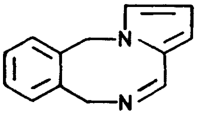
Synthesis of 6*H*,11*H*-Pyrrolo[1,2-*b*][2,5]benzodiazocine: a New Nitrogen Heterocyclic System

By G. DE MARTINO,* S. MASSA, M. SCALZO, R. GIULIANO, and M. ARTICO

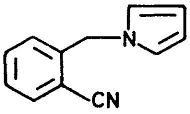
(*Istituto di Chimica farmaceutica e tossicologica* II *Cattedra, Università di Roma,* 00100 *Roma, Italy*)

Summary Vilsmeier–Haack formylation of 1-(2-acetamidomethylbenzyl)pyrrole, followed by alkaline hydrolysis, afforded directly 6*H*,11*H*-pyrrolo[1,2-*b*][2,5]benzodiazocine.

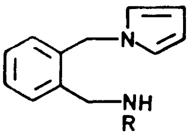
IN continuation of studies[1] on the synthesis of new heterocyclic systems potentially useful as starting materials for derivatives of pharmaceutical significance, we describe the preparation of a previously unreported ring system 6*H*,-11*H*-pyrrolo[1,2-*b*][2,5]benzodiazocine (I). 1-(2-Cyanobenzyl)pyrrole (II), m.p. 43—44° (petrol), was formed in 75% yield by reaction of *o*-bromomethylbenzonitrile with pyrrole potassium salt in tetrahydrofuran. Lithium aluminium hydride reduction of (II) in anhydrous ether gave oily 1-(2-aminomethylbenzyl)pyrrole (III), which was characterized as its picrate, m.p. 168—169° (water). On treatment with cold acetic anhydride the compound (III) was easily transformed into 1-(2-acetamidomethylbenzyl)-pyrrole (IV), m.p. 99—100° (water), which was then formylated by the Vilsmeier–Haack method at room temperature giving 1-(2-acetamidomethylbenzyl)pyrrole-2-carboxaldehyde (V), m.p. 95—96° (cyclohexane).



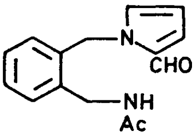
(I)



(II)



(III) R = H
(IV) R = Ac



(V)

Alkaline hydrolysis of (V) afforded directly 6*H*,11*H*-pyrrolo[1,2-*b*][2,5]benzodiazocine (I), m.p. 290—291° (ethyl acetate).

All the compounds gave satisfactory elemental analyses and spectral data.

We thank the Italian National Council of Research (C.N.R.) for financial aid.

(*Received, October* 18*th*, 1971; *Com.* 1802.)

---

[1] M. Artico, G. De Martino, R. Giuliano, S. Massa, and G. C. Porretta, *Chem. Comm.*, 1969, 671; M. Artico, G. C. Porretta, and G. De Martino, *J. Heterocycli Chem.*, 1971, **8**, 283; M. Artico and S. Vomero, *Ann. Chim.* (*Italy*), 1969, **59**, 81.