15762-04-6; $(EtO)_2P(S)SP(S)(OEt)_2$, 4328-22-7; $(OEt)_2P(O)SeEt$, 2524-09-6; $(EtO)_2P(Se)OP(O)(OEt)_2$, 26905-48-6; $(EtO)_2P(O)SeEt$, 39181-35-6; $(i\text{-}PrO)_2P(Se)OP(O)(OPr\text{-}i)_2$, 87763-59-5; dineopentyl phosphite, 22289-00-5; *N,N'*-dicyclohexylselenourea, 34656-93-4.

Supplementary Material Available: Table 2a containing mass spectral data and elemental analysis of *N*-phosphorylthio-(seleno)ureas (B) (5 pages). Ordering information is given on any current masthead page.

Intramolecular Cyclization of 6-Amino-5-[(2-substituted-2-cyanovinyl)amino]-1,3-dimethyluracil: Synthesis of 9-Deazaxanthine Derivatives and 8-(Cyanomethyl)theophylline

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The intramolecular cyclization reactions of **4a** and **4b** have been studied. Hydrolysis of **4a** and **4b** afforded **12a** and **12b**, respectively. Treatment of **12a** with $POCl_3$ in DMF provided hygroscopic gum **16**, a useful intermediate for the synthesis of 9-deazaxanthine derivatives. Compound **16** was converted with alcohols, amines, and water to **19b–e**, **21b,c**, and **19a**, respectively. Oxidative cyclization of **1a**, **1b**, and **4b** with ferric chloride gave **22a**, **22b**, and **26**, respectively. Decarbalkoxylation of **26** gave 8-(cyanomethyl)theophylline (**27**).

Sardesai and Sunthankar[2] reported that *o*-[(2,2-dicyanovinyl)amino]aniline (**1a**) and its ester derivative **1b** were readily converted into benzimidazole (**2**) on heating (Scheme I). When Stahl and co-workers[3] attempted to extend this cyclization reaction to 6-amino-5-[(2,2-dicyanovinyl)amino]-1,3-dimethyluracil (**4a**), they failed to obtain 1,3-dimethylxanthine (**5**) but instead isolated compound **7** after refluxing **4a** in DMF. In contrast to Sardesai and Sunthankar, we obtained 4-amino-1*H*-1,5-benzodiazepine-3-carbonitrile hydrochloride (**3a**) and its ester derivative **3b**, respectively, in high yield when **1a** and **1b** were heated in the presence of hydrochloric acid.[4] Our attempts to extend this intramolecular cyclization reaction to **4a** and **4b** failed to give the expected products **6a,b** and led to the formation of pyrrolo[3,2-*d*]pyrimidines **12a** and **12b**, respectively. We now report the full details of this work,[5] including a new preparation of 8-(cyanomethyl)-theophylline (**27**) from **4b**.

Results and Discussion

Hydrolytic Cyclization of 4a and 4b. Heating **4a** or **4b** in hydrochloric acid led to the evolution of CO_2 and the formation of 9-substituted-1-methyl-9-deazaxanthines **12a** and **12b** in 69% or 57% yield, respectively. From the filtrate, a trace of 1,3-dimethyl-*s*-triazine-2,4,6-(1*H*,3*H*,5*H*)-trione (**15**) was recognized. The structural assignment of these products was based on spectroscopic data. In particular, the UV spectrum of **12b** [λ_{max}^{EtOH} nm (log ϵ) 228.5 (4.35), 269 (3.92)] was a very similar to that of its 8-methyl derivative [λ_{max}^{EtOH} nm (log ϵ) 229.5 (4.48), 270 (4.00)] reported by Murata and Ukawa.[6] The structure **12a** was further confirmed by conversion to **12b** as described below. Recently, Senga and co-workers[7] synthesized **12b** by another method, in which the IR spectrum coincided with that of ours. We propose a mechanism involving an initial Dimroth rearrangement[8] for the formation of **12a** and **12b** as shown in Scheme II.

No reaction was found to occur when **4c** was heated in hydrochloric acid. In contrast to the above results, **15** was obtained in 50% yield accompanied with a trace of **12a** when hydrogen chloride gas was passed into a suspension of **4a** in ethanol and the solution then refluxed. We suggest the mechanism in Scheme II involving covalent hydration of the C(5)–C(6) double bond to form **13**, followed by C(4)–C(5) bond fission to form *s*-triazine ring system **14** and fragmentation to **15**.

Synthesis of 3-Methyl-7-substituted-pyrrolo[3,2-*d*]pyrimidine-2,4(1*H*,3*H*)-dione (1-Methyl-9-substituted-9-deazaxanthine). Many 9-deazapurine derivatives (including the 9-deazaxanthine ring system) have been synthesized[9] because the ring system is isomeric with that of naturally occurring purines and indoles. We attempted to chlorinate the 2-oxo group of **12a** with $POCl_3$ by the method of Imai;[10] however, no reaction occurred when **12a** was refluxed in $POCl_3$ or $POCl_3$ mixed with another solvent such as acetonitrile, dichloromethane, or dimethyl sulfoxide. This is probably due to the solubility properties of **12a**, which is insoluble in hot $POCl_3$ and only slightly soluble in hot acetonitrile, dichloromethane, and dimethyl sulfoxide. In contrast, **12a** reacted vigorously with the Vilsmeier–Haack reagent to give a brown solution, which was heated on a water bath for 1 h and the solvent then removed in vacuo to give a hygroscopic gum which was assumed to be **16**. Alcoholysis of **16** followed by hydrolysis gave 1-methyl-9-deazaxanthine-9-carboxylates **19b–e**. When ethanol was used, **19c** was obtained, which was identical with **12b**. Similarly, treatment of **16** with amines followed by hydrolysis gave 1-methyl-9-deazaxanthine-9-carboxamide derivatives **21b** and **21c**. On treatment with hydrochloric acid, **16** was converted to carboxylic acid **19a**.

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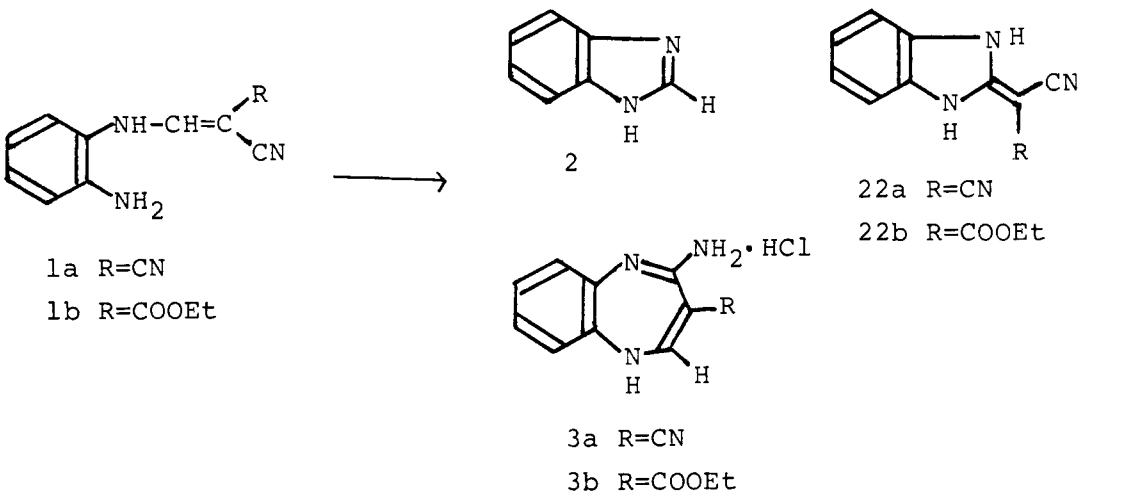
(10) Imai, K. *Chem. Pharm. Bull.* **1964**, *12*, 1030.

0022-3263/84/1949-0908$01.50/0

Scheme I

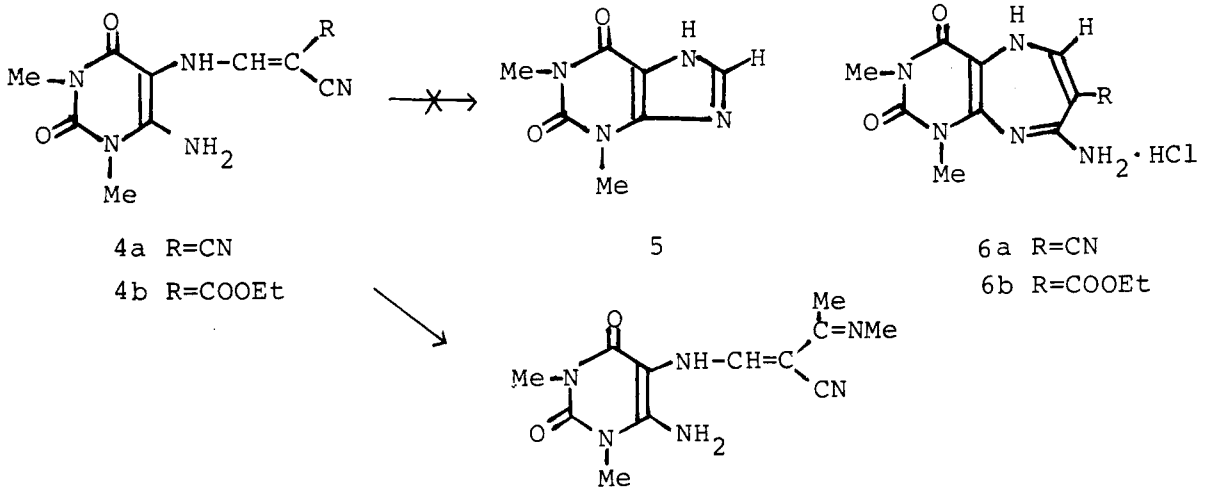


1a R=CN
1b R=COOEt

2

22a R=CN
22b R=COOEt

3a R=CN
3b R=COOEt



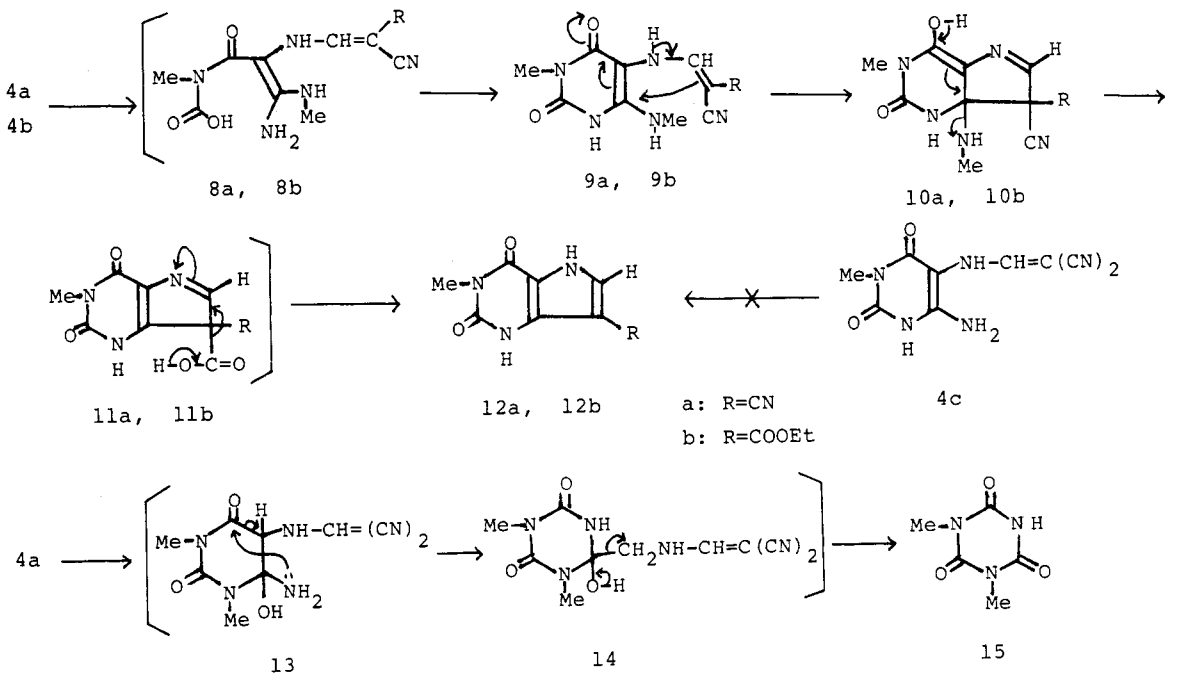
4a R=CN
4b R=COOEt

5

6a R=CN
6b R=COOEt

7

Scheme II



8a, 8b

9a, 9b

10a, 10b

11a, 11b

12a, 12b

a: R=CN
b: R=COOEt

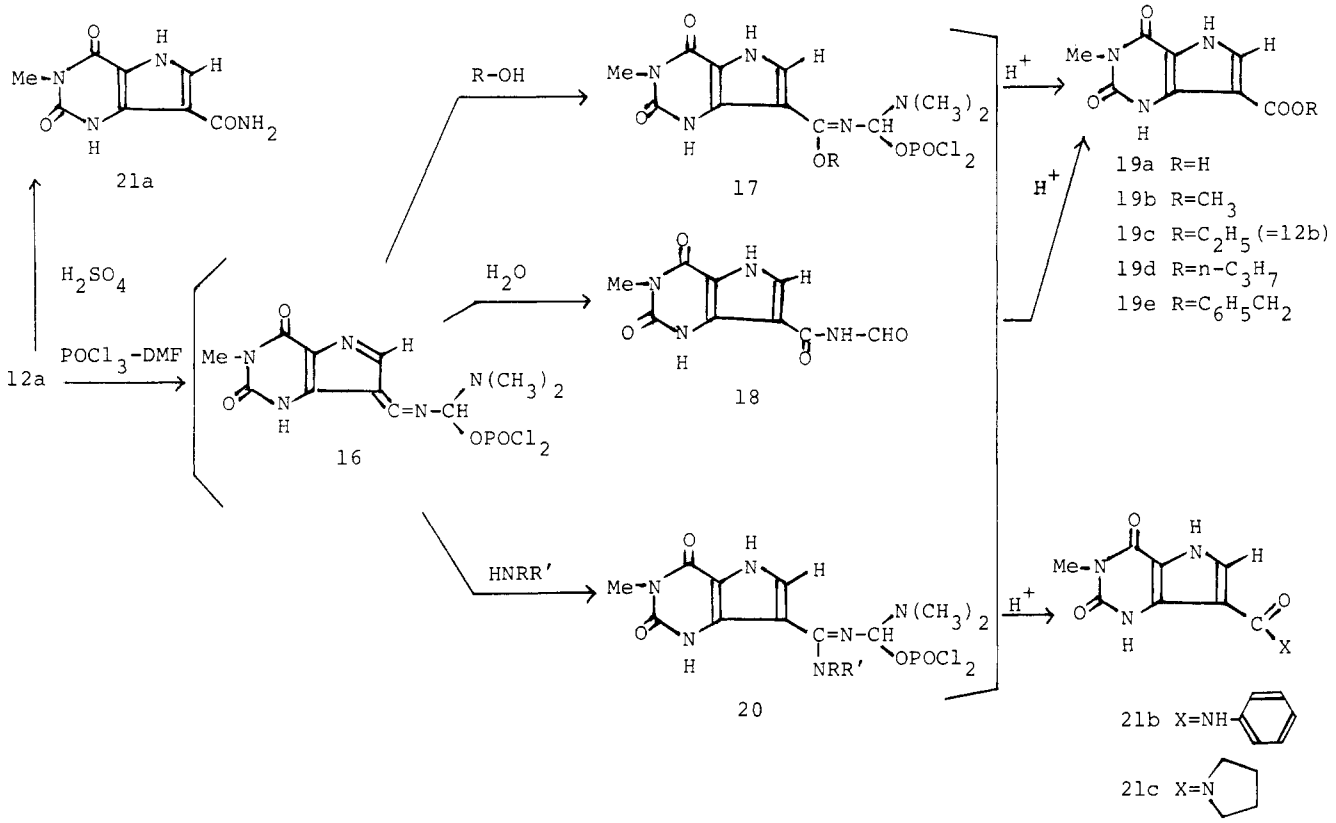
4c

13

14

15

Scheme III



Moreover, **12a** was converted to **21a** on treatment with sulfuric acid. The structures of these compounds were assigned on the basis of ^{1}H NMR analysis, where coupling constants (J = 3 Hz) between NH-7 and H-8 were observed in the spectra of **19a**, **19c**, **21a**, **21b**, and **21c**.

We suggest the mechanism shown in Scheme III. It is worth noting that enaminonitrile **12a** easily reacted with the Vilsmeier–Haack reagent to give, presumably, ketenimine **16**, which reacted with alcohol, water, and amine to give the corresponding imino ether **17** (R ≠ H), imidic acid **17** (R = H), and amidine (**20**), respectively. These intermediates **17** and **20** were easily hydrolyzed to **19b–e**, **19a**, and **21b,c**. On treatment with water, **16** was converted to powder (crude), which showed a parent ion peak at m/e 236 (M^+). This might be due to **18**. It should be noted that conversion of **16** to **19b–e** was carried out without the addition of diluted hydrochloric acid after the alcoholysis of **16**, whereas conversion of **16** to **21b–c** required the acid.

Oxidative Cyclization of 1a, 1b, and 4b. It is well-known that enediamines are readily oxidized and that when they are conjugated with a carbonyl group they are strong reducing agents, so called reductones.[11] In fact, 1,3-dimethyl-5,6-diaminouracil was smoothly oxidized with ferric chloride to 1,3,6,8-tetramethyl-2,4,5,7-(1*H*,3*H*,6*H*,8*H*)-pyrimido[5,4-*g*]pteridinetetrone[12] in 80% yield. We attempted to extend this oxidation to N-substituted enediamines **1a** and **1b** and obtained 2-(dicyanomethylene)benzimidazoline (**22a**)[13] and its ester derivative **22b**. Similarly, when a suspension of **4b** in water was heated with ferric chloride on a water bath, 8(7*H*,9*H*)-(carbethoxycyanomethylene)theophylline (**26**) was obtained in 60% yield. The structure was determined by spectroscopic analyses. When **4a** was treated with ferric chloride in the same manner, **12a** was precipitated but not **28**. This result indicated that hydrolysis of **4a** preferentially occurred under those conditions.

A possible mechanism for the formation of **26** is shown in Scheme IV. When **26** was refluxed in DMF at 180 °C for 2 h, 8-(cyanomethyl)theophylline (**27**)[14] was obtained in good yield, thus lending further support to the assigned structure.

Experimental Section

Melting points were determined by using a Yamato Scientific stirred liquid apparatus and are uncorrected. Infrared (IR) and ultraviolet (UV) spectra were recorded on a JASCO IRA-1 spectrometer and a Hitachi 200-20 spectrophotometer. ^{1}H NMR spectra were run on a Varian EM-90 (90 MHz) or T-60 (60 MHz) spectrometer with $(CD_3)_2SO$ as the solvent, except otherwise mentioned, and $(CH_3)_4Si$ as the internal standard. ^{13}C NMR spectra were run on a JEOL PS-100 spectrometer with $(CD_3)_2SO$ as the solvent and $(CH_3)_4Si$ as the internal standard. The mass spectra were run on JEOL O1S and DX-300 (equipped with JMA-3000) spectrometers. Elementary analyses (C, H, N) of all compounds described here were performed on Perkin-Elmer 240B instrument. All analytical results were within ±0.4% of the theoretical values.

1-Methyl-9-cyano-9-deazaxanthine (12a). A suspension of 3 g of **4a** in 100 mL of 15% HCl was heated on a water bath for 15 min. First, a clear solution was obtained; then, crystals were precipitated with evolution of CO_2. The precipitates were collected by filtration, washed with water, and dried in vacuo to give pure **12a** in 69% yield (recrystallization solvent (dimethyl sulfoxide (Me_2SO)–water): mp >300 °C; IR (KBr) 2225, 1720, 1625 cm^{-1}; mass analysis, m/e 190 (M^+); ^{1}H NMR (T-60) δ 3.27 (3 H, s, CH_3), 7.98 (1 H, s, H-8), 11.90 (1 H, br, NH-3), 13.00 (1 H, br, NH-7); ^{13}C NMR δ 26.84 (CH_3), 79.94 (CN), 110.81 (C-5), 113.77 (C-9), 133.43 (C-4, C-8), 151.24 (C-2), 155.27 (C-8); UV (EtOH) λ_{max} 221 (log ϵ 4.43), 269 (3.91).

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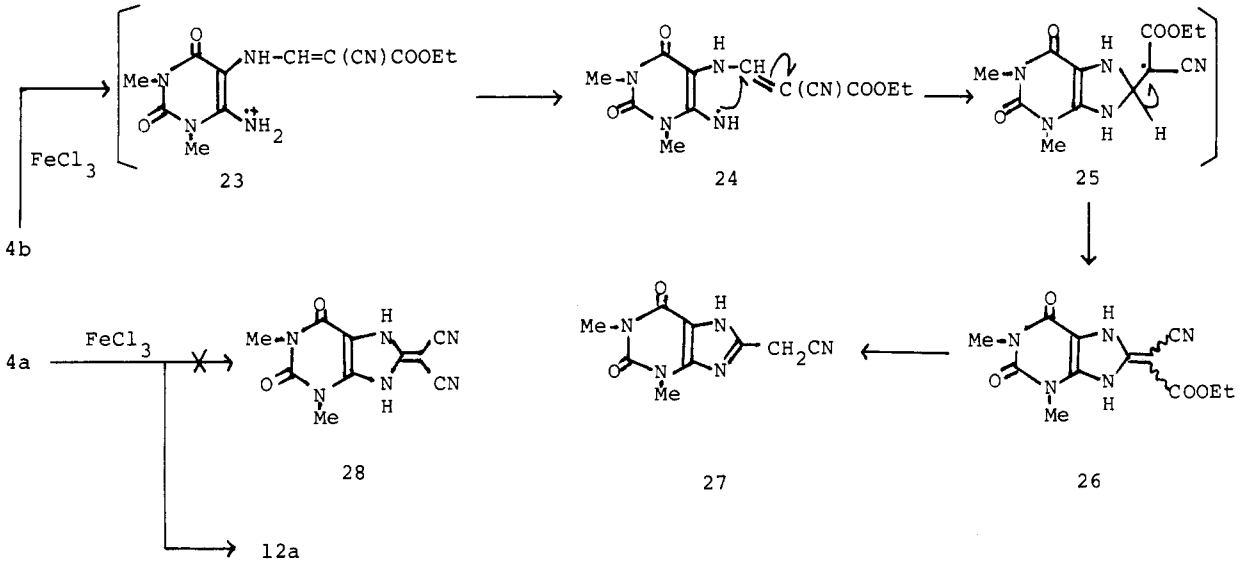
Scheme IV



Ethyl 1-Methyl-9-deazaxanthine-9-carboxylate (12b). A suspension of 0.5 g of **4b** in 50 mL of 15% HCl was heated on a water bath for 15 min to precipitate crystals. The crystals were filtered off, washed with water, and dried in vacuo to give pure **12b** in 57% yield (recrystallization solvent (EtO–CH_2Cl_2)): mp 266–267 °C; mass analysis, m/e 237 (M^+); IR (KBr) 1705, 1640 cm^{-1}; ^1H NMR δ 1.27 (3 H, t, J = 7 Hz, CH_3CH_2), 3.17 (3 H, s, NCH_3), 4.23 (2 H, q, J = 7 Hz, CH_3CH_2), 7.61 (1 H, s, H-8), 10.17 (1 H, br, NH-3), 12.63 (1 H, br, NH-7); UV (EtOH) λ_{max} 229.5 (log ϵ 4.48), 270 (4.00).

1,3-Dimethyl-*s*-triazine-2,4,6(1*H*,3*H*,5*H*)-trione (15).[15] HCl gas was passed into a suspension of 0.5 g of **4a** in 50 mL of EtOH until a yellow solution was obtained. The solution was refluxed on a water bath for 6 h and then filtered. The filtrate was evaporated under a reduced pressure to give crystals. Recrystallization from EtOH gave 0.16 g of **15**: 50% yield; mp 216–217 °C (lit.[15] mp 222–223 °C); mass analysis, m/e 157 (M^+); IR (KBr) 1760, 1740, 1690 cm^{-1}; ^1H NMR (T-60) δ 3.17 (6 H, s, CH_3), 11.50 (1 H, br, NH).

1-Methyl-9-deazaxanthine-9-carboxylic Acid (19a). $POCl_3$ (1 mL) was added to a suspension of 0.2 g of **4a** in 10 mL of DMF to give a clear solution, which was heated on a water bath for 1 h. The solvent was removed under a reduced pressure to give a hygroscopic gum **16**, which was hydrolyzed with diluted HCl at room temperature. Precipitates were collected by filtration, washed with dilute HCl, water, and EtOH, and dried in vacuo to give a powder of pure **19a** in 68% yield (recrystallization solvent (Me_2SO–water)): mp >300 °C; mass analysis, m/e 209 (M^+); IR (KBr) 1725, 1640 cm^{-1}; ^1H NMR δ 3.17 (3 H, s, NCH_3), 7.58 (1 H, d, J = 3 Hz, H-8), 9.83 (1 H, br, NH-3), 12.51 (1 H, d, J = 3 Hz, NH-7).

1-Methyl-9-deazaxanthine-9-carboxylates 19b–e. General Procedure. The intermediate **16**, which was obtained by the method described above, was treated with corresponding alcohol (10 mL), and the mixture was allowed to stand for 3 h. Precipitates were collected by filtration, washed with water and EtOH, and dried in vacuo to give a powder of pure ester (recrystallization solvent (Me_2SO–water)). **19b**: 61% yield; mp >300 °C; mass analysis, m/e 223 (M^+); IR (KBr) 1705, 1625 cm^{-1}; ^1H NMR (trifluoroacetic acid, T-60) δ 3.62 (3 H, s, NCH_3), 4.13 (3 H, s, OCH_3), 7.98 (1 H, s, H-8). **19c**: 67% yield (=**12b**). **19d**: 80% yield; mp >300 °C; mass analysis, m/e 251 (M^+); IR (KBr) 1715, 1640 cm^{-1}; ^1H NMR (100 °C) δ 0.93 (3 H, t, J = 7 Hz, $CH_3CH_2CH_2$), 3.20 (3 H, s, NCH_3), 1.72 (2 H, m, J = 7 Hz, 6.5 Hz, $CH_3CH_2CH_2$), 4.17 (2 H, t, J = 6.5 Hz, $CH_3CH_2CH_2$), 7.57 (1 H, s, H-8), 9.50 (1 H, br, NH-3), 12.37 (1 H, br, NH-7). **19e**: 51% yield; mp 282–283 °C; mass analysis, m/e 299 (M^+); IR (KBr) 1715, 1640 cm^{-1}; ^1H NMR δ 3.20 (3 H, s, NCH_3), 7.13–7.53 (5 H, m, aromatic), 7.71 (1 H, s, H-8), 10.30 (1 H, br, NH-3), 12.67 (1 H, br, NH-7).

1-Methyl-9-deazaxanthine-9-phenylcarboxamide (21b). The intermediate **16**, which was obtained by the same method described in **19a**, was treated with excess aniline (8 mL), and the mixture was allowed to stand 3 h; then the mixture was acidified with 1 N HCl and allowed to stand overnight. Precipitates were collected by filtration, washed with water and EtOH, and dried in vacuo to give a powder of pure **21b** in 73% yield (recrystallization solvent (Me_2SO–water)): mp >300 °C, mass analysis m/e 284 (M^+); IR (KBr) 1720, 1635, 1540 cm^{-1}; ^1H NMR (T-60) δ 3.21 (3 H, s, NCH_3), 6.93 (1 H, s, $CONHC_6H_5$), 6.93–8.00 (5 H, m, aromatic), 8.17 (1 H, d, J = 3 Hz, H-8), 9.83 (1 H, br, NH-3), 12.57 (1 H, d, J = 3 Hz, NH-7).

1-Methyl-9-(pyrrolidinylcarbonyl)-9-deazaxanthine (21c). The intermediate **16**, which was obtained by the same method described in **19a**, was treated with excess pyrrolidine (8 mL). After 3 h, excess pyrrolidine was removed under a reduced pressure to obtain a gum to which excess 1 N HCl was added, and the mixture was allowed to stand 2 days to precipitate crystals. The crystals were collected by filtration, washed with water, and dried in vacuo to give a powder of pure **21c** in 65% yield (recrystallization solvent (Me_2SO–water)): mp 283–284 °C; mass analysis m/e 262 (M^+); IR (KBr) 1710, 1660, 1580, 1550 cm^{-1}; ^1H NMR δ 3.30 (3 H, s, NCH_3), 1.90 (4 H, m, $(CH_2)_2$), 3.57 (4 H, m, CH_2NCH_2), 7.60 (1 H, d, J = 3 Hz, H-8), 9.80 (1 H, br, NH-3), 12.50 (1 H, d, J = 3 Hz, NH-7).

1-Methyl-9-deazaxanthine-9-carboxamide (21a). A solution of 0.2 g of **12a** in 5 mL of concentrated H_2SO_4 was heated at 80 °C for 30 min. The solution was poured into ice-cooled water to precipitate a colorless powder, which was washed with water and EtOH and dried in vacuo to give pure of **21a** in 90% yield (recrystallization solvent (Me_2SO–water)): mp >300 °C; mass analysis, m/e 208 (M^+); IR (KBr) 1705, 1650 cm^{-1}; ^1H NMR δ 3.17 (3 H, s, NCH_3), 7.17 and 7.53 (2 H, br, $CONH_2$), 7.70 (1 H, d, J = 3 Hz, H-8), 9.57 (1 H, br, NH-3), 12.30 (1 H, d, J = 3 Hz, NH-7).

2-(Dicyanomethylene)benzimidazolidine (22a). $FeCl_3 \cdot 6H_2O$ (1 g, 3.7 mmol) was added to a suspension of **1a** (0.8 g, 4.3 mmol), and the mixture was heated on a water bath for 30 min. Crystals were filtered off and washed with water and EtOH to give an almost pure sample of **22a** in 90% yield (recrystallization solvent (DMF)): mp >300 °C (lit.[13] mp >300 °C); mass analysis, m/e 182 (M^+); IR (KBr) 2200, 1605 cm^{-1}; ^1H NMR (T-60) δ 7.02–7.48 (4 H, m, aromatic), 12.75 (2 H, br, NH).

2-(Carbethoxycyanomethylene)benzimidazolidine (22b). $FeCl_3 \cdot 6H_2O$ (1 g, 3.7 mmol) was added to a suspension of **1b** (1 g, 4.3 mmol) in 100 mL of water, and the mixture was heated on a water bath for 30 min. Crystals were filtered off and washed with water and EtOH to give an almost pure sample of **22b** in 80% yield, which was recrystallized from EtOH: mp 271–272 °C; mass analysis, m/e 229 (M^+), 183 (M^+ – EtOH); IR (KBr) 2200,

(15) Hofmann, A. *Chem. Ber.* **1881**, *14*, 2728.

1625, 1590 cm^{-1}; ^1H NMR (T-60) δ 1.25 (3 H, t, J = 6.5 Hz, OCH_2CH_3), 4.17 (2 H, q, J = 6.5 Hz, OCH_2CH_3), 7.00–7.67 (4 H, m, aromatic), 12.25 (2 H, br, NH).

8(7*H*,9*H*)-(Carbethoxycyanomethylene)theophylline (26). $FeCl_3 \cdot 6H_2O$ (1.9 g, 7.2 mmol) was added to a suspension of **4b** (1 g, 3.6 mmol) in 100 mL of water, and the mixture was heated on a water bath for 1 h. Crystals were filtered off and washed with water and EtOH to give an almost pure sample of **26** in 60% yield, which was recrystallized from water: mp 246–247 °C; mass analysis, m/e 291.0967 (M^+, calcd 291.0967), 245.0523 (M^+ – EtOH, calcd 245.0548); IR (KBr) 2220, 1715, 1680, 1605 cm^{-1}; ^1H NMR δ 1.23 (3 H, t, J = 6.5 Hz, OCH_2CH_3), 3.20 (3 H, s, NCH_3-1), 3.53 (3 H, s, NCH_3-3), 4.12 (2 H, q, J = 6.5 Hz, OCH_2CH_3), 6.47 (2 H, br, NH).

8-(Cyanomethyl)theophylline (27). A solution of 0.1 g of **26** in 10 mL of DMF was refluxed at 180 °C for 2 h. The solution was evaporated to dryness and the residue was washed with DMF and hot ethanol to give a powder of pure **27** in 90% yield: mp 260–261 °C; mass analysis, m/e 219 (M^+); IR (KBr) 2260, 1710, 1642 cm^{-1}; ^1H NMR (T-60) δ 3.22 (3 H, s, NCH_3-1), 3.42 (3 H, s, NCH_3-3), 4.20 (2 H, s, CH_2).

Registry No. **1a**, 21025-49-0; **1b**, 21025-47-8; **3a**, 87984-97-2; **3b**, 42510-47-4; **4a**, 21025-59-2; **4b**, 21025-58-1; **4c**, 87970-39-6; **12a**, 59495-67-9; **12b**, 59495-66-8; **15**, 6726-48-3; **16**, 61165-09-1; **19a**, 61165-10-4; **19b**, 61165-11-5; **19d**, 61165-12-6; **19e**, 61165-13-7; **21a**, 61165-14-8; **21b**, 61165-15-9; **21c**, 61165-16-0; **22a**, 4933-40-8; **22b**, 59591-86-5; **26**, 87970-38-5; **27**, 37941-31-4.

A Ring Expansion Approach to 1,3-Diazepin-2-one Nucleosides

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A ring expansion approach toward the still unreported riboside of 4-methoxy-1,3,4,5-tetrahydro-2*H*-1,3-diazepin-2-one (**15**) was developed. To that effect, the easily accessible 1-β-D-ribofuranosyl-1,2-dihydropyrimidin-2-one was converted to the corresponding cyclopropa[4,5]pyrimido ring system in two steps by taking advantage of the nucleoside's tendency to exist completely in its cyclic form **11a** when suitably protected by the 2',3'-isopropylidene moiety. This property permitted an easy access to the required bicyclic system through a dihalocarbene insertion reaction on the olefinic bond of **11a–d** with $C_6H_5HgCX_2Br$ (X = Cl or Br). These two steps were found to be completely stereospecific, giving in each case a single isomer with the absolute stereochemistry as depicted in structures **12a–e** and **14a,b**. Definite confirmation of these assignments was corroborated by single-crystal X-ray analysis of **12a** (Figure 1). The conversion of **12d** to **14b** was sequentially performed with n-Bu_3SnH and with NaOMe/MeOH (stoichiometric) in order to remove the halogen atoms and the protective *N*-benzoyl group. Adjustment of the final methanolic reaction mixture to pH 4 induced a rapid and quantitative ring expansion of **14b** to **15**, which was obtained as a mixture of C(4)-OMe epimers. This reaction represents the final step of a very efficient ring expansion method which afforded 26% yield of **15** from **11a** after five steps.

Coformycin (**4b**) and isocoformycin (**5b**), both powerful inhibitors of the enzyme adenosine deaminase,[2,3] have been isolated after the base-catalyzed ring expansion of the mesylated methanol photoadduct **1b** of 9-β-ribo-D-furanosylpurine (nebularine).[4,5] The course of this reaction appears very complex, and variable amounts of both compounds, plus other minor products, could be obtained by altering the conditions of the reaction.[4] Although no mechanism was provided to explain the formation of isocoformycin, both **4a** and **5a** can be visualized as resulting from two different pathways (a and b) as shown in Scheme I.

As a result of our continued interest in 1,3-diazepin-2-one nucleosides, which behave as potent inhibitors of a similar aminohydrolase, cytidine deaminase,[6,7] we decided to explore whether a similar mechanism of ring expansion was applicable to the pyrimidine series.

Synthetic Strategy

Retrosynthetic analysis of the desired targets, when analyzed in light of the mechanisms depicted in Scheme I, suggested structures **7** and **9** as probable precursors for the desired 1,3-diazepin-2-one nucleotides **6** and **8**.

Since we had already achieved the synthesis of compound **6** by other means,[8] it was decided to investigate the ring expansion approach for the construction of the 1,3-diazepin-2-one nucleoside of structure **8**. Precursor **9**, however, did not appear very practical in view of the expected instability of the glycosidic linkage caused by the positively charged nitrogen. Therefore, synthon **10**, with a potential leaving group X expected to be ejected during the course of the ring expansion, was considered. Such qualifications were met by the cyclonucleoside **14b** where the leaving group X is an oxygen atom that forms part of the sugar moiety itself. This key compound was prepared in two steps from **11a** as shown in Scheme II. The synthesis of **11a** was performed, as described previously, from 1-β-D-ribofuranosyl-1,2-dihydropyrimidin-2-one in 65% yield.[7,9] yield.[7,9]

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