

LETTERS TO THE EDITOR

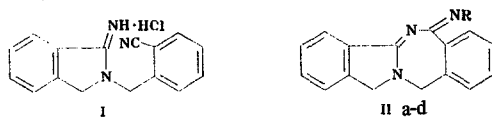
SYNTHESIS AND REACTIONS OF DERIVATIVES OF ISOINDOLO[2,1-b]- [2,4]BENZODIAZEPINE

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The isoindolo[2,1-b][2,4]benzodiazepine system has been little studied, although other combinations of isoindole and benzodiazepine fragments have been studied in greater detail [1]. Among them, compounds have been found which possess anticonvulsive, sedative, and tranquilizing actions [2-4].

We have shown that the hydrochloride of 2-(o-cyanobenzyl)-1-imino-3H-isoindole (I), mp 246-248°C (from water), obtained by condensing 3-amino-1H-isoindole and o-chloromethylbenzonitrile in methanol, when it is treated with two equivalents of sodium ethanolate followed by boiling in absolute ethanol for 5 h, undergoes a peculiar molecular cyclization with the formation of the previously unknown 5-imino-5,13-dihydro-11H-isoindolo[2,1-b][2,4]benzodiazepine (IIa); yield 68%; mp 159-160°C (from nitromethane).



II a R=H; b R=COCH₃; c R=CONHC₆H₅; d R=CSNHC₆H₅

IR spectrum of (IIa) (KBr), cm⁻¹: 1635 and 1680 (C=N); 3300 and 3173 (NH). PMR spectrum (from TMS in DMSO), ppm: s, 4.50 (2 H, CH₂); s, 5.10 (2 H, CH₂); s, 6.25 (1 H, NH); m, 7.16-7.55 (8 H, aromatic protons).

The hydrogen atom in the imino group of compound (IIa) possesses a definite mobility. Thus, reaction with ketene formed the N-acetyl derivative (IIb), mp 218-220°C (from propan-2-ol); and reaction with phenyl isocyanate and with phenyl isothiocyanate led, respectively, to the phenylurea (IIc), mp 255-256°C (from methanol), and the phenylthiourea (IId), mp 168-169°C (from benzene).

The structures of the compounds synthesized were deduced on the basis of their IR and PMR spectra. The results of their elementary analysis corresponded to the calculated figures.

LITERATURE CITED

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4. Sandos Ltd., Netherlands Patent No. 6,607,814; Chem. Abstr., 67, 43829 (1967).

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