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LETTERS TO THE EDITOR

NEW ROUTE FOR THE SYNTHESIS OF PYRROLO[1,2-*a*]INDOLES*

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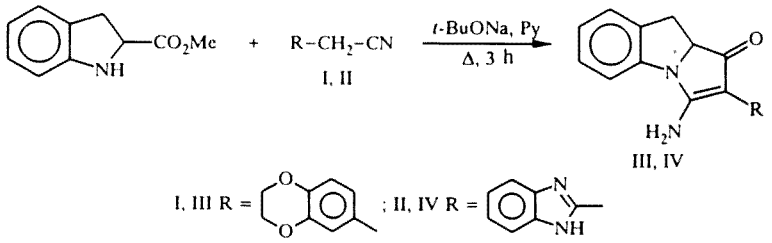
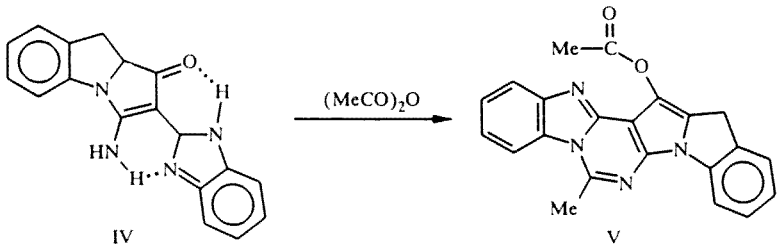
We have found that acylation of methyl 2,3-dihydroindole-2-carboxylate with heterarylacetonitriles (I and II) in pyridine in the presence of excess sodium *tert*-butoxide is accompanied by intermolecular addition of the NH group of the dihydroindole to the triple bond of the nitrile group to give 3-amino-2-R-9,9*a*-dihydro-1H-pyrrolo[1,2-*a*]indol-1-ones (III and IV):



Acylation of compound IV with an excess of acetic anhydride occurred at both the amino group and the hydroxy group of the tautomeric enol form. However, the reaction did not stop at this stage, the intermediate undergoing dehydration to form indolo[1",2":1',5']pyrrolo[3'2':5,6]pyrimido[3,4-*a*]benzimdazole (V) containing a new heterocyclic system.



3-Amino-2-(benzodioxan-6-yl)-9,9*a*-dihydro-1H-pyrrolo[1,2-*a*]indol-1-one (III, $C_{19}H_{16}N_2O_3$). mp 258°C (from dioxane). Yield 66%. R_f 0.45 (Silufol UV-254, 9:1 chloroform–methanol). IR spectrum (KBr): 3300, 3420 (NH_2), 1605 cm^{-1} (C=O). ^1H NMR spectrum (100 MHz, DMSO-D_6): 3.17 (2 H, dd, CH_2), 4.22 (4H, s, OCH_2CH_2O), 4.60 (1 H, dd, CH), 6.85-7.73 (7 H, m, arom. + 2 H, s, NH_2 (7.43 ppm)).

3-Amino-2-(benzimidazol-2-yl)-9,9*a*-dihydro-1H-pyrrolo[1,2-*a*]indol-1-one (IV, $C_{17}H_{14}N_4O$). mp 271°C (from 1-propanol). Yield 71%. R_f 0.52 (Silufol UV-254, 9:1 chloroform–methanol). IR Spectrum (KBr): 3330 (NH_2), 1590 cm^{-1} (C=O). ^1H NMR Spectrum (100 MHz, DMSO-D_6): 3.30 (2H, dd, CH_2), 4.92 (1H, dd, CH), 7.00-7.85 (8H, m, arom.), 8.64 (1H, s, H–N–H...N), 9.15 (1H, s, H–N–H...N), 11.83 ppm (1H, s, N–H...O).

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15H-4-Acetoxy-7-methylindolo[1″,2″:1′,5′]pyrrolo[3′,2′:5,6]pyrimido[3,4-*a*]benzimidazole (V, $C_{22}H_{16}N_4O_2$). mp 291°C (from acetic anhydride). Yield 76%. R_f 0.43 (Silufol UV-254, 9:1 chloroform–methanol). IR spectrum (KBr): 1760 (C=O), 1230 cm^{-1} (C–O–C). ^1H NMR spectrum (100 MHz, DMSO-D_6): 2.45 (3H, s, CH_3-CO_2-), 3.19 (3H, s, CH_3), 4.08 (2H, s, CH_2), 7.1-8.25 ppm (8H, m, arom).

Elemental analysis results agree with calculated values.