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LETTERS TO THE EDITOR

INTRAMOLECULAR CYCLIZATION OF N-(4-ARYL-5-PYRAZOLYL)-FORMAMIDINES

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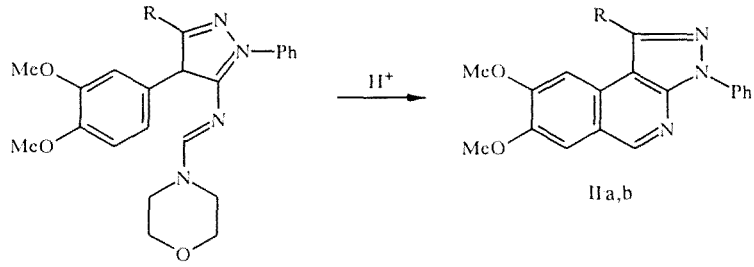
Among the methods known at present for the synthesis of polyazaheterocyclic systems containing isoquinoline and β-carboline fragments there are practically no convenient methods of obtaining compounds with an α-unsubstituted pyridine nucleus. While continuing the study of the acid cyclization of α-amino-β-aryl(heteryl)azoles [1, 2] we found that the N-(4-aryl-5-pyrazolyl)formamidines (Ia, b), obtained by the reaction of the corresponding 5-aminopyrazoles and tris(morpholino)-methane, are converted readily and in high yield in the presence of acids into pyrazolo[5,4-c]isoquinolines (IIa, b).



Ia,b IIa,b

I, II a R=Me, b R=Ph

Reaction of a formamidine containing an indole fragment at position 4 of the pyrazole substituent enables an indolo[2,3-c:5,4-e]pyrazolopyridine to be obtained. The reaction forming the pyridine ring is formally like the Pictet–Spengler synthesis but differs from it in the possibility of obtaining aromatic heterocycles.

7,8-Dimethoxy-1-methyl-3-phenylpyrazolo[5,4-c]isoquinoline (IIa) $C_{19}H_{17}N_3O_2$, mp 167-168°C. PMR spectrum ($CDCl_3$): 2.31 (3H, s, CH_3), 3.92 (6H, s, $2OCH_3$), 6.91 (1H, d, J = 1.8 Hz, H_{arom}), 6.93 (1H, d, J = 1.8 Hz, H_{arom}), 6.95 (1H, s, H_{arom}), 7.34 (1H, t, J = 8 Hz, H_{arom}), 7.49 (2H, t, J = 8 Hz, H_{arom}), 7.62 (2H, d, J = 8 Hz, H_{arom}).

7,8-Dimethoxy-1-methyl-3-diphenylpyrazolo[5,4-c]isoquinoline (IIb) $C_{24}H_{19}N_3O_2$, mp 79-81°C. PMR spectrum ($CDCl_3$): 3.76 (3H, s, OCH_3), 4.0 (3H, s, OCH_3), 6.96 (1H, s, H_{arom}), 7.17 (2H, s, H_{arom}), 7.43-7.81 (10H, m, H_{arom}).

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