NEW METHOD FOR THE SYNTHESIS OF 2-THIOXO-4-QUINAZOLONES

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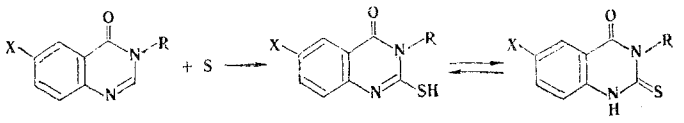
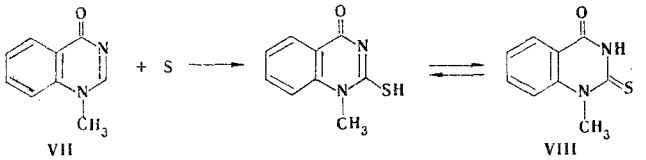
The condensation of anthranilic acids with alkali metal thiocyanates is usually employed for the synthesis of 2-thioxo-4-quinazolone and its derivatives [1-5]. However, the known methods require relatively severe conditions and additional reagents or solvents, give low yields, and in some cases are multistep processes [3, 4]. In addition, the literature does not contain any information regarding the possibility of introducing a sulfur atom in the 2 position of the quinazoline ring. We have shown for the first time that the compounds indicated above can be obtained by the reaction of 4-quinazolones with sulfur. Thus fusion of a mixture of 4-quinazolone (I) with sulfur at 220-230°C for 15-20 min leads to 2-thioxo-4-quinazolone (II) in 91% yield. Quinazolones III, IV, and VII react similarly with sulfur. The reaction also takes place when a mixture of 4-quinazolones and sulfur in absolute DMF are refluxed.



I, III, IV — II, V, VI



VII — VIII

I, II R=X=H; III, V R=CH_3, X=H; IV, VI R=H, X=Br

2-Thioxo-4-quinazolone (II), with R_f 0.2 [Silufol, benzene—acetone (9:1)] and mp 299-300°C (from alcohol) [3], was obtained in 91% yield. 3-Methyl-2-thioxo-4-quinazolone (V), with R_f 0.42 and mp 250-252°C [6], was obtained in 60% yield. 6-Bromo-2-thioxo-4-quinazolone (VI), with R_f 0.74 [benzene—acetone (2:1)] and mp 323-324°C (mp > 280°C [7]), was obtained in 24% yield. 1-Methyl-2-thioxo-4-quinazolone (VIII), with R_f 0.35 [benzene—acetone (9:1)] and mp 228-230°C, was obtained in 81% yield. The structures of the compounds obtained were confirmed by data from the IR and mass spectra and by comparison with genuine samples [5].

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