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Sam Framroze Boyce^a; Kershasp H. Sadri^a

^a Department of Chemical Technology, University of Bombay, Bombay, India

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SYNTHESIS OF 1,3-THIAZANE-2,4-DIONE AND 2-THIO-5,6-DIHYDROURACIL AND THEIR DERIVATIVES

Sam Framroze Boyce and Kershasp H. Sadri

Department of Chemical Technology, University of Bombay, Matunga,
Bombay, India

1,3-Thiazane-2,4-diones and 2-thio-5,6-dihydrouracils belong to biologically interesting groups of compounds. The 5-ethyl-6-phenyl derivative (Dolitrone) of the former has shown marked activity as an intravenous general anaesthetic. Several derivatives of 2-thio-5,6-dihydrouracil have been reported to possess antitubercular and antithyroid activity. In the present study these two groups of compounds have been synthesised from common starting materials, namely, different α, β -unsaturated acids and thiourea.

A detailed study has been made of the synthesis of 1,3-thiazane-2,4-dione as well as its 5-methyl, 6-methyl, 6-phenyl, 6,6-dimethyl and 5,6-diphenyl derivatives using the reaction of acrylic acid or its appropriate derivative and thiourea in the presence of different acids and acid mixtures. Another method for the synthesis of these compounds using α, β -unsaturated acid chlorides and thiourea has also been investigated.

Several methods are available for the preparation of 2-thio-5,6-dihydrouracils but few using α, β -unsaturated acids and thiourea. A new synthetic method has been developed involving the condensation of acrylic acid or its derivative with thiourea in the presence of an acid anhydride. This reaction has the advantage of simplicity of procedure and good yields. 2-Thio-5,6-dihydrouracil and its 6-methyl, 6,6-dimethyl and 6-phenyl derivatives have been synthesised by this method.