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Received for review April 29, 1977. Accepted August 29, 1977.

NEW COMPOUND SECTION

Synthesis of Some Local Anesthetics from 2-Aminonaphthothiazole

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Derivatives of 2-aminonaphthothiazole have been synthesized as potential local anesthetics by treating 2-aminonaphthothiazole with chloroacetyl chloride whereby chloroacetyl-2-aminonaphthothiazole is obtained. This is subsequently treated with various amines to afford morpholinoacetyl, piperidinoacetyl, dimethylaminoacetyl, *N,N*-dimethylanilino-*p*-aminoacetyl, *N,N*-diethylanilino-*p*-aminoacetyl, pyridine-2-aminoacetyl, pyrimidine-2-aminoacetyl, diphenylaminoacetyl, and piperazinoacetyl-2-aminonaphthothiazole.

Some derivatives of 2-aminobenzothiazole (*1, 2, 5*) and 2-aminothiazole (*3, 4*) are reported to possess considerable local anesthetic activity. It was thought worthwhile to prepare some new compounds from 2-aminonaphthothiazole which may exhibit local anesthetic activity. These compounds are synthesized by chloroacetylation of 2-aminonaphthothiazole with chloroacetyl chloride. The chloroacetylated product was then condensed with different amines. The bases, being liquid, were characterized through their solid derivatives.

Experimental Section

2-Aminonaphthothiazole (6). It was prepared by oxidation of α-naphthylthiourea with bromine in chloroform medium. Naphthylthiourea (10 g) was suspended in chloroform (50 mL) and a solution of bromine (4 mL) in chloroform was added gradually with cooling and stirring of the reaction mixture. After allowing to stand overnight, the chloroform was evaporated and the residue was treated with a little sodium bisulfite solution to remove the unreacted bromine. The crude product was basified when a soft base crystallizable from aqueous ethanol, mp 190 °C, was obtained.

Preparation of Chloroacetyl-2-aminonaphthothiazole. The solution of about 2.82 g of chloroacetyl chloride in dry ether (20 cm^3) was gradually added to a solution of 2-aminonaphthothiazole (5 g) in dry ether (30 cm^3). The chloroacetyl-2-aminonaphthothiazole was separated immediately and filtered and res-

0021-9568/78/1723-0089$01.00/0

Table I

No.	Compd	Mp, °C	% yield	Formula[a]	Mp, °C (hydrochloride)	Formula (hydrochlorides)
1	Morpholinoacetyl-2-aminonaphthothiazole	120–121	67.2	$C_{17}H_{17}N_3SO_2$	160–161	$C_{17}H_{18}N_3SO_2Cl$
2	Piperidinoacetyl-2-aminonaphthothiazole	107–108	65.0	$C_{18}H_{19}N_3SO$	151–152	$C_{18}H_{20}N_3SOCl$
3	Dimethylaminoacetyl-2-aminonaphthothiazole	102	68.4	$C_{15}H_{15}N_3SO$	149	$C_{15}H_{16}N_3SOCl$
4	Diethylaminoacetyl-2-aminonaphthothiazole	114–115	62.3	$C_{17}H_{19}N_3SO$	144–145	$C_{17}H_{20}N_3SOCl$
5	*N,N*-Dimethylanilino-*p*-aminoacetyl-2-aminonaphthothiazole	148–149	55.0	$C_{21}H_{19}N_3SO$	154–155	$C_{21}H_{20}N_3SOCl$
6	*N,N*-Diethylanilino-*p*-aminoacetyl-2-aminonaphthothiazole	139–140	53.6	$C_{23}H_{23}N_3SO$	157–158	$C_{23}H_{24}N_3SOCl$
7	Pyridine-2-aminoacetyl-2-aminonaphthothiazole	112–113	65.0	$C_{18}H_{14}N_4SO$	141–142	$C_{18}H_{15}N_4SOCl$
8	Pyrimidine-2-aminoacetyl-2-aminonaphthothiazole	117	67.2	$C_{17}H_{13}N_5SO$	147–148	$C_{17}H_{14}N_5SOCl$
9	Diphenylaminoacetyl-2-aminonaphthothiazole	158–159	63.7	$C_{25}H_{19}N_3SO$		
10	Piperazinoacetyl-2-aminonaphthothiazole	127–128	61.0	$C_{17}H_{18}N_4SO$	170–171	$C_{17}H_{19}N_4SOCl$

[a] All compounds were analyzed for N and S and gave satisfactory analytical results.

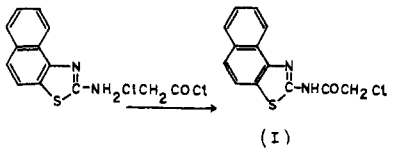

Figure 1.

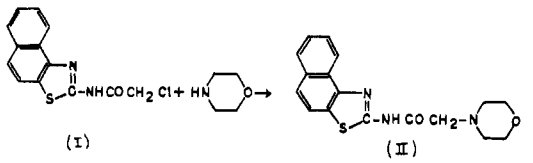

Figure 2.

idue so obtained was washed with solvent ether in order to remove the unreacted base and chloroacetyl chloride and then the residue was washed with $NaHCO_3 \cdot H_2O$. Finally it was washed with distilled water and recrystallized from ethanol, mp 152 °C, yield 66.8% (Figure 1).

Condensation of Chloroacetyl-2-aminonaphthothiazole with Different Amines. Morpholinoacetyl-2-aminonaphthothiazole (II). To chloroacetyl-2-aminonaphthothiazole (I) (4 g) dissolved in EtOH (15 mL) morpholine (3 mL) was added and the mixture was refluxed for about 6 h. After reaction, excess EtOH and morpholine were recovered by distillation, and the residue was washed with ($NaHCO_3 \cdot H_2O$). The product was recrystallized from aqueous alcohol, mp 120–121 °C, yield 67.2% Figure 2).

Similarly piperidinoacetyl, dimethylaminoacetyl, diethylaminoacetyl, *N,N*-dimethylanilino-*p*-aminoacetyl, *N,N*-diethylanilino-*p*-aminoacetyl, pyridine-2-aminoacetyl, pyrimidine-2-aminoacetyl, diphenylaminoacetyl, and piperizinoacetyl-2-aminonaphthothiazole were prepared. Percentage yields and melting points are given in Table I. The hydrochlorides of these compounds were prepared by passing hydrogen chloride through an ethereal solution of these compounds.

Biological Activity. Biological activity of the compounds will be published elsewhere after getting the results of biological screening.

Acknowledgment

The authors are thankful to Dr. M. S. Mehra, Principal, Bareilly College, Bareilly, and to Shri K. G. Kamthan, Shri N. S. Seth, and Shri R. C. Agrawal for providing necessary laboratory facilities and to Shri S. N. Mehra and Shri T. L. Arora (Camphor and Allied Products, Bareilly, U.P., India) for carrying out IR spectra of the compounds.

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Received for review March 1, 1977. Accepted July 27, 1977.