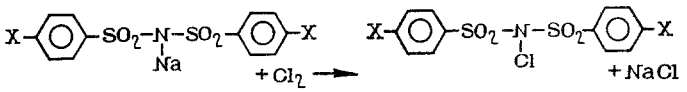
3. A. A. Aroyan, R. G. Melik-Ogandzhanyan, B. T. Garibdzhanyan, et al., Arm. Khim. Zh., 21, 867 (1968).
4. A. A. Aroyan, M. S. Kramer, B. T. Garibdzhanyan, et al., Arm. Khim. Zh., 22, 617 (1969).
5. B. T. Garibdzhanyan, in: Proceedings of the 1st All-Union Conference on the Chemotherapy of Malignant Tumors [in Russian], Riga (1968), p. 219.

SYNTHESIS AND STUDY OF THE BACTERICIDAL PROPERTIES OF N-CHLOROARENESULFONIMIDES

A. I. Roshchenko

UDC 615.28:547.522.13

N-Chloro derivatives of arenesulfonamides (chloramines) are widely used in organic synthesis, analysis, and medical practice [1-4]. Until recently, N-chloroarenesulfonimides (I-VII) were unknown. We have obtained some of them (I, II, V) by the chlorination of aqeuous solutions of sodium salts of arenesulfonimides [5]:



I: X=H, *II*: X=CH_3, *III*: X=NO_2, *IV*: X=F, *V*: X=Cl, *VI*: X=Br, *VII*: X=I

The presence in these compounds of a chlorine atom attached to nitrogen permitted the expectation of bactericidal properties. To investigate the possibility of their practical use, in the present work we have synthesized a number of N-chloroarenesulfonimides (I-VII) with various substituents in the para position of the benzene nuclei, have recorded their IR spectra, and have studied their bacterial action.

The compounds that we obtained consist of colorless (with the exception of (III), which has a light cream shade) crystalline substances soluble in benzene, dioxane, and polychloroalkanes and isoluble in diethyl ether and petroleum ether. Their solubility in water at room temperature does not exceed 0.025%.

The IR spectra of all the substances, unlike that of the unsubstituted benzenesulfonimide, have strong absorption bands close to 800 cm^{-1}, which (together with the absence of bands of N—H vibrations) shows the replacement of the hydrogen of the imide group by chlorine.

The bactericidal action of the substances synthesized was studied* on test cultures of Staphylococcus, Streptococcus, Pneumococcus, *Bac. subtilis*, and *Ps. pyocyanea*. Compounds (I) and (III-VII) were active against all the bacteria mentioned in a dilution of 1:4000, and compound (II) in a dilution of 1:2000. For comparison, the bactericidal action of chloramines B and KhB was studied under similar conditions. It was found that they had the same effect only in a dilution of 1:20.

EXPERIMENTAL

N-Chloroarenesulfonimides (I-VII). At 20°C, a moderate current of chlorine was passed into an aqueous solution of 0.05 mole of the sodium salt of an arenesulfonimide obtained by dissolving the calculated amount of imide in an equivalent volume of a 1% solution of sodium carbonate. After 3-4 min, white flocs began to precipitate, and their amount rapidly increased. The passage of chlorine was continued until it appeared freely above the surface

*The bactericidal action of the N-chloroarenesulfonimides was studied by I. Yu. Kholupyak in the Department of Microbiology of Khar'kov Pharmaceutical Institute, for which the author expresses his deep gratitude.

Melitopol' Pedagogic Institute. Translated from Khimiko-Farmatsevticheskii Zhurnal, Vol. 10, No. 6, pp. 60-61, June, 1976. Original article submitted July 22, 1975.

TABLE 1. N-Chloroarenesulfonimides (I-VII)

Compound	Yield (in %)	mp (in °C)	Found (in %)				Empirical formula	Calculated (in %)			
			C	H	Cl	N		C	H	Cl	N
I	90—92	119—20	43,38	3,08	10,61	4,29	$C_{12}H_{10}ClNO_4S_2$	43,43	3,03	10,68	4,23
II	94—95	103—4	46,70	4,00	9,85	3,99	$C_{14}H_{14}ClNO_4S_2$	46,72	3,92	9,85	3,89
III	92—94	188—9	34,10	1,95	8,34	9,99	$C_{12}H_8ClN_3O_8S_2$	34,12	1,91	8,40	9,96
IV	88—90	86—7	39,11	2,26	9,61	3,86	$C_{12}H_8ClF_2NO_4S_2$	39,18	2,19	9,64	3,81
V	88—90	152—3	35,90	2,07	8,82	3,54	$C_{12}H_8Cl_3NO_4S_2$	35,96	2,01	8,84*	3,51
VI	90—92	165—6	29,41	1,70	7,20	2,90	$C_{12}H_8Br_2ClNO_4S_2$	29,43	1,65	7,24	2,86
VII	89—91	180—1	24,62	1,42	6,02	2,42	$C_{12}H_8ClI_2NO_4S_2$	24,69	1,38	6,07	2,40

*The calculation was performed for the one chlorine atom attached to nitrogen.

of the reaction mixture, which required 25-30 min. The precipitate formed was filtered off, carefully washed with cooled water, dried, and crystallized from carbon tetrachloride (free from carbon disulfide). Yield 88-95%.

The IR spectra were taken on a UR-10 spectrophotometer with a sodium chloride prism.

LITERATURE CITED

1. A. P. Terent'ev and L. A. Yanovskaya, in: Reactions and Methods of Investigating Organic Compounds [in Russian], Moscow, Vol. 6 (1957), p. 7.
2. A. Yu. Ibadov, Tr. Tashk. Farm. Inst., 2, 225 (1960); Med. Prom. SSSR, No. 9, 59 (1965).
3. G. Dyson, May's Chemistry of Synthetic Drugs, 5th ed., Longmans, London (1959).
4. State Pharmacopoeia of the USSR [in Russian], 10th ed., Moscow (1968), p. 1078.
5. A. I. Roshchenko and M. N. Dikhanov, Farmats. Zh., No. 1, 15 (1964).

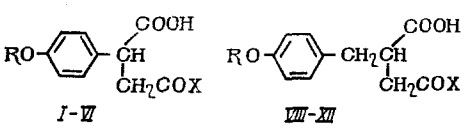
INVESTIGATIONS IN THE FIELD OF SEMISYNTHETIC PENICILLINS.

IX. 6-AMINOPENICILLANIC DERIVATIVES OF p-ALKOXYPHENYL- AND p-ALKOXYBENZYLSUCCINIC ACIDS. MONOPENICILLINS

A. L. Mndzhoyan*, Sh. L. Mndzhoyan, I. Z. Manucharyan, Yu. Z. Ter-Zakharyan, L. A. Shatverova, and R. V. Agababyan

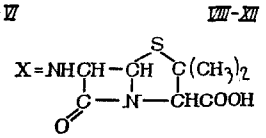
UDC 615.334

The work presented is a continuation of investigations on the synthesis and the study of the properties of 6-aminopenicillanic derivatives of p-alkoxyphenyl- and p-alkoxybenzylsuccinic acids [1] with the general structure



I-VI

VIII-XII



*Deceased.

A. L. Mndzhoyan Institute of Fine Organic Chemistry of the Academy of Sciences of the Armenian SSR, Erevan.

Translated from Khimiko-Farmatsevticheskii Zhurnal, Vol. 10, No. 6, pp. 61-63, June, 1976. Original article submitted December 16, 1975.