SOME ARYL AND ALKYL-SULPHURYL-BIS-GUANIDINES AS POSSIBLE ANTIMALARIALS

THE discovery of N^1-*p*-chlorophenyl-N^5-isopropyl biguanide[1] and its unique antimalarial property led to extensive researches in this virgin fields of biguanides and guanidine derivatives. Keeping in view the interesting results obtained by King and Tonkin[2] in the field of guanidines as also the very encouraging pharmacological data obtained in this laboratory with aryl and alkyl guanidine derivatives of sulphonamides,[3] it was considered worthwhile to prepare a series of compounds which would have two guanidine residues linked with the active SO_2 group of sulphonamides.

The following sulphuryl-bis-guanidines of Type I have been prepared.

These bis-guanidine compounds were prepared by reacting 2 mols. of the appropriate guanidine base with 1 mol. of sulphuryl chloride in an inert and thoroughly dry solvent

R NH—C—NH—SO_2—NH—C—NH R Type I.
‖ ‖
NH NH

	R	M.P. Hydrochloride	M.P. Base
1	H—	108° C.	91° C.
2	CH_3—	128° C.	94° C.
3	$-CH_3\langle^{CH_3}_{CH_3}$	148° C.	111° C.
4	C_6H_5—	152° C.	123° C.
5	*p*—Cl—C_6H_4—	212° C.	181° C.
6	*p*—Br—C_6H_4—	216° C.	183° C.
7	*p*—I—C_6H_4—	232° C.	207° C.
8	*p*—$(Me)_2$—C_6H_3—	227° C.	204° C.
9	*p*—Me—C_6H_4—	215° C.	190° C.
10	*p*—Me—C_6H_4—	205° C.	193° C.
11	NH_2—C_6H_4—SO_2—	197° C.	177° C.

like benzene, acetone, etc. The guanidine bases were obtained from their salts by treatment with molecular proportions of metallic sodium in dry acetone. The free bases of the bis-guanidine salts were obtained by the addition of the requisite amount of dilute alkali, to an alcoholic solution of the salts. Both the salts and bases of the bis-guanidines were crystallised from either alcohol or dilute alcohol.

The compounds are awaiting pharmacological examinations. Full details will be published elsewhere.

Our sincere thanks are due to Dr. B. H. Iyer, M.Sc., Ph.D., for the keen interest shown by him in these investigations.

Dept. of Organic Chemistry, S. N. Sur.
Indian Institute of Science, S. S. Guha.
Bangalore-3, P. C. Guha.
July 22, 1952.

1. Curd and Rose, *J. Chem. Soc.*, 1946, 729. 2. King and Tonkin, *Ibid.*, 1946, 1063. 3. Guha, Roy and Guha, *J. Sc and Ind. Res.* (Under publication).