CHEMOTHERAPY OF BACTERIAL INFECTIONS

Part V. Synthesis of 2-N^1-Sulphanilamido-5-alkyl- and 2-N^1-Sulphanilamido-4-methyl-5-alkyl-thiazoles

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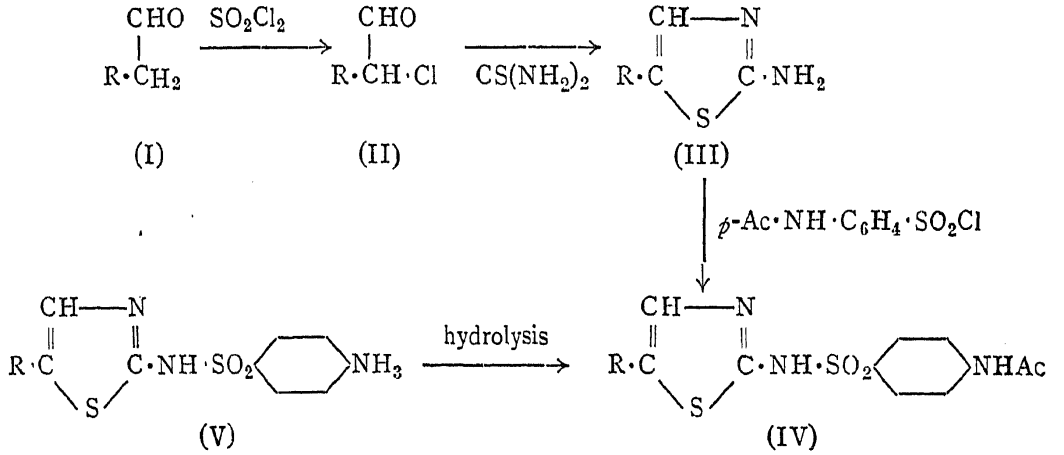
Received November 15, 1941

(Communicated by Lt.-Col. S. S. Sokhey, M.A., M.D., F.A.SC., I.M.S.)

THE studies carried out in this Institute on the therapeutic properties of a pilot series of N^1-sulphanilamido derivatives of various ring compounds in experimental *β-hemolytic streptococcal*, (type I) *pneumococcal* and *P. pestis* infections in mice, have led to the discovery of the outstanding therapeutic properties of 2-N^1-sulphanilamido derivatives of thiazole and pyrimidine. The clinical studies carried out hitherto, extensively with the former and to a limited extent with the latter drug, have fully confirmed the results of the animal experiments. As a sequal to this, we undertook to investigate whether, by the proper manipulation of the molecular structure of these drugs, other derivatives could not be discovered which may be more effective or therapeutically active in the treatment of those infections in which they are of little value. The synthesis of many possible types of such compounds was therefore undertaken to study systematically the effects (pharmacological and physico-chemical) of different types of additional substituents in the sulphanilamido derivatives of thiazole and pyrimidine. This paper is concerned with the synthesis of a particular series of 2-N^1-sulphanilamidothiazole derivatives with alkyl substituents in the positions 4 and/or 5 of thiazole; the other types of thiazole and pyrimidine compounds are being reported in the succeeding parts.

2-N^1-sulphanilamido-5-alkylthiazoles were synthesised according to the following scheme:



R=C_2H_5; Me_2CH—; $CH_3(CH_2)_3$— & $CH_3\cdot(CH_2)_4$—.