0.88 (cellulose; BAW). Anal. ($C_{18}H_{27}N_{11}O_3S$) N; C: calcd, 45.27; found, 44.72; H: calcd, 5.70; found, 6.61; S: calcd, 6.72; found, 7.27.

S-Adenosyl-1,8-diamino-3-thiooctane (2c). S-Adenosyl-1,8-diazido-3-thiooctane (**2d**; 280 mg, 0.49 mmol) and triphenylphosphine (420 mg, 1.6 mmol) were dissolved in 1 mL of dry pyridine, and the resulting solution was kept at ambient temperature with stirring for 1 h, during which time gas evolution (presumably N_2) was observed. Ammonium hydroxide (15 M, 300 μL) was then added, and stirring was continued for another 2 h. The excess ammonium hydroxide and pyridine were removed under high vacuum at room temperature, and the resulting residue was dissolved in 70 mL of H_2O. The aqueous solution was washed with benzene (3 × 50 mL) and ether (3 × 50 mL) and then lyophilized to give 212 mg (82.5%) of free aminonucleoside as a hygroscopic white solid: NMR (D_2O) δ 0.67–1.96 (10 H, br, CH_2), 2.3–3.06 (7 H, complex, $CH_2N<$, >CHS, and $H_{5'}$), 4.06–4.4 (2 H, complex, $H_{3'}$ and $H_{4'}$), 5.91 (1 H, d, $H_{1'}$, J = 5 Hz), 8.03 (1 H, s, H_2), 8.16 (1 H, s, H_8). The peak of $H_{2'}$ was obscured by the HOD signal (δ 4.43–5.06): UV λ_{max} 212, 259 nm; TLC R_f 0.18 and 0.57 (developed with BAW on silica gel and cellulose plate, respectively), 0.71 (cellulose; 5% Na_2HPO_4). Anal. ($C_{18}H_{31}N_7O_3S$) C, H, N.

Acknowledgment. The authors thank Dr. Gary L. Anderson for many helpful discussions and advice in the early stages of this work. This research was supported in part by Grant CA 28097 from the National Cancer Institute, NIH.

Note Added in Proof: In collaboration with Professor Anthony Pegg, we have recently shown that compounds of the type described in this paper have profound effects on polyamine biosynthesis in cultured mammalian cells. As predicted from the data presented in Table I, compound **2c** markedly inhibits the biosynthesis of spermidine, with associated accumulation of putrescine. Details of these findings will be published separately.

Mesoionic Purinone Analogues as Inhibitors of Cyclic-AMP Phosphodiesterase: A Comparison of Several Ring Systems[1]

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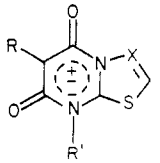
Several simple alkyl and aralkyl derivatives of mesoionic thiazolopyrimidines (1) and mesoionic 1,3,4-thiadiazolopyrimidines (2) were found to possess theophylline-like activity as inhibitors of cyclic-AMP phosphodiesterase (PDE). Reduction of the C_2–C_3 double bond of 1 or replacement of the sulfur atom of 1 or 2 with an *N*-methyl group nearly abolishes activity. Optimal activity appears to be associated with a hydrophobic substituent at the N_8 position. The five-membered ring of 1 can be replaced by a pyridine or isoquinoline nucleus without untoward effects. Preliminary kinetic data suggest that the type of enzyme inhibition produced by the mesoionic derivatives is similar to that observed for theophylline. Thus, several novel mesoionic ring systems display activity as inhibitors of cyclic-AMP PDE and can serve as lead compounds for further investigation.

Adenosine 3′,5′-monophosphate (cyclic-AMP) phosphodiesterase (PDE) is the enzyme responsible for the conversion of cyclic AMP to adenosine 5′-monophosphate and is also responsible, in part, for the regulation of intracellular levels of this cyclic nucleotide. An earlier communication from this laboratory reported that several derivatives of the mesoionic thiazolopyrimidines 1 and the



1, X = CH
2, X = N

mesoionic 1,3,4-thiadiazolopyrimidines 2 showed theophylline-like activity as inhibitors of cyclic-AMP PDE.[3] The potencies of these compounds were slightly less than that of theophylline; however, they do represent a novel class of PDE inhibitors.

Theophilline itself is not a particularly potent or selective PDE inhibitor; however, substituent alternation and molecular modification of the xanthine nucleus of theophylline has resulted in more potent derivatives.[4-6] Prior to a study directed toward the optimization of activity, or search for tissue/enzyme specificity, by manipulation of substituent groups (as has been done with the xanthines), it is necessary to determine whether or not the ring systems themselves are suitable templates. The primary objectives of this current study are (a) to compare the activities of a few examples of several different mesoionic purinone-related ring systems and (b) to attempt to show, for one ring system, that activity can be enhanced by varying substituent groups.

Chemistry. A number of the final products were prepared as we have previously reported.[3,7-10] This same

(1) This is the second in a series of four publications. The first, third, and fourth papers (ref 3; *J. Med. Chem.* **1981**, *24*, 658; and *Ibid.* **1981**, *24*, 766, respectively) have already appeared.
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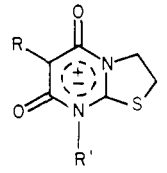
method was used to prepare several new compounds listed in Table I. In brief, 2-aminothiazole, 2-aminothiazoline, 2-aminopyrimidine and 1-aminoisoquinoline were acylated with the appropriate acid chloride or acid anhydride to yield the respective amides, which could be reduced with lithium aluminum hydride to the corresponding amine. 2-(Methylamino)thiazole (**12**)[14] and 2-(phenylamino)thiazole (**20**)[14] were preapred by the method of Kaye and Parris,[15] except that the chloroacetaldehyde dimethyl acetal was replaced by an equivalent amount of chloroacetaldehyde diethyl acetal. We have previously reported the synthesis of 2-(ethylamino)thiazole (**13**)[7] and 2-[(3-chlorobenzyl)amino]thiazole (**21**).[13] 2-(Ethylamino)-1,3,4-thiadiazole (**22**) is commercially available. 2-(Methylamino)pyrimidine (**25**) was prepared by Dimroth rearrangement of 1-methyl-2-iminopyrimidine.[11] The amines **18** and **19** were synthesized by condensation of 2-aminothiazole with the appropriate aldehyde, followed by reduction of the resultant imine by sodium borohydride. Most of the intermediate amides and amines are reported in Table II. The alkylamino heterocycles were then cyclized by heating neat at 160 °C with the appropriate bis(2,4,6-trichlorophenyl) malonate[16] to give the desired products (Table I).

Results and Discussion

In Vitro Enzyme Assay. Derivatives of eight different mesoionic ring systems (i.e., **1–8**) were evaluated. All final



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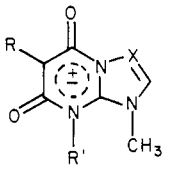
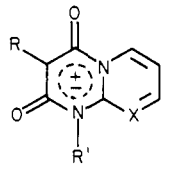
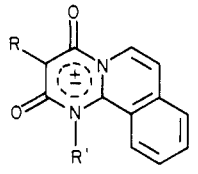


4, X = CH
5, X = N



6, X = N
7, X = CH



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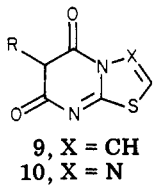
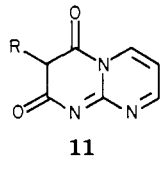


9, X = CH
10, X = N



11

compounds were assayed using the method of Klee,[12] in the manner previously reported,[3] for their ability to inhibit bovine heart PDE using a 1 μM cyclic-AMP substrate concentration (Table I). Ethanol (2.5% final concentration) was required to solubilize a number of the inhibitors. This concentration of ethanol reduces PDE activity by 10–20% and slightly raises the I_{50} value for the theophylline control. Therefore, in addition to I_{50} values, Table I also reports potency ratios relative to the respective theophylline control (i.e., to I_{50} values obtained for theophylline in the presence or absence of ethanol).

In comparing **1d–f**, **1g–i**, **2b–d**, and **3b–d**, there is very little (less than 2-fold) difference in activity as R is varied amongst H, Me, and Et. Larger substituents at this position, however, enhance activity. With R′ = ethyl, replacing the R = Et group by a benzyl group results in an 8- to 10-fold increase in activity (e.g., compare **1x** with **1f**, and **2f** with **2d**). The series **2** compounds (thiadiazole derivatives) are usually twice as active as the corresponding series **1** compounds (thiazole derivatives). Comparing the R′ substituents in series **1** or series **2**, as the size of the substituent increases, activity increases (i.e., compare **1c**, **1f**, **1i**, **1o**, or compare **2c** with **2e**). However, activity is abolished in series **1** when R′ is a phenyl group.

Making the compounds less similar in structure to theophylline by reduction of the C_2–C_3 double bond (comparing **1f–j** with **3a–e**, respectively) all but abolishes activity. On the other hand, making the compounds more similar in structure to theophylline by replacing the sulfur atom of **1** or **2** with an *N*-methyl group (i.e., **4** or **5**) also abolishes activity. Although a direct comparison is not possible because of different R′ groups, the pyrimidine derivative **6** is one-tenth as active as the pyridine analogue **7**. However, the activity of **7**, being twice that of theophylline, suggests that the five-membered ring of **1** can be replaced by a six-membered ring without untoward effects. Similar results are observed for the isoquinoline analogue **8**.

Up to this point, no attempt has been made to optimize activity; rather, several ring systems have been examined. In as much as the data collected suggest that the lipophilic character of the R′ substituent may be important, several additional benzyl derivatives of **1** were evaluated. Although there is little difference in the activity of the benzyl derivatives **1o–v**, the biphenyl derivative **1w** possesses an I_{50} of 13 μM.

The nonmesoionic compounds **9–11** were evaluated to determined the role of the mesoionic nucleus with respect to activity. Though compounds **9** and **10** were insufficiently soluble to be assayed, **11** produced 22% inhibition at 2000 μM. This, coupled with the finding that derivatives of **3–5** are virtually inactive, suggests that the delocalized nature of the mesoionic ring system is not solely responsible for activity.

A determination of the type of enzyme inhibition exhibited by theophylline, the mesoionic thiazolopyrimidine derivative **1f**, and the mesoionic 1,3,4-thiadiazolopyrimidine derivative **2d** was performed in an effort to determine if the mesoionic xanthine analogues were acting analogously to theophylline. Theophylline has been reported to be a competitive inhibitor of phosphodiesterases.[17] Theophylline, **1f**, and **2d** appear to inhibit bovine heart PDE in a similar manner; the type of inhibition is competitive (or partially competitive) as determined using Lineweaver–Burk and Hanes–Woolf[18] plots. The inability to obtain definitive plots may have been due to assay error, crudeness of the commerical enzyme preparation, or the presence of additional enzyme forms.

Summary

Compounds **1q–x**, **2e**, **2f**, **7**, and **8** represent four heterocyclic ring systems, derivatives of which are at least as potent as theophylline as inhibitors of cyclic-AMP PDE. We conclude that these ring systems might serve as ap-

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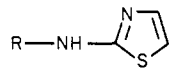
Table I. Properties of the New Mesoionic Derivatives, Including Inhibition of Cyclic-AMP Phosphodiesterase

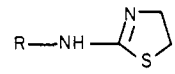
compd	R	R′	mp, °C	recrystn solvent[a]	amine[b]	% yield	emp formula[c]	inhibn of cAMP PDE:[d] I_{50}, μM	rel potency[e]
1a	H	Me	228–229	E	12	94	$C_7H_6N_2O_2S$	>1000[i]	
1b	Me	Me	285–286	E	12	73	$C_8H_8N_2O_2S$	>1000[i]	
1c	Et	Me	241–242	C	12	77	$C_9H_{10}N_2O_2S$	>1000[i]	
1d	H	Et						988 (±20)	0.3
1e[p]	Me	Et						951 (±81)	0.3
1f[p]	Et	Et	182–183	EA	13	72	$C_{10}H_{12}N_2O_2S$	858 (±08)	0.3
1g	H	CPM[f]	154–156	EA	14b	35	$C_{10}H_{10}N_2O_2S$	495 (±23)	0.6
1h	Me	CPM[f]	163–164	EA	14b	58	$C_{11}H_{12}N_2O_2S$	319 (±18)	0.9
1i	Et	CPM[f]	145–147	EA	14b	61	$C_{12}H_{14}N_2O_2S$	396 (±24)	0.7
1j[q]	Et	$CH_2CH(CH_3)_2$						498[j]	0.6
1k	H	C_6H_5	218–219	T	20	88	$C_{12}H_8N_2O_2S$	>1000[i]	
1m	Me	C_6H_5	310–311	E	20	65	$C_{13}H_{10}N_2O_2S$	>1000[i]	
1n	Et	C_6H_5	258–259	T	20	84	$C_{14}H_{12}N_2O_2S$	>1000[i]	
1o	Et	$CH_2C_6H_5$						430 (±62)	0.9
1p[r]	Me	$CH_2C_6H_4$(4-OMe)						532 (±80)	0.7
1q	Et	$CH_2C_6H_3$(3-OCH_2O-4)	172–175	I	19	85	$C_{16}H_{14}N_2O_4S$	261 (±34)	1.6
1r	Et	$CH_2C_6H_3$(3,5-OMe_2)	168–170	I	15b	97	$C_{17}H_{20}N_2O_4S$	323 (±40)	1.3
1s	Et	$CH_2C_6H_4$(2-Cl)	198–202	B	16b	56	$C_{15}H_{13}CCN_2O_2S$	305 (±32)	1.4
1t[r]	H	$CH_2C_6H_4$(3-Cl)						308 (±10)	1.3
1u	Et	$CH_2C_6H_4$(3-Cl)	143–145	B	21	61	$C_{15}H_{13}ClN_2O_2S$	208 (±55)	2.0
1v	Et	$CH_2C_6H_4$(4-Cl)	174–176	B	17b	59	$C_{15}H_{13}ClN_2O_2S$	191 (±21)	2.2
1w	Et	$CH_2C_6H_4$(4-C_6H_5)	219–221	I	18	67	$C_{21}H_{18}N_2O_2S \cdot 0.25H_2O$	13 (±6)	31.7
1x[p]	Bzl	Et						168 (±5)	2.5
2a[s]	H	Me						1100 (±78)	0.4
2b[s]	H	Et						774 (±16)	0.5
2c[s]	Me	Et						1160 (±56)	0.4
2d[s]	Et	Et	204–205[g]	I	22	39	$C_9H_{11}N_3O_2S$	555 (±13)	0.7
2e	Me	CH_2C_6H						191 (±50)	2.2
2f[s]	Bzl	Et						52 (±11)	7.9
3a[p]	Et	Et						>2000[k]	
3b	H	CPM[f]	209–210	EA	23b	70	$C_{10}H_{12}N_2O_2S$	2050 (±112)	0.1
3c	Me	CPM[f]	210–211	EA	23b	65	$C_{11}H_{14}N_2O_2S$	1264 (±40)	0.2
3d	Et	CPM[f]	184–185	EA	23b	62	$C_{12}H_{16}N_2O_2S$	1390 (±15)	0.2
3e	Et	$CH_2CH(CH_3)_2$	144–145	E	24b	38	$C_{12}H_{18}N_2O_2S$	>1500[l]	
4a[t]	Me	Et						>3000[m]	
4b[t]	Et	Et						>3000[m]	
5a[t]	H	Me						>2000[n]	
5b[t]	Me	Me						>2000[n]	
5c[t]	Et	Me						>2000[n]	
5d[t]	Pr	Me						1706 (±162)	0.2
6	Et	Me	220–221	EA	25	59	$C_{10}H_{11}N_3O_2$	1670 (±4)	0.2
7	Et	CHM[h]	189–190	E	26b	71	$C_{17}H_{22}N_2O_2 \cdot 0.25H_2O$	210 (±23)	2.0
8	Et	Et	228–230	EA	27	49	$C_{16}H_{16}N_2O_2$	127 (±18)	3.2
9[r]	Et							insol	
10[r]	Et							insol	
11	Et		240 dec	C		76	$C_9H_9N_3O$	>2000[o]	
theophylline								e	1.0

[a] Recrystallization solvents: 95% ethanol (E), acetone (C), ethyl acetate (EA), THF–petroleum ether, bp 35–60 °C (T), 2-propanol (I), benzene (B), absolute ethanol (A), hexane (H), and methanol (M). [b] Precursor amine employed for the synthesis of the mesoionic compound. [c] All compounds analyzed correctly (±0.4%) for C, H, N. [d] Bovine heart PDE was used; substrate concentration was 1 μM. Values are plus or minus standard error. [e] All compounds, with the exception of 1d–i, 3a–e, 4a, 4b, and 5a–d, were dissolved in 95% ethanol (2.5% final concentration) prior to dilution with buffer. All values are reported relative to the I_{50} of the appropriate theophylline control (= 1.0) run either in the absence (286 ± 19 μM) or in the presence (412 ± 20 μM) of ethanol. [f] CPM = cyclopropylmethyl. [g] Literature[3] mp 181–182 °C. [h] CHM = cyclohexylmethyl. [i] Less than 40% inhibition at 1000 μM. [j] The I_{50} for 1j has been previously reported.[3] [k] 38% inhibition at 2000 μM. [l] 39% inhibition at 1500 μM. [m] Less than 30% inhibition at 3000 μM. [n] Less than 30% inhibition at 2000 μM. [o] 22% inhibition at 2000 μM. [p] Reference 7. [q] Reference 3. [r] Reference 13. [s] Reference 8. [t] Reference 10.

Table II. Properties of Intermediate Heteroaryl Amides and Amines



14-19



23, 24

no.	R	mp, °C	recrystn solvent[a]	% yield	emp formula	anal.[b]
14a	COC_3H_5	164-166	E	77	$C_7H_8N_2OS$	C, H, N
14b	$CH_2C_3H_5$	56-58	H	58	$C_7H_{10}N_2S$	C, H, N
15a	$COC_6H_3(3,5\text{-}OMe_2)$	169-172	T	62	$C_{12}H_{12}N_2O_3S$	C, H, N
15b	$CH_2C_6H_3(3,5\text{-}OMe_2)$	91-93	M	53	$C_{12}H_{14}N_2O_2S$	C, H, N
16a	$COC_6H_4(2\text{-}Cl)$	222-225	A	63	$C_{10}H_7ClN_2OS$	
16b	$CH_2C_6H_4(2\text{-}Cl)$	91-93	I	68	$C_{10}H_9ClN_2S$	C, H, N
17a	$COC_6H_4(4\text{-}Cl)$	211-213	A	68	$C_{10}H_7ClN_2OS$	C, H, N
17b	$CH_2C_6H_4(4\text{-}Cl)$	126-128	I	70	$C_{10}H_9ClN_2S\cdot0.25H_2O$	C, H, N
18	$CH_2C_6H_4(4\text{-}C_6H_5)$	148-151	I	60	$C_{16}H_{14}N_2S\cdot0.25H_2O$	C, H, N
19	$CH_2C_6H_3(3\text{-}OCH_2O\text{-}4)$	122-123	I	74	$C_{11}H_{10}N_2O_2S\cdot0.25H_2O$	C, H, N
23a	COC_3H_5	174-176	E	85	$C_7H_{10}N_2OS$	C, H, N
23b	$CH_2C_3H_5$	74-76	H	58	$C_7H_{12}N_2S$	C, H, N
24a	$COCH(CH_3)_2$	158-159	E-EA	85	$C_7H_{12}N_2OS$	C, H, N
24b	$CH_2CH(CH_3)_2$			50	$C_7H_{14}N_2S$	*c*

[a] See Footnote *a* to Table I. [b] All compounds, except where noted, analyzed correctly for C, H, N to within 0.4% of theoretical values. [c] Due to its high hygroscopic nature, compound **24b** was used without characterization or further purification.

propriate templates for the further investigation of mesoionic derivatives as inhibitors of this enzyme.

Experimental Section

Enzyme Assays. The assay of Klee,[12] as previously described in greater detail,[3] was employed using bovine heart phosphodiesterase (Sigma Chemical Co.). The I_{50} values were determined by plotting uninhibited velocity/inhibited velocity (V_0/V) vs. the inhibitor concentration. The I_{50} is the inhibitor concentration when $V_0/V = 2$. Five different inhibitor concentrations, giving 25–75% inhibition, were used for each inhibitor, and each I_{50} was repeated at least three times.

Chemistry. Proton magnetic resonance (^1H NMR) spectra were obtained on either a Varian XL-100 or a Perkin-Elmer R-24 spectrometer with Me_4Si as an internal standard. Infrared spectra were recorded on a Perkin-Elmer 257 spectrophotometer. Elemental analyses were performed by Atlantic Microlab Inc., Atlanta, GA. All melting points were determined on a Thomas-Hoover melting point apparatus and are uncorrected. Mass spectra were obtained using a Finnigan 4000-series GC–MS data system. Commercially available 2-aminothiazoline was purified by recrystallization from benzene, while 2-aminothiazole was purified by sublimation.

***N*-(2-Thiazolyl)cyclopropanecarboxamide (14a).** Cyclopropanecarbonyl chloride (7.24 g, 70 mmol) was added dropwise to a stirred solution of 2-aminothiazole (6 g, 60 mmol) and NEt_3 (70 mmol) in THF (40 mL) at 0 °C. After 1.5 h of stirring, the reaction mixture was filtered, and the filtrate was evaporated to dryness under reduced pressure. Recrystallization of the crude product from 95% EtOH gave 7.76 g (77%) of **14a** as white crystals, mp 164–166 °C. Anal. ($C_7H_8N_2OS$) C, H, N.

Compounds **15a**, **16a**, **17a**, **23a**, and **24a** (Table II) were prepared employing the same procedure used for the synthesis of **14a**.

2-[(Cyclopropylmethyl)amino]thiazole (14b). A solution of **14a** (9.4 g, 56 mmol) in THF (80 mL) was added dropwise to a stirred suspension of $LiAlH_4$ (2.65 g, 70 mmol) in THF (80 mL) at 0 °C. The reaction mixture was heated at reflux for 3 h, cooled to 0 °C, hydrolyzed with wet THF (10% H_2O), and filtered (Celite). The filtrate was dried (Na_2SO_4) and evaporated to dryness under reduced pressure. Recrystallization from hexane afforded 3.4 g (40%) of **14b** as small white crystals, mp 56–58 °C. Anal. ($C_7H_{10}N_2S$) C, H, N.

Compounds **15b**, **16b**, **17b**, **23b**, **24b** (Table II) were prepared from the corresponding amide employing the same procedure used for the synthesis of **14b**.

2-[(4-Phenylbenzyl)amino]thiazole (18). A mixture of 4-phenylbenzaldehyde (1.83 g, 10 mmol) and freshly sublimed 2-aminothiazole (1.0 g, 10 mmol) was heated, neat, at 100 °C (oil bath temperature) for 15 min. When the mixture was cool, MeOH (20 mL) was added and the crude product (1.65 g) was collected by filtration. This material (mp 82–84 °C), used without further purification, was dissolved in warm (40 °C) methanol, and $NaBH_4$ (0.34 g) was added in small portions over a 30-min period with stirring; the temperature was maintained at 40 °C during the addition. The reaction mixture was heated at reflux for 15 min and then cooled to room temperature. Water (20 mL) was added; the product was collected by filtration and recrystallized from 2-propanol to yield 1.0 g (60%) of **18** as white crystals, mp 148–151 °C. Anal. ($C_{16}H_{14}N_2S\cdot0.25H_2O$) C, H, N.

Compound **19** (Table II) was prepared in a manner similar to that employed for the preparation of **18**.

***N*-(2-Pyridyl)cyclohexanecarboxamide (26a).** Compound **26a** was prepared in the same manner as **14a** using 2-aminopyridine and cyclohexanecarbonyl chloride. Recrystallization from hexane gave a 78% yield of **26a**, mp 89–90 °C. Anal. ($C_{12}H_{16}N_2O$) C, H, N.

2-[(Cyclohexylmethyl)amino]pyridine (26b). Compound **26b** was prepared in 63% yield via $LiAlH_4$ reduction of **26a**. Recrystallization from petroleum ether gave **26b** as small white crystals, mp 87–89 °C. Anal. ($C_{12}H_{16}N_2$) C, H, N.

1-(Ethylamino)isoquinoline (27). Compound **27** was prepared in 74% yield via $LiAlH_4$ reduction of 1-acetamidoisoquinoline, in the same manner employed for the preparation of **14b**. Recrystallization from petroleum ether afforded **27** as white crystals, mp 74–76 °C. Anal. ($C_{11}H_{12}N_2$) C, H, N.

General Preparative Method for the Synthesis of Mesoionic Compounds. The mesoionic compounds were prepared in a manner similar to that which we have previously reported.[3] Equimolar amounts of the appropriate amine and bis(2,4,6-trichlorophenyl) malonate were heated, neat, at 160 °C under a slow steam of nitrogen until a clear melt resulted (1–3 min). When cool, the resultant gummy residue was crystallized by trituration with anhydrous Et_2O, and the product was collected by filtration. Data are reported in Table I.

3-Ethyl-4-hydroxypyrimido[1,2-*a*]pyrimidinone (11). An intimate mixture of 2-aminopyrimidine (0.05 g, 52 mmol) and bis(2,4,6-trichlorophenyl) ethylmalonate (0.26 g, 52 mmol) was heated neat, on an oil bath (160 °C), for 1 min. When the mixture was cool, the crude solid product was washed twice with anhydrous Et_2O (25 mL) and collected by filtration. Recrystallization from acetone gave 0.76 g (76%) of **11** as white crystals, mp 240 °C dec. Anal. ($C_9H_9N_3O_2$) C, H, N.

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