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NEW SYNTHESIS OF 2-THIOXOQUINAZOL-4-ONES

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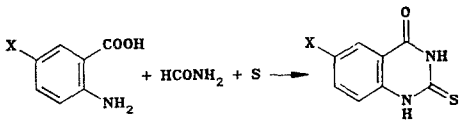
UDC 547.856.1

Derivatives of 2-thioxoquinazol-4-ones are usually obtained from anthranilic acids and alkali thiocyanates [1, 2]. We have recently shown that they can be synthesized by the fusion of quinazol-4-ones with sulfur [3]. But in the latter case the intermediate synthesis of quinazol-4-ones is required.

We find that 2-thioxaquinazolones Ia,b form in one step when anthranilic acid or its 5-methyl derivative are heated with formamide and sulfur.



I a X=H; b X=CH_3

The reaction is carried out at 100-120° for 1 h, then at 220-230° for another hour. It proceeds via the intermediate formation of quinazol-4-ones. Thus, when 5-methylanthranilic acid was heated with formamide and sulfur at 200-210° for 20 min, 6-methylquinazol-4-one (91%) and 6-methyl-2-thioxoquinazol-4-one (9%) were separated from the reaction mixture. Further heating of the reaction mixture gave complete conversion to 6-methyl-thioxoquinazol-4-one, Ib.

The reaction is probably of a general nature and is applicable to the synthesis of substituted 2-thioxo-quinazol-4-ones.

Compound Ia, yield 76%, R_f 0.23 (Silufol, 9:1 benzene—acetone), mp 298-300° (from alcohol) [4]; Ib, yield 78%, R_f 0.55 (Al_2O_3, 24:1 chloroform—methanol), mp 288-290° (from acetic acid). The structures were confirmed by the IR, PMR, and mass spectra.

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Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 6, pp. 853-854, June, 1985. Original article submitted December 14, 1984.

 0009-3122/85/2106-0712$09.50