SYNTHESIS OF 3H-PYRROLO[2,3-c]ACRIDINE

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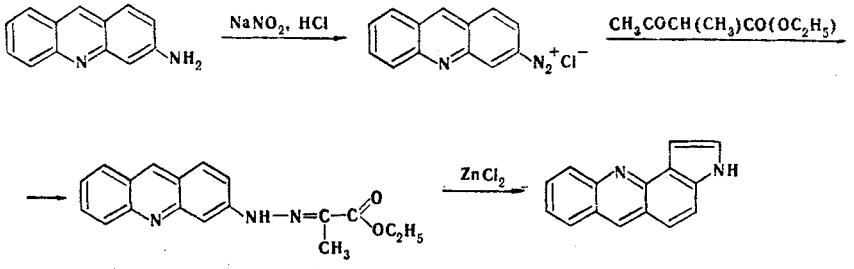
We have accomplished the synthesis of a new heterocyclic system — 3H-pyrrolo[2,3-c]acridine (II).

Diazotization of 3-aminoacridine and subsequent reaction with methylacetoacetic ester gave ethyl pyruvate 3-acridinylhydrazone (I), with mp 195°C (from ether), in 55% yield. IR spectrum ($CHCl_3$): 3380 (NH) and 1700 cm^{-1} (C=O). UV spectrum (in alcohol), λ_{max} (log ε): 223 (4.32), 241 (4.54), 322 (4.54), and 383 nm (3.69). PMR spectrum [in dimethyl sulfoxide (DMSO)]: 8.9 (1H, s, 9-CH), 4.3 (2H, q, OCH_2CH_3), 1.36 (3H, t, OCH_2CH_3), 2.22 (3H, s, CH_3), 10.3 (1H, s, NH), and 7.5-8.3 ppm (7H, m, aromatic protons).



I II

Cyclization of hydrazone I in the presence of zinc chloride at 230°C with simultaneous saponification and decarboxylation gave II with mp 242°C (after purification by chromatography with a column filled with silica gel and elution with ether) and R_f 0.42 [Silufol, ether—acetone (10:1)]. IR spectrum ($CHCl_3$): 3480 cm^{-1} (NH). UV spectrum (in alcohol), λ_{max} (log ε): 216 (4.39), 224 (4.39), 238 (4.44), 280 (4.71), 372 nm (3.96). PMR spectrum (in DMSO): 8.97 (1H, s, 6-CH), 11.8 (1H, s, NH), 7.29 (1H, d, J_{12} = 3.0 Hz, J_{13} = 2.3 Hz, 1-CH), 7.41 (1H, d, J_{23} = 2.6 Hz, 2-CH), 7.72 (2H, q, 8-CH, 9-CH), 8.16 (1H, d, 10-CH), and 8.08 ppm (1H, d, 7-CH). The singlet with doubled intensity at 7.68 ppm belongs to the 4-CH and 5-CH protons, and a quartet of the AB system of these protons with $\Delta\delta$ 9.1 Hz and $J_{4,5}$ = 8.9 Hz is observed when the solvent is replaced by CCl_4—DMSO (9:1). Mass spectrum (m/e, intensity in percent of the maximum ion peak): 218 (100), 217 (17), 190 (14), 191 (21), 164 (10), 138 (7), 111 (7).

The results of elementary analysis of I and II were in agreement with the calculated values.

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