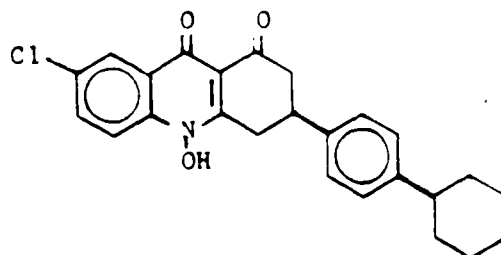
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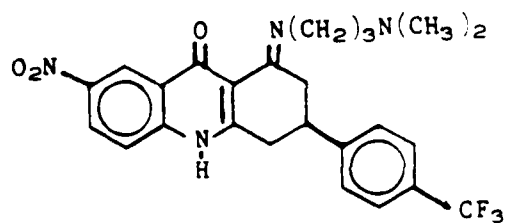
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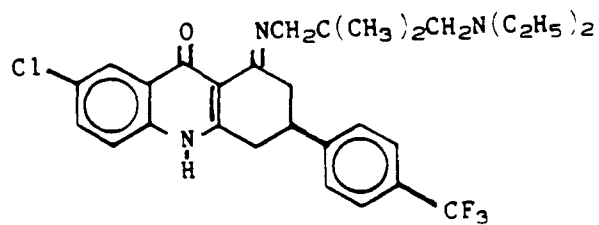
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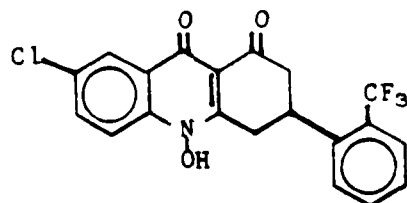
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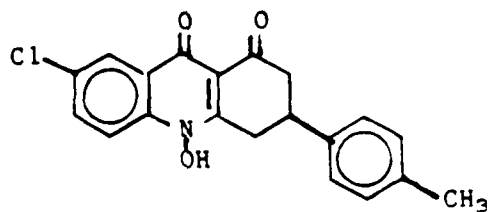
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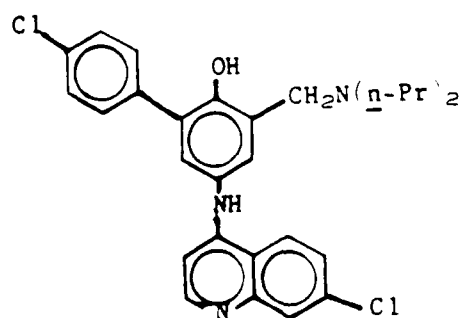
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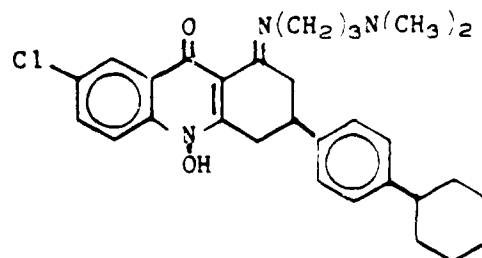
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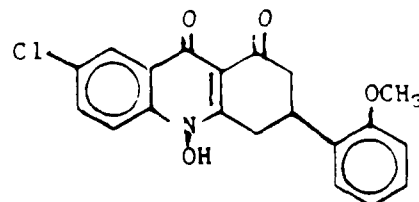
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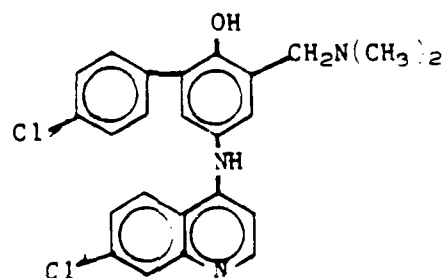
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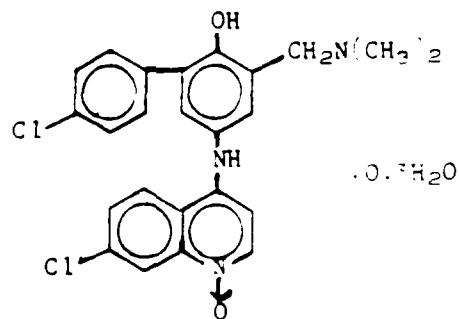
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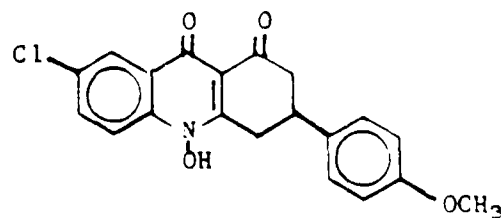
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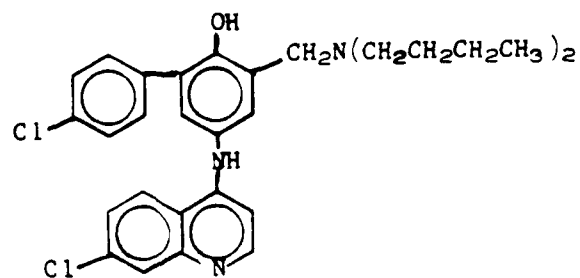
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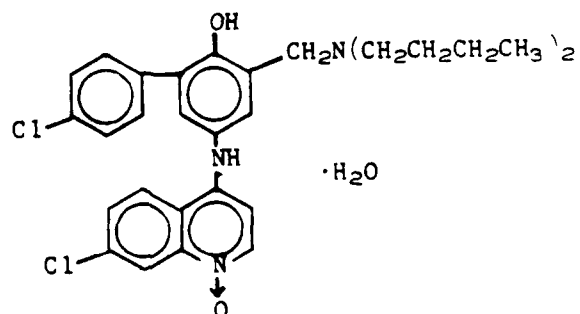
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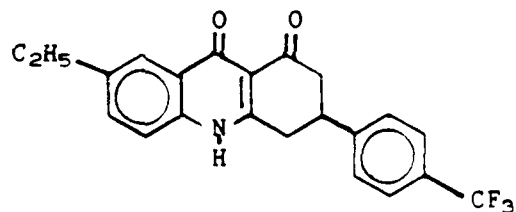
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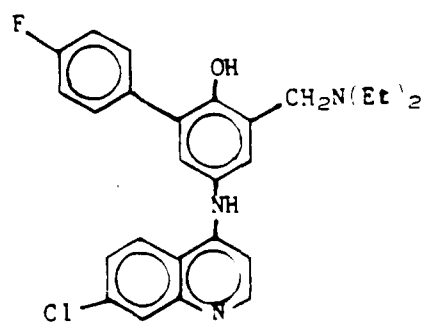
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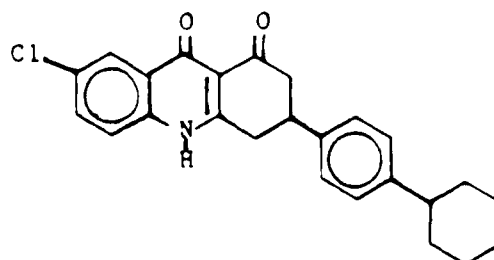
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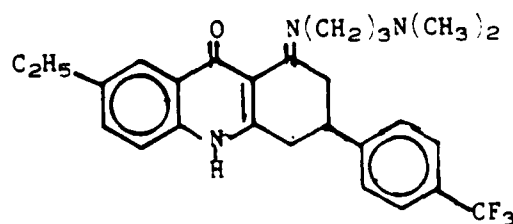
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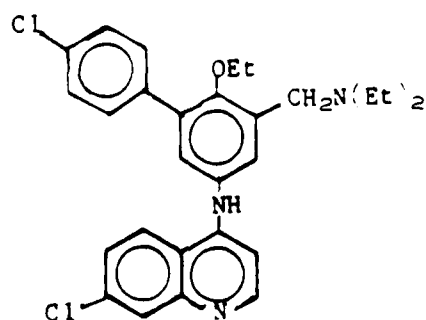
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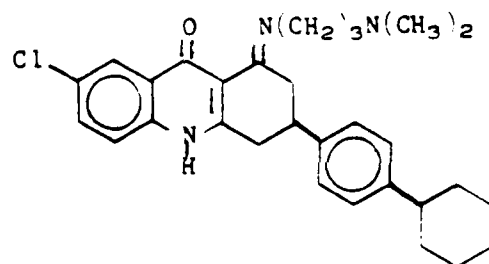
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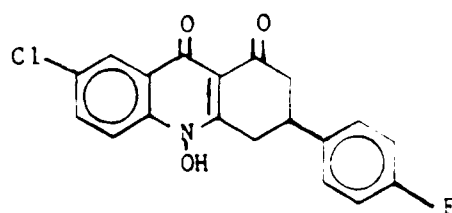
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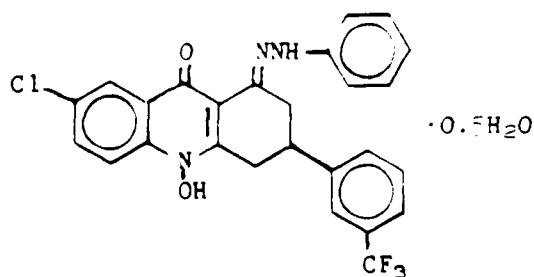
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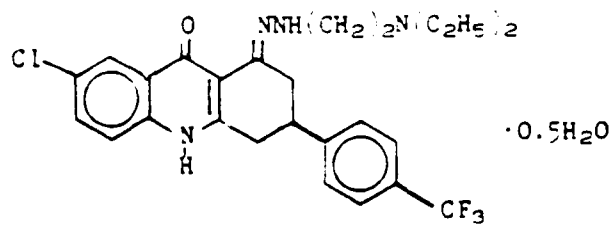
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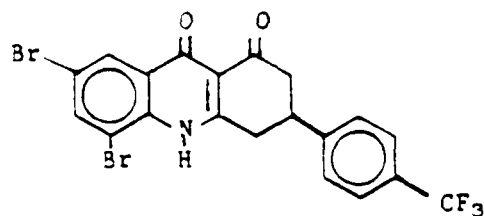
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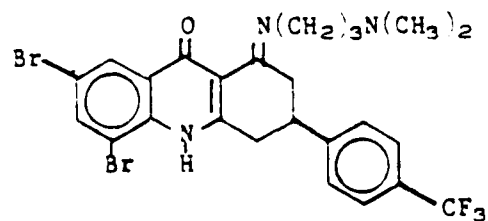
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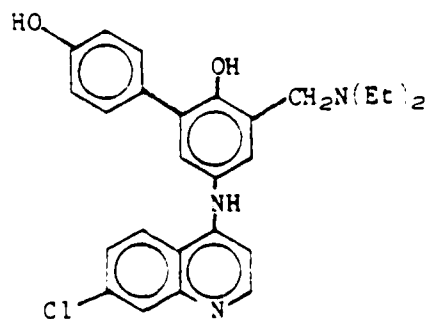
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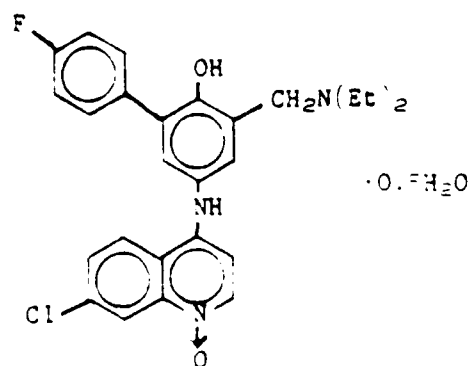
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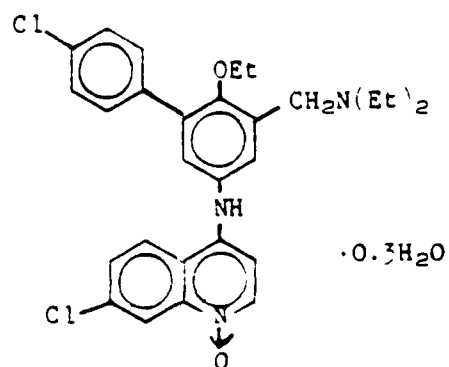
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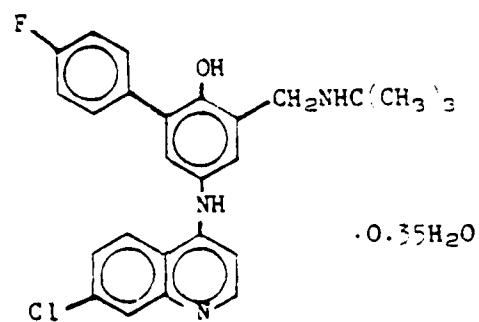
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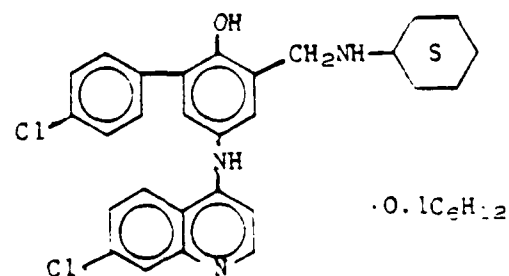
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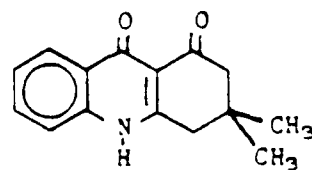
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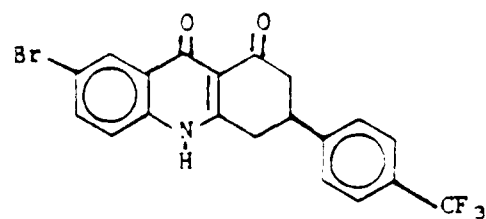
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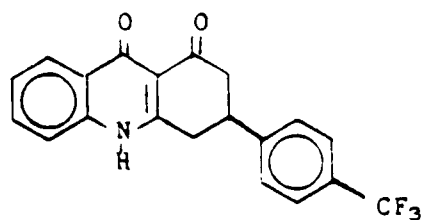
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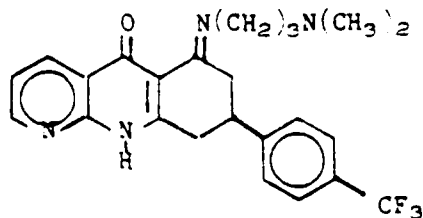
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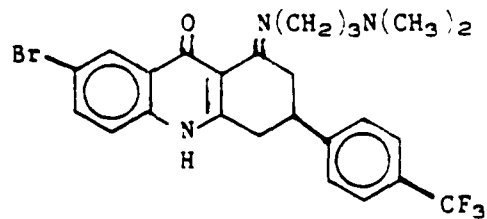
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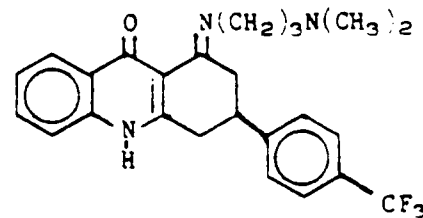
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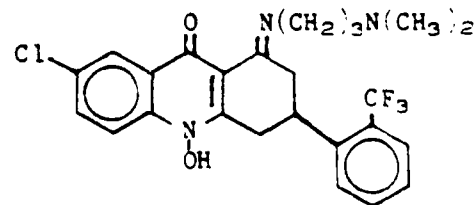
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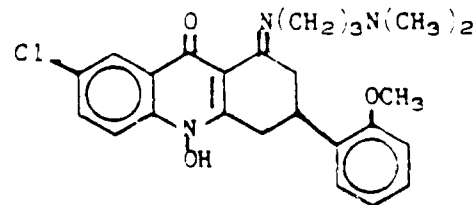
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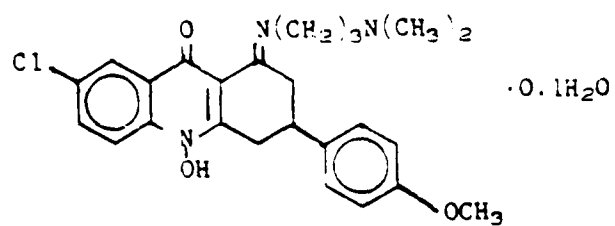
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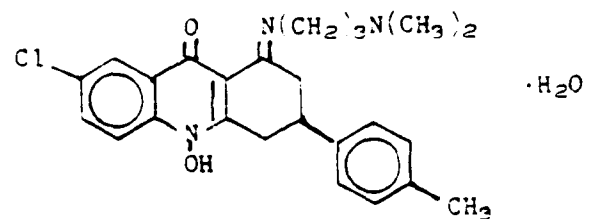
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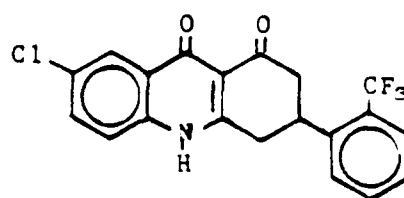


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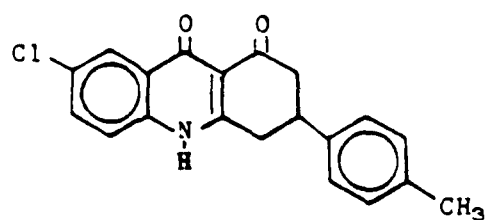
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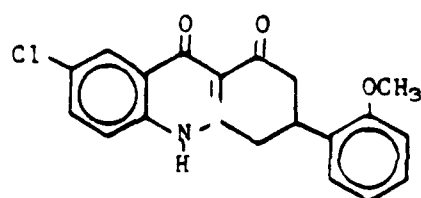
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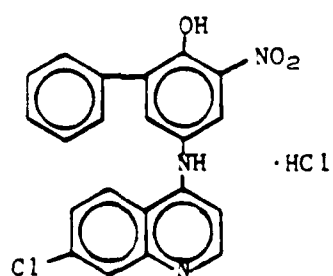
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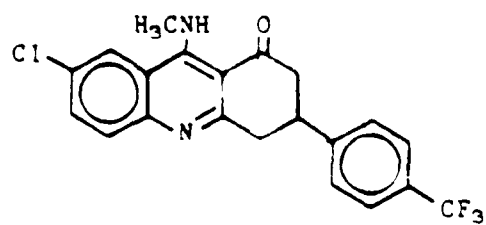
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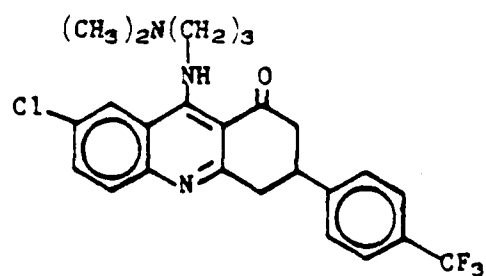
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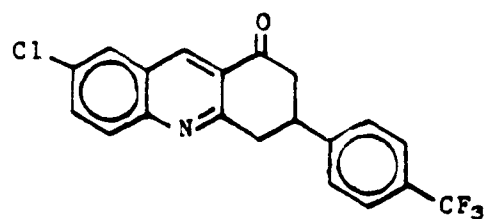
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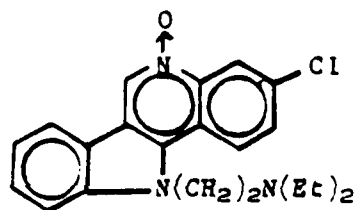
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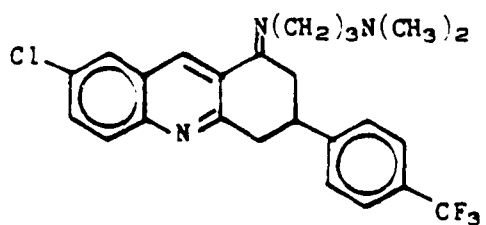
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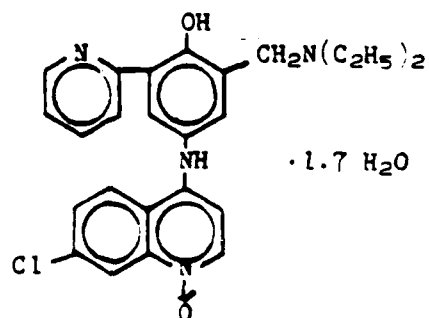
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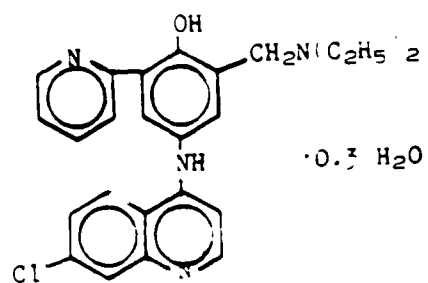
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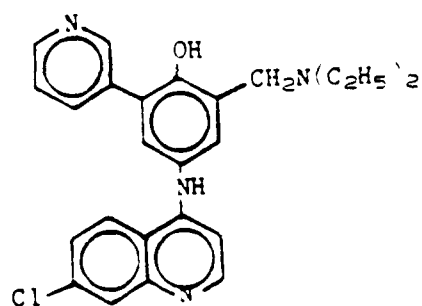
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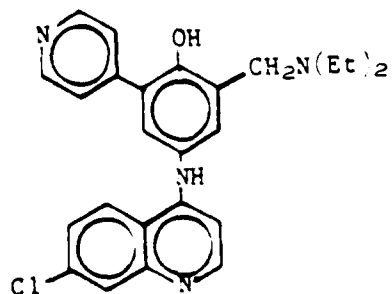
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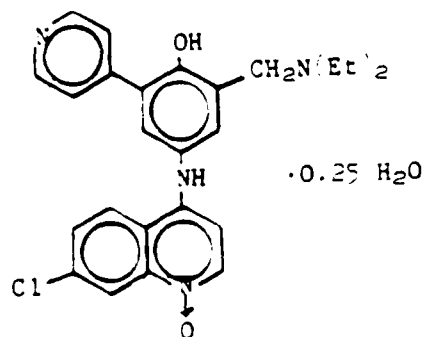
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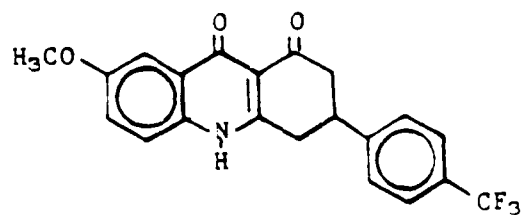
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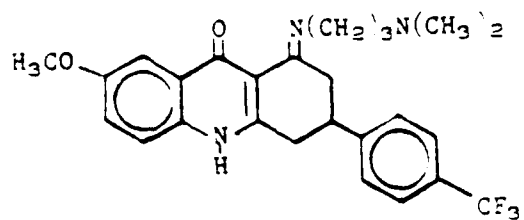
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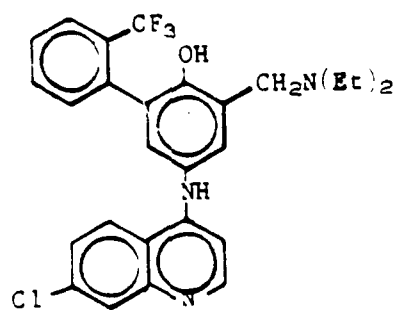
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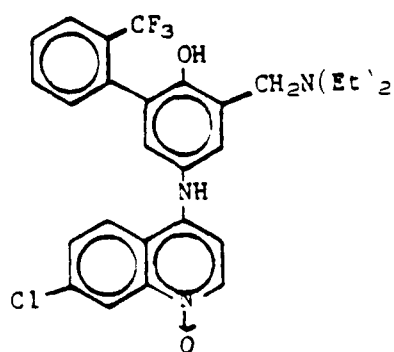
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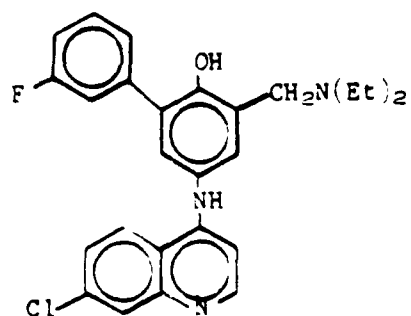
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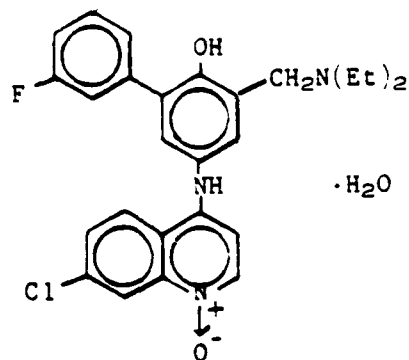
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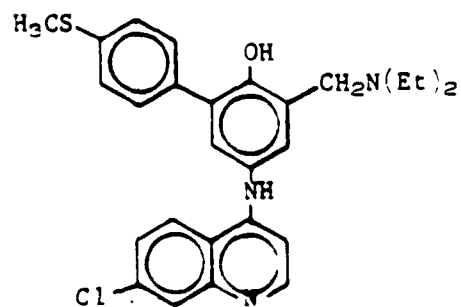
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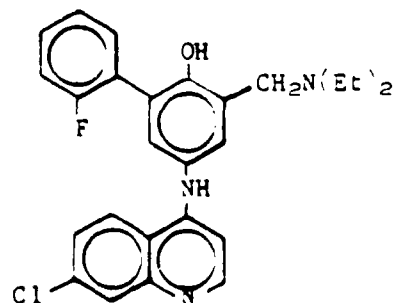
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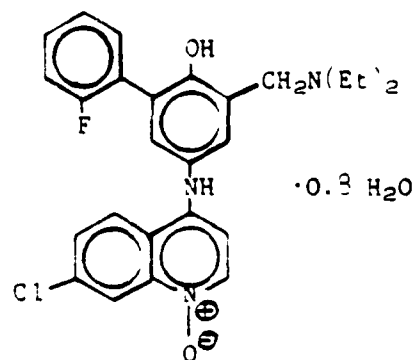
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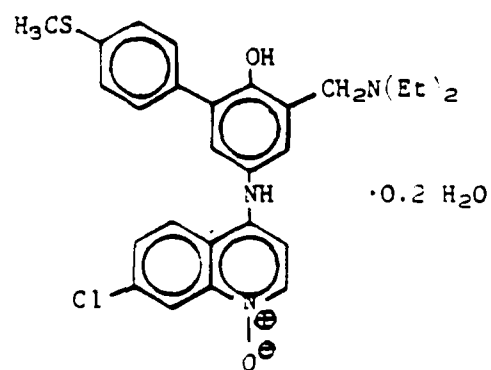
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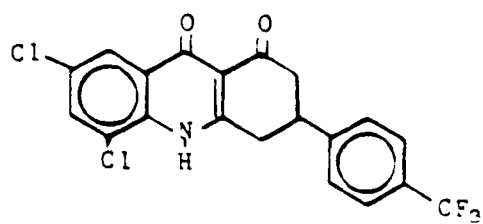
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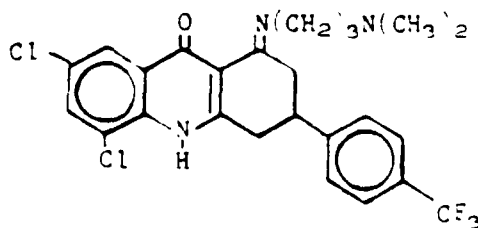
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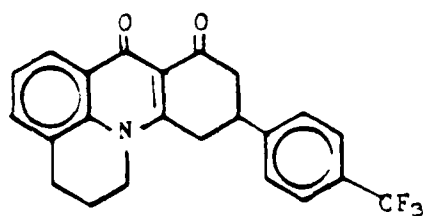
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2369 BH-96291



2370 BH-96308

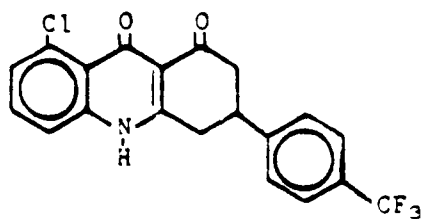


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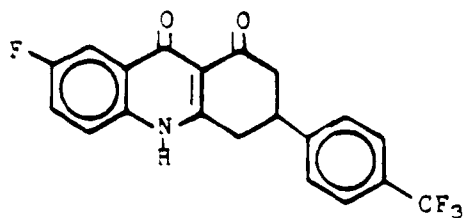
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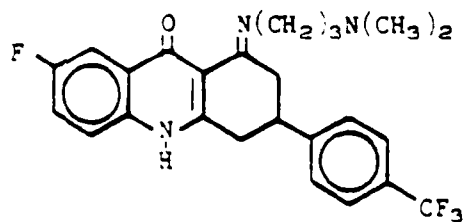
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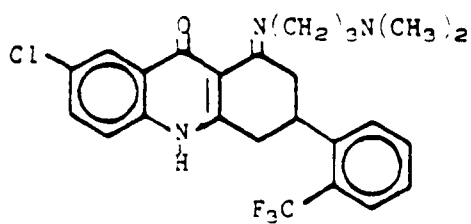
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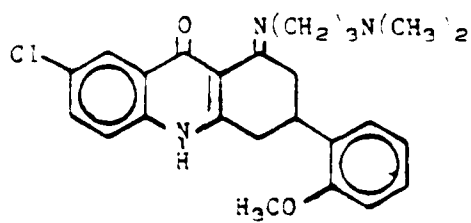
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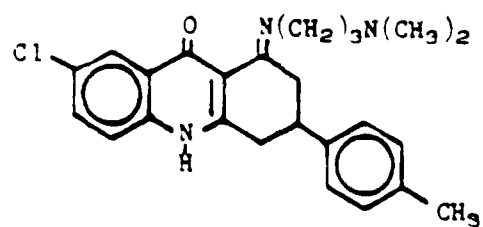
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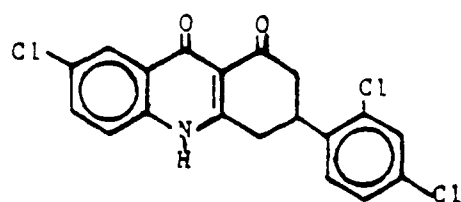
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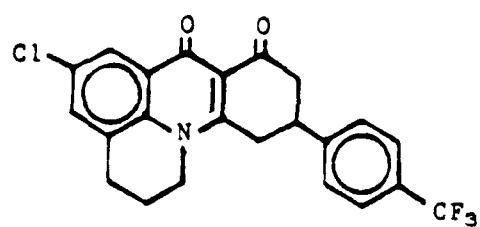
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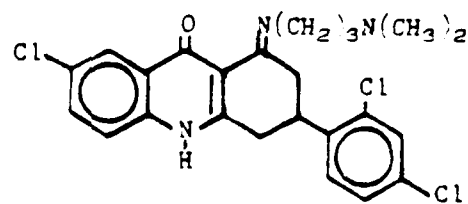
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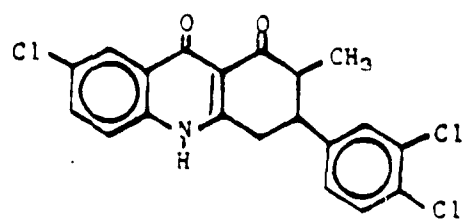
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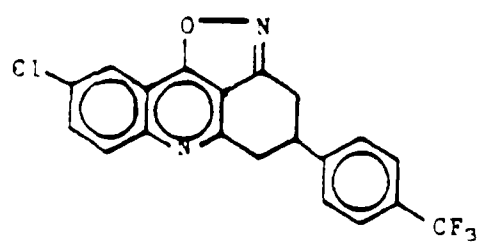
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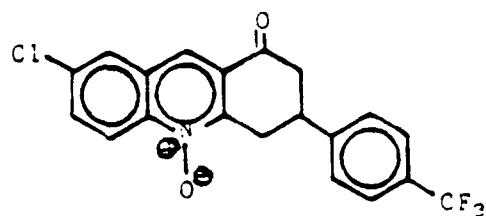
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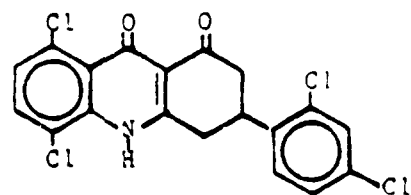
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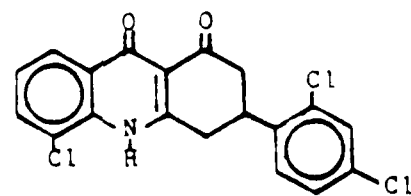
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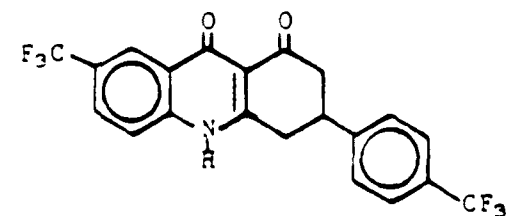
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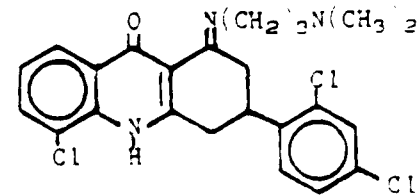
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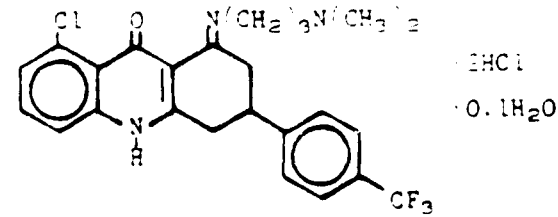
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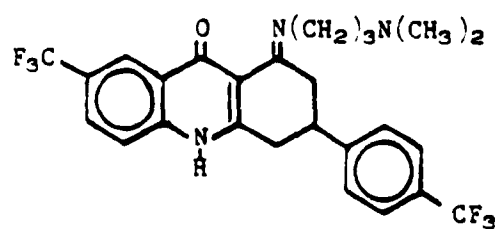
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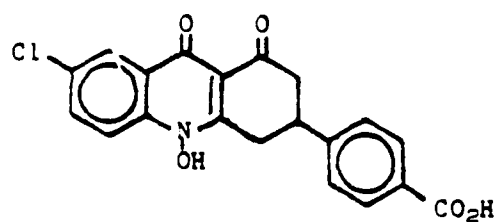
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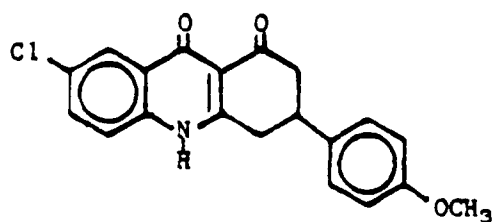
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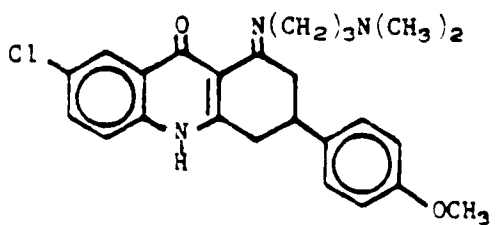
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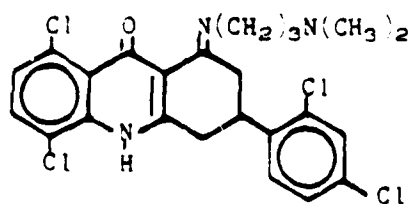
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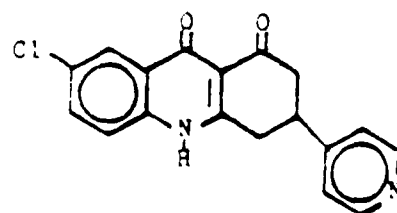
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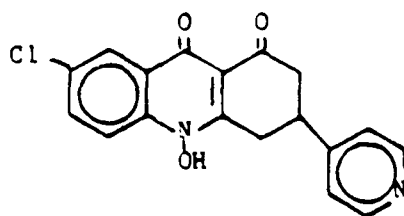
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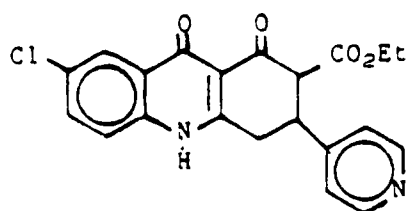
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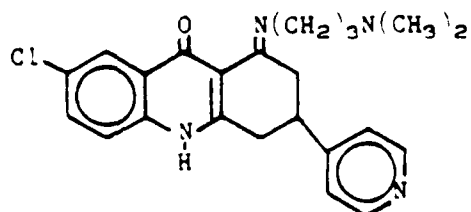
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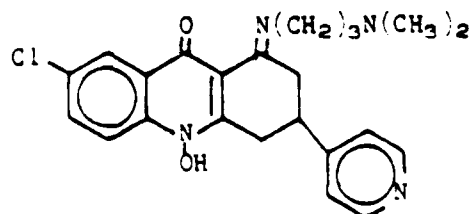
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2396 BJ-07539



2397 BJ-07542



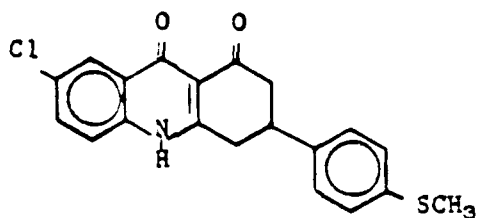
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RN NO

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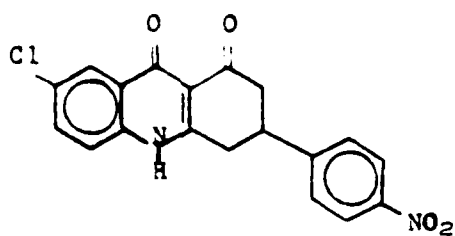
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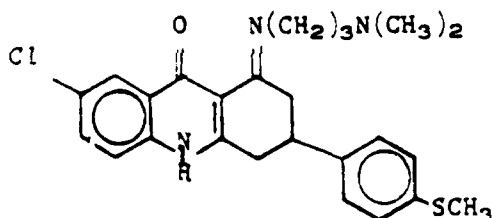
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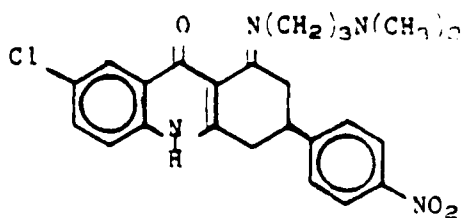
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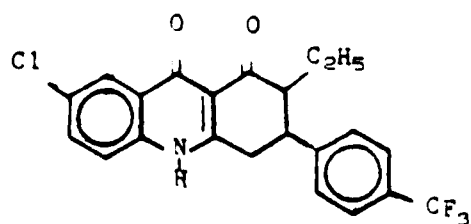
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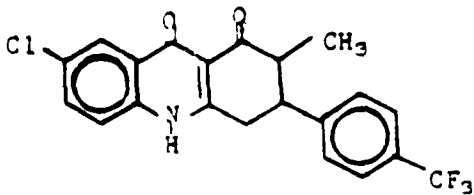
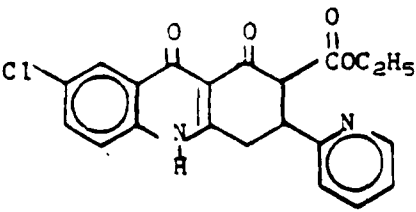
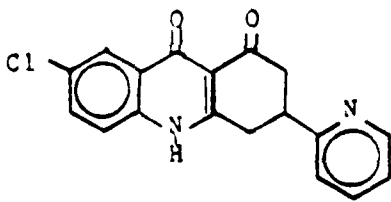
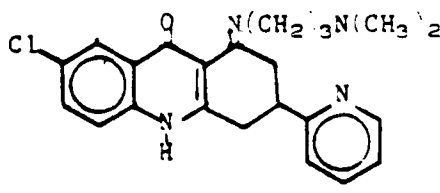
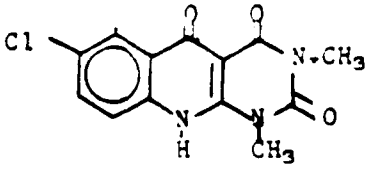
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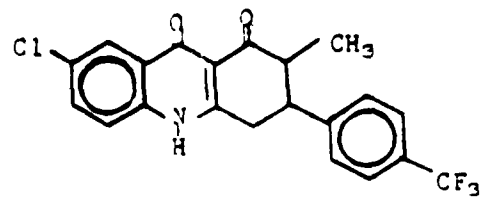


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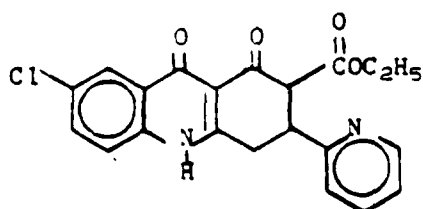
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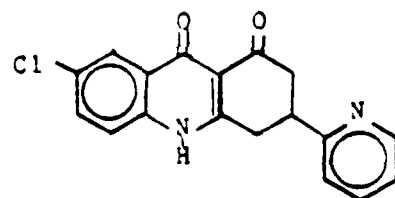
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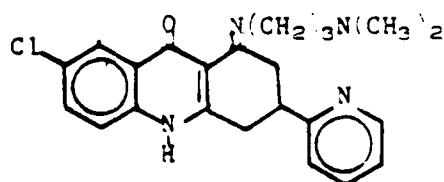
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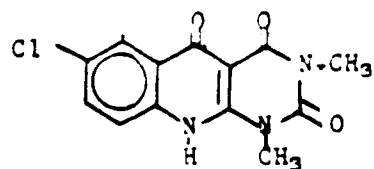
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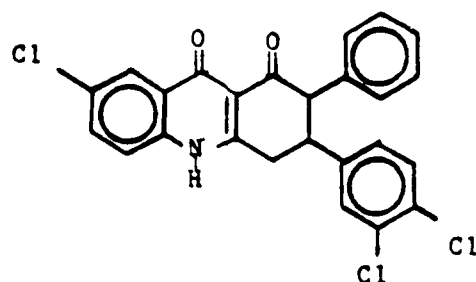
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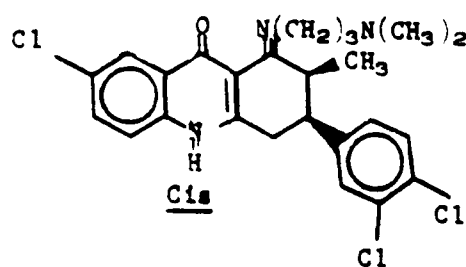
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BJ21762

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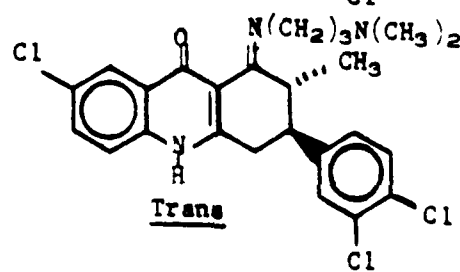
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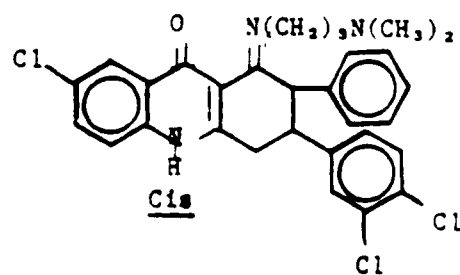
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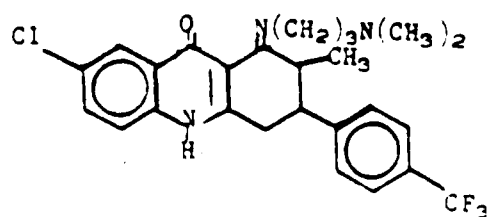
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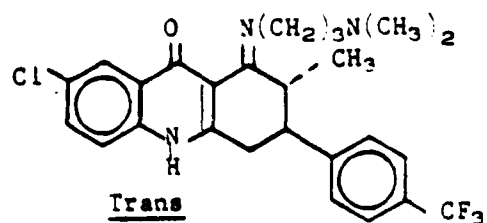
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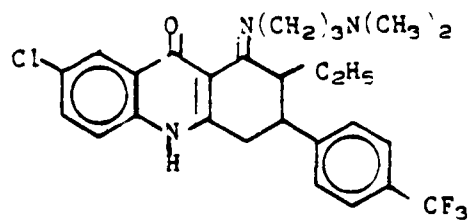
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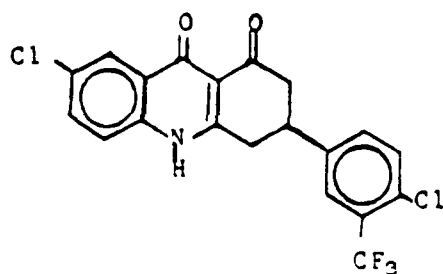
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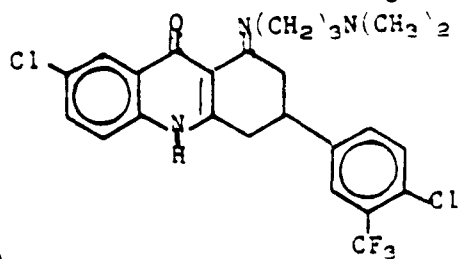
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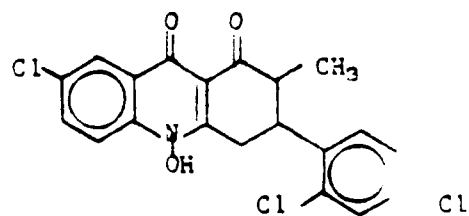
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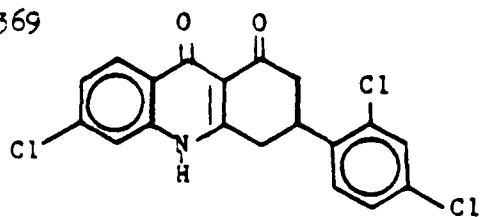
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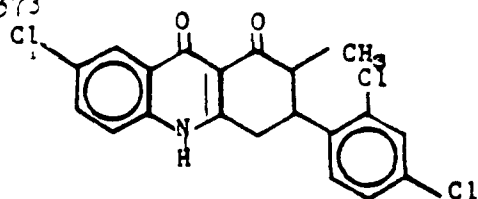
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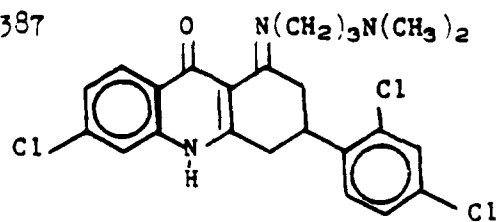
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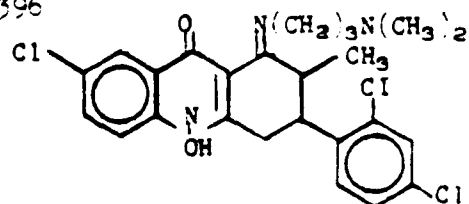
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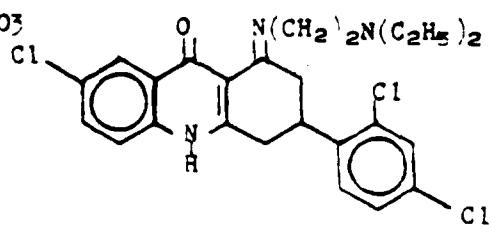
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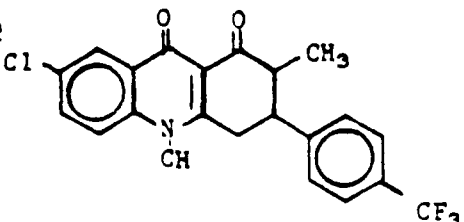
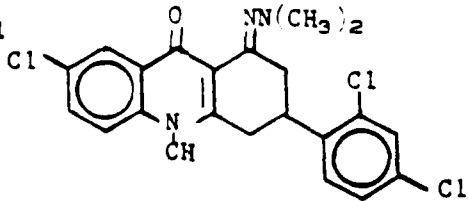
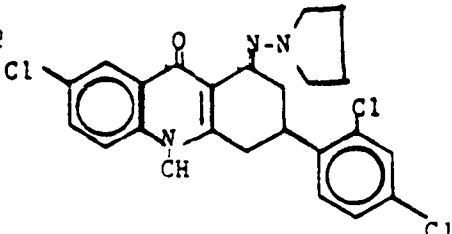
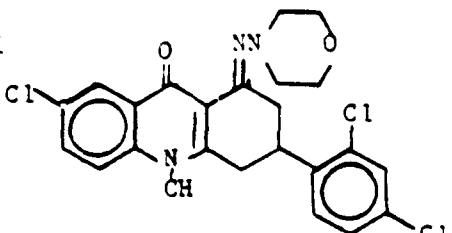
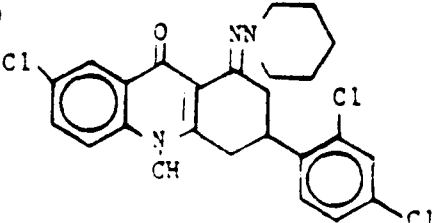
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BJ28403



<u>AM NO</u>	<u>BN NO</u>	<u>STRUCTURE</u>
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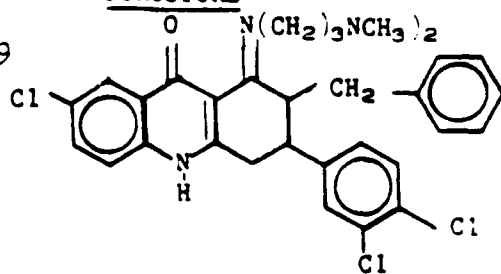
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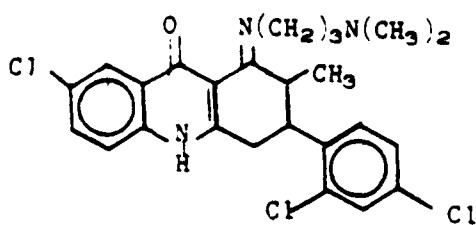
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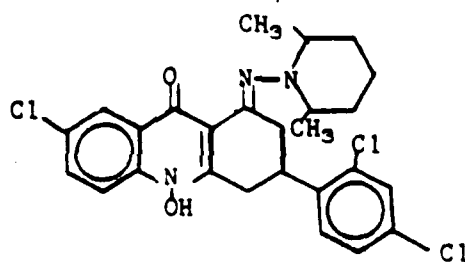
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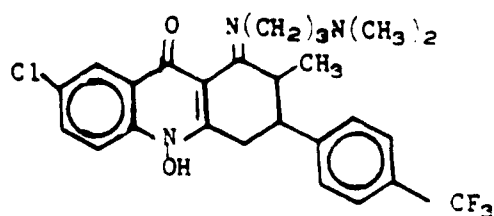
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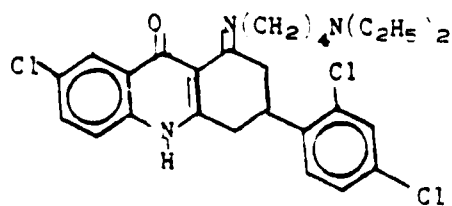
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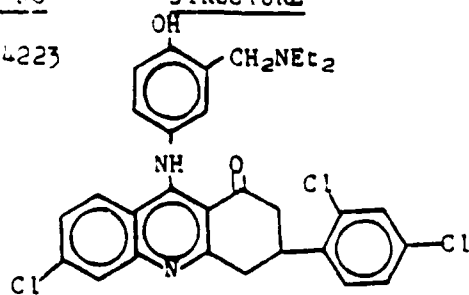
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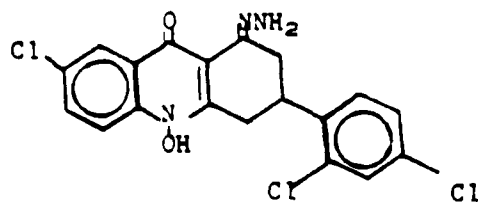
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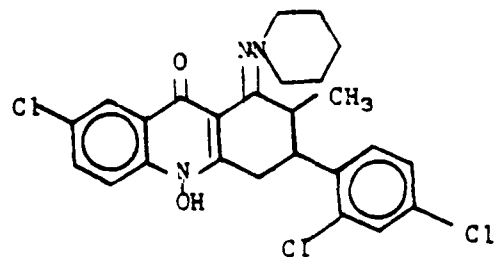
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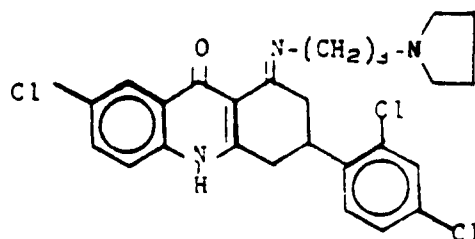
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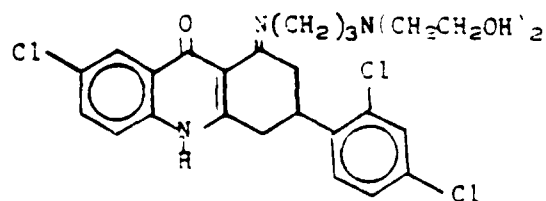
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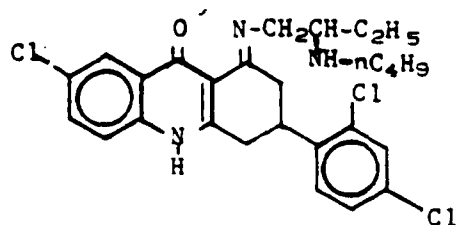
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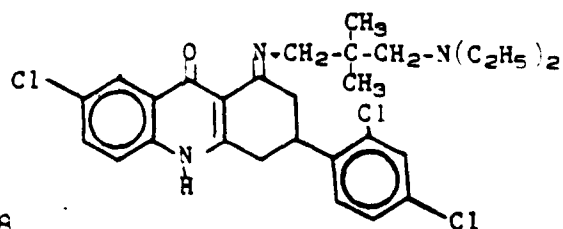
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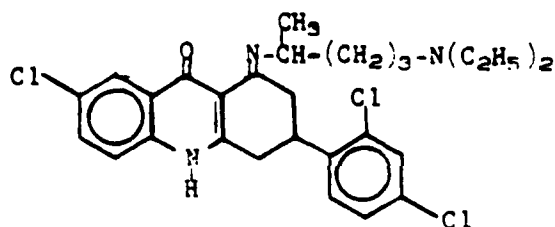
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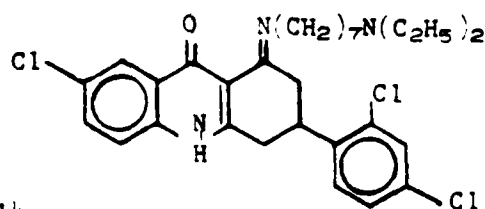
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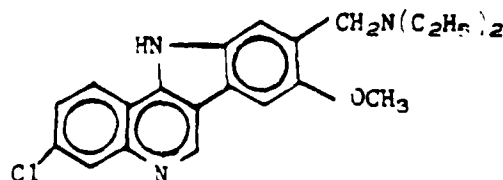
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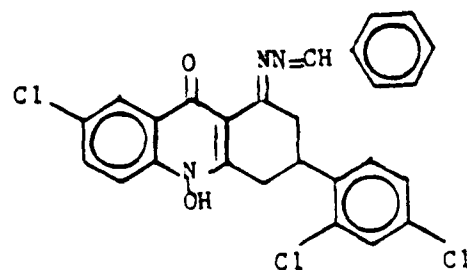
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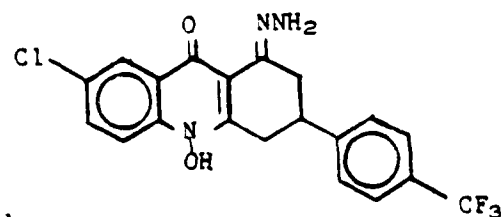
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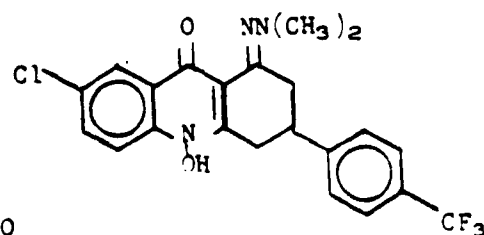
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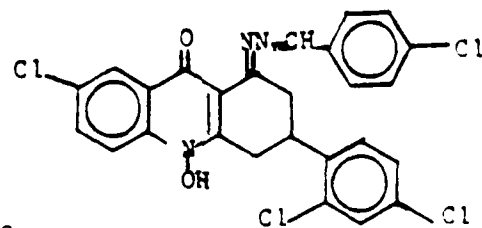
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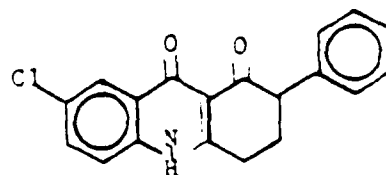
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BJ36969



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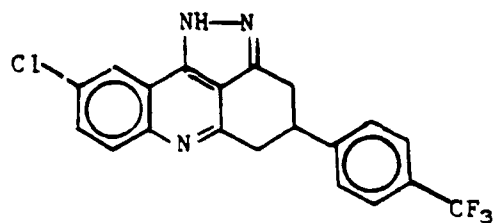
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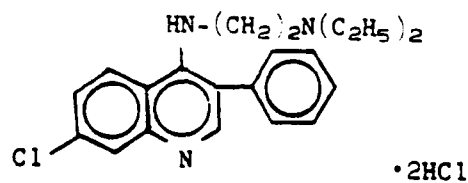
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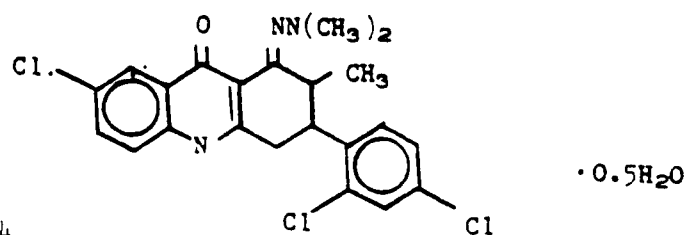
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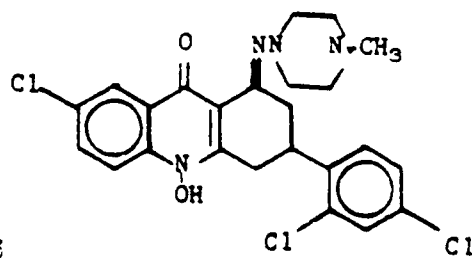
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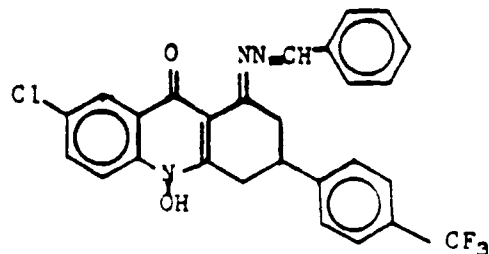
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BJ39424



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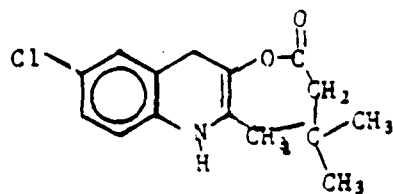
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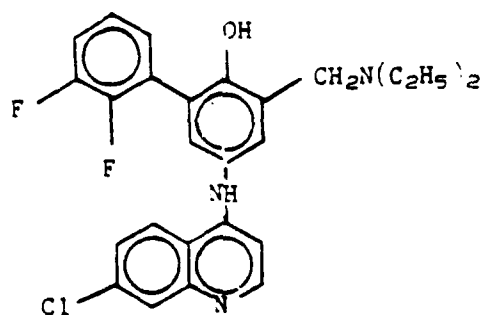
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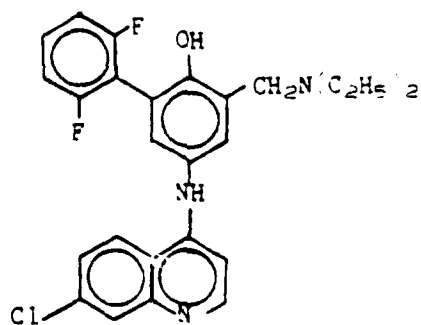
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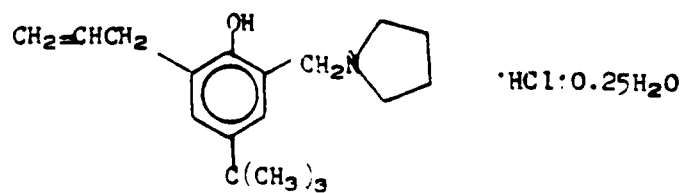
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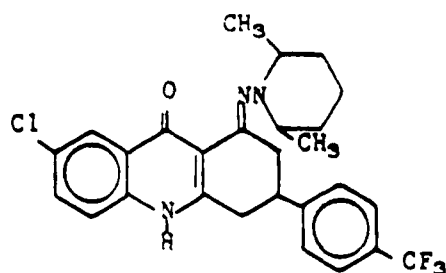
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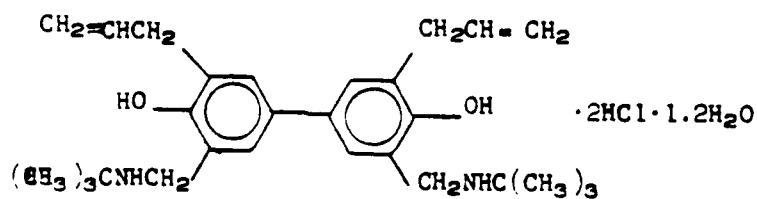
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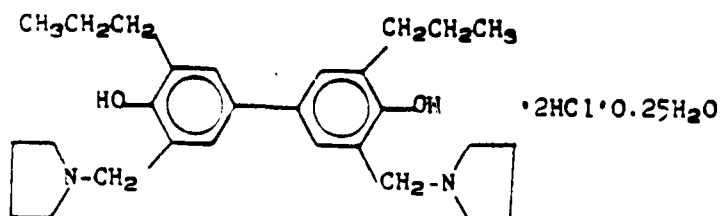
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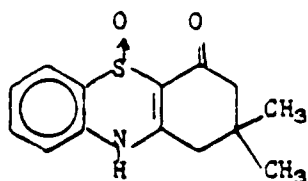


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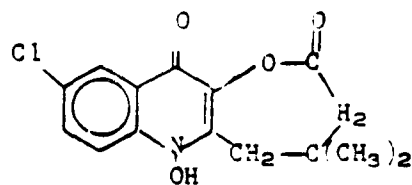
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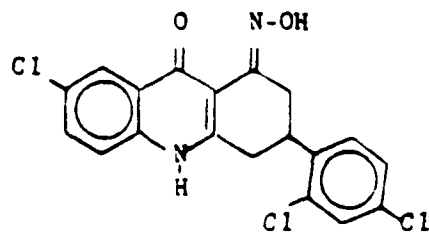
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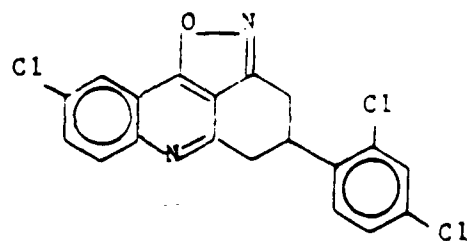
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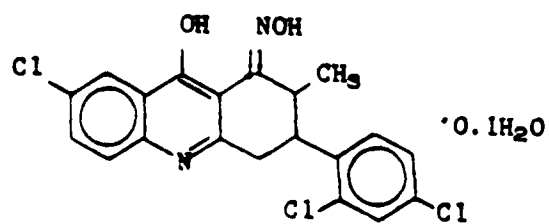


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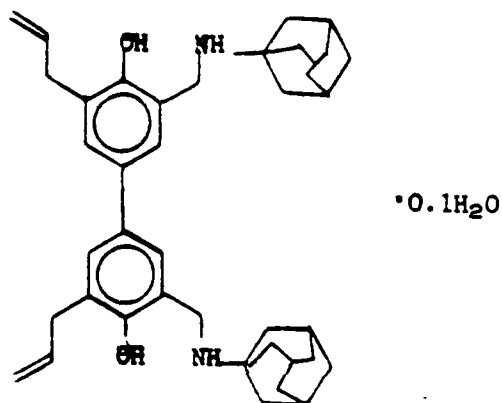
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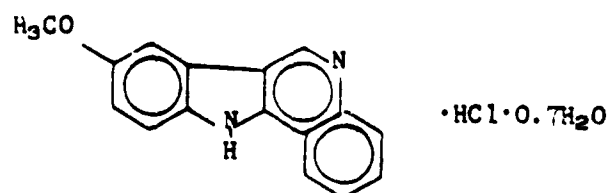
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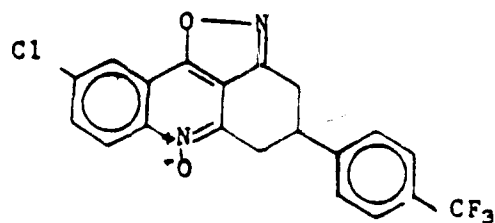
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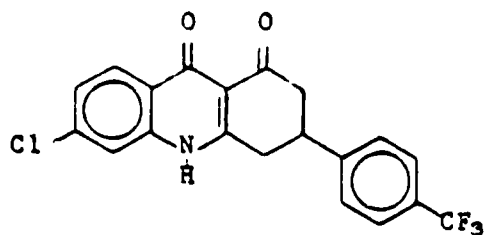
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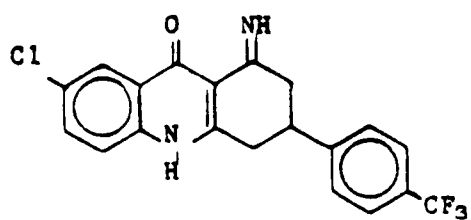
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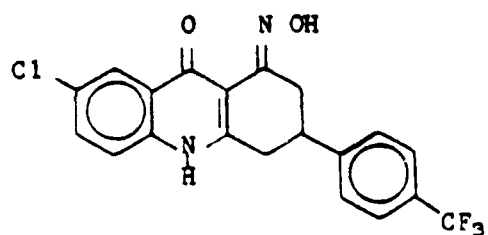
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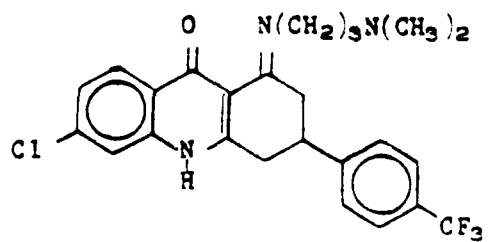
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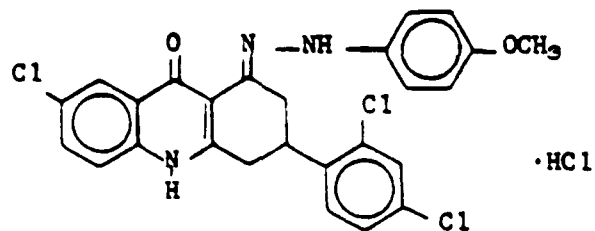


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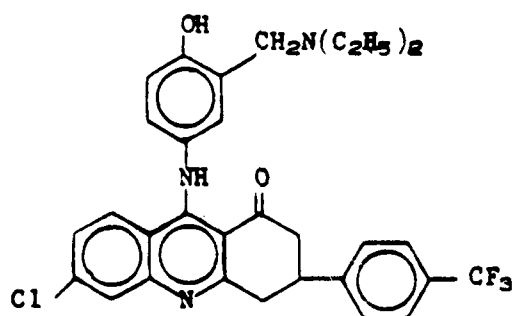
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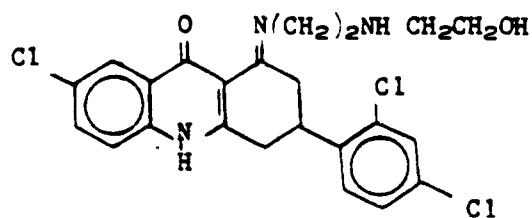
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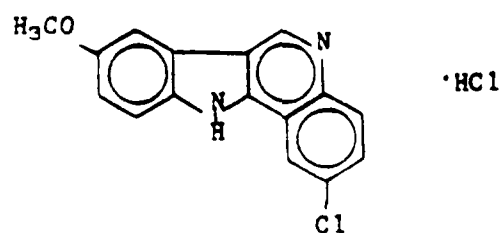
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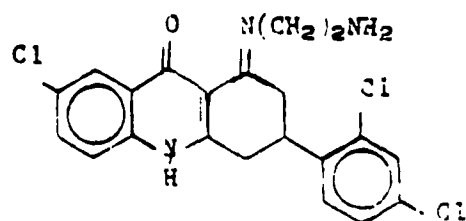
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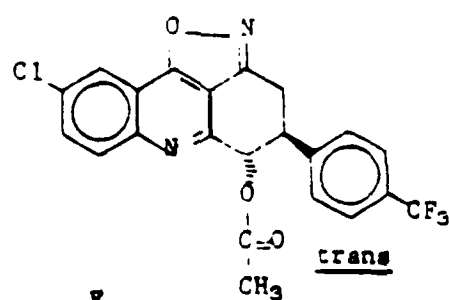
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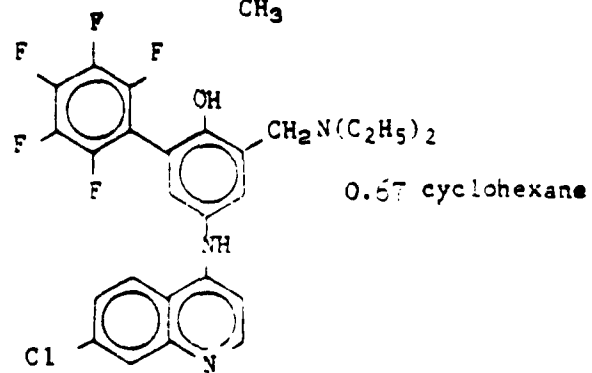
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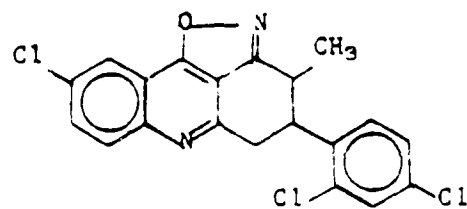
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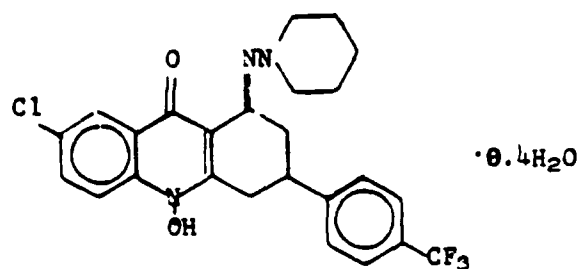
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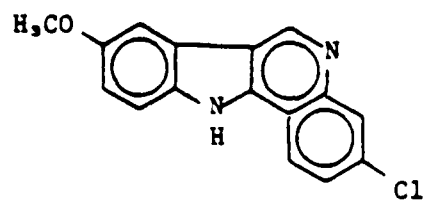
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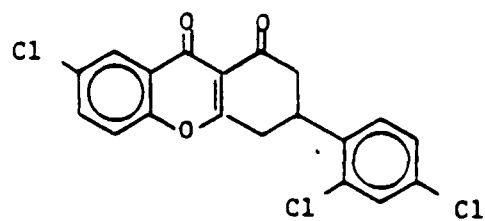
AM. NO. BN NO.

STRUCTURE

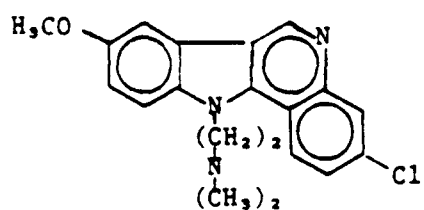
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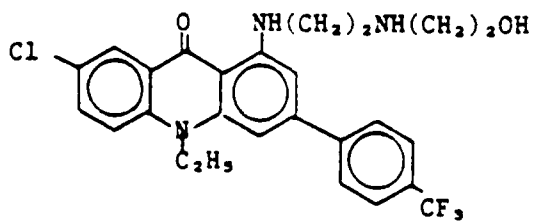
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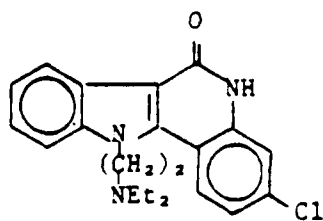
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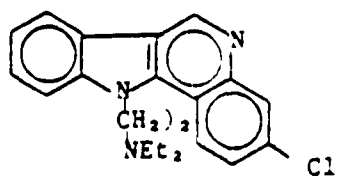
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2489 BJ46161



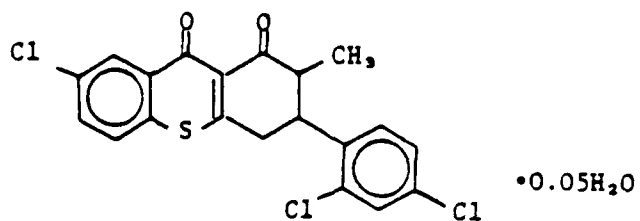
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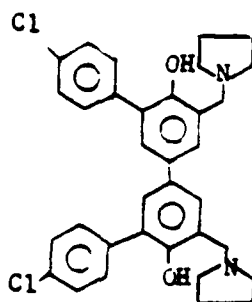
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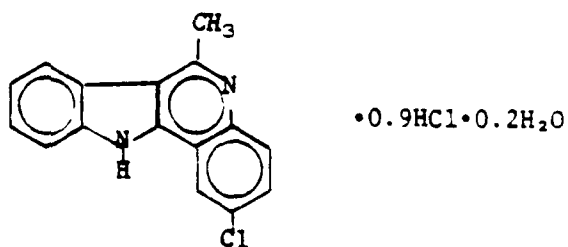
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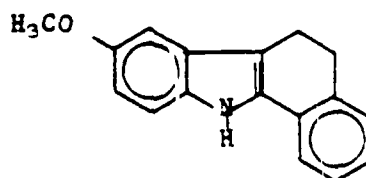
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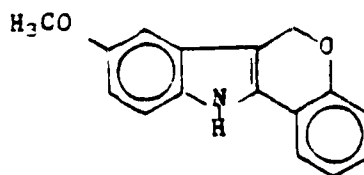
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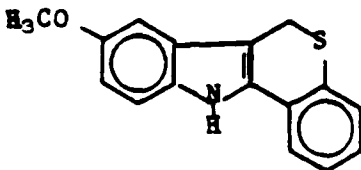
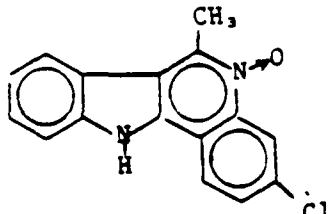
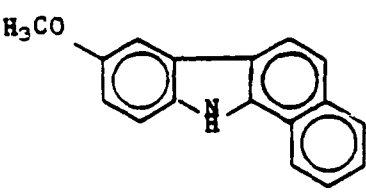
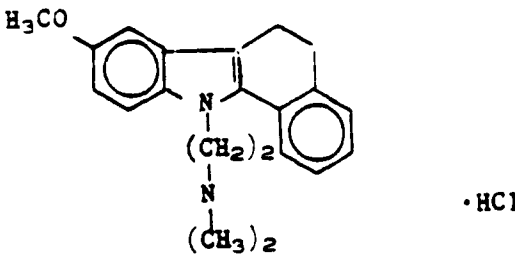
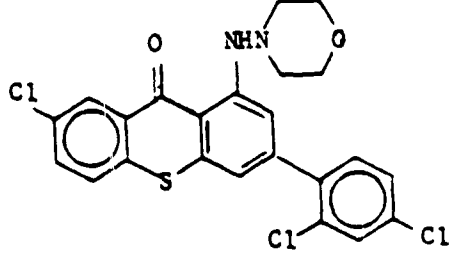
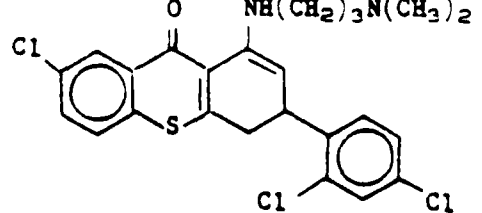


2494 BJ51742



2495 BJ51751



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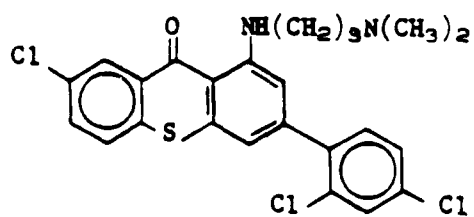
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BN NO.

STRUCTURE

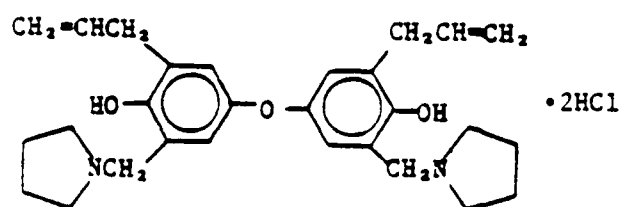
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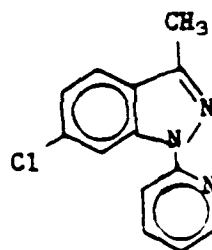
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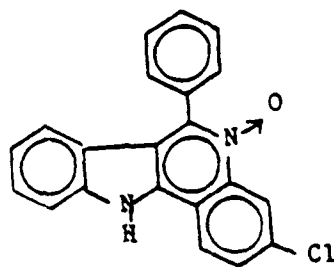
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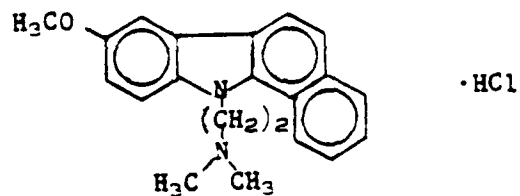
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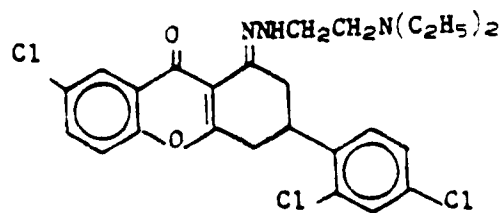
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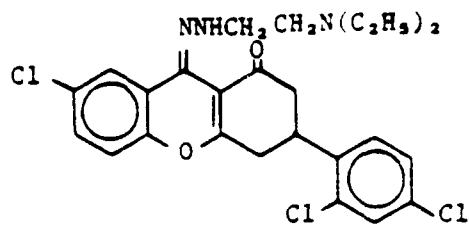
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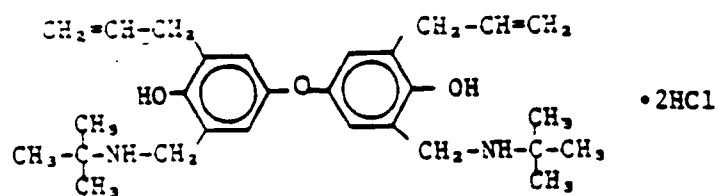
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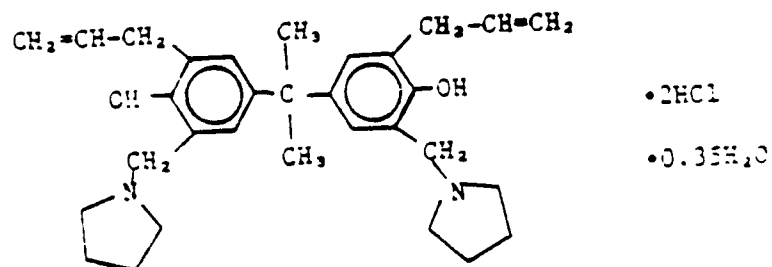
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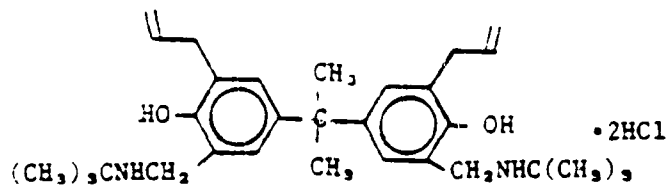
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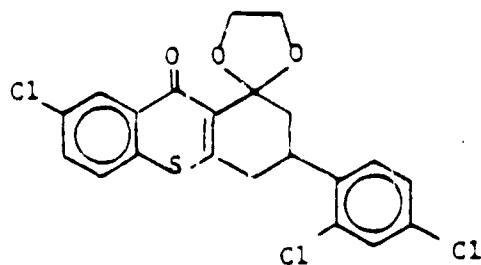
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BJ58358



2512

BJ58367



AD-A175 171 SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS(U)
WARNER-LAMBERT CO ANN ARBOR MI L M WERBEL DEC 83
DAMD17-79-C-9115

AD-A175 171 SYNTHESIS OF NEW AGENTS FOR DRUG-RESISTANT MALARIAS(U)
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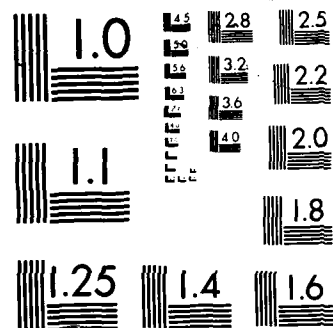
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DAND17-79-C-9115

UNCLASSIFIED F/G 6/15 NL

UNCLASSIFIED F/G 6/15 NL

UNCLASSIFIED F/G 6/15 NL

[illegible]



XEROCOPY RESOLUTION TEST CHART

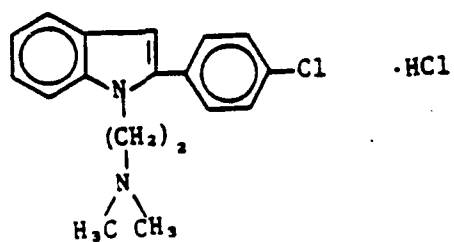
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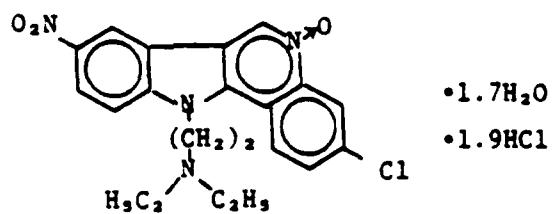
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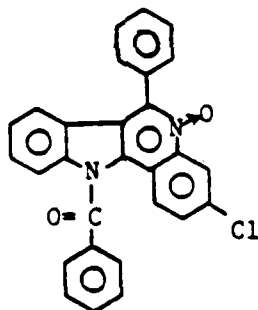
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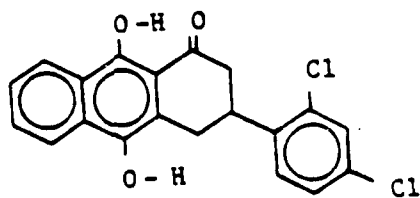
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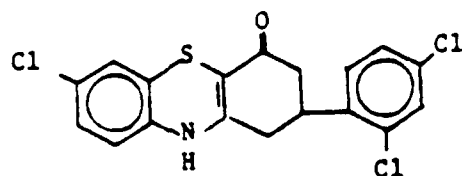
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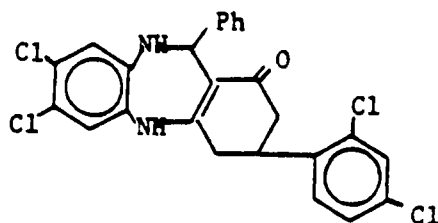
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STRUCTURE

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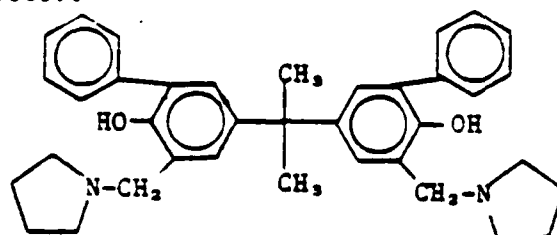


•0.2 H₂O

•0.9 HCl

2519

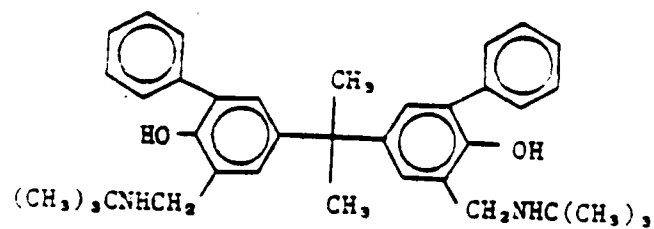
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•0.3C₂H₅OH

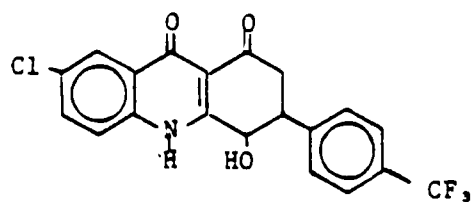
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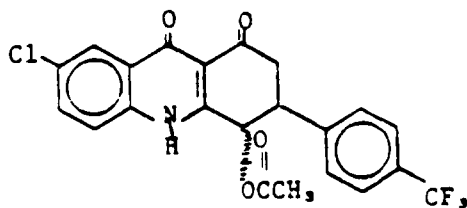
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2522

BJ58625



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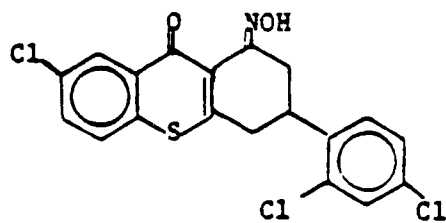
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STRUCTURE

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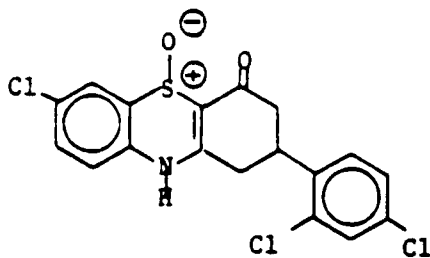
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$\cdot 0.4 \text{ HCN}(\text{CH}_3)_2$

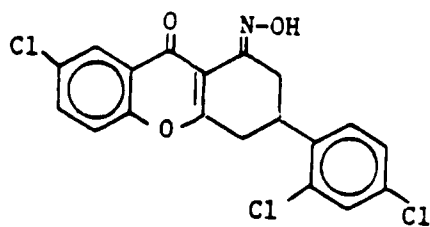
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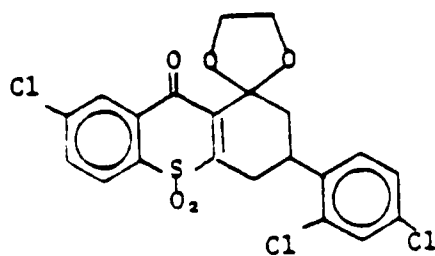
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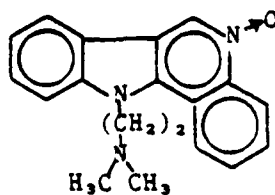
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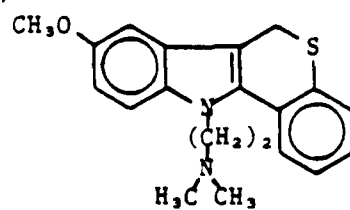
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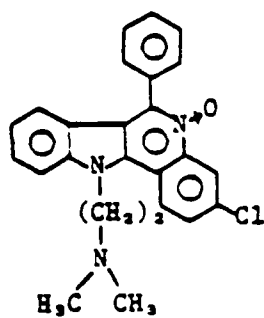
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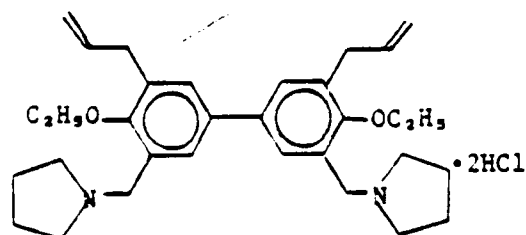
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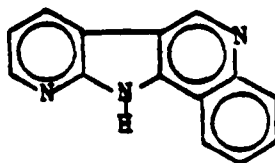


•2HCl

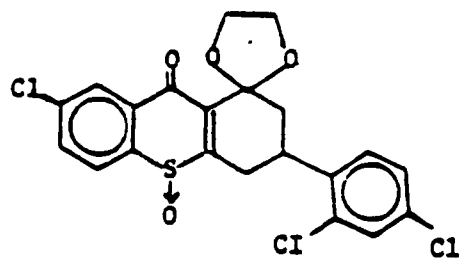
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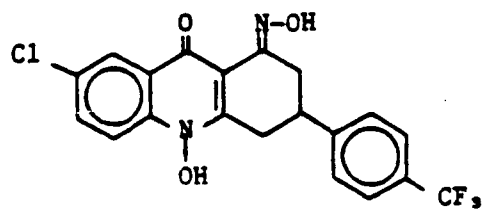
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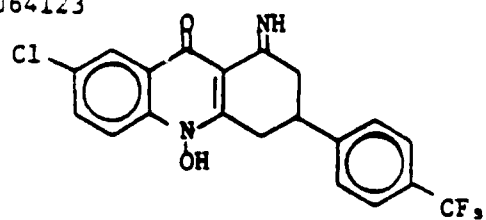
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2533 BJ64114

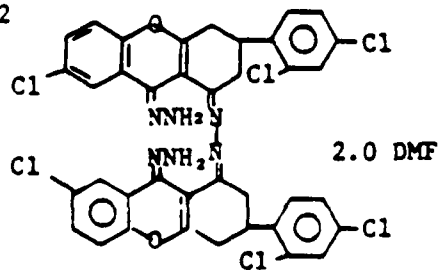


2534 BJ64123



•0.4 C₂H₅OH
•0.1 H₂O

2535 BJ64132



2.0 DMF

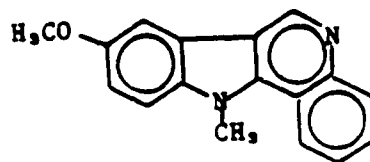
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AM NO

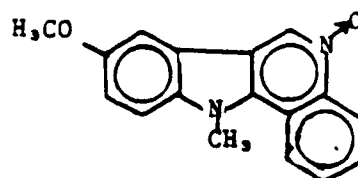
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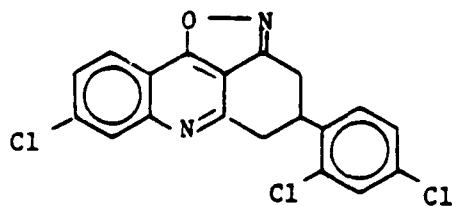
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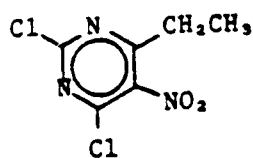
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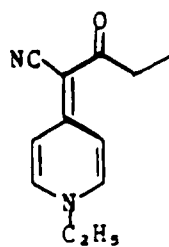
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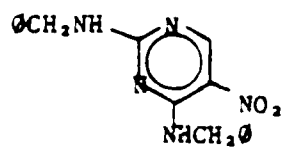
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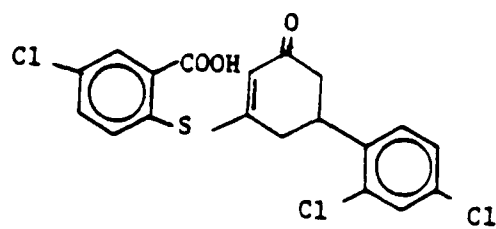


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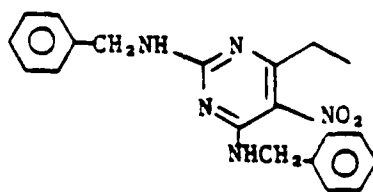
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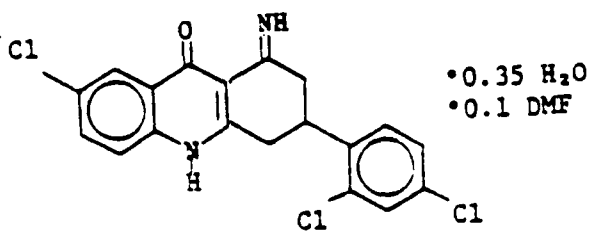
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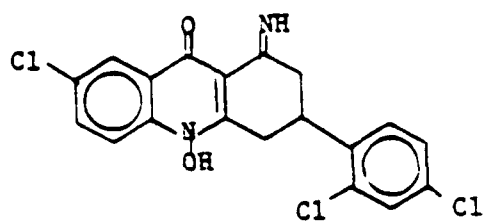
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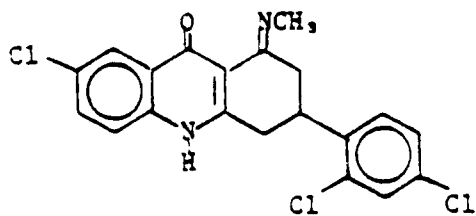
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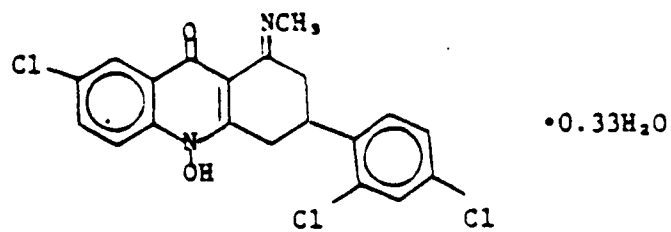
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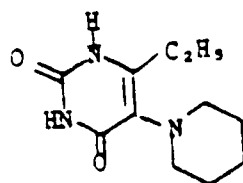
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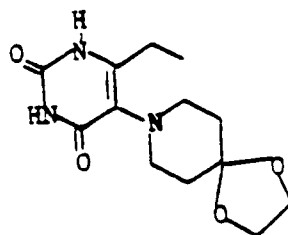
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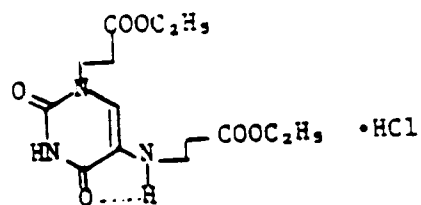
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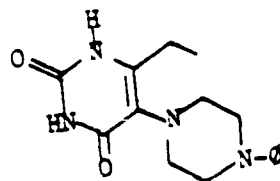
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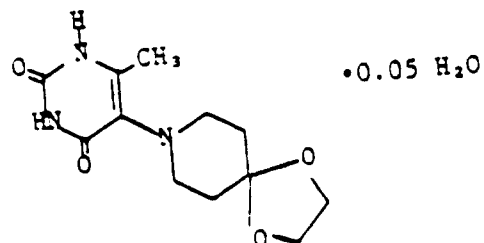
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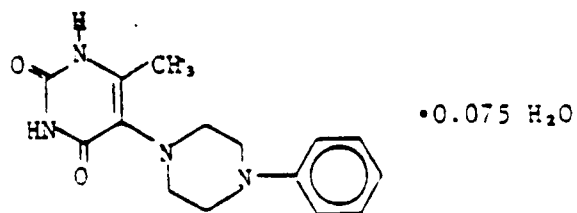
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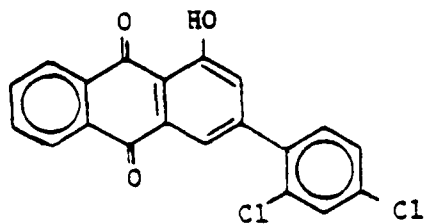
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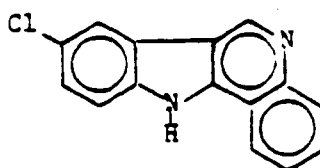
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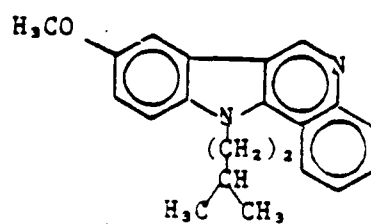
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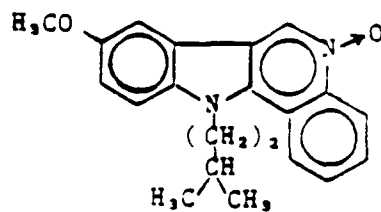
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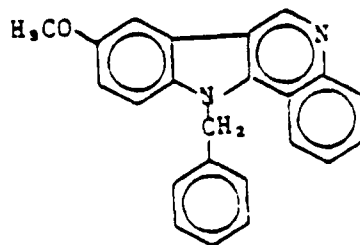
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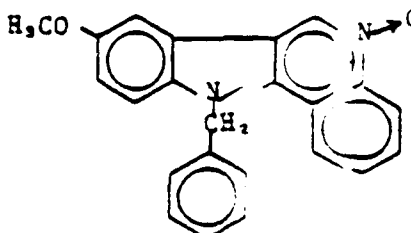
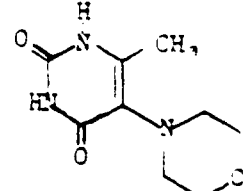
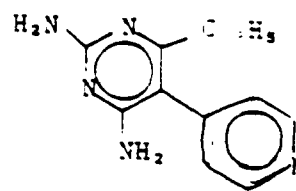
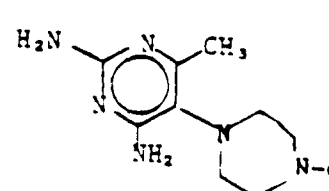
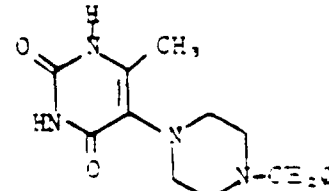
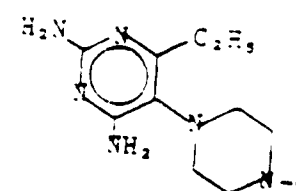
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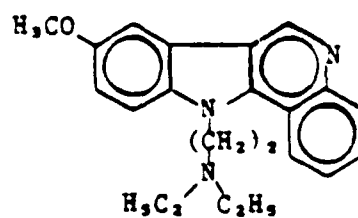


AM NO	BN NO	STRUCTURE
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2565	BJ83353	 •0.15 H₂O
2566	BJ83360	
2567	BJ83379	 •0.2 HCl
2568	BJ83388	 •0.2 MeOH
2569	BJ83397	

AM NOBN NOSTRUCTURE

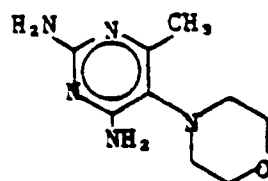
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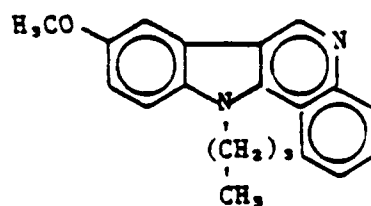
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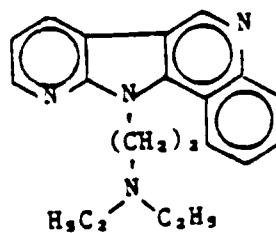
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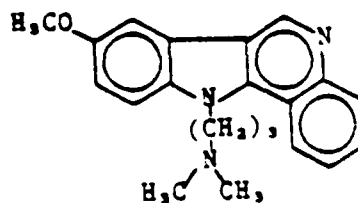
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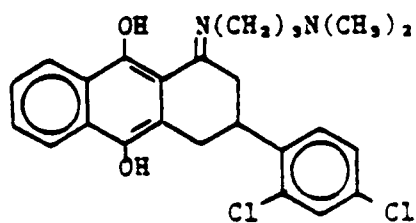
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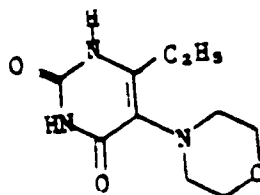
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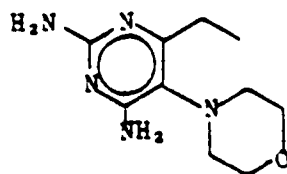
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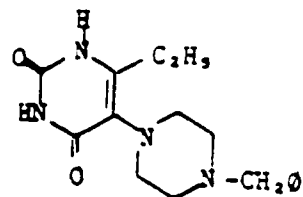
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BJ84

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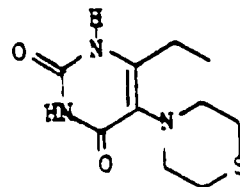
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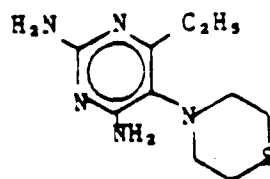
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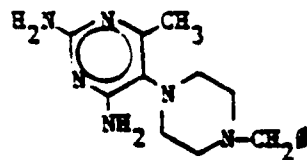
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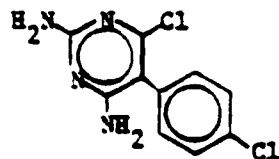
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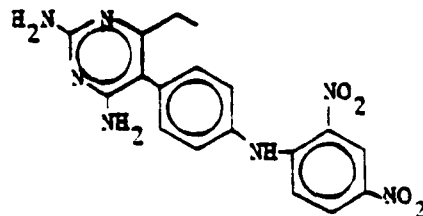
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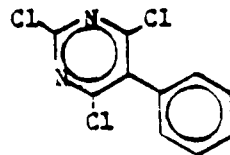
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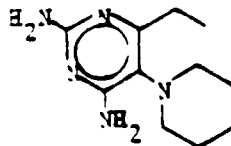
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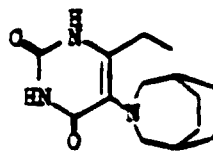
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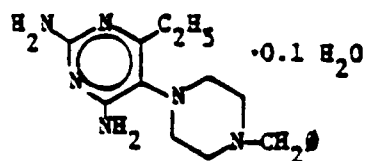
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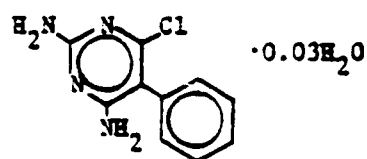
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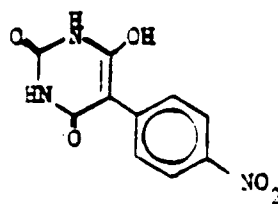
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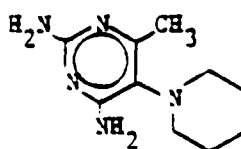
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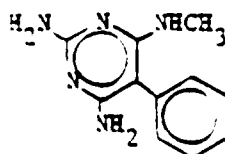
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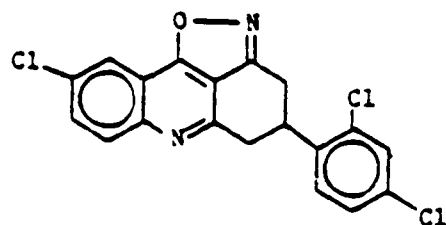
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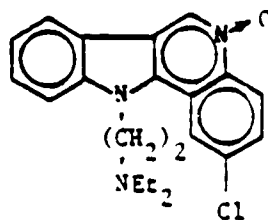
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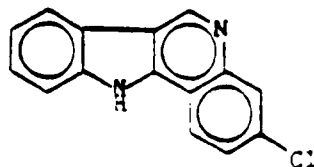
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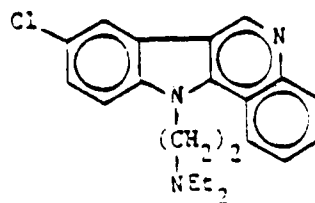
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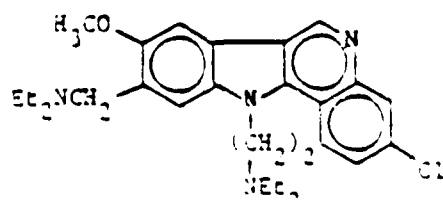
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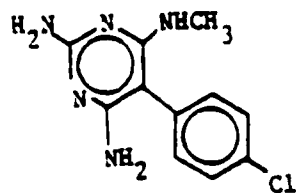
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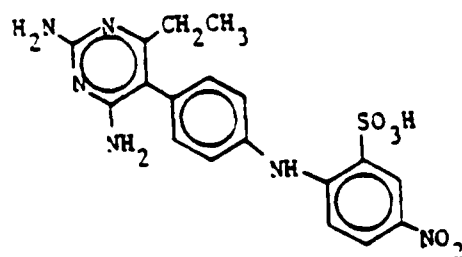
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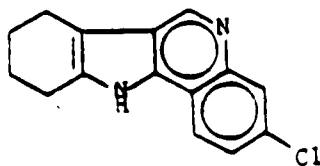
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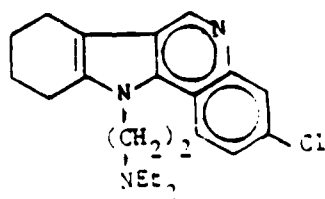
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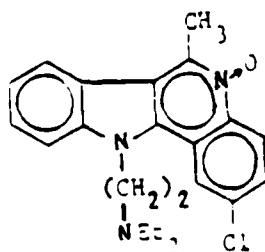
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BJ-91424



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BJ-91433



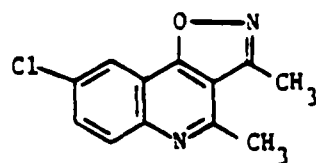
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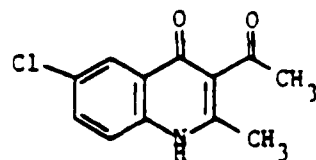
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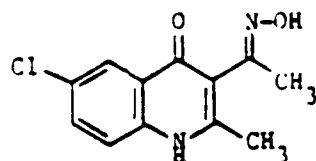
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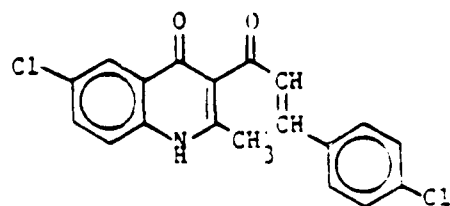
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BJ-91460



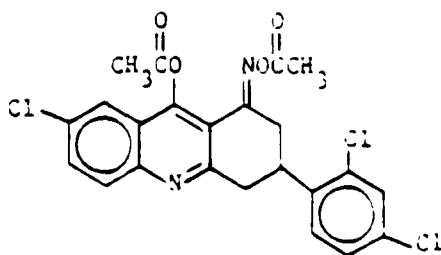
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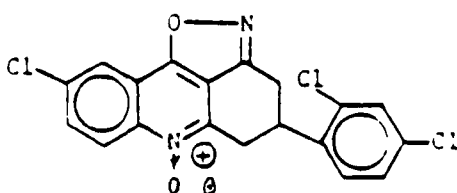
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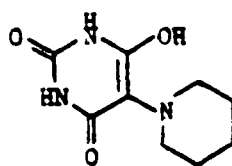
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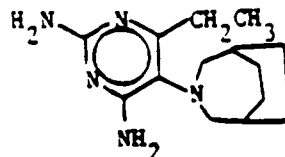
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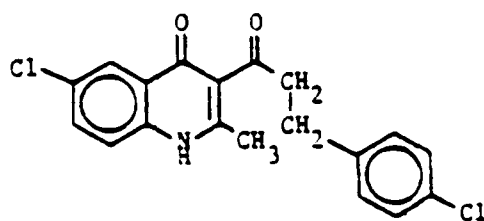
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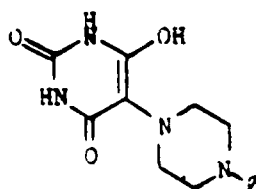
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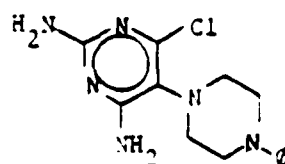
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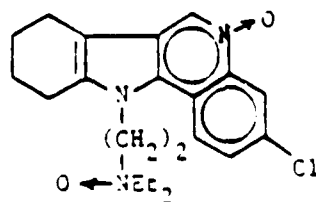
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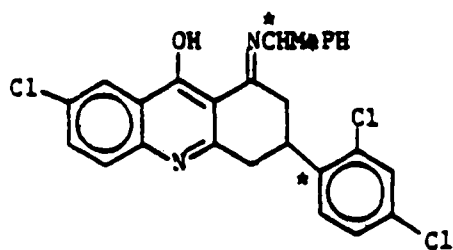


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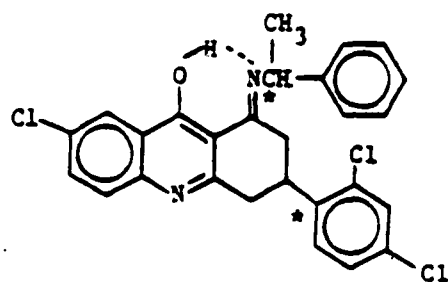
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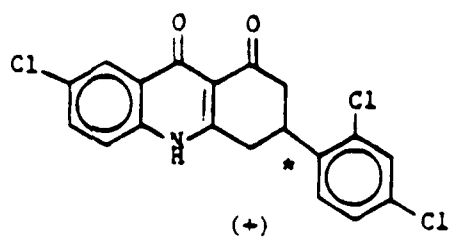
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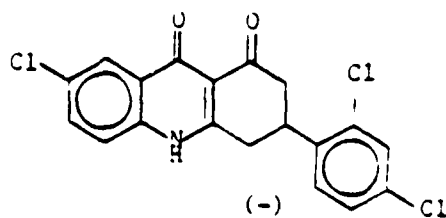
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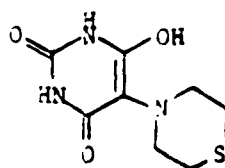
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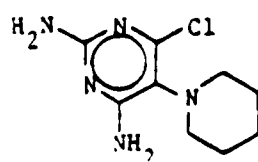
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2621 BJ-93615



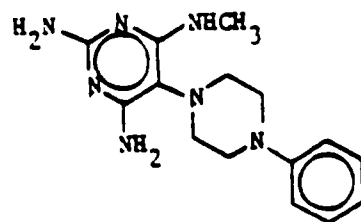
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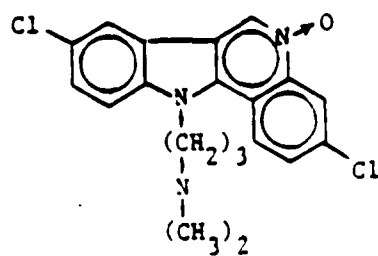
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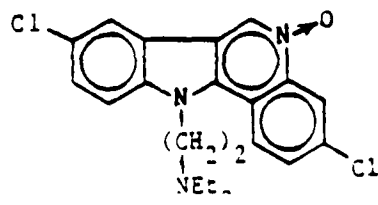
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BJ-93633



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BJ-93642



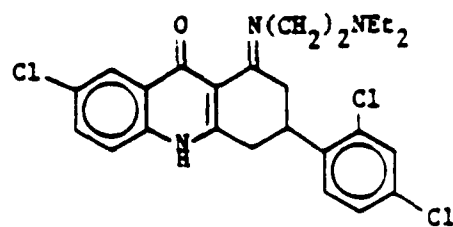
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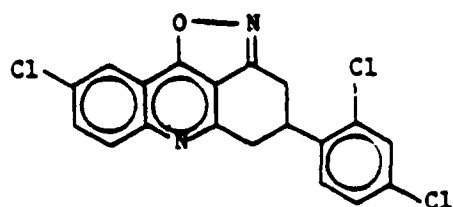
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BK-02771



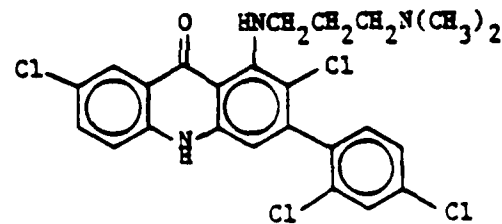
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BK-02780



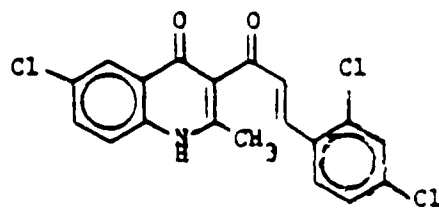
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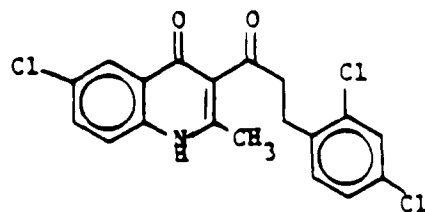
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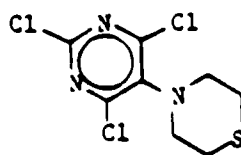
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BK-01998



2628

BK-02002



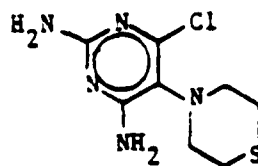
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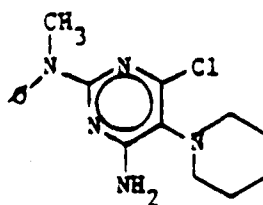
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BK-02011



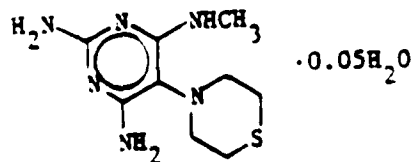
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BK-02020



2631

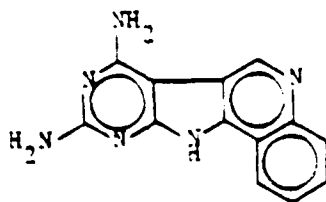
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$\cdot 0.05\text{H}_2\text{O}$

2632

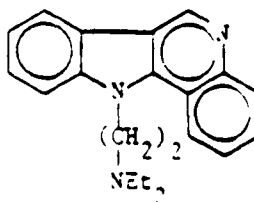
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$\cdot 0.09\text{H}_2\text{O}$

2633

BK-02815



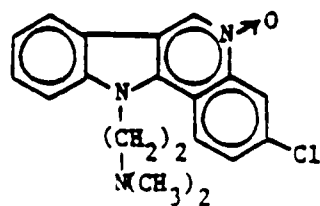
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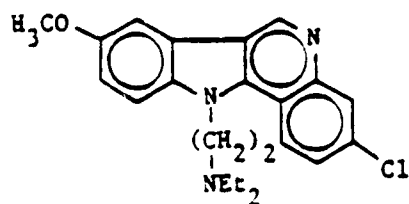
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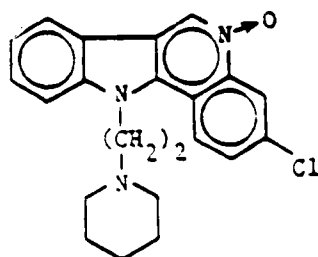
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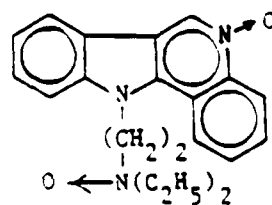
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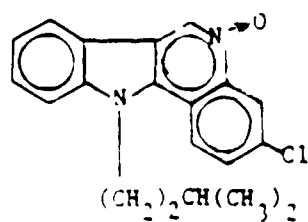
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BK-02851



2638

BK-02860



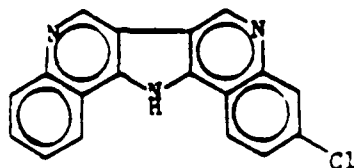
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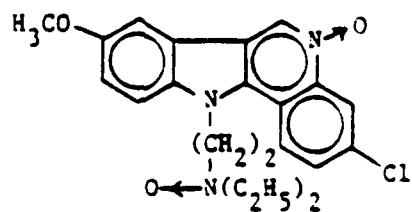
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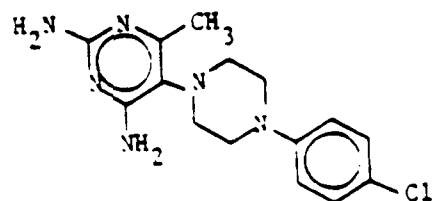
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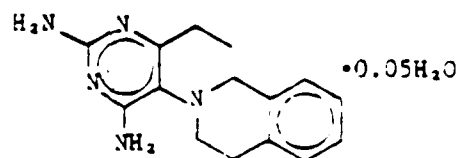
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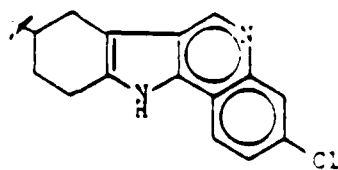
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BK-05183



2643

BK-05192



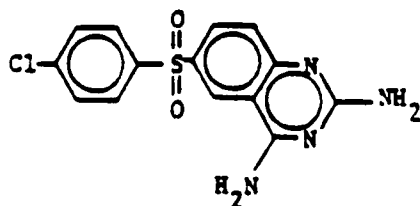
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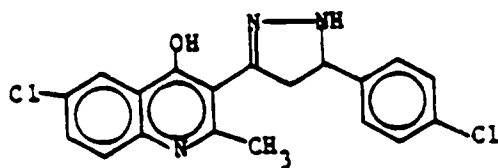
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BK12473



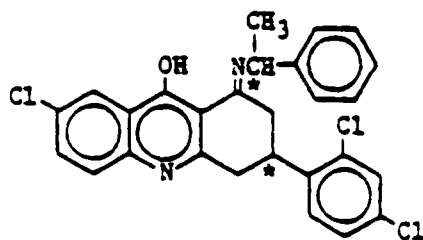
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BK12366



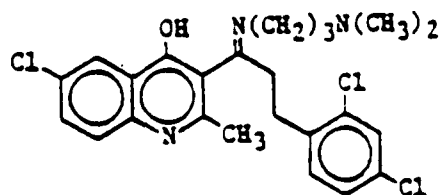
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BK12375



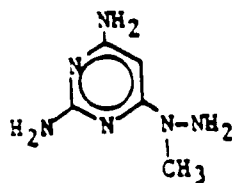
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BK09298



2647

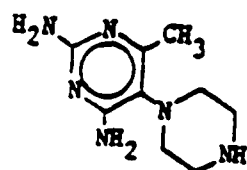
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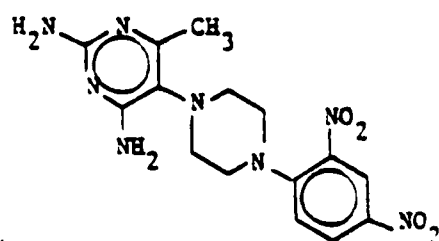
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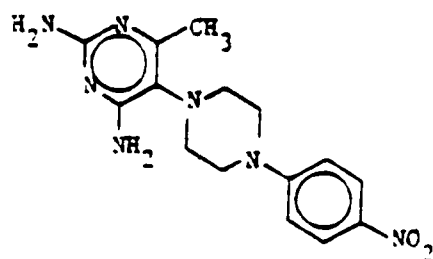
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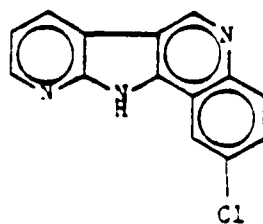
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BK12428



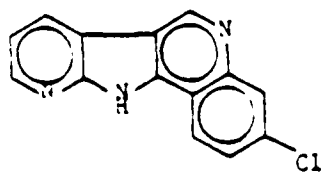
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BK12437



2652

BK12446



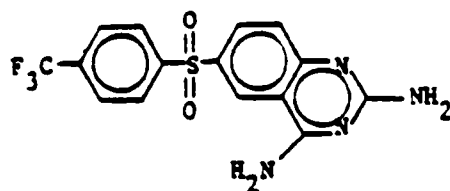
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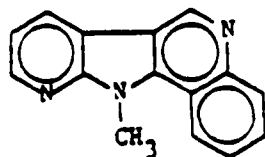
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BK12455



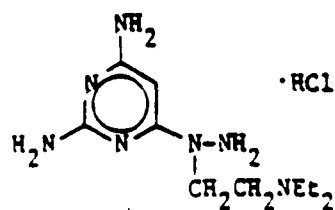
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BK12464



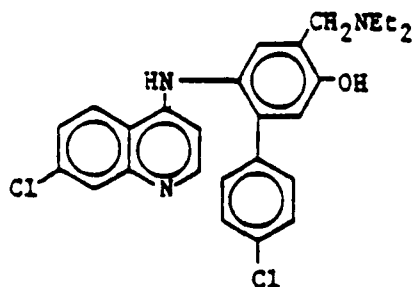
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BK12982



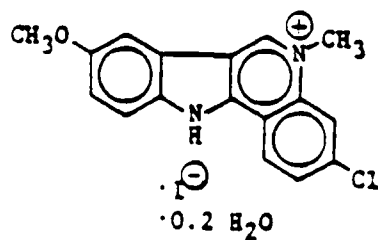
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BK12651



2657

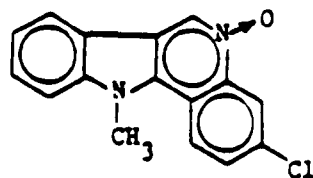
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AM NOBN NOSTRUCTURE

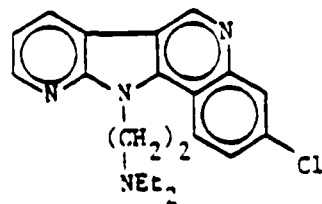
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BK13005



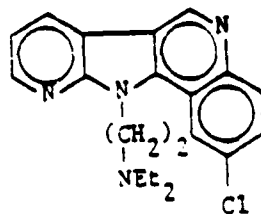
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BK13014



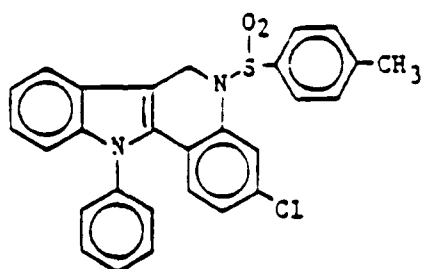
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BK13023



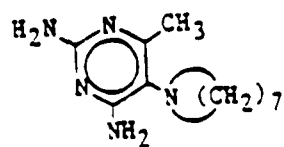
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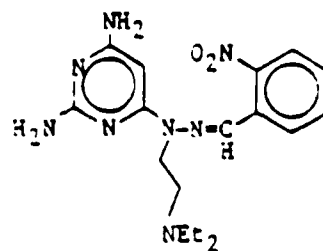
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BK15214



2663

BK15223



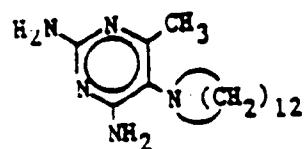
AM NO

BN NO

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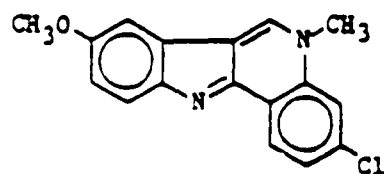
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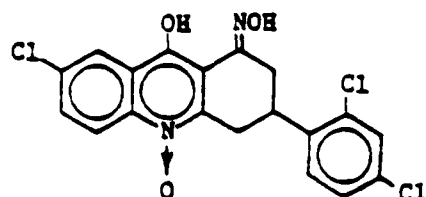
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BK15241



2666

BK-15250



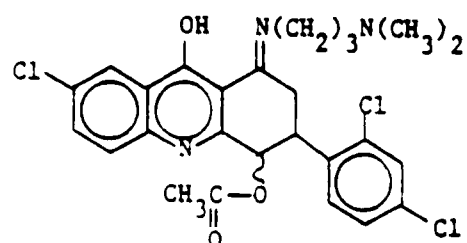
AM NO.

BN NO.

STRUCTURE

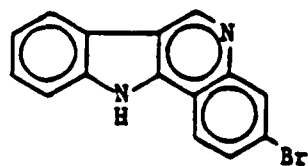
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BK-16239



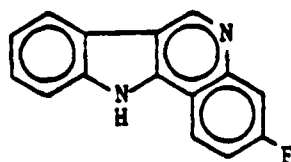
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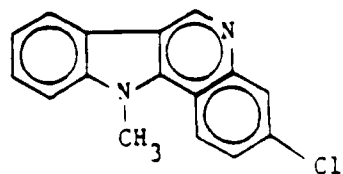
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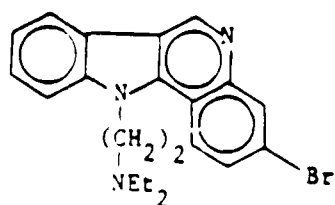
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BK-16266



2671

BK-16275



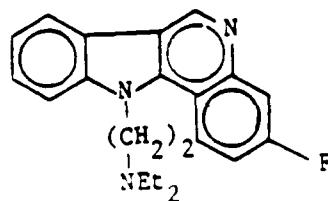
AM NO.

BN NO.

STRUCTURE

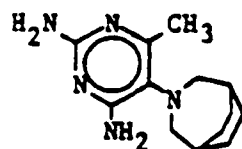
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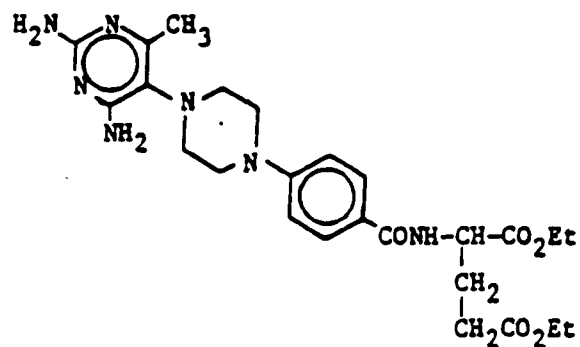
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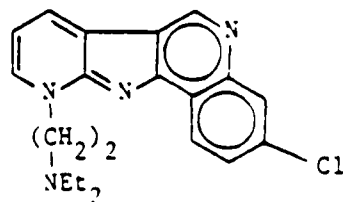
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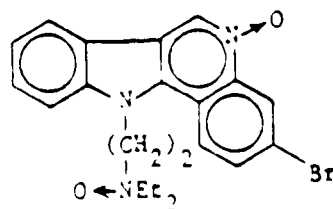
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BK-16319



2676

BK-16926



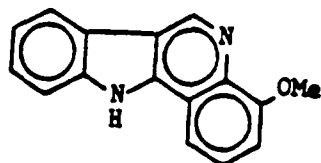
AM NO.

BN NO.

STRUCTURE

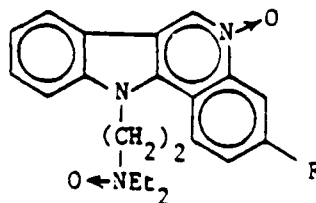
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BK-16935



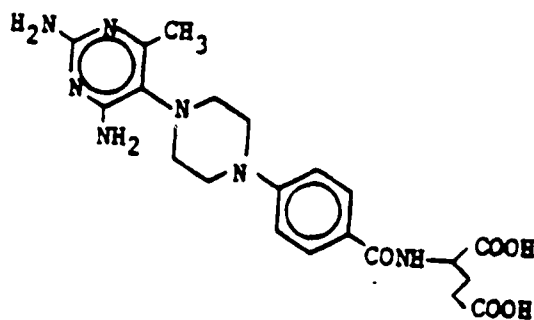
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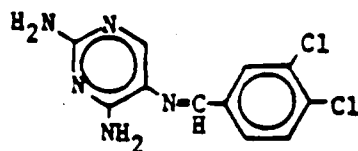
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BK-16953



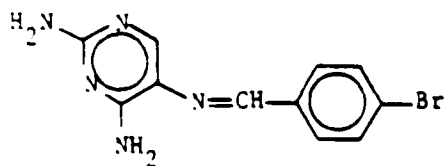
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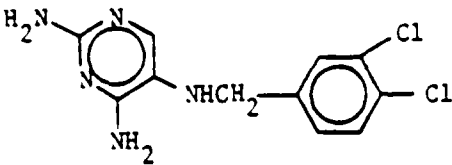
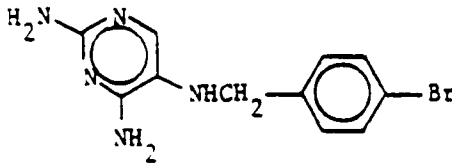
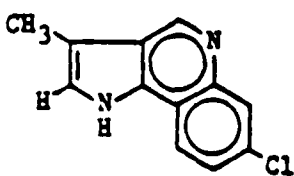
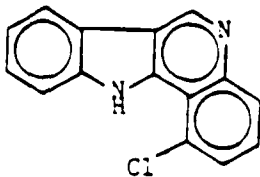
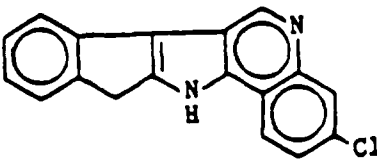
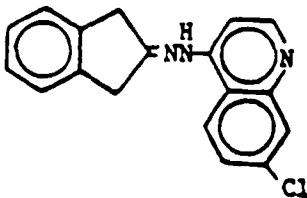
BK-16962



2681

BK-17183



<u>AM NO.</u>	<u>BN NO.</u>	<u>STRUCTURE</u>
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2683	BK-17209	
2684	BK-21105	
2685	BK-21114	
2686	BK-21123	
2687	BK-21132	

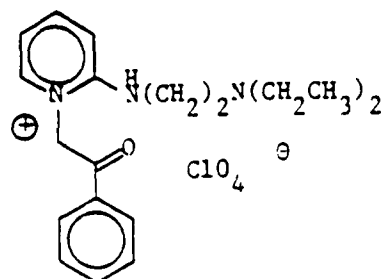
BN NO.

BN NO.

STRUCTURE

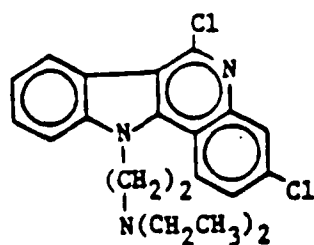
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BK-21141



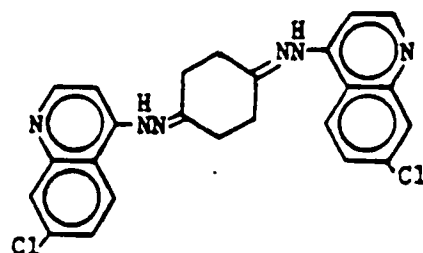
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BK-21150



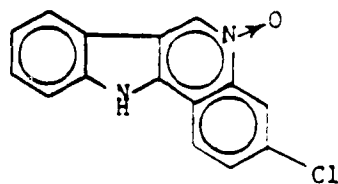
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BK-21169



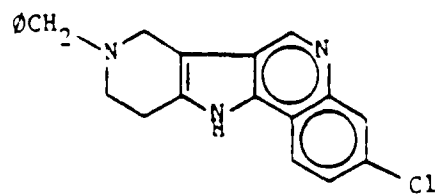
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BK-21178



2692

BK-21187



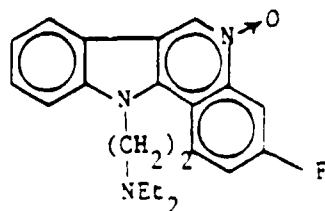
AM NO.

BN NO.

STRUCTURE

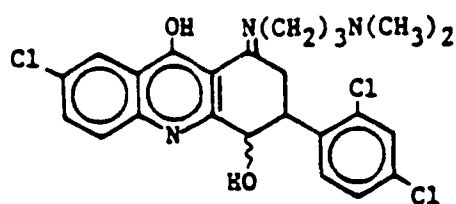
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BK-21196



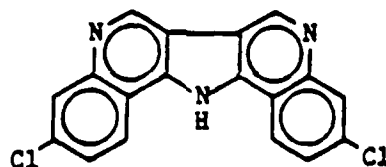
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BK-21203



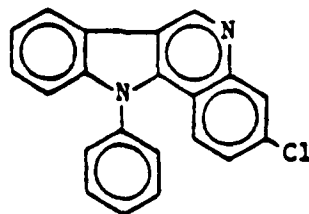
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BK-21212



2696

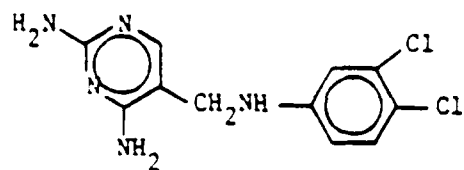
BK-21221



AM NO.BK NO.STRUCTURE

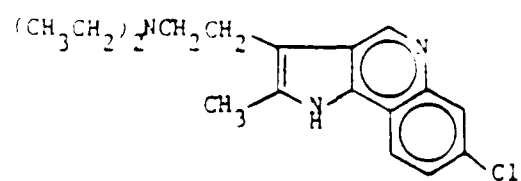
903

15581



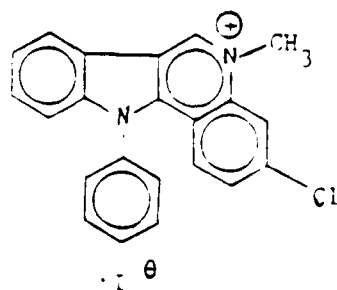
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219316



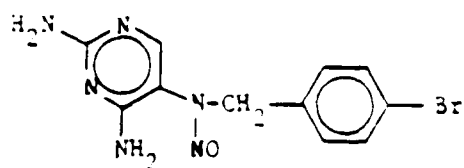
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21945



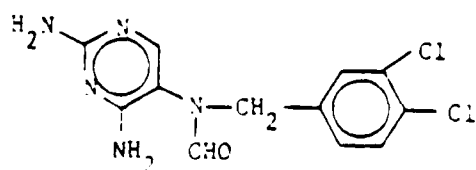
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21954



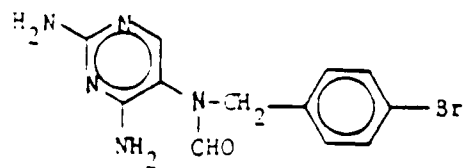
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21963



2701

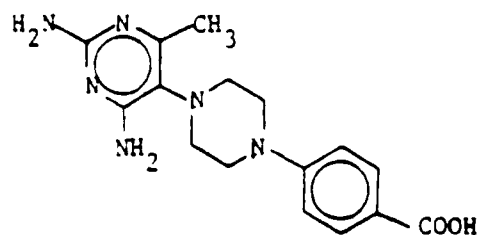
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AM NO.BK NO.STRUCTURE

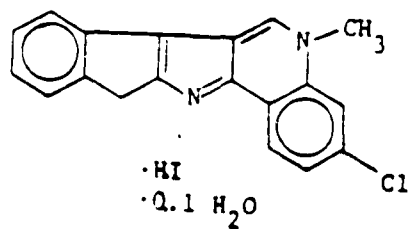
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21981



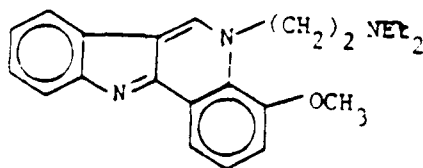
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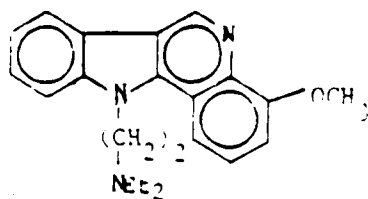
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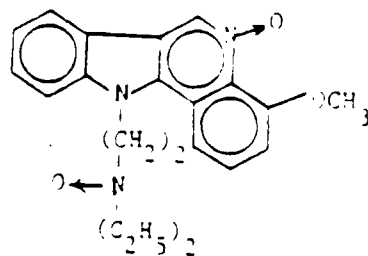
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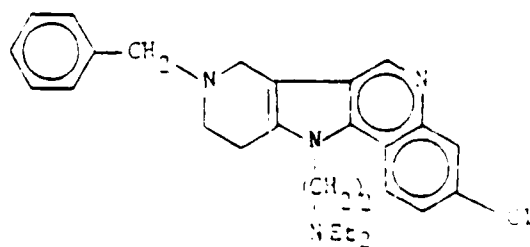
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2708

22406



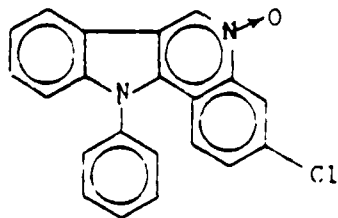
AM NO.

BK NO.

STRUCTURE

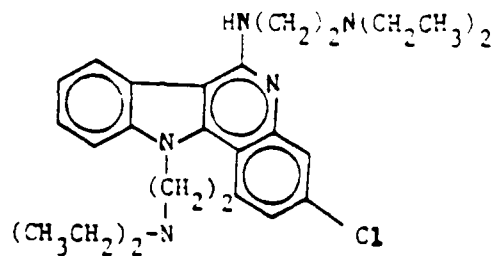
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22522

 $\cdot 0.4\text{H}_2\text{O}$

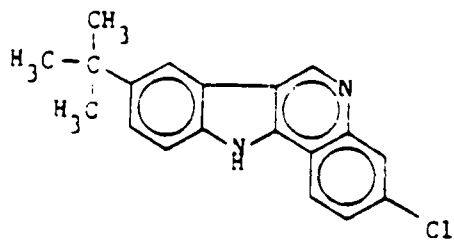
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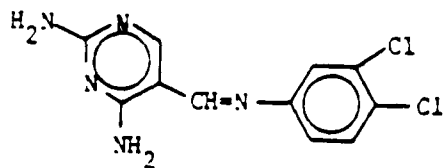
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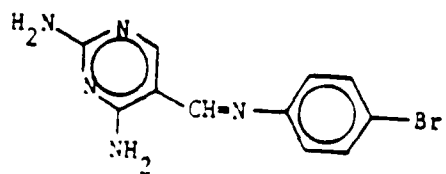
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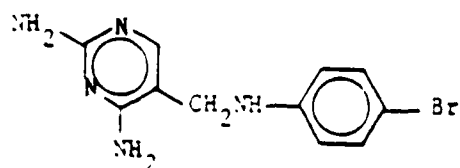
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23163



2714

23172



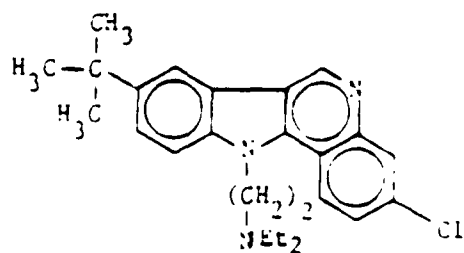
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BK NO.

STRUCTURE

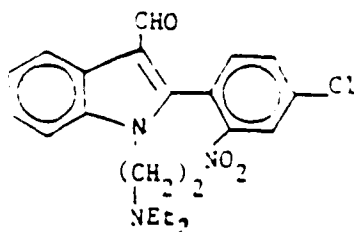
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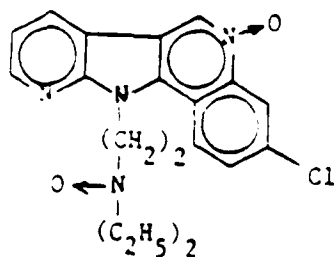
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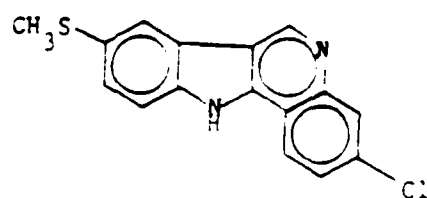
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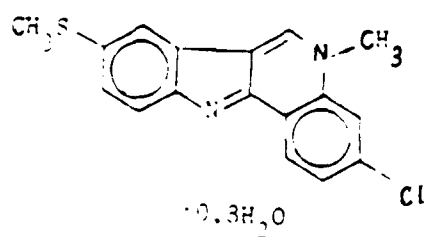
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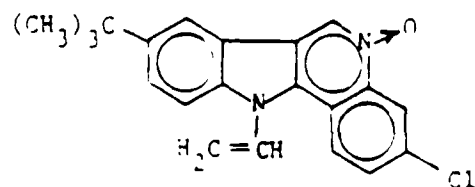
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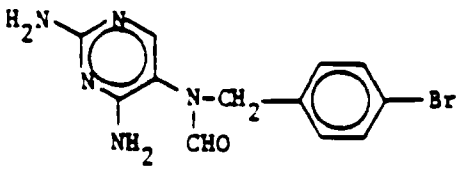
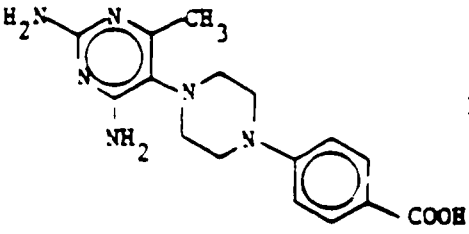
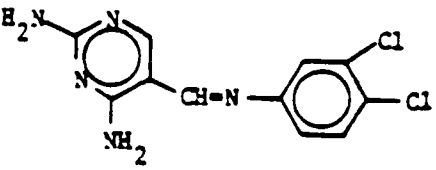
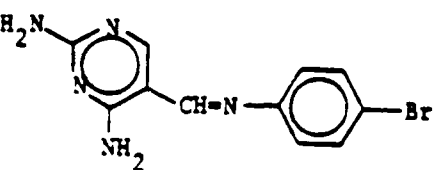
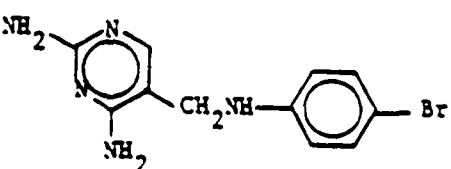
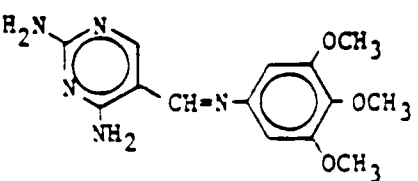


AM NO.	BK NO.	STRUCTURE
2721	39509	
2722	39518	
2723	39527	
2727	51578	
2728	51587	
2729	51596	·0.1 H₂O
2730	51603	·0.04 H₂O

AM NO.	BK NO.	STRUCTURE
2731	51612	
2624	45981	$\xrightarrow[\text{Pd-C}]{\text{H}_2}$
2673	16293	$\xrightarrow[185^\circ, 16\text{hr}]{\text{NH}_3/\text{EtOH}}$
2674	16300	
2679	16953	

<u>AM NO.</u>	<u>BK NO.</u>	<u>STRUCTURE</u>
2680	16962	<chem>Nc1nc(N)cc(N=Cc2cc(Cl)cc(Cl)c2)c1</chem>
2681	17183	<chem>Nc1nc(N)cc(N=Cc2ccc(Br)cc2)c1</chem>
2682	17192	<chem>Nc1nc(N)cc(NCCc2cc(Cl)cc(Cl)c2)c1</chem>
2683	17209	<chem>Nc1nc(N)cc(NCCc2ccc(Br)cc2)c1</chem>
2699	21954	<chem>Nc1nc(N)cc(N(C=O)CCc2ccc(Br)cc2)c1</chem>
2700	21963	<chem>Nc1nc(N)cc(N(C=O)CCc2cc(Cl)cc(Cl)c2)c1</chem>

·0.8H₂O

<u>AM NO.</u>	<u>BK NO.</u>	<u>STRUCTURE</u>
2701	21972	
2702	21981	 1.1 HCl · 0.3H₂O
2712	23154	
2713	23163	
2714	23172	
2724	39536	

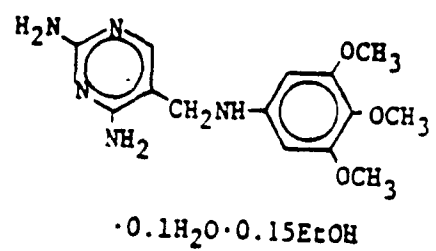
AM NO.

BK NO.

STRUCTURE

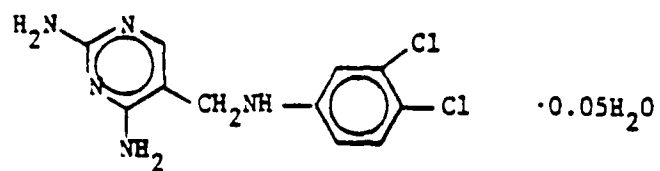
2725

39545



903

15581



END

2-87

DTIC