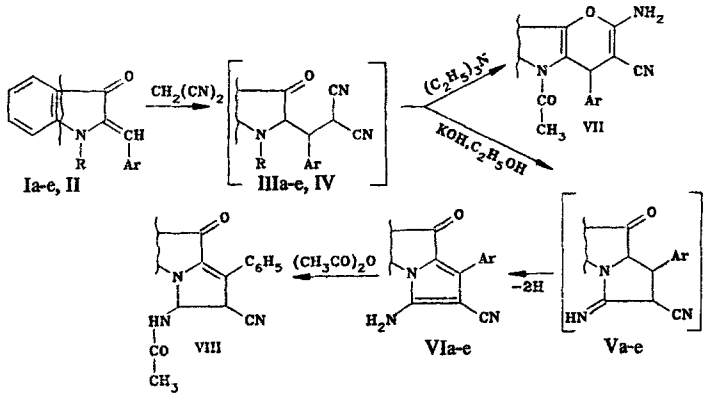
SYNTHESIS OF PYRROLO[1,2-a]INDOLES FROM 2-ARYLIDENE-3-INDOLINONES

V. S. Velezheva, K. V. Nevskii,
and N. N. Suvorov

UDC 547.752.759.5.057

We have found the regularities with which the cyclocondensation of Michael adducts, obtained from 2-arylidene-3-indolinones (indogenins) and malonodinitrile, can be directed to either the ring nitrogen atom or the exocyclic C=O bond. It was thus possible to develop a method for synthesizing pyrrolo[1,2-a]indoles VIa-e from indogenins Ia-e and malonodinitrile. According to the data in [1], Michael adducts III are formed from these reagents. A method for synthesizing pyrano[3,2-b]indoles VII from 1-acetylindogenins and malonodinitrile has already been developed in [2]. This diversity of results from one and the same fraction is achieved by varying the catalyst and substituents at the 1 position of indogenins.



Ia-e R=H; II, IV R=$COCH_3$; III, V, VI a Ar=C_6H_5; b Ar=4-cumene; c Ar=4-$OCH_3C_6H_4$; d Ar=3,4-$(OCH_3)_2C_6H_3$; e Ar=4-BrC_6H_4

For the preparation of pyrroloindoles VIa-e, indogenins Ia-e unsubstituted at the nitrogen atom and a strong base (5% solution of KOH in alcohols) must be used. With this case, the stages of the Michael addition and cyclocondensation of adducts IIIa-e with the participation of NH and CN groups take place. The moderate yields (30-50%) of compounds VIa-e can be mainly explained by the difficult spontaneous dehydrogenation of intermediate compounds Va-e. Pyrrolindole VIa was identified as monoacetyl derivative VIII, for VIa mp 315°C (from isopropanol), M^+ 285; for VIII mp 277°C (from dioxane), M^+ 327. The structure of compounds VIa-e, VIII was also confirmed by the data of the elemental analysis and IR, UV, and PMR spectra.

In the presence of a weak base (triethylamine, piperidine), the reaction of indogenins stops at the first stage [1]. Triethylamine is used in the preparation of pyrano[3,2-b]indoles, but the 1 position of indogenins must be protected by the acetyl group.

LITERATURE CITED

1. R. W. Daisley and Z. A. Elagbar, Heterocycl. Chem., 19, 1013 (1982).
2. V. S. Velezheva, K. V. Nevskii, and N. N. Suvorov, Khim. Geterotsikl. Soedin., No. 2, 230 (1985).

D. I. Mendeleev Moscow Institute of Chemical Technology, Moscow 125047. Translated from Khimiya Geterotsklicheskikh Soedinenii, No. 2, pp. 276-277, February, 1985. Original article submitted June 28, 1984.

0009-3122/85/2102-0235$09.50