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SYNTHESIS OF NOVEL 5-PIPERIDYL-SUBSTITUTED 7-HYDROXY-3H-1,2,3-TRIAZOLO[4,5-*d*]PYRIMIDINES

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A series of 8-azapurin-6-ones having a piperidine substituent at position 5 has been synthesized from pipecolinate esters, benzylazides, and cyanoacetamide.

Keywords: 8-azapurin-6-one, benzylazides, piperidine, triazole, dopamine receptors.

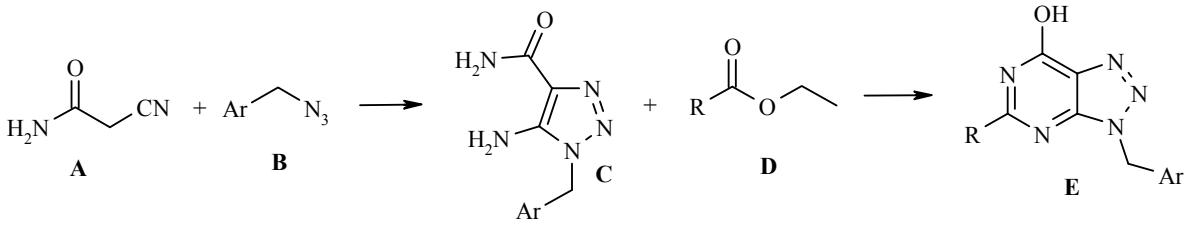
In the last 20 years a large number of different analogs of natural nucleosides have been prepared. Many of the analogous compounds have specific antiviral, anticancer [1], and antihistamine activity [2]. Hence, for example, 9-(2,3-dihydroxypropyl)adenine and 9-(2-hydroxyethoxymethyl)guanine (acyclovir, Zovirax®) are able to inhibit DNA and RNA viral replication and have selective activity against *Herpes Simplex I* and *II* respectively [3, 4].

There are many examples in the literature of biologically active compounds in which a pyrimidine fragment is C–C bonded with a piperidine residue [5-7], in fact with 3- and 4-piperidinecarboxylic acid residues.

A piperidine fragment gives a basic character to the compounds obtained and, along with a pyrimidine fragment, can take part in the formation of hydrogen bonds between the purine bases of RNA and DNA. Similar derivatives can also serve as ligands for dopamine receptors and, thus, can be used for treatment of dysfunctions associated with the dopamine system such as schizophrenia, Parkinson's disease, depression, and the side effects of treatment with neuroleptics etc.

For the preparation of the azapurine ring from 4-amino-3-benzyl-5-carboxamide the method was used that given in [6] and based on construction of a pyrimidine fragment through a three component reaction.

The reaction between the cyanoacetamide **A** and the benzylazide **B** forms the intermediate 4-amino-3-benzyltriazole-5-carboxamide **C** which then reacts with ester component **D** to give the condensed azapurine system **E**.



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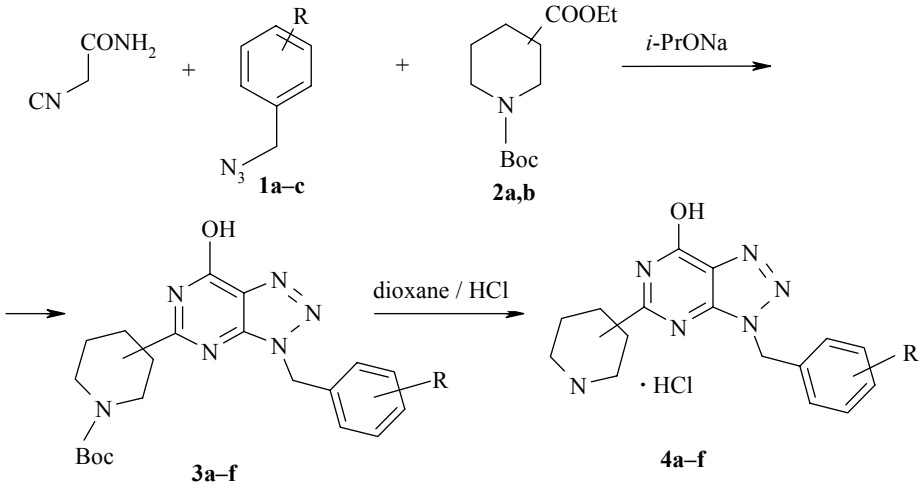
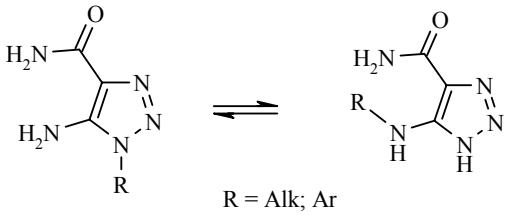
The BOC-protected ethyl piperidinecarboxylates (ethyl nipecotate and ethyl isonipecotate) **2a,b** were used as the ester component.



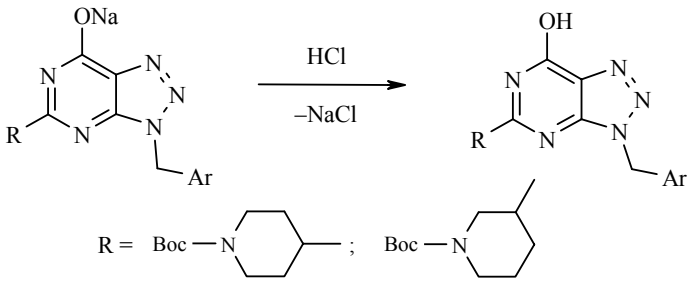
Starting compounds		Reaction products
1	**2**	**3, 4**
a R = 2-Cl	**a** 4-CO_2Et	**a** R = 2-Cl, 4-piperidyl
	b 3-CO_2Et	**b** R = 2-Cl, 3-piperidyl
b R = H	**a** 4-CO_2Et	**c** R = H, 4-piperidyl
	b 3-CO_2Et	**d** R = H, 3-piperidyl
c R = 4-F	**a** 4-CO_2Et	**e** R = 4-F, 4-piperidyl
	b 3-CO_2Et	**f** R = 4-F, 3-piperidyl

According to data in [6] benzylazides are suitable azide-containing components. Because of the steric hindrance brought about by the benzyl substituents a possible Dimroth rearrangement, which occurs in the case of usual alkyl and aryl substituents, was excluded.



The benzylazides **1a-c** were prepared by the reaction of the corresponding benzyl chlorides with sodium azide and they were used in subsequent reactions without further purification. It was found that the highest yields of benzylazides were achieved when carrying out the reaction between the components over 4-5 h in a mixture of acetone and acetonitrile. In the preparation of the benzylazides it is also possible to use other polar solvents such as DMF and DMSO but, in this case, the yields of benzylazides are markedly reduced.

The N-BOC derivatives **3a-f** were separated from the reaction mixture by acidification with hydrochloric acid. It should be born in mind that too powerful acidification leads to removal of the protecting group and loss of the target material:



Removal of the BOC protection was carried out in dioxane saturated with hydrogen chloride (refluxing for 2 h) since use of another known method (in trifluoroacetic acid) did not give the desired result [8].

TABLE 1. Analytical Data for the Compounds Synthesized

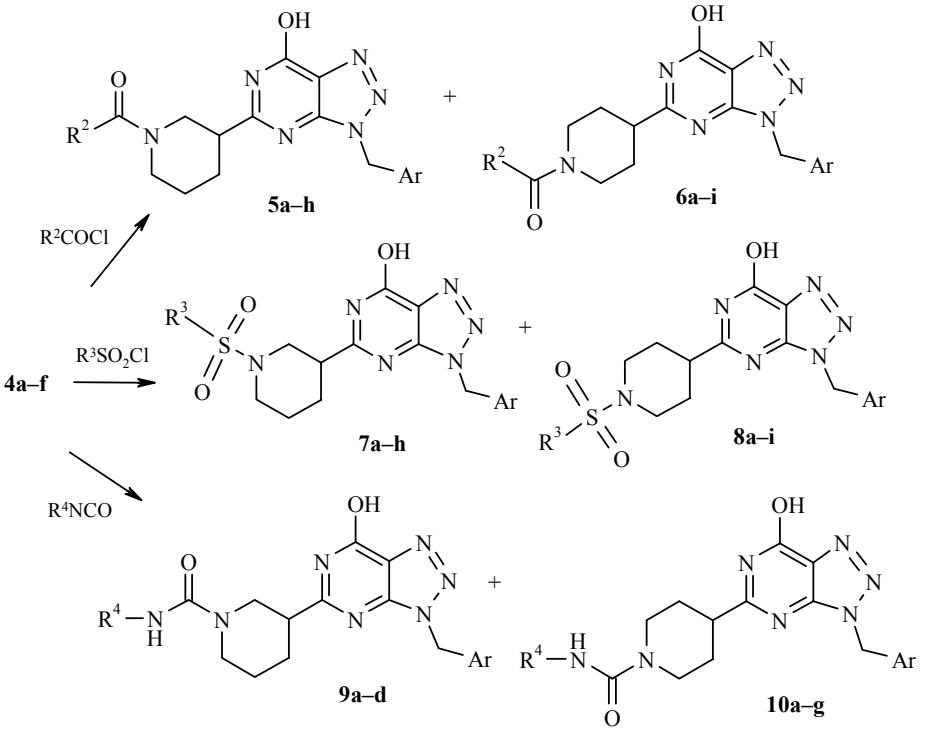
Compound	Empirical formula	Found, % / Calculated, %			Mass spectrum[M+1], *m/z**
		C	H	N	
1	2	3	4	5	6
4a	$C_{16}H_{17}ClN_6O\cdot HCl$	50.90 / 50.41	4.72 / 4.76	22.21 / 22.04	345
4b	$C_{16}H_{18}N_6O\cdot HCl$	55.88 / 55.41	5.40 / 5.52	24.39 / 24.23	311
4c	$C_{16}H_{17}FN_6O\cdot HCl$	52.91 / 52.68	4.99 / 4.97	23.22 / 23.04	329
4d	$C_{16}H_{17}ClN_6O\cdot HCl$	50.90 / 50.41	4.80 / 4.76	22.23 / 22.04	345
4e	$C_{16}H_{18}N_6O\cdot HCl$	55.89 / 55.41	5.41 / 5.52	24.02 / 24.23	311
4f	$C_{16}H_{17}FN_6O\cdot HCl$	52.25 / 52.68	5.10 / 4.97	23.20 / 23.04	329
5a	$C_{22}H_{21}ClN_6O_2S$	56.81 / 56.35	4.41 / 4.51	17.79 / 17.92	470
5b	$C_{24}H_{23}ClN_6O_2$	62.53 / 62.27	5.10 / 5.01	18.35 / 18.15	463.9
5c	$C_{20}H_{21}ClN_6O_2$	58.42 / 58.18	5.01 / 5.13	20.53 / 20.35	413.8
5d	$C_{20}H_{22}N_6O_2$	63.10 / 63.48	5.79 / 5.86	22.39 / 22.21	379.5
5e	$C_{21}H_{20}ClN_6O_2S$	59.51 / 59.98	4.89 / 4.79	20.19 / 19.99	421.3
5f	$C_{23}H_{19}F_3N_6O_2$	58.51 / 58.97	4.00 / 4.09	17.75 / 17.94	469.3
5g	$C_{21}H_{23}FN_6O_2$	61.05 / 61.45	5.75 / 5.65	20.68 / 20.47	411.3
5h	$C_{22}H_{21}FN_6O_2S$	58.10 / 58.39	4.77 / 4.68	18.40 / 18.57	453.6
6a	$C_{21}H_{23}ClN_6O_2$	59.51 / 59.08	5.31 / 5.43	19.50 / 19.69	428
6b	$C_{21}H_{19}ClN_6O_2S$	55.89 / 55.44	4.10 / 4.21	18.32 / 18.47	456
6c	$C_{23}H_{21}ClN_6O_2$	61.74 / 61.54	4.62 / 4.72	18.58 / 18.72	450
6d	$C_{24}H_{24}N_6O_2$	67.30 / 67.27	5.59 / 5.65	19.79 / 19.61	429.7
6e	$C_{20}H_{22}N_6O_2$	63.90 / 63.48	5.80 / 5.86	22.04 / 22.21	379.5
6f	$C_{23}H_{22}N_6O_2$	66.85 / 66.65	5.45 / 5.35	20.42 / 20.28	415.3

TABLE 1 (continued)

1	2	3	4	5	6
6g	$C_{22}H_{27}FN_6O_2$	61.75 61.96	6.47 6.38	19.88 19.70	427.5
6h	$C_{20}H_{21}FN_6O_2$	60.10 60.60	5.22 5.34	21.00 21.20	397.6
6i	$C_{23}H_{21}FN_6O_2$	63.44 63.88	4.81 4.89	19.61 19.43	433.6
7a	$C_{22}H_{20}ClFN_6O_3S$	52.91 52.54	3.94 4.01	16.60 16.71	504
7b	$C_{22}H_{20}Cl_2N_6O_3$	50.54 50.87	3.80 3.88	16.37 16.18	520.3
7c	$C_{17}H_{19}ClN_6O_3S$	48.72 48.28	4.40 4.53	19.70 19.87	424
7d	$C_{23}H_{24}N_6O_3S$	59.00 59.47	5.28 5.21	18.27 18.09	465.6
7e	$C_{22}H_{22}N_6O_3S$	58.24 58.65	4.80 4.92	18.93 18.65	451.6
7f	$C_{17}H_{20}N_6O_3S$	52.94 52.57	5.10 5.19	21.42 21.63	389.6
7g	$C_{24}H_{23}FN_6O_5S$	54.54 54.75	4.49 4.40	15.78 15.96	527.7
7h	$C_{22}H_{20}ClFN_6O_3S$	52.11 52.54	4.10 4.01	16.50 16.71	504
8a	$C_{22}H_{20}Cl_2N_6O_3S$	50.43 50.87	3.80 3.88	16.00 16.18	520.5
8b	$C_{23}H_{23}ClN_6O_3S$	55.91 55.49	4.45 4.36	17.50 17.33	486.1
8c	$C_{23}H_{23}ClN_6O_3S$	55.74 55.36	4.56 4.65	16.70 16.84	500.1
8d	$C_{23}H_{24}N_6O_3S$	59.10 59.47	5.30 5.21	18.29 18.09	465.6
8e	$C_{22}H_{21}ClN_6O_3S$	54.90 54.49	4.30 4.36	17.21 17.33	485.9
8f	$C_{22}H_{22}N_6O_3S$	58.20 58.65	5.05 4.92	18.85 18.65	451.6
8g	$C_{23}H_{23}FN_6O_3S$	57.73 57.25	4.71 4.80	17.30 17.42	483.5
8h	$C_{23}H_{23}FN_6O_3S$	57.61 57.25	4.69 4.80	17.21 17.42	483.6
8i	$C_{23}H_{23}FN_6O_4S$	55.00 55.41	4.58 4.65	16.70 16.86	499.6
9a	$C_{23}H_{28}ClN_7O_2$	58.43 58.78	6.10 6.01	20.71 20.86	471
9b	$C_{21}H_{24}ClN_7O_3$	55.53 55.08	5.20 5.28	21.60 21.41	458.9
9c	$C_{21}H_{25}N_7O_3$	59.13 59.56	6.03 5.95	23.30 23.15	424.5
9d	$C_{23}H_{29}N_7O_2$	63.90 63.43	6.60 6.71	22.32 22.51	436.6
10a	$C_{22}H_{21}ClFN_7O_2$	57.53 57.32	4.32 4.39	20.51 20.35	483
10b	$C_{24}H_{21}ClF_3N_7O_2$	54.66 54.19	4.11 3.98	18.21 18.43	532.9
10c	$C_{23}H_{28}ClN_7O_2$	58.50 58.78	6.10 6.01	20.71 20.86	471
10d	$C_{24}H_{22}F_3N_7O_2$	58.40 57.95	4.40 4.46	19.90 19.71	498.6
10e	$C_{24}H_{25}N_7O_2$	65.50 65.00	5.52 5.68	22.30 22.11	444.6
10f	$C_{26}H_{28}FN_7O_2$	63.31 63.79	5.85 5.77	20.20 20.03	490.7
10g	$C_{25}H_{26}FN_7O_2$	63.66 63.15	5.40 5.51	20.71 20.62	476.6

* I_{rel} = 100%.

The prepared amine hydrochlorides **4a-f** are generally compounds with a high melting point, poorly soluble in organic solvents and limited in hot water. These properties of the hydrochlorides cause certain problems for amine acylation. Preparation of the amides and sulfamides initially used a heterophasic system of methylene chloride – acylating agent – water – potassium carbonate – amine hydrochloride. However, in these



	3-Piperidyl					
	5		**7**		**9**	
	R^2	Ar	R^3	Ar	R^4	Ar
a	Thiophenemethyl	2-ClC_6H_4	2-FC_6H_4	2-ClC_6H_4	*c*-Hex	2-ClC_6H_4
b	CH_2Ph	2-ClC_6H_4	3-ClC_6H_4	2-ClC_6H_4	Morpholyl	2-ClC_6H_4
c	*c*-Pr	2-ClC_6H_4	Me	2-ClC_6H_4	Morpholyl	Ph
d	*c*-Pr	Ph	CH_2Ph	Ph	*c*-Hex	Ph
e	SPh	Ph	Ph	Ph		
f	2,6-$F_2C_6H_3$	4-FC_6H_4	Me	Ph		
g	*c*-Bu	4-FC_6H_4	2,3-$(OC_2H_4O)C_6H_3$	4-FC_6H_4		
h	Thiophenemethyl	4-FC_6H_4	3-ClC_6H_4	4-FC_6H_4		

	4- Piperidyl					
	6		**8**		**10**	
	R^2	Ar	R^3	Ar	R^4	Ar
a	*c*-Bu	2-ClC_6H_4	3-ClC_6H_4	2-ClC_6H_4	2-FC_6H_4	2-ClC_6H_4
b	SPh	2-ClC_6H_4	Ph	2-ClC_6H_4	2-$CF_3C_6H_4$	2-ClC_6H_4
c	Ph	2-ClC_6H_4	4-MeC_6H_4	2-ClC_6H_4	*c*-Hex	2-ClC_6H_4
d	CH_2Ph	Ph	CH_2Ph	Ph	4-$CF_3C_6H_4$	Ph
e	*c*-Pr	Ph	3-ClC_6H_4	Ph	3-MeC_6H_4	Ph
f	Ph	Ph	Me	Ph	4-*i*-PrC_6H_4	4-FC_6H_4
g	2,2-Diethylpentyl	4-FC_6H_4	CH_2Ph	4-FC_6H_4	2-EtC_6H_4	4-FC_6H_4
h	*c*-Pr	4-FC_6H_4	4-MeC_6H_4	4-FC_6H_4		
i	Ph	4-FC_6H_4	4-$MeOC_6H_4$	4-FC_6H_4		

TABLE 2. ^{1}H NMR Spectra of Compounds **4-10**

Com-pound	Chemical shifts, δ, ppm (*J*, Hz)						
	CH_2 piperidyl	CH_2 piperidyl and/or CHN piperidyl	CH piperidyl	CH_2Ar	Ar	NH, OH	Other signals
1	2	3	4	5	6	7	8
4a	1.4 (2H, m), 2.2 (2H, m)	2.9 (2H, m), 3.4 (2H, m)	3.2 (1H, m)	5.6 (2H, s)	7.6 (4H, m)	9.2 (1H, br. s, NH), 12.5 (1H, br. s, OH)	
4b	1.9 (2H, m), 2.1 (2H, m)	3.2 (1H, m), 3.4 (1H, m)	3.3 (1H, m)	5.8 (2H, s)	7.2 (5H, m)	9.1 (1H, br. s, NH), 12.5 (1H, br. s, OH)	
4c	1.6 (2H, m), 2.1 (2H, m)	3.0 (2H, m), 3.5 (2H, m)	3.2 (1H, m)	5.6 (2H, s)	7.1 (2H, m), 7.5 (2H, m)	9.2 (1H, br. s, NH), 12.5 (1H, br. s, OH)	
4d	2.0 (4H, m)	2.8 (2H, m), 3.2 (2H, m)	2.9 (1H, m)	5.6 (2H, s)	7.6 (4H, m)	9.2 (1H, br. s, NH), 12.5 (1H, br. s, OH)	
4e	2.0 (4H, m)	2.9 (2H, m), 3.4 (2H, m)	3.0 (1H, m)	5.8 (2H, s)	7.2 (5H, m)	9.2 (1H, br. s, NH), 12.9 (1H, br. s, OH)	
4f	2.0 (4H, m)	2.9 (2H, m), 3.2 (2H, m)	3.0 (1H, m)	5.6 (2H, s)	7.2 (2H, m), 7.5 (2H, m)	10.0 (1H, br. s, NH), 12.9 (1H, br. s, OH)	
5a	1.9 (4H, m)	2.6 (2H, m), 4.2 (1H, m), 4.4 (1H, m)	3.0 (1H, m)	4.0 (2H, m, CH_2-thiophene), 5.8 (2H, s)	6.9 (2H, m, thiophene), 7.4 (4H, m), 7.5 (1H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	
5b	1.1-2.0 (4H, m)	2.7 (2H, m), 4.0 (1H, m), 4.2 (1H, m), 4.3 (1H, m), 4.5 (1H, m)	3.0 (1H, m)	5.9 (2H, s)	7.0-7.4 (8H, m), 7.5 (1H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	
5c	1.7-2.1 (4H, m)	2.6 (2H, m), 4.1 (1H, m), 4.2 (1H, m)	3.0 (1H, m)	5.8 (2H, s)	7.2 (3H, m), 7.5 (1H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	0.7 (4H, m, CH_2CH_2, *c*-Pr), 1.5 (1H, m, *c*-Pr)

TABLE 2 (continued)

1	2	3	4	5	6	7	8
5d	1.9 (2H, m), 2.2 (2H, m)	2.9 (2H, m), 4.1 (1H, m), 4.5 (1H, m)	3.5 (1H, m)	5.7 (2H, s)	7.2 (5H, m)	12.5 (1H, br. s, OH)	0.9 (4H, m, CH_2CH_2, *c*-Pr), 1.5 (1H, m, *c*-Pr)
5e	1.7-2.1 (4H, m)	2.9 (1H, m), 3.5 (1H, m), 4.0 (1H, m), 4.5 (1H, m)	3.1 (2H, m)	5.7 (2H, s)	7.0 (1H, dd, J = 3.6, J = 1.8), 7.4 (6H, m), 7.7 (1H, d, J = 1.8)	12.5 (1H, br. s, OH)	
5f	1.4-2.1 (4H, m)	3.1 (1H, m), 3.4 (1H, m), 3.6 (1H, m), 4.5 (1H, m)	3.0 (1H, m)	5.6 (2H, s)	7.1 (3H, m), 7.5 (4H, m)	12.5 (1H, br. s, OH)	
5g	1.2-2.1 (10H, m, aliph.)*	2.9 (2H, m), 3.4 (1H, m), 3.7 (1H, m), 4.2 (1H, m)	3.0 (1H, m)	5.6 (2H, s)	7.0 (2H, m), 7.3 (2H, m)	12.5 (1H, br. s, OH)	
5h	1.5-1.9 (4H, m)	2.6 (2H, m), 4.0-4.5 (2H, m)	3.0 (1H, m)	4.0 (2H, m, CH_2 thiophene), 5.5 (2H, s)	6.9 (2H, m), 7.2 (2H, m), 7.4 (1H, d, J = 1.8), 7.5 (2H, m)	12.5 (1H, br. s, OH)	
6a	1.5-2.1 (10H, m, aliph.)*	2.6 (1H, m), 3.5 (1H, m), 3.7 (1H, m), 4.4 (1H, m)	3.0 (1H, m)	5.6 (2H, s)	7.3 (3H, m), 7.5 (1H, d, J = 8.5)	12.5 (1H, br. s, OH)	
6b	2.0 (2H, m)	3.0 (3H, m), 4.2 (2H, m)		5.8 (2H, s)	7.1 (1H, dd, J = 3.6, J = 1.8), 7.3 (4H, m), 7.5 (1H, d, J = 3.6), 7.7 (1H, d, J = 1.8)	12.5 (1H, br. s, OH)	
6c	1.6 (2H, m), 1.9 (2H, m)	2.9 (3H, m), 4.2 (2H, m)		5.9 (2H, s)	7.4 (9H, m)	12.5 (1H, br. s, OH)	
6d	1.5 (2H, m), 1.9 (2H, m)	2.9 (1H, m), 4.0 (1H, m), 4.4 (1H, m)	2.4 (1H, m)	3.7 (2H, s) 5.6 (2H, s)	7.3 (10H, m)	12.5 (1H, br. s, OH)	
6e	2.0 (4H, m)	2.4 (1H, m), 4.2 (2H, m)	3.1 (1H, m)	5.6 (2H, s)	7.2 (5H, m)	12.5 (1H, br. s, OH)	0.9 (4H, m, CH_2CH_2, *c*-Pr), 1.7 (1H, m, *c*-Pr)
6f	1.4 (2H, m), 1.9 (2H, m)	3.0 (3H, m), 4.0 (2H, m)		5.6 (2H, s)	7.4 (10H, m)	12.3 (1H, br. s, OH)	
6g	0.9 (6H, m, aliph.), 1.2-2.0 (13H, m, aliph.)*[2] low protons!	2.7 (2H, m), 4.1 (1H, m), 4.4 (1H, m)	2.9 (1H, m)	5.6 (2H, s)	7.1 (2H, m) 7.4 (2H, m)	12.3 (1H, br. s, OH)	
6h	1.5-2.0 (5H, m)*[3]	2.6 (2H, m), 3.4 (1H, m), 4.4 (1H, m)	3.0 (1H, m)	5.5 (2H, s)	7.0 (2H, m) 7.4 (2H, m)	12.5 (1H, br. s, OH)	0.9 (4H, m, CH_2CH_2, *c*-Pr)

TABLE 2 (continued)

1	2	3	4	5	6	7	8
6i	1.6 (2H, m), 2.0 (2H, m)	3.0 (3H, m), 4.0 (2H, br. s)		5.5 (2H, s)	7.2 (2H, m) 7.5 (7H, m)	12.5 (1H, br. s, OH)	
7a	1.4 (2H, m), 1.6-2.0 (2H, m)	2.55 (1H, m), 2.8 (1H, m), 3.6 (1H, m), 3.9 (1H, m)	2.85 (1H, m)	5.6 (2H, s)	7.3-7.55 (6H, m)	12.5 (1H, br. s, OH)	
7b	1.5 (1H, m), 1.8 (1H, m), 2.0 (1H, m)	2.4 (1H, m), 3.0 (1H,m), 3.6 (1H, m), 3.8 (1H, m)	2.6 (1H, m)	5.8 (2H, s)	7.4 (3H, m), 7.5 (1H, d, J = 8.5), 7.7-7.85 (4H, m)	12.5 (1H, br. s, OH)	
7c	1.5 (1H, m), 1.9 (1H,m), 2.0 (1H, m), 2.9 (1H, m)	3.0 (2H, m)*[4], 3.5 (1H, m), 3.9 (1H, m)		5.8 (2H, s)	7.4 (3H, m), 7.5 (1H, d, J = 8.5)	12.5 (1H, br. s, OH)	3.0 (CH_3)*[4]
7d	1.1-2.0 (4H, m)	3.0 (2H, m), 3.5 (1H, 3.7 m), 3.7 (1H, m)	2.7 (1H, m)	4.4 (2H, s), 5.6 (2H, s)	7.2 (10H, m)	12.5 (1H, br. s, OH)	
7e	1.5 (2H, m), 1.9 (2H, m)	2.3 (1H, m), 2.5 (1H, m), 3.6 (1H, m), 3.9 (1H, m)	2.9 (1H, m)	5.6 (2H, s)	7.4 (5H, m)	12.5 (1H, br. s, OH)	
7f	1.5-2.0 (4H, m)	3.0 (2H, m), 3.6 (1H, m), 3.7 (1H, m)	2.7 (1H, m)	5.7 (2H, s)	7.2 (5H, m)	12.5 (1H, br. s, OH)	2.9 (3H, s, CH_3)
7g	1.5 (2H, m), 1.9 (2H, m)	2.1 (2H, m), 3.5 (1H, m), 3.9 (1H, m)	3.0 (1H, m)	5.6 (2H, s)	7.1 (2H, m), 7.2 (3H, m), 7.4 (2H, m)	12.5 (1H, br. s)	4.2 (4H, m, OCH_2CH_2O)
7h	1.5 (2H, m), 1.9 (2H, m)	2.6 (2H, m) , 3.6 (1H, m), 3.9 (1H, m)	2.9 (1H, m)	5.6 (2H, s)	7.1 (2H, m), 7.45 (2H, m), 7.8 (4H, m)	12.5 (1H, br. s, OH)	
8a	1.6 (2H, m), 2.0 (2H, m)	2.5 (2H, m) , 3.6 (2H, m)	2.6 (1H, m)	5.6 (2H, s)	7.4 (3H, m), 7.5 (1H, d, J = 8.5), 7.75 (4H, m)	12.5 (1H, br. s, OH)	
8b	1.7 (2H, m), 2.0 (2H, m)	2.4 (2H, m) , 3.8 (2H, m)	2.6 (1H, m)	5.7 (2H, s)	7.3 (3H, m), 7.6 (1H, t, J = 8.5), 7.7 (1H, t, J = 8.5), 7.8 (1H, d, J = 8.5)	12.4 (1H, br. s, OH)	

TABLE 2 (continued)

1	2	3	4	5	6	7	8
8c	1.8 (2H, m), 1.9 (2H, m)	3.8 (2H, m), 2.4 (2H, m.)*[4]	2.7 (1H, m)	5.8 (2H, s)	7.45 (6H, m), 7.7 (2H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	2.4 (3H, CH_3)*[4]
8d	1.7 (2H, m), 2.0 (2H, m)	3.5 (2H, m), 2.6 (2H, m)		5.5 (2H, s)	7.45 (10H, m)	12.5 (1H, br. s, OH)	2.7 (3H, CH_3)
8e	1.8 (2H, m), 2.0 (2H, m)	2.45 (2H, m), 3.8 (2H, m)	2.75 (1H, m)	5.6 (2H, s)	7.3 (5H, m), 7.7 (4H, m)	12.5 (1H, br. s, OH)	
8f	1.8 (2H, m), 1.9 (2H, m)	2.4 (2H, m), 3.8 (2H, m)	2.9 (1H, m)	5.5 (2H, s)	7.2 (5H, m), 7.6 (3H, m), 7.9 (2H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	
8g	1.7 (2H, m), 1.9 (2H, m)	2.9 (3H, m), 3.56 (3H, m)		4.45 (2H, s), 5.55 (2H, s)	7.0 (7H, m), 7.5 (7H, m)	12.5 (1H, br. s, OH)	
8h	1.7 (2H, m), 1.9 (2H, m)	2.4 (2H, m), 3.6 (2H, m)	2.55 (1H, m)	5.6 (2H, s)	7.1 (2H, m), 7.5 (4H, m), 7.55 (2H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	2.5 (3H, CH_3)*[4]
8i	1.6 (2H, m), 1.9 (2H, m)	2.4 (2H, m), 3.6 (2H, m)	2.55 (1H, m)	5.5 (2H, s)	7.2 (4H, m), 7.4 (2H, m), 7.6 (2H, d, *J* = 8.5)	12.4 (1H, br. s, OH)	3.7 (3H, s, OCH_3)
9a	1.0-2.0 (14H, m)*[5]	2.65 (1H, m), 3.4 (1H, m) 3.9 (1H, m), 4.0 (1H, m)	3.0 (1H, m)	5.7 (2H, s)	6.0 (1H, d, *J* = 5.5), 7.4 (3H, m), 7.5 (1H, d, *J* = 8.5)	12.4 (1H, br. s, OH)	2.6 (1H, m, *c*-Hex)
9b*[6]	1.5 (1H, m), 1.9 (2H, m) 2.0 (1H, m)	3.9 (1H, m)		5.8 (2H, s)	7.4 (3H, m) 7.5 (1H, d, *J* = 8.5)	12.5 (1H, br. s, OH)	2.9 (2H, m), 3.0 (5H, m), 3.5 (5H, m)
9c*[7]	1.4-1.9 (4H, m)	3.7 (1H, m)		5.5 (2H, s)	7.45 (5H, m)	11.5 (1H, br. s, OH)	2.9 (2H, m), 3.0 (5H, m), 3.5 (5H, m)
9d	1.1-2.0 (14H, m)*[5]	2.6 (2H, m), 4.0 (1H, m), 4.1 (1H, m)	2.55 (1H, m)	5.6 (2H, s)	6.0 (1H, d, *J* = 5.5), 7.45 (5H, m)	11.5 (1H, br. s, OH)	2.9 (1H, m, *c*-Hex)
10a	1.5 (2H, m), 1.9 (2H, m)	2.9 (3H, m), 4.1 (2H, m)		5.8 (2H, s)	7.0 (2H, m), 7.5 (6H, m)	8.5 (1H, s, NH), 12.5 (1H, br. s, OH)	

TABLE 2 (continued)

1	2	3	4	5	6	7	8
10b	1.7 (2H, m), 1.9 (2H, m)	2.9 (3H, m), 4.1 (2H, m)		5.9 (2H, s)	7.35 (4H, m), 7.1 (2H, m), 7.5 (2H, m)	8.0 (1H, s, NH), 12.5 (1H, br. s, OH)	
10c	1.5 (8H, m)*[5]	2.6 (2H, m), 4.0 (2H, m)	3.45 (1H, m)	5.6 (2H, s)	6.0 (1H, d, J = 5.5), 7.45 (3H, m), 7.55 (1H, d, J = 8.5)	11.5 (1H, br. s, OH)	1.1 (6H, m, *c*-Hex)
10d	1.8 (2H, m), 1.9 (2H, m)	3.0 (3H, m), 4.1 (2H, m)		5.6 (2H, s)	7.2 (5H, m), 7.5 (2H, d, J = 8.5), 7.7 (2H, d, J = 8.5)	8.9 (1H, s, NH) 12.5 (1H, br. s, OH)	
10e	1.7 (2H, m), 1.9 (2H, m)	2.9 (3H, m), 4.1 (2H, m)		5.6 (2H, s)	6.7 (1H, d, J = 8.5), 7.05 (1H, t, J = 8.5), 7.2 (8H, m)	8.2 (1H, s, NH, 12.5 (1H, br. s, OH)	2.1 (3H, s, CH_3)
10f	1.7 (2H, m), 1.9 (2H, m)	2.9 (4H, m)*[8], 4.1 (2H, m)		5.6 (2H, s)	7.2 (1H, m), 7.38 (2H, d, J = 8.5), 7.45 (2H, m)	8.2 (1H, s, NH), 12.5 (1H, br. s, OH)	1.1 (6H, d, J = 8.5, $2CH_3$)
10g	1.9 (2H, m), 2.0 (2H, m)	2.9 (3H, m), 4.1 (2H, m)		5.6 (2H, s)	7.2 (6H, m), 7.5 (2H, m)	8.0 (1H, s, NH) 12.3 (1H, br. s, OH)	1.0 (3H, t, J = 7.5, $CH_2\underline{CH_3}$), 2.6 (2H, q, J = 7.5, $\underline{CH_2}CH_3$)

* $2CH_2$ piperidine + 6H *c*-Bu.
*[2] $2CH_2$ piperidine + diethylpentyl protons.
*[3] $2CH_2$ piperidine + 1H *c*-Pr.
*[4] Obscured signals.
*[5] $2CH_2$ piperidine + 10H *c*-Hex.
*[6] CH_2, CH, and CH_2N piperidine proton signals obscured by morpholine proton signals.
*[7] CH and CH_2N piperidine proton signals obscured by morpholine proton signals.
*[8] CH and CH_2N piperidine protons signals and $C\underline{H}(CH_3)_2$.

conditions, the amide and sulfamide reaction products were contaminated by unreacted amine. Hence, in place of the potassium carbonate, strong organic bases such as DBU (diazabicycloundecane) were used. In the presence of DBU the solubility of the amine hydrochlorides in organic solvents was increased and the acylation reaction occurs fully in the homogeneous system formed. For these reasons specific difficulties also arose in the reaction of the amines **4a-f** with isocyanates. The use of a heterophase system containing water and potassium carbonate in this reaction is precluded because of the ready hydrolysis of the isocyanates and the accompanying formation of symmetrical aryl ureas. The use of triethylamine as base did not lead to solution of the starting amine hydrochlorides hence, as in the preceding examples, DBU was used.

Hence it was found that the acylation of the amines **4a-f** has to be carried out in aprotic, polar solvents. We have used various solvents for the acylation: DMF, DMSO, acetonitrile, dioxane, acetone, and methylene chloride. It was turned out that the most useful solvent is acetonitrile in the presence of the organic base (DBU). Choice of the acetonitrile resulted from the quite high solubilizing properties, relatively low boiling point, and polarity. The use of such solvents as DMF and DMSO was complicated because of problems of product isolation from the reaction solutions.

EXPERIMENTAL

^{1}H NMR spectra were recorded on a Varian Mercury (USA) spectrometer (400 MHz) using DMSO-d_6 and TMS as internal standard. Mass spectra were taken on a Thermo Finnigan (USA) MSQ Surveyor spectrometer with a WatersXterra MS C18 (2.1 x 30 mm) column and photodiode array detector (190-800 nm).

TLC analysis was carried out on Sorbfil UV-254 plates using the systems methylene chloride, methylene chloride–methanol (10:1).

Melting points were measured in a sealed capillary on a Gallenkamp Sanyo (UK) instrument and are not corrected. All commercially available reagents were used in the syntheses without preliminary purification. Solvents used in the syntheses were purified and dried by known methods. Compounds **5-10** were recrystallized from a mixture of acetone and isopropanol. The characteristics of the compounds synthesized are given in Tables 1 and 2.

Benzylazides 1a-c (General Method). Sodium azide (150 mmol) was added to a solution of the corresponding benzyl chloride (100 mmol) in acetonitrile (500 ml) and refluxed for 2 h. The solvent was distilled off in vacuo and the residue was dissolved in water and extracted with methylene chloride. The extract was dried using sodium sulfate and evaporated. The obtained benzylazide was used in further reactions without additional purification.

2-Chorobenzylazide (1a), yield 15 g (89%).

Benzylazide (1b), yield 10 g (75%).

4-Fluorobenzylazide (1e), yield 12 g (79%).

N-BOC-substituted Ethyl Piperidinecarboxylates 2a-b (General Method). *tert*-Butoxypyrocarbonate (100 mmol) was added to a solution of the corresponding ethyl piperidinecarboxylate (100 mmol) in methylene chloride and stirred for 1 h. The solvent was distilled off and the residue was used for further reactions without additional purification.

N-BOC-Ethylisonipecotate (ethyl N-*tert*-butoxycarbonyl-4-piperidinecarboxylate) (**2a**), yield 22 g (86%).

N-BOC-Ethylnipecotate (ethyl N-*tert*-butoxycarbonyl-3-piperidinecarboxylate) (**2b**), yield 20 g (78%).

N-BOC-5-Piperidyl-substituted 7-Hydroxy-3H-1,2,3-triazolo[4,5-*d*]pyrimidines 3a-f (General Method). Sodium (300 mmol) was dissolved with heating in 2-propanol (500 ml). Cyanoacetamide (100 mmol) and the corresponding benzylazide (100 mmol) were introduced with stirring into the solution of sodium isopropylate formed and the suspension obtained was heated for 2 h. The corresponding ethyl N-BOC-

piperidinecarboxylate (100 mol) **2a-b** was added to the solution obtained and heating was continued for 20 h. Solvent was distilled off and the residue was diluted with water and carefully acidified with dilute hydrochloric acid. The oil formed crystallized in methanol.

5-[(*tert*-Butoxycarbonyl)piperidin-3-yl]-3-(2-chlorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3a), yield 20 g (45%); mp 69-71.5°C. Mass spectrum, *m/z* (*I*, %): 446 [M+H] (100).

5-[(*tert*-Butoxycarbonyl)piperidin-3-yl]-3-benzyl-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3b), yield 16 g (39%); mp 60.5-62°C. Mass spectrum, *m/z* (*I*, %): 411.5 [M+H] (100).

5-[(*tert*-Butoxycarbonyl)piperidin-3-yl)]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3c), yield 18 g (42%); mp 75-76.5°C. Mass spectrum, *m/z* (*I*, %): 429.6 [M+H] (100).

5-[*tert*-Butoxycarbonyl)piperidin-4-yl)]-3-(2-chlorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3d), yield 19 g (43%); mp 68-69.5°C. Mass spectrum, *m/z* (*I*, %): 446.1 [M+H] (100).

3-Benzyl-5-[(*tert*-butoxycarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3e), yield 15 g (36%); mp 60-62°C. Mass spectrum, *m/z* (*I*, %): 411.6 [M+H] (100).

5-[(*tert*-Butoxycarbonyl)piperidin-4-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (3f), yield 17 g (40%); mp 71.5-72.5°C. Mass spectrum, *m/z* (*I*, %): 429.7 [M+H] (100).

7-Hydroxy-5-piperidyl-3H-[1,2,3]triazolo[4,5-*d*]pyrimidines (General Method). The solution of the N-BOC derivative obtained in the previous experiment **3** (100 mmol) in dioxane (100 ml) saturated with hydrogen chloride was refluxed for 1 h. The solvent was evaporated and the oily residue was dissolved in isopropyl alcohol and refluxed to form the precipitated amine hydrochloride which was then filtered off.

3-(2-Chlorobenzyl)-5-(piperidin-3-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4a), yield 35 g (92%); mp 215-217°C.

3-Benzyl-5-(piperidin-3-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4b), yield 31 g (89%); mp 210-211.5°C.

3-(4-Fluorobenzyl)-5-(piperidin-3-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4c), yield 33 g (90%); mp 230-232°C.

3-(2-Chlorobenzyl)-5-(piperidin-4-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4d), yield 34 g (89%); mp 220-222°C.

3-Benzyl-5-(piperidin-4-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4e), yield 30 g (86%); mp 217-219.5°C.

3-(4-Fluorobenzyl)-5-(piperidin-4-yl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol Hydrochloride (4f), yield 32 g (87%); mp 250-252°C.

Preparation of Amides 5 (General Method). DBU (2 mmol) was added to a suspension of the amine hydrochloride (1 mmol) in acetonitrile (5 ml) and then the acid chloride (1 mmol). The reaction mixture was refluxed, diluted with water, and the solid or oil produced was crystallized from a suitable solvent.

3-(2-Chlorobenzyl)-5-[(1-thiophenylmethylcarbonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (5a), yield 0.3 g (60%); mp 160.5-161.5°C.

5-[(Benzylcarbonyl)piperidin-3-yl)-3-(2-chlorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (5b), yield 0.35 g (70%); mp 170-172°C.

3-(2-Chlorobenzyl)-5-[(cyclopropylcarbonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (5c), yield 0.29 g (73%); mp 139-141.5°C.

3-Benzyl-5-[(cyclopropylcarbonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (5d), yield 0.28 g (73%); mp 134.5-136.5°C.

3-Benzyl-5-[(1-thiophenylcarbonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (5e), yield 0.35 g (83%); mp 149-151.5°C.

5-[(2,6-Difluorophenylcarbonyl)piperidin-3-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (5f), yield 0.43 g (91%); mp 139-140.5°C.

5-[(Cyclobutylcarbonyl)piperidin-3-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (5g), yield 0.32 g (78%); mp 139-141.5°C.

3-(4-Fluorobenzyl)-5-[(1-thiophenylmethylcarbonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (5h), yield 0.3 g (67%); mp 158-160°C.

3-(2-Chlorobenzyl)-5-[(cyclobutylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6a), yield 0.4 g (93%); mp 137-139°C.

3-(2-Chlorobenzyl)-5-[(1-thiophenylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6b), yield 0.42 g (93%); mp 145-147.5°C.

3-(2-Chlorobenzyl)-5-[(phenylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6c), yield 0.42 g (93%); mp 169-171°C.

3-Benzyl-5-[(benzylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6d), yield 0.4 g (93%); mp 165-167°C.

3-Benzyl-5-[(cyclopropylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6e), yield 0.3 g (79%); mp 145-146.5°C.

3-Benzyl-5-[(phenylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6f), yield 0.35 g, (85%); mp 174-176°C.

5-[(2,2-Diethylpentylcarbonyl)piperidin-4-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (6g), yield 0.3 g (70%); mp 120-122°C.

5-[(Cyclopropylcarbonyl)piperidin-4-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6h), yield 0.3 g (75%); mp 141-143.5°C.

3-(4-Fluorobenzyl)-5-[(phenylcarbonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (6i), yield 0.33 g (77%); mp 178-180°C.

Preparation of Sulfamides 7 (General Method). A solution of potassium carbonate (4 mmol) in water (5 ml) was added to a suspension of the amine hydrochloride (1 mmol) in methylene chloride (10 ml). The biphasic system formed was then treated with the corresponding sulfochloride (1 mmol) with stirring. Methylene chloride was evaporated off and the residue was filtered off and recrystallized from a mixture of acetone and isopropyl alcohol.

3-(2-Chlorobenzyl)-5-[(2-fluorophenylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7a), yield 0.4 g (82%); mp 182-184°C.

3-(2-Chlorobenzyl)-5-[(3-chlorophenylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7b), yield 0.42 g (84%); mp 179-180.5°C.

3-(2-Chlorobenzyl)-5-[(methylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7c), yield 0.33 g (79%); mp 165-167°C.

3-Benzyl-5-[(benzylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7d), yield 0.39 g (83%); mp 169-171.5°C.

3-Benzyl-5-[(phenylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7e), yield 0.33 g (73%); mp 181-182.5°C.

3-Benzyl-5-[(methylsulfonyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7f), yield 0.29 g (74%); mp 157-159.5°C.

5-[(2,3-Ethylenedioxyphenylsulfonyl)piperidin-3-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (7g), yield 0.36 g (72%); mp 187-189°C.

5-[(3-Chlorophenylsulfonyl)piperidin-3-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (7h), yield 0.26 g (52%); mp 174-175.5°C.

3-(2-Chlorobenzyl)-5-[3-chlorophenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8a), yield 0.3 g (60%); mp 169-171°C.

3-(2-Chlorobenzyl)-5-[(phenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8b), yield 0.33 g (67%); mp 166-168°C.

3-(2-Chlorobenzyl)-5-[(4-methylphenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8c), yield 0.35 g (70%); mp 169.5-171°C.

3-Benzyl-5-[(benzylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8d), yield 0.33 g (70%); mp 168-170°C.

3-Benzyl-5-[(3-chlorophenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8e), yield 0.37 g (74%); mp 174.5-176°C.

3-Benzyl-5-[(phenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8f), yield 0.34 g (75%); mp 167-169°C.

5-[(Benzylsulfonyl)piperidin-4-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8g), yield 0.33 g (69%); mp 168-170.5°C.

3-(4-Fluorobenzyl)-5-[(4-methylphenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8h), yield 0.36 g (75%); mp 173.5-175.5°C.

3-(4-Fluorobenzyl)-5-[(4-methoxyphenylsulfonyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (8i), yield 0.37 g (74%); mp 170-172°C.

Preparation of Ureas 9 (General Method). DBU (2 mmol) was added to a suspension of the amine hydrochloride (1 mmol) in acetonitrile (5 ml) and then the corresponding isocyanate (1 mmol). The reaction mixture was refluxed, diluted with water, and the solid or oil produced was crystallized from a suitable solvent.

3-(2-Chlorobenzyl)-5-[(cyclohexylcarbamoyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (9a), yield 0.25 g (53%); mp 165-167°C.

3-(2-Chlorobenzyl)-5-[(morpholinocarbamoyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (9b), yield 0.21 g (46%); mp 127-129°C.

3-Benzyl-5-[(morpholinylcarbamoyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (9c), yield 0.21 g (50%); mp 124.5-126.5°C.

3-Benzyl-5-[(cyclohexylcarbamoyl)piperidin-3-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (9d), yield 0.26 g (59%); mp 164-166°C.

3-(2-Chlorobenzyl)-5-[(4-fluorophenylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (10a), yield 0.3 g (62%) mp 161-163°C.

3-(2-Chlorobenzyl)-5-[(2-trifluoromethylphenylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (10b), yield 0.27 g (51%); mp 145-147.5°C.

3-(2-Chlorobenzyl)-5-[(cyclohexylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (10c), yield 0.25 g (53%); mp 167-169°C.

3-Benzyl-5-[(4-trifluoromethylphenylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (10d), yield 0.3 g (60%); mp 148-150°C.

3-Benzyl-5-[(3-methylphenylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (10e), yield 0.35 g (78%); mp 169-171°C.

3-(4-Fluorobenzyl)-5-[(4-isopropylphenylcarbamoyl)piperidin-4-yl]-3H-[1,2,3]triazolo[4,5-*d*]-pyrimidin-7-ol (10f), yield 0.36 g (74%); mp 168-170°C.

5-[(2-Ethylphenylcarbamoyl)piperidin-4-yl]-3-(4-fluorobenzyl)-3H-[1,2,3]triazolo[4,5-*d*]pyrimidin-7-ol (10g), yield 0.3 g (63%); mp 144-146°C.

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