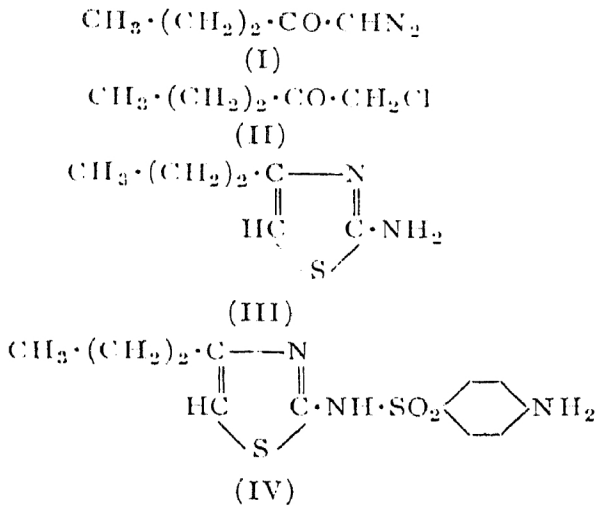
2-N^1-SULPHANILAMIDO-4-*n*-PROPYL-THIAZOLE

In a recent publication,[1] we have described the synthesis of a series of 5-alkyl derivatives of 2-sulphanilamidothiazole. As a sequel to this, we undertook to synthesise a series of 4-alkyl derivatives, of which only the methyl and ethyl[2] derivatives are known so far. 2-Sulphanilamido-4-*n*-propylthiazole has been synthesised as follows:

Butyrylchloride condensed with diazomethane in ethereal solution to yield the diazoketone (I) which on treatment with dry hydrogen chloride in ether solution yielded the corresponding chloroketone (II). On condensing the latter, with thiourea according to the usual procedure, 2-amino-4-*n*-propylthiazole (III) (picrate, m.p. 192° C.) was obtained. Treatment of this with acetsulphanilylchloride in pyridine solution furnished 2-acetsulphanilamido-4-*n*-propylthiazole (m.p. 202°) which on hydrolysis with

about 4 N hydrochloric acid yielded 2-sulphanilamido-4-*n*-propylthiazole (IV) (m.p. 143–44°).



(I)

(II)

(III)

(IV)

This method is of general applicability to synthesise the homologous compounds but we have not so far prepared them because our stock of chemicals was too limited and, moreover, the results of testing the 5-alkyl derivatives of sulphathiazole in this Institute have indicated that the therapeutic activity is greatly diminished in the homologous series after the propyl derivative. Accidentally, however, we have discovered a much better method of preparing the 4-alkyl derivatives[3] which consists in preparing the 2-acetsulphanilamido-4-alkylthiazole derivatives with an additional carboxylic ester grouping in the side chain and then treating them with hydrochloric acid or alkali which not only hydrolyses the acetamino but also the ester grouping causing subsequent decarboxylation. Details of this will be published later on.

We record our thanks to Lt.-Col. S. S. Sokhey, Director, for his kind interest in these investigations.

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February 19, 1942.

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[1] Ganapathi, Shirsat and Deliwala, *Proc. Indian Acad. Sci.*, 1941, **14A**, 630.

[2] Lott and Bergeim, *J. Amer. Chem. Soc.*, 1939, **61**, 3593; Bergeim and Lott, *ibid.*, 1940, **62**, 1873.

[3] Ganapathi, Deliwala and Shirsat, *under publication.*