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SYNTHESIS OF 4-AMINO-5-ARYLMETHYL-2-BROMOTHIAZOLES

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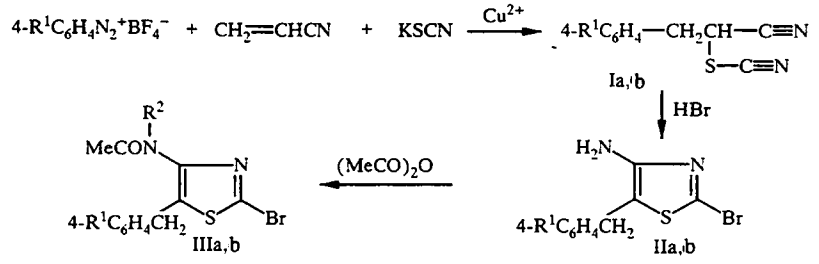
Thiazole derivatives with 4-amino substituents are comparatively inaccessible [1]. We have developed a simple method for the synthesis of such compounds based on products from the thiocyanoarylation of acrylonitrile (Ia,b). α-Thiocyanatonitriles add hydrogen bromide to cyclize readily to thiazole derivatives (IIa,b):



I–III a R^1 = Me, R^2 = H; b R^1 = Cl, R^2 = MeCO

The aminothiazoles (IIa,b) were isolated as their mon- or diacyl derivatives (IIIa,b) [2].

2-Thiocyanato-3-arylpropionitriles (Ia, b) were made by a known method [3].

4-Acetylamino-2-bromo-5-(4-tolylmethyl)thiazole (IIIa). HBr was passed into a solution of adduct Ia (1 g, 5 mmol) in absolute benzene (5 cm^3) for 40 min. The precipitate was filtered off, mixed with acetic anhydride (7 cm^3), and heated for 40 min. Yield 57%. M.p. 187°C (ethyl acetate). ^{1}H NMR spectrum (DMSO-D_6): 2.00 (3 H, s, CH_3CO), 2.25 (3 H, s, $CH_3C_6H_4$), 3.90 (2 H, s, CH_2), 7.10 (4 H, s, C_6H_4), 9.99 ppm (1 H, s, NH).

4-Diacetylamino-2-bromo-5-(4-chlorophenylmethyl)thiazole (IIIb) was obtained analogously. Yield 67%. M.p. 144°C (ethyl acetate). ^{1}H NMR spectrum (DMSO-D_6): 2.17 (6 H, s, CH_3CO), 4.01 (2 H, s, CH_2), 7.23 (2 H, d, C_6H_4), 7.38 ppm (2 H, d, C_6H_4).

Elemental analysis results corresponded to calculated values.

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