NEW METHOD FOR THE SYNTHESIS OF 2-HETARYL-SUBSTITUTED IMIDAZO[4,5-b]PYRIDINES AND IMIDAZO[4,5-c]PYRIDINES

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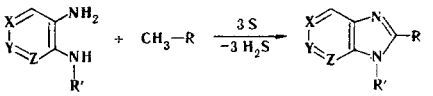
2-Hetarylimidazopyridines (III) are formed in 83-98% yields when stoichiometric amounts of diaminopyridines (I), elementary sulfur, and a heteroaromatic compound with an active methyl group (II) are heated at 165-200°C for 3-8 h.



I II III

Ia, IIIa, b, c X = Y = CH, Z = N, R' = H; Ib, IIId, e, f, g, h X = N, Y = Z = CH, R' = CH_3; Id, IIIi X = Z = CH, Y = N, R' = CH_3; Ic X = N, Y = Z = CH, R' = H; IIa, IIIa, d R = 6-methyl-2-pyridyl, IIb, IIId, h R = 2-quinolyl IIc, IIIf R = 2-benzimidazolyl; IId IIIe R = 2-benzothiazolyl; IIe, IIIc, and R = imidazo[4,5-c]pyrid-2-yl; IIf, IIIg R = 1-methylimidazo[4,5-c]pyrid-2-yl; IIg R = 3-methylimidazo[4,5-c]pyrid-2-yl

The synthesized compounds had the following melting points: IIIa 187-188° (from methanol), IIIb 276-277° (reprecipitation from 10% NaOH by the addition of 10% HCl), IIIc 380° (reprecipitation from 10% NaOH by the addition of 10% HCl), IIId 137° (from heptane), IIIe 204-205° (from benzene), IIIf 283° (from benzene), IIIg 305-306° (from dioxane), IIIh 178-179° (from hexane), and IIIi 341° (from dimethylformamide).

The results of elementary analysis of all of the compounds obtained were in agreement with the calculated values. The structures of IIId,e,g,h were confirmed by the mass spectral data. Compound IIIi was obtained from Id and IIe, as well as from Ic and IIg. The alternative synthesis of IIIg was accomplished in 75% yield by heating diamine Ib with 1-methylimidazo[4,5-c]pyridine-2-thiocarboxamide at 180-190° for 25-30 min.

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