Chemistry of Heterocyclic Compounds, Vol. 43, No. 11, 2007

SYNTHESIS AND REACTIVITY OF 3-AMINO-9-METHOXYMETHYL-7-METHYL-3,4-DIHYDROPYRIDO-[3',2':4,5]THIENO[3,2-*d*]PYRIMIDIN-4-ONES

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The reaction of acyl derivatives of ethyl 3-aminothieno[2,3-b]pyridine-2-carboxylate with hydrazine hydrate gives a series of tricyclic 3-amino-3,4-dihydropyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-ones containing aliphatic, aromatic, or heteroaromatic fragments at $C_{(2)}$. The reactions of 3-amino-pyridothieno[3,2-d]pyrimidin-4-ones with aldehydes, formamide, 2,5-dimethoxytetrahydrofuran, acetic anhydride, and Raney nickel were studied.

Keywords: 3-amino-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-ones, hydrazine hydrate, ethyl 3-aminothienopyridinecarboxylate, desulfurization, Raney nickel.

Interest in 3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-ones is due to their analgesic [1, 2], anti-inflammatory [2], and antimicrobial activity [3] and has led to a large number of publications on the synthesis of these compounds.

The most common method for the synthesis of these compounds is the reaction of pyridothienooxazinones with hydrazine hydrate [1, 4-7]. Other methods have also been used such as the reaction of hydrazine hydrate with ethyl 3-methylcarboxamidothieno[2,3-*b*]pyridine-2-carboxylate [4] or ethyl 3-[(*E*)-1-ethoxymethylidenamino]thieno[2,3-*d*]pyridine-2-carboxylate [7]. None of these methods permits us to vary the substituents at $C_{(2)}$ in the pyrimidine ring, which may be important in the synthesis of compounds with potential biological activity.

We propose a two-step synthesis of 3-amino-3,4-dihydro[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-ones, permitting the introduction of various substituents at $C_{(2)}$ of the pyrimidine ring (Scheme 1). The first step entails the reaction of ethyl 3-aminothienopyridinecarboxylate [8] **1** with acid chlorides to give amides **2a-j** in 56-94% yield. The second step entails heating amides **2a-e,g-i** with a five-fold excess of hydrazine hydrate in ethanol, 2-propanol, or 1-butanol at reflux to give the corresponding pyrimidinones **3a-h** in 42-84% yield (Table 1).

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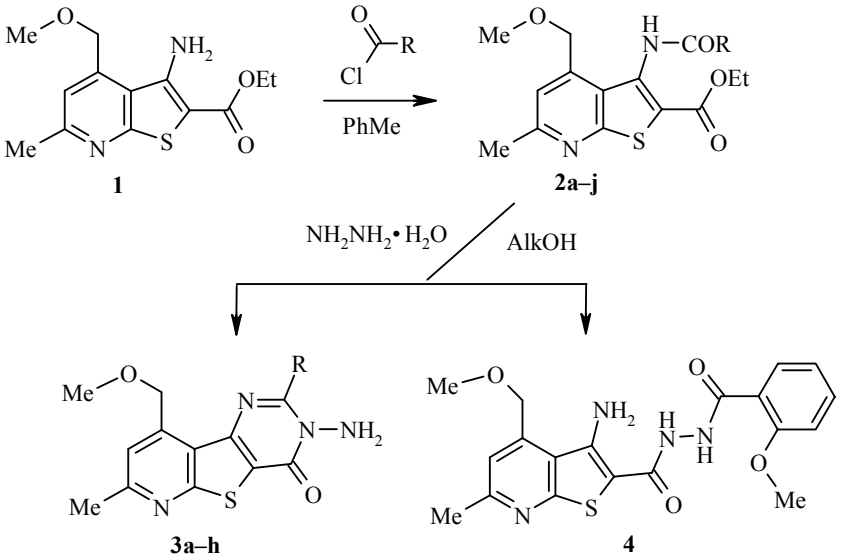
It was established that in the reaction of **2f** with hydrazine hydrate, transacylation of the hydrazide at the NH_2 group of the hydrazine fragment occurs with formation of bright-yellow hydrazide **4** in 44% yield instead of ring closure during formation of the hydrazide.

Scheme 1



2, **3 a** R = Et, **b** R = *n*-Bu, **c** R = *i*-Bu, **d** R = Fur, **e** R = Ph,
2f R = 2-$MeOC_6H_4$, **2g**, **3f** R = 4-$MeOC_6H_4$, **2h**, **3g** R = 2-$O_2NC_6H_4$,
2i, **3h** R = 4-$O_2NC_6H_4$, **2j** R = 2-MeC_6H_4; Alk = Et, *i*-Pr, *n*-Bu

Starting amide **2j** was recovered in an attempt to synthesize the 3-aminopyrimidinone containing the *o*-tolyl substituent at $C_{(2)}$ even upon prolonged heating at reflux.

Amides **2** and pyrimidinones **3** are colorless crystalline compounds.

The IR spectra of amides **2a-j** show one NH group stretching band at 3320-3140 cm^{-1} as well as bands for the ester carbonyl group at 1725-1685 cm^{-1} and amide carbonyl group at 1680-1640 cm^{-1} (Table 2). The signal for the proton giving rise to the signal at 9.66-10.72 ppm in the ^1H NMR spectra of **2** was assigned to the amide group.

The IR spectra of amides **3a-h** differ from the spectra of the starting amides by the presence of stretching bands at 3325-3265 and 3230-3170 cm^{-1} belonging to the amino group of the N–NH_2 fragment and only one endocyclic carbonyl group band at 1690-1655 cm^{-1}. The ^1H NMR spectra of **3** unlike the spectra of the starting amides **2** lack the upfield signals for the ethyl group but have a signal for NH_2 group protons at 5.66-6.02 ppm.

The IR and ^1H NMR spectra of hydrazide **4** differ fundamentally from both the spectra of starting amide **2f** and the spectra of 3-aminopyridothieno[3,2-*d*]pyrimidin-4-ones **3a-h**. The IR spectra of **4** have three pronounced bands at 3405-3315 cm^{-1} assigned to the N–H stretching vibrations of the amino and hydrazide groups as well as a strong hydrazide carbonyl group stretching band $\nu_{C=O}$ at 1650 cm^{-1}. The characteristic feature of the ^1H NMR spectra of **4** is presence of two broad singlets with intensity 2H for the protons of the amino group (6.98 ppm) and hydrazide group (9.82 ppm).

A study of the reactivity of products **3** involved an investigation of the reactions of these compounds with aldehydes, acetic anhydride, 2,5-dimethoxytetrahydrofuran, formamide, and Raney nickel.

TABLE 1. Characteristics of Compounds **2-10**

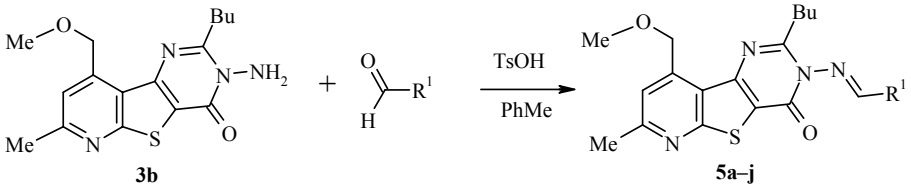
Compound	Empirical formula	Found, % / Calculated, %			mp, °C	Yield, %
		C	H	N		
1	2	3	4	5	6	7
2a	$C_{16}H_{20}N_2O_4S$	57.18 / 57.13	5.95 / 5.99	8.29 / 8.33	109-110	89
2b	$C_{18}H_{24}N_2O_4S$	59.30 / 59.32	6.70 / 6.64	7.74 / 7.69	111-112	94
2c	$C_{18}H_{24}N_2O_4S$	59.26 / 59.32	6.71 / 6.64	7.65 / 7.69	125-126	81
2d	$C_{18}H_{18}N_2O_5S$	57.78 / 57.74	4.80 / 4.85	7.53 / 7.48	97-98	87
2e	$C_{20}H_{20}N_2O_4S$	62.44 / 62.48	5.30 / 5.24	7.26 / 7.29	110-111	93
2f	$C_{21}H_{22}N_2O_5S$	60.92 / 60.85	5.31 / 5.35	6.79 / 6.76	136-137	94
2g	$C_{21}H_{22}N_2O_5S$	60.93 / 60.85	5.29 / 5.35	6.80 / 6.76	144-145	75
2h	$C_{20}H_{19}N_3O_6S$	55.99 / 55.94	4.40 / 4.46	9.85 / 9.78	199-200	81
2i	$C_{20}H_{19}N_3O_6S$	56.00 / 55.94	3.99 / 4.46	9.83 / 9.78	187-188	67
2j	$C_{21}H_{22}N_2O_4S$	63.37 / 63.30	5.49 / 5.56	6.98 / 7.03	144-145	56
3a	$C_{14}H_{16}N_4O_2S$	55.21 / 55.25	5.37 / 5.30	18.37 / 18.41	275-276	84
3b	$C_{16}H_{20}N_4O_2S$	57.77 / 57.81	6.12 / 6.06	16.80 / 16.85	166-167	83
3c	$C_{16}H_{20}N_4O_2S$	57.78 / 57.81	6.02 / 6.06	16.89 / 16.85	196-197	80
3d	$C_{16}H_{14}N_4O_3S$	56.10 / 56.13	4.17 / 4.12	16.32 / 16.36	272-273	42
3e	$C_{18}H_{16}N_4O_2S$	61.39 / 61.35	4.51 / 4.58	15.98 / 15.90	249-250	58
3f	$C_{19}H_{18}N_4O_3S$	59.72 / 59.67	4.69 / 4.74	14.60 / 14.65	229-230	49
3g	$C_{18}H_{15}N_5O_4S$	54.47 / 54.40	3.77 / 3.80	17.56 / 17.62	256-257	54
3h	$C_{18}H_{15}N_5O_4S$	54.35 / 54.40	3.84 / 3.80	17.59 / 17.62	>300	56
4	$C_{19}H_{20}N_4O_4S$	56.70 / 56.99	5.12 / 5.03	14.05 / 13.99	215-216	44
5a	$C_{24}H_{26}N_4O_2S$	66.27 / 66.33	6.09 / 6.03	12.92 / 12.89	183-184	80
5b	$C_{24}H_{26}N_4O_3S$	63.95 / 63.98	5.97 / 5.82	12.38 / 12.43	221-222	98
5c	$C_{25}H_{28}N_4O_3S$	64.70 / 64.63	6.05 / 6.07	11.98 / 12.06	170-171	84
5d	$C_{23}H_{23}N_5O_4S$	59.39 / 59.34	4.90 / 4.98	15.00 / 15.04	217-218	77
5e	$C_{25}H_{27}N_5O_3S$	62.79 / 62.87	5.77 / 5.70	14.70 / 14.66	297-298	92
5f	$C_{25}H_{29}N_5O_2S$	64.73 / 64.77	6.27 / 6.31	15.18 / 15.11	225-226	80
5g	$C_{23}H_{22}Cl_2N_4O_2S$	56.40 / 56.44	4.61 / 4.53	11.50 / 11.45	229-230	90
5h	$C_{23}H_{23}BrN_4O_2S$	55.38 / 55.31	4.61 / 4.64	11.28 / 11.22	179-180	91
5i	$C_{23}H_{23}BrN_4O_3S$	53.68 / 53.60	4.47 / 4.50	10.93 / 10.87	216-217	89
5j	$C_{24}H_{23}BrN_4O_4S$	53.00 / 53.04	4.33 / 4.27	10.35 / 10.31	227-228	66
6	$C_{20}H_{24}N_4O_4S$	57.74 / 57.68	5.83 / 5.81	13.38 / 13.45	164-165	80
7a	$C_{20}H_{22}N_4O_2S$	62.90 / 62.81	5.72 / 5.80	14.68 / 14.65	243-244	75

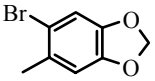
TABLE 1. (continued)

1	2	3	4	5	6	7
7b	$C_{22}H_{18}N_4O_2S$	65.72 65.65	4.48 4.51	14.00 13.92	261-262	86
7c	$C_{23}H_{20}N_4O_3S$	63.93 63.87	4.61 4.66	13.02 12.95	262-263	75
8	$C_{17}H_{19}N_5OS$	59.87 59.80	5.55 5.61	20.44 20.51	264-265	57
9a	$C_{18}H_{15}N_3O_2S$	64.00 64.08	4.51 4.48	12.49 12.45	>300	53
9b	$C_{19}H_{17}N_3O_3S$	62.20 62.11	4.63 4.66	11.53 11.44	>300	62
9c	$C_{16}H_{19}N_3O_2S$	60.59 60.54	5.98 6.03	13.20 13.24	270-271	50
10a	$C_{14}H_{17}N_3O_2$	64.80 64.85	6.67 6.61	16.27 16.20	199-200	25
10b	$C_{16}H_{21}N_3O_2$	66.82 66.88	7.42 7.37	14.59 14.62	209-210	52
10c	$C_{19}H_{19}N_3O_3$	67.70 67.64	5.62 5.68	12.39 12.45	235-236	62
10d	$C_{18}H_{18}N_4O_2$	67.13 67.07	5.60 5.63	17.45 17.38	128-129	68

Product **3b** was taken for the study of the reaction yielding 3-[(*E*)-1-arylmethylidenamino]-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-ones **5a-j** since this compound was more soluble in toluene than the other products obtained. Pyrimidinones **5** were obtained by heating the starting 3-aminopyrimidinone **3b** with aromatic aldehydes in toluene in the presence of *p*-toluenesulfonic acid at reflux with the azeotropic removal of water. Pyrimidinones **5** are stable crystalline compounds and were obtained in 66-98% yield.

Scheme 2



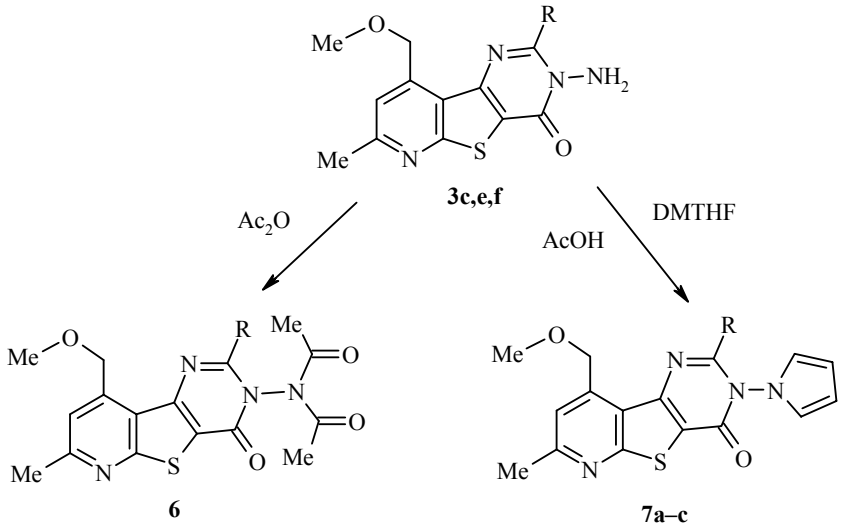
5 a R^1 = 4-MeC_6H_4, **b** R^1 = 4-$MeOC_6H_4$, **c** R^1 = 4-$EtOC_6H_4$, **d** R^1 = 4-$O_2NC_6H_4$, **e** R^1 = 4-$AcNHC_6H_4$, **f** R^1 = 4-$Me_2NC_6H_4$, **g** R^1 = 3,4-$Cl_2C_6H_3$, **h** R^1 = 4-BrC_6H_4, **i** R^1 = 2-HO-5-BrC_6H_3, **j** R^1 = 

The characteristic of the IR spectra of pyrimidinones **5a-j** is lack the exocyclic amino group νN–H stretching band (Table 2). The structure of these products was also supported by their ^{1}H NMR spectra, which lack the signal for N–NH_2 protons at 8.64-10.90 ppm but have a singlet for the CH=N group methine proton at 8.64-9.27 ppm. The protons of the aromatic rings and substituents in these compounds are found in their characteristic spectral ranges.

Colorless crystalline diacyl derivative **6** is formed in 80% yield upon heating 3-amino-2-isobutyl-pyridothienopyrimidine **3c** in acetic anhydride at reflux for 6 h.

The attemt to synthesize N-substituted pyrroles **7a-c** was also successful. Pyrroles **7a-c** were synthesized in 75-86% yield according to our previous procedure [9] by heating 3-aminopyrimidinones **3c,e,f** with 2,5-dimethoxytetrahydrofuran in glacial acetic acid at reflux for 1-2.5 h.

Scheme 3

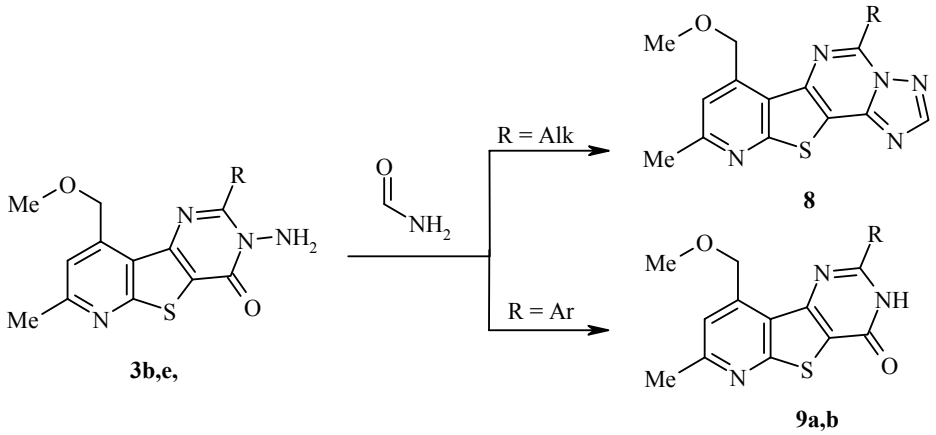


3c, **6**, **7a** R = *i*-Bu, **3e**, **7b** R = Ph, **3f**, **7c** R = 4-MeOC$_6$H$_4$,
DMTHF-dimethylhydrofuran

The structures of **6** and **7a-c** were in accord with the IR and ^{1}H NMR spectral data (Table 2).

The reactions of 3-aminopyridothienopyrimidinones **3** with formamide proceed through different pathways. Thus, heating pyrimidinone **3b** containing an *n*-butyl group at $C_{(2)}$ in formamide at reflux gives pyrido[3',2':4,5]thieno[2,3-*e*][1,2,4]triazolo[1,5-*c*]pyrimidine **8** in 57% yield. On the other hand, the reaction of tricyclic pyrimidinones **3e**,**f,** which have aromatic substituents, with formamide is accompanied by cleavage of the N–NH$_2$ bond, leading to colorless crystalline pyrimidinones **9a**,**b**, which are unsubstituted at $C_{(3)}$ and have melting points above 300°C.

Scheme 4



3b, 8 R = *n*-Bu, **3e, 9a** R = Ph, **3f, 9b** R = 4-MeOC$_6$H$_4$

The molecular masses of **8**, **9a**,**b** determined by mass spectrometry correspond to the calculated values. The ^{1}H NMR spectra of tetracyclic derivative **8** and pyrimidinones **9a**,**b** lack the signal for NH_2 group protons found for starting 3-aminopyrimidinones **3b,e**,**f**. The singlet with intensity 1H in the ^{1}H NMR spectrum of **8** was assigned to the CH fragment of the triazole ring (Table 2), while the singlet at 12.97-13.04 ppm in the spectra of **9a**,**b** was assigned to the pyrimidinone NH proton.

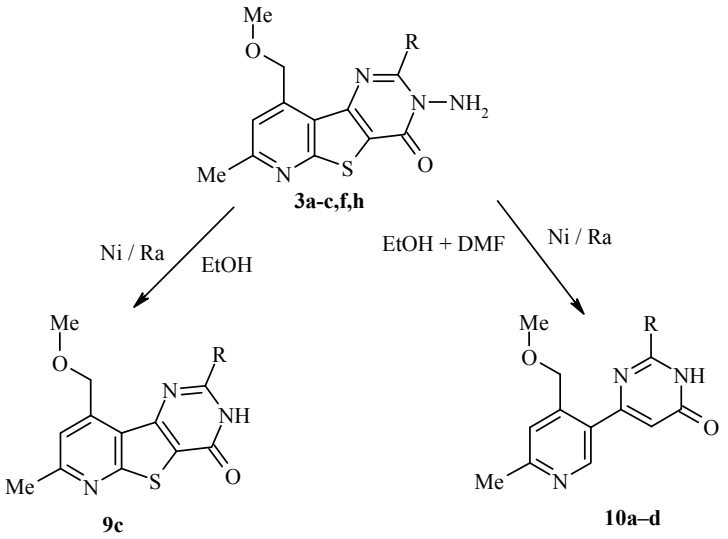
The desulfurization of dihydropyridothienopyrimidinones **3** using Raney nickel was studied for the preparation of 4-(3-pyridyl)-1,6-dihydro-6-pyrimidinones **10**. Pyrimidinone **9c** was obtained in 50% yield by this reaction according to Kadushkin et al. [10].

The ^{1}H NMR spectrum of **9c** has a broad singlet with intensity 1H at 12.78 ppm, which was assigned to the pyrimidine N–H proton. The mass spectrum of this compound has a molecular ion peak with mass 317, which is in accord with the calculated molecular mass.

Assuming that **9** is an intermediate in the formation of **10**, variation of the reaction conditions and time should provide the optimal conditions for the preparation of pyridyldihydropyrimidinones **10a-d**. The reductive desulfurization could be carried out with a ten-fold excess of Raney nickel, using a mixture of ethanol and DMF as the solvent and maintaining the temperature of the reaction mixture at 100-110°C.

The reaction of 3-amino-2-(4-nitrophenyl)-3,4-dihydropyridothienopyrimidinone **3h** with Raney nickel gives, as expected, reduction of the nitro group to an amino group to give 2-(4-aminophenyl)-4-(3-pyridyl)-1,6-dihydro-6-pyrimidinone **10d** in addition to cleavage of the N–NH_2 bond and desulfurization of the thiophene ring. The yield of pyrimidinones **10a-d** was 25-68%.

Scheme 5



3a, 10a R = Et, **3b, 9c** R = *n*-Bu, **3c, 10b** R = *i*-Bu, **3f, 10c** R = 4-$MeOC_6H_4$, **3h** R = 4-$O_2NC_6H_4$, **10d** R = 4-$H_2NC_6H_4$

The structure of **10a-d** was supported by the spectral data. The ^{1}H NMR spectra of these compounds have signals for all the protons expected for these structures. A singlet for the pyrimidine ring proton at $C_{(6)}$ is observed at 6.32-6.50 ppm, while the signal at 8.50-8.60 ppm was assigned to the pyridine ring proton at unsubstituted $C_{(2)}$. The broad signal at 12.35-12.71 ppm belongs to the pyrimidine NH fragment. The mass spectra of these compounds have molecular ion peaks with masses equal to the calculated molecular masses. The IR spectrum of **10d** has two amino group stretching bands at 3325-3190 cm^{-1}.

TABLE 2. Spectral Characteristics of the Compounds **2-10**

Compound	IR spectrum, ν, cm^{-1}		^{1}H NMR spectrum, δ, ppm (*J*,Hz)
	NH	C=O	
1	2	3	4
2a	3280	1710, 1680	1.00 (3H, t, *J* = 7.2, $NHCOCH_2C\underline{H}_3$); 1.16 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 1.30 (2H, q, *J* = 7.2, $NHCO\underline{H}_2CH_3$); 2.62 (3H, s, CH_3-Py); 3.40 (3H, s, OCH_3); 4.30 (2H, q, *J* = 7.1, $COO\underline{H}_2CH_3$); 4.87 (2H, s, CH_2O); 7.40 (1H, s, H_{Py}); 9.66 (1H, br. s, NH)
2b	3280	1720, 1665	0.94 (3H, t, *J* = 6.7, $NHCOC_3H_6C\underline{H}_3$); 1.29 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 1.40 (2H, m, $NHCOC_2H_4C\underline{H}_2CH_3$); 1.65 (2H, m, $NHCOCH_2C\underline{H}_2C_2H_5$); 2.38 (2H, t, *J* = 7.0, $NHCOC\underline{H}_2C_3H_7$); 2.62 (3H, s, CH_3-Py); 3.40 (3H, s, OCH_3); 4.29 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.89 (2H, s, CH_2O); 7.40 (1H, s, H_{Py}); 9.73 (1H, br. s, NH)
2c	3285	1700, 1665	1.00 (6H, d, *J* = 6.1, $COCH_2CH(C\underline{H}_3)_2$); 1.30 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.14 (1H, m, $COCH_2C\underline{H}(CH_3)_2$); 2.28 (2H, d, *J* = 6.5, $COC\underline{H}_2C_3H_7$); 2.62 (3H, s, CH_3-Py); 3.40 (3H, s, OCH_3); 4.29 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.87 (2H, s, CH_2O); 7.40 (1H, s, H_{Py}); 9.68 (1H, br. s, NH)
2d	3225	1710, 1670	1.15 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.64 (3H, s, CH_3-Py); 3.34 (3H, s, OCH_3); 4.23 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.85 (2H, s, CH_2O); 6.76 (1H, m, H_{Fur}-4); 7.37 (1H, m, H_{Fur}-3); 7.44 (1H, s, H_{Py}); 8.00 (1H, m, H_{Fur}-5); 9.73 (1H, br. s, NH)
2e	3210	1720 1680	1.15 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.63 (3H, s, CH_3-Py); 3.34 (3H, s, OCH_3); 4.26 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.87 (2H, s, CH_2O); 7.43 (1H, s, H_{Py}); 7.59 (1H, m, H_{Ar}-4); 7.65 (2H, dd, ^3J = 7.4, ^4J = 1.0, H_{Ar}-3,5); 8.03 (2H, d, *J* = 7.4, H_{Ar}-2,6); 10.37 (1H, br. s, NH)
2f	3320	1680, 1640	1.19 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.54 (3H, s, CH_3-Py); 3.30 (3H, s, OCH_3); 4.02 (3H, s, $C_6H_4OC\underline{H}_3$); 4.25 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.90 (2H, s, CH_2O); 7.13 (1H, m, H_{Ar}-4); 7.25 (1H, d, *J* = 8.3, H_{Ar}-3); 7.42 (1H, s, H_{Py}); 7.58 (1H, m, H_{Ar}-5); 7.92 (1H, d, *J* = 7.8, H_{Ar}-6); 10.17 (1H, br. s, NH)
2g	3240	1715, 1655	1.16 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.64 (3H, s, CH_3-Py); 3.32 (3H, s, OCH_3); 3.88 (3H, s, $C_6H_4OC\underline{H}_3$); 4.25 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.86 (2H, s, CH_2O); 7.12 (2H, d, *J* = 8.5, H_{Ar}-3,5); 7.43 (1H, s, H_{Py}); 8.02 (2H, d, *J* = 8.5, H_{Ar}-2,6); 10.20 (1H, br. s, NH)
2h	3160	1700, 1650	1.29 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.66 (3H, s, CH_3-Py); 3.42 (3H, s, OCH_3); 4.84 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 5.07 (2H, s, CH_2O); 7.48 (1H, s, H_{Py}); 7.83(1H, m, H_{Ar}-4); 7.98 (1H, m, H_{Ar}-5); 8.11 (2H, m, H_{Ar}-3,6); 10.72 (1H, br. s, NH)
2i	3160	1685, 1640	1.15 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.62 (3H, s, CH_3-Py); 3.30 (3H, s, OCH_3); 4.23 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.82 (2H, s, CH_2O); 7.42 (1H, s, H_{Py}); 8.22 (2H, d, *J* = 8.5, H_{Ar}-2,6); 8.42 (2H, d, *J* = 8.5, H_{Ar}-3,5); 10.68 (1H, br. s, NH)
2j	3140	1725 1640	1.27 (3H, t, *J* = 7.1, $COOCH_2C\underline{H}_3$); 2.50 (3H, s, $C_6H_4C\underline{H}_3$); 2.64 (3H, s, CH_3-Py); 3.35 (3H, s, OCH_3); 4.32 (2H, q, *J* = 7.1, $COOC\underline{H}_2CH_3$); 4.97 (2H, s, CH_2O); 7.36-7.45 (4H, m, H_{Py}, H_{Ar}-3,4,5); 7.79 (1H, d, *J* = 7.4, H_{Ar}-6); 10.18 (1H, br. s, NH)
3a	3295, 3210	1660	1.35 (3H, t, *J* = 7.4, $CH_2C\underline{H}_3$); 2.40 (3H, s, CH_3-Py); 3.06 (2H, q, *J* = 7.4, $C\underline{H}_2CH_3$); 3.50 (3H, s, OCH_3); 5.25 (2H, s, CH_2O); 5.66 (2H, s, NH_2); 7.40 (1H, s, H_{Py})
3b	3320, 3215	1665	0.98 (3H, t, *J* = 6.7, $C_3H_6C\underline{H}_3$); 1.48 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.84 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.64 (3H, s, CH_3-Py); 3.03 (2H, t, *J* = 7.0, $C\underline{H}_2C_3H_7$); 3.49 (3H, s, OCH_3); 5.21 (2H, s, CH_2O); 5.93 (2H, s, NH_2); 7.46 (1H, s, H_{Py})
3c	3315, 3225	1655	1.06 (6H, d, *J* = 6.1, $CH_2CH(C\underline{H}_3)_2$); 2.40 (1H, m, $CH_2C\underline{H}(CH_3)_2$); 2.65 (3H, s, CH_3-Py); 2.92 (2H, d, *J* = 6.5, $C\underline{H}_2C_3H_7$); 3.49 (3H, s, OCH_3); 5.22 (2H, s, CH_2O); 5.94 (2H, s, NH_2); 7.40 (1H, s, H_{Py})

TABLE 2. (continued)

1	2	3	4
3d	3325, 3215	1660	2.67 (3H, s, CH_3-Py); 3.57 (3H, s, OCH_3); 5.31 (2H, s, CH_2O); 6.02 (2H, s, NH_2); 6.69 (1H, m, H_{Fur}-4); 7.45 (1H, s, H_{Py}); 7.80 (1H, m, H_{Fur}-3); 7.87 (1H, m, H_{Fur}-5)
3e	3325, 3230	1670	2.67 (3H, s, CH_3-Py); 3.44 (3H, s, OCH_3); 5.20 (2H, s, CH_2O); 5.90 (2H, s, NH_2); 7.48 (1H, s, H_{Py}); 7.55 (3H, m, H_{Ar}-3,4,5); 7.96 (2H, d, J = 7.4, H_{Ph}-2,6)
3f	3265, 3185	1665	2.66 (3H, s, CH_3-Py); 3.46 (3H, s, OCH_3); 3.82 (3H, s, $C_6H_4OC\underline{H}_3$); 5.23 (2H, s, CH_2O); 5.94 (2H, s, NH_2); 7.19 (2H, d, J = 8.5, H_{Ar}-3,5); 7.50 (1H, s, H_{Py}); 8.02 (2H, d, J = 8.5, H_{Ar}-2,6)
3g	3305, 3170	1670	2.68 (3H, s, CH_3-Py); 3.40 (3H, s, OCH_3); 5.09 (2H, s, CH_2O); 5.85 (2H, s, NH_2); 7.52 (1H, s, H_{Py}); 7.85–8.01 (3H, m, H_{Ar}-3,4,5); 8.27 (1H, d, J = 8.0, H_{Ar}-6)
3h	3285 3190	1690	2.68 (3H, s, CH_3-Py); 3.40 (3H, s, OCH_3); 5.20 (2H, s, CH_2O); 5.93 (2H, s, NH_2); 7.54 (1H, s, H_{Py}); 8.26 (2H, d, J = 8.5, H_{Ar}-2,6); 8.40 (2H, d, J = 8.5, H_{Ar}-3,5)
4	3405, 3370, 3315	1650 1590	2.58 (3H, s, CH_3-Py); 3.39 (3H, s, OCH_3); 3.93 (3H, s, $C_6H_4OC\underline{H}_3$); 4.85 (2H, s, CH_2O); 6.98 (2H, br. s, NH_2); 7.09 (1H, m, H_{Ar}-4); 7.18 (1H, d, J = 8.4, H_{Ar}-3); 7.26 (1H, s, H_{Py}); 7.53 (1H, m, H_{Ar}-5); 7.79 (1H, d, J = 7.8, H_{Ar}-6); 9.82 (2H, br. s, NH–NH)
5a	—	1670	0.94 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.45 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.81 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.43 (3H, s, $C_6H_4C\underline{H}_3$); 2.68 (3H, s, CH_3-Py); 2.90 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.52 (3H, s, OCH_3); 5.25 (2H, s, CH_2O); 7.42 (2H, d, J = 7.9, H_{Ar}-3,5); 7.53 (1H, s, H_{Py}); 7.87 (2H, d, J = 7.9, H_{Ar}-2,6); 8.92 (1H, s, N=CH)
5b	—	1670	0.94 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.45 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.81 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.66 (3H, s, CH_3-Py); 2.89 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.51 (3H, s, OCH_3); 3.88 (3H, s, $C_6H_4OC\underline{H}_3$); 5.25 (2H, s, CH_2O); 7.14 (2H, d, J = 8.5, H_{Ar}-3,5); 7.51 (1H, s, H_{Py}); 7.92 (2H, d, J = 8.5, H_{Ar}-2,6); 8.85 (1H, s, N=CH)
5c	—	1670	0.93 (3H, d, J = 6.1, $C_3H_6C\underline{H}_3$); 1.37 (3H, t, J = 7.1, $C_6H_4OCH_2C\underline{H}_3$); 1.45 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.80 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.65 (3H, s, CH_3-Py); 2.89 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.50 (3H, s, OCH_3); 4.16 (2H, q, J = 7.1, $C_6H_4OC\underline{H}_2CH_3$); 5.25 (2H, s, CH_2O); 7.11 (2H, d, J = 8.5, H_{Ar}-3,5); 7.49 (1H, s, H_{Py}); 7.90 (2H, d, J = 8.5, H_{Ar}-2,6); 8.84 (1H, s, N=CH)
5d	—	1670	0.95 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.48 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.81 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.67 (3H, s, CH_3-Py); 2.97 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.51 (3H, s, OCH_3); 5.25 (2H, s, CH_2O); 7.52 (1H, s, H_{Py}); 8.23 (2H, d, J = 8.5, H_{Ar}-2,6); 8.39 (2H, d, J = 8.5, H_{Ar}-3,5); 9.27 (1H, s, N=CH)
5e	3340	1670, 1610	0.93 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.41 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.78 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.08 (3H, s, $NHCOC\underline{H}_3$); 2.63 (3H, s, CH_3-Py); 2.86 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.48 (3H, s, OCH_3); 5.21 (2H, s, CH_2O); 7.48 (1H, s, H_{Py}); 7.77 (2H, d, J = 7.9, H_{Ar}-3,5); 7.88 (2H, d, J = 7.9, H_{Ar}-2,6); 8.82 (1H, s, N=CH); 10.28 (1H, s, $\underline{NH}COCH_3$)
5f	—	1670	0.95 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.44 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.80 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.66 (3H, s, CH_3-Py); 2.87 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.06 (6H, s, $N(C\underline{H}_3)_2$); 3.52 (3H, s, OCH_3); 5.24 (2H, s, CH_2O); 6.84 (2H, d, J = 8.5, H_{Ar}-3,5); 7.49 (1H, s, H_{Py}); 7.75 (2H, d, J = 8.5, H_{Ar}-2,6); 8.64 (1H, s, N=CH)
5g	—	1660	0.94 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.44 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.80 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.63 (3H, s, CH_3-Py); 2.92 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.49 (3H, s, OCH_3); 5.23 (2H, s, CH_2O); 7.48 (1H, s, H_{Py}); 7.80 (1H, d, J = 8.9, H_{Ar}-5); 7.91 (1H, d, J = 8.9, H_{Ar}-6); 8.13 (1H, s, H_{Ar}-2); 9.08 (1H, s, N=CH)
5h	—	1680	0.92 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.43 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.76 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.64 (3H, s, CH_3-Py); 2.86 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.48 (3H, s, OCH_3); 5.20 (2H, s, CH_2O); 7.48 (1H, s, H_{Py}); 7.78 (2H, d, J = 8.5, H_{Ar}-2,6); 7.89 (2H, d, J = 8.5, H_{Ar}-3,5); 8.99 (1H, s, N=CH)

TABLE 2. (continued)

1	2	3	4
5i	—	1660	0.96 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.45 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.78 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.65 (3H, s, CH_3-Py); 2.86 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.50 (3H, s, OCH_3); 5.19 (2H, s, CH_2O); 6.99 (1H, d, J = 8.3, H_{Ar}-3); 7.48 (1H, s, H_{Py}); 7.61 (1H, d, J = 8.3, H_{Ar}-4); 8.06 (1H, s, H_{Ar}-6); 9.11 (1H, s, N=CH); 10.90 (1H, s, OH)
5j	—	1665	0.93 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.42 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.78 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.62 (3H, s, CH_3-Py); 2.87 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.48 (3H, s, OCH_3); 5.17 (2H, s, CH_2O); 6.20 (2H, s, OCH_2O); 7.42 (1H, s, H_{Py}); 7.45 (1H, s, H_{Ph}-6); 7.62 (1H, s, H_{Ph}-3); 9.15(1H, s, N=CH)
6	—	1725, 1700, 1670	1.07 (6H, d, J = 6.1, $CH_2CH(C\underline{H}_3)_2$); 2.41 (7H, m, $CH_2C\underline{H}(CH_3)_2$, $N(COC\underline{H}_3)_2$); 2.63 (2H, d, J = 6.5, $C\underline{H}_2C_3H_7$); 2.69 (3H, s, CH_3-Py); 3.50 (3H, s, OCH_3); 5.26 (2H, s, CH_2O); 7.57 (1H, s, H_{Py})
7a	—	1675	0.98 (6H, d, J = 6.1, $CH_2CH(C\underline{H}_3)_2$); 2.31 (3H, m, $C\underline{H}_2C\underline{H}(CH_3)_2$); 2.69 (3H, s, CH_3-Py); 3.50 (3H, s, OCH_3); 5.25 (2H, s, CH_2O); 6.28 (2H, m, H_β pyrrole); 7.10 (1H, s, H_{Py}); 7.55 (2H, m, H_α pyrrole)
7b	—	1690	2.71 (3H, s, CH_3-Py); 3.33 (3H, s, OCH_3); 5.20 (2H, s, CH_2O); 6.02 (2H, m, H_β pyrrole); 7.03 (2H, m, H_α pyrrole); 7.36 (2H, m, H_{Ar}-3,5); 7.44 (1H, m, H_{Ar}-4); 7.58 (2H, d, J = 7.4, H_{Ar}-2,6); 7.60 (1H, s, H_{Py})
7c	—	1690	2.70 (3H, s, CH_3-Py); 3.46 (3H, s, OCH_3); 3.78 (3H, s, $C_6H_4OC\underline{H}_3$); 5.24 (2H, s, CH_2O); 6.10 (2H, m, H_β pyrrole); 6.90 (2H, d, J = 8.5, H_{Ar}-3,5); 7.03 (2H, m, H_α pyrrole); 7.52 (2H, d, J = 8.5, H_{Ar}-2,6); 7.57 (1H, s, H_{Py})
8	—	—	0.96 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.43 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.79 (2H, m, $CH_2C\underline{H}_2C_2H_5$); 2.63 (3H, s, CH_3-Py); 3.00 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.48 (3H, s, OCH_3); 5.19 (2H, s, CH_2O); 5.94 (1H, s, N=CH); 7.45 (1H, s, H_{Py})
9a	—	1620	2.67 (3H, s, CH_3-Py); 3.51 (3H, s, OCH_3); 5.33 (2H, s, CH_2O); 7.51 (1H, s, H_{Py}); 7.60 (3H, m, H_{Ar}-3,4,5); 8.23 (2H, d, J = 8.5, H_{Ar}-2,6); 13.04 (1H, br. s, NH)
9b	—	1625	2.68 (3H, s, CH_3-Py); 3.55 (3H, s, OCH_3); 3.89 (3H, s, $C_6H_4OC\underline{H}_3$); 5.36 (2H, s, CH_2O); 7.15 (2H, d, J = 8.5, H_{Ar}-3,5); 7.52 (1H, s, H_{Py}); 8.24 (2H, d, J = 8.5, H_{Ar}-2,6); 12.97 (1H, br. s, NH)
9c	—	1690	0.95 (3H, t, J = 6.7, $C_3H_6C\underline{H}_3$); 1.40 (2H, m, $C_2H_4C\underline{H}_2CH_3$); 1.79 (2H, m, $CH_2C\underline{H}_2C_2H_7$); 2.65 (3H, s, CH_3-Py); 2.74 (2H, t, J = 7.0, $C\underline{H}_2C_3H_7$); 3.50 (3H, s, OCH_3); 5.22 (2H, s, CH_2O); 7.49 (1H, s, H_{Py}); 12.78 (1H, br. s, NH)
10a	—	1665	1.21 (3H, t, J = 7.4, $CH_2C\underline{H}_3$); 2.50 (1H, s, CH_3-Py); 2.61 (2H, q, J = 7.4, $C\underline{H}_2CH_3$); 3.32 (3H, s, OCH_3); 4.63 (2H, s, CH_2O); 6.40 (1H, s, H-6 pyrimidinone); 7.40 (1H, s, H_{Py}-5); 8.50 (1H, s, H_{Py}-2); 12.51 (1H, br. s, NH)
10b	—	1660	0.95 (6H, m, $CH_2CH(C\underline{H}_3)_2$); 2.17 (1H, m, $CH_2C\underline{H}C_2H_6$); 2.48 (2H, m, $C\underline{H}_2C_3H_7$); 2.51 (1H, s, CH_3-Py); 3.32 (3H, s, OCH_3); 4.10 (2H, s, CH_2O); 6.39 (1H, s, H-6 pyrimidinone); 7.40 (1H, s, H_{Py}-5); 8.50 (1H, s, H_{Py}-2); 12.57 (1H, br. s, NH)
10c	—	1670	2.55 (1H, s, CH_3-Py); 3.30 (3H, s, OCH_3); 3.85 (3H, s, $C_6H_4OC\underline{H}_3$); 4.70 (2H, s, CH_2O); 6.50 (1H, s, H-6 pyrimidinone); 7.10 (2H, d, J = 8.5, H_{Ar}-3,5); 7.44 (1H, s, H_{Py}-5); 8.19 (2H, d, J = 8.5, H_{Ar}-2,6); 8.60 (1H, s, H_{Py}-2); 12.71 (1H, br. s, NH)
10d	3325, 3190	1630	2.53 (1H, s, CH_3-Py); 3.31 (3H, s, OCH_3); 4.69 (2H, s, CH_2O); 5.95 (2H, s, NH_2); 6.32 (1H, s, H-6 pyrimidinone); 6.62 (2H, d, J = 8.5, H_{Ar}-3,5); 7.41 (1H, s, H_{Py}-5); 7.92 (2H, d, J = 8.5, H_{Ar}-2,6); 8.58 (1H, s, H_{Py}-2); 12.35 (1H, br. s, NH)

EXPERIMENTAL

The IR spectra were taken on a Specord IR-75 spectrometer at room temperature for vaseline mulls. The ^{1}H NMR spectra were taken on a Bruker DRX 500 spectrometer at 500 MHz in DMSO-d_6 with TMS as the

internal standard. The mass spectra were taken on a Varian CH-6 mass spectrometer with direct sample inlet into the ionization chamber at 50-180°C and 70 eV ionizing voltage. The elemental analysis of the products was carried out on a Carlo-Erba 1106 analyzer.

Ethyl Ester of 3-Ethylcarboxamido-4-methoxymethyl-6-methylthieno[2,3-*b*]pyridine-2-carboxylic Acid (2a). Toluene (50 ml) was added to ester **1** (5 g, 17.8 mmol). The mixture was heated until the ester dissolved completely and propionyl chloride (1.7 g, 19.6 mmol) was added. The mixture was heated at reflux for 1 h. The solvent was evaporated almost to dryness. The precipitate formed was filtered off, washed with 3% aqueous Na_2CO_3 and water until pH 7, and dried in the air. The product was recrystallized from 2-propanol.

Esters 2b-j were obtained analogously. The end of the reaction in each specific case was monitored by thin-layer chromatography using 1:1 acetone-hexane as the eluent until the spot for starting ester **1** disappeared.

3-Amino-2-ethyl-9-methoxymethyl-7-methyl-3,4-dihydropyrido[2',3':4,5]thieno[3,2-*d*]pyrimidin-4-one (3a). Hydrazine hydrate (2.1 ml, 44.5 mmol) was added to a solution of amide **2a** (3 g, 8.9 mmol) in ethanol (30 ml) at reflux. The reaction was complete in 1 h. The reaction mixture was cooled to room temperature. The precipitate was separated off, washed with water, and dried in the air to give **3a** (1.71 g). The filtrate after separation of the precipitate was poured into 150 ml water. The crystals formed were filtered off, washed with water, and dried. The fractions were combined and recrystallized from 10:3 (v/v) 2-propanol-DMF.

3-Amino-3,4-dihydropyridothienopyrimidinones 3b-h were obtained analogously by the same reaction using 2-propanol as the solvent for **3b,c** and 1-butanol as the solvent for **3f**. The end of the reaction was determined by thin-layer chromatography using 10:3 toluene-ethanol as the eluent relative to the consumption of **2a**.

N^2-(2-Methoxybenzoyl)-3-amino-4-methoxymethyl-6-methylthieno[2,3-*b*]pyridine-2-carbohydrazide (4) was obtained analogously to pyrimidinones **3**.

2-Butyl-9-methoxymethyl-7-methyl-3-[(*E*)-1-(4-methylphenyl)methylideneamino]-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-one (5a). 4-Methylbenzaldehyde (0.35 ml, 3.0 mmol) was added to a solution of 3-aminopyrimidinone **3b** (1 g, 3.0 mmol) in toluene (25 ml). The reaction mixture was heated at reflux for 7 h and monitored by thin-layer chromatography using 20:3 toluene–ethanol as the eluent. The colorless crystalline precipitate formed upon cooling to room temperature was filtered off, washed with ethanol, and dried. The product was recrystallized from 1:1 2-propanol-DMF.

Pyrimidinones 5b-j were obtained analogously. The reaction times ranged from 2 to 7.5 h.

N-Acetyl-N-(2-isobutyl-9-methoxymethyl-7-methyl-4-oxo-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]-pyrimidin-4-yl)acetamide (6). A solution of **3c** (0.7 g, 2.1 mmol) in acetic anhydride (5 ml) was heated at reflux for 6 h. After cooling to room temperature, the reaction mixture was poured into 50 ml cold water. The solution was extracted with three 50-ml portions of ethyl acetate. The extract was maintained over Na_2SO_4 and filtered. The solvent was evaporated. The crystalline product was recrystallized from 2-propanol.

2-Isobutyl-9-methoxymethyl-7-methyl-3-(1-pyrrolyl)-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-one (7a). A mixture of 3-aminopyrimidinone **3c** (1 g, 3.0 mmol) and acetic acid (5 ml) was heated with stirring. 2,5-Dimethoxytetrahydrofuran (0.47 ml, 3.6 mmol) was added to the solution at reflux. The reaction mixture was heated at reflux for 2.5 h, cooled, and poured into 100 ml ice water. The precipitate formed was filtered off, washed with water until the wash water was neutral, and dried in the air. The product was recrystallized from 3:1 (v/v) 2-propanol–DMF.

Pyrimidinones 7b,c were synthesized analogously. In the synthesis of pyrrole **7b**, the volume of acetic acid per gram starting amine **3e** was 30 ml. A 10:1 mixture of acetic acid and DMF was used as the solvent in the preparation of **7c**.

5-Butyl-7-methoxymethyl-9-methylpyrido[3',2':4,5]thieno[2,3-*e*][1,2,4]triazolo[1,5-*c*]pyrimidine (8). A mixture of pyrimidine **3b** (0.8 g, 2.4 mmol) and formamide (10 ml) was heated at reflux for 4.5 h. After cooling, the precipitate formed was filtered off, washed with water, and dried. The product was recrystallized from petroleum ether (70-100°C).

Pyrimidin-4-ones 9a,b were obtained analogously but recrystallized from 2-propanol.

2-Butyl-9-methoxymethyl-7-methyl-3,4-dihydropyrido[3',2':4,5]thieno[3,2-*d*]pyrimidin-4-one (9c). A suspension of Raney nickel in ethanol was added in small portions over 2 h with vigorous stirring to a solution of 3-aminopyrimidine **3a** (0.5 g, 1.5 mmol) in ethanol (30 ml) at reflux. The mixture was heated at reflux for 11 h with monitoring by thin-layer chromatography using 20:3 toluene–ethanol. Raney nickel was separated. The mixture was cooled to room temperature. The colorless crystalline precipitate was filtered off, washed with water, and dried in the air. The product was recrystallized from ethanol.

4-(4-Methoxymethyl-6-methyl-3-pyridyl)-2-(4-methoxyphenyl)-1,6-dihydropyrimidin-6-one (10c). Starting pyridothienopyrimidinone **3f** (0.7 g, 1.8 mmol) was dissolved in 1:1 (v/v) ethanol–DMF (30 ml) at reflux. Then, suspension Raney nickel (10 g) in 1:1 ethanol–DMF was added into the solution at reflux. The reaction mixture was heated at reflux for 10.5 h and cooled. Raney nickel was separated. The mixture was evaporated to 1/5 of the original volume. The colorless crystalline precipitate was filtered off and washed with water. The filtrate was poured into water. The precipitate formed was separated, combined with the first fraction, and dried in the air. The product was recrystallized from 2-propanol.

Pyrimidinones 10a,b,d were synthesized analogously.

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