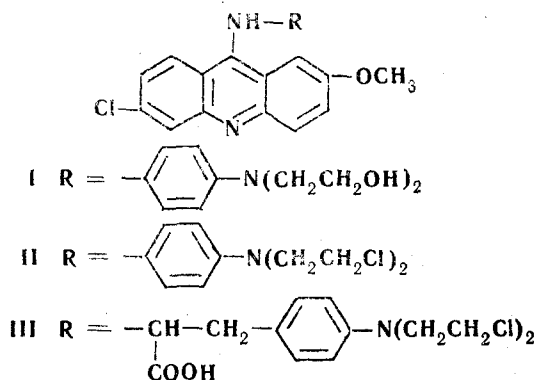


## ACRIDINE DERIVATIVES CONTAINING ALKYLATING GROUPS

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Khimiya Geterotsiklicheskikh Soedinenii, Vol. 2, No. 6, pp. 943, 1966

From information in the literature [1] that the acridine ring can activate alkylation, and in particular, nitrogen mustard groups in the molecule, we have synthesized, for biological testing, acridine compounds having the following general formulas:



Compounds I-III were prepared by condensing 2-methoxy-6,9-dichloroacridine with the appropriate diamines, N,N-bis (2-hydroxyethyl)-p-phenylenediamine (IV), and N,N-bis (2-chloroethyl)-p-phenylenediamine (V), or with sarcosine (VI). The dichloroacridine was condensed with the diamine IV in weakly acid solution at 100° C; similar conditions were also used to react bis (chloroethyl) phenylenediamine (V) hydrochloride with 2-methoxy-6,9-dichloroacridine. Dichloro compound II was also prepared by chlorinating bis (hydroxyethyl) aminophenylaminoacridine I with thionyl chloride or phosphorus oxychloride. Sarcosineacridine III was prepared by heating dichloroacridine with the base sarcosine VI in phenol at 120° C for 2 hr 30 min.

The compounds synthesized had high melting points, were yellow or reddish, had low solubility in water and most organic solvents, and decomposed when recrystallized.

2-Methoxy-6-chloro-9-[p-bis (2-hydroxyethyl) aminophenyl] aminoacridine I, formed minute red crystals, mp 202-203° C (decomp, ex EtOH). Found: N 8.94, 9.17; Cl 8.29, 8.37%. Calculated for  $\text{C}_{24}\text{H}_{24}\text{ClN}_3\text{O}_2$ : N 9.60; Cl 8.11%.

2-Methoxy-6-chloro-9-[p-bis (2-chloroethyl) aminophenyl] aminoacridine (II) hydrochloride hydrate formed a red crystalline powder, decomposing at 263-270° C (ex EtOH-ether) Found: N 7.76, 8.20; Cl 26.32, 26.45%. Calculated for  $\text{C}_{24}\text{H}_{22}\text{Cl}_3\text{N}_3\text{O} \cdot \text{HCl} \cdot \text{H}_2\text{O}$ : N 8.03; Cl 26.80%.

N- $\alpha$ -9-(2-methoxy-6-chloro) acridyl- $\alpha$ -sarcosine (III) hydrochloride picrate decomposed at 194-198° C (ex EtOH-ether). Found: C 53.23, 53.50; H 5.63, 5.37; N 7.58, 7.49; Cl 23.10, 23.04%. Calculated for  $\text{C}_{27}\text{H}_{26}\text{Cl}_3\text{N}_3\text{O}_3 \cdot \text{HCl} \cdot \text{H}_2\text{O}$ : C 53.90; H 4.86; N 7.20; Cl 23.58%.

## REFERENCE

1. R. K. Preston, R. M. Peck, E. R. Breuninger, A. J. Miller, and H. J. Creech, J. Med. Chem., 7, 471, 1964.

27 April 1965

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