SYNTHESIS AND PROPERTIES OF SOME SULFONAMIDES OF THE QUINOLINE SERIES

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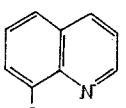
The presence of heavy metals in microquantities is necessary for the normal functioning of all living cells. Thus, for example, because of the ability of 8-hydroxyquinoline to form bonds with metals and thereby eliminate them from the organism, its use as a fungicidal and bactericidal agent is well known [1].

8-Arenesulfonylaminoquinolines are new analytical reagents for the detection and separation of metals [2, 3].

In connection with this it was of interest to study the antibacterial and analytical properties of 8-sulfonamidoquinolines obtained from the reaction of 8-quinolinesulfonylchloride with various amines. Little attention has been devoted to the synthesis of such compounds [4], apparently because of the relatively low stability of the sulfonyl chloride.

8-Quinolinesulfonyl chloride can be obtained by the action of chlorosulfonic acid on quinoline [5, 6] or by the reaction of phosphorus pentachloride with 8-quinolinesulfonic acid or its sodium salt [7-9]. We used this latter method as improved by Yu. Bankovskii [10] and I. Shevchuk [11].

In the present work, 10 new 8-sulfonamidoquinolines (I-X) were obtained by the condensation of 8-quinolinesulfonyl chloride with certain aliphatic, aromatic, and heterocyclic amines in absolute methanol or dry chloroform in order to study their antibacterial and analytical properties:



I-X

The 8-sulfonamidoquinolines are crystalline substances which are insoluble in water, but soluble in the usual organic solvents and in aqueous bases if there is an unsubstituted hydrogen on the nitrogen atom of the sulfonamide.

EXPERIMENTAL

8-Quinolinesulfonyl Chloride was obtained according to [10, 11].

8-Sulfonamidoquinolines (I-X) were obtained according to the following general method: to a solution of 0.005 mole of 8-quinolinesulfonyl chloride in 10 ml of absolute methanol or dry chloroform was added 0.01 mole of amine. The mixture was stirred vigorously and the solution was allowed to stand at 18-20°C for a day. The solvent was then removed and the residue was treated with water, filtered off, and recrystallized.

Analytical data, yields, and some properties of all the compounds obtained are presented in Table 1.

LITERATURE CITED

1. A. Albert, J. Exp. Path., 28, 69 (1947).
2. J. Billman and R. Chernin, Anal. Chem., 34, 408 (1962); US Pat. No. 326538 (1966).

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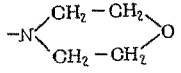
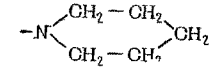
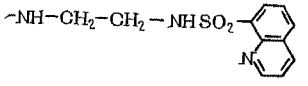
TABLE 1. 8-Sulfonamidoquinolines

Compound	R	Yield %	Melting point	Crystallization solvent	Found, %		Empirical formula	Calc., %	
					N	S		N	S
I	$NHCHCH_2CH_2CH_2N(C_2H_5)_2$ CH_3	61,5	76	Water-alcohol (1:3)	12,36	9,29	$C_{18}H_{27}N_3O_2S$	12,03	9,17
II	$NHCHCH_2C_6H_5$ CH_3	76,1	89—90	Water-alcohol (1:3)	8,74	9,64	$C_{18}H_{18}N_2O_2S$	8,59	9,81
III	NHC_6H_4Cl	78,1	197—8	Dioxane	8,62	9,84	$C_{15}H_{11}N_2O_2SCl$	8,79	10,04
IV	NHC_6H_4Br	79,0	201—2	Dioxane	7,64	8,59	$C_{15}H_{11}N_2O_2SBr$	7,71	8,81
V	$NHC_6H_4CH_3$	77,8	139—40	Water-alcohol (1:4)	9,28	10,71	$C_{16}H_{14}N_2O_2S$	9,39	10,73
VI	$NHC_6H_4OCH_3$	79,6	168—9	Water-alcohol-dioxane (1:2:2)	9,09	10,25	$C_{16}H_{14}N_2O_3S$	8,91	10,19
VII	$HC_6H_4OC_2H_5$	82,3	140—1	Water-alcohol-dioxane (1:2:2)	8,76	10,01	$C_{17}H_{16}N_2O_3S$	8,53	9,75
VIII		80,5	179—80	Water-alcohol-dioxane (1:4:2)	10,18	11,34	$C_{13}H_{14}N_2O_3S$	10,07	11,51
IX		81,1	157—8	Water-alcohol-dioxane (1:2:2)	10,26	11,68	$C_{14}H_{16}N_2O_2S$	10,14	11,59
X		54,1	250—1	Dimethylformamide	12,54	14,62	$C_{20}H_{18}N_4O_4S_2$	12,66	14,46

3. V. M. Dziomko and I. Krasavin, Khim. Geterotsikl. Soedin. (1967), 276.
4. G. Buchmann, J. Prakt. Chem., 16, 152 (1962).
5. L. Lindoy, Aust. J. Chem., 17, 820 (1964).
6. A. P. Sturis and Yu. A. Bankovskii, Khim. Geterotsikl. Soedin., 1967, 269.
7. A. Edinger, Ber. Dtsch. Chem. Ges., 41, 937 (1908).
8. G. Badger, J. Chem. Soc., 3236 (1956).
9. H. Lee, Canad. J. Chem., 41, 1646 (1963).
10. Yu. A. Bankovskii, Izv. Akad. Nauk Latv. SSR, No. 12, 127 (1942).
11. I. A. Shevchuk, Izv. Akad. Nauk Latv. SSR, No. 2, 127 (1961).
12. N. Lubavin, Ann. Chem., 155, 311 (1870).
13. A. Inove, Bull. Chem. Soc. Jap., 27, 430 (1954).
14. O. Yu. Magidson and M. V. Rubtsov, Khim.-Farmats. Prom., No. 1, 20 (1935).
15. G. Casland, J. Org. Chem., 11, No. 3, 277 (1946).