ACYLATION OF 6-AZAINDOLE UNDER THE CONDITIONS OF THE FRIEDEL—CRAFTS REACTION

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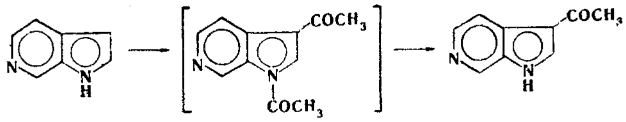
Indoles are not acylated at the carbon atoms of the pyrrole ring under the conditions of the Friedel—Crafts reaction, and only the introduction of an electron-acceptor 1-glycoside residue (see [1]) has made it possible to recently accomplish this process [2]. One might have expected that the presence of an electron-acceptor π-electron-deficient pyridine ring in the 6-azaindole system would make it possible to similarly carry out the Friedel—Crafts reaction. In fact, we obtained 3-acetyl-6-azaindole (II) as colorless crystals, with mp 231-232°C, in 71% yield when we added a solution of 1 g (8.4 mmole) of 6-azaindole I in 40 ml of anhydrous dichloroethane with stirring at 20° in the course of 30 min to a complex [4.8 g (36 mmole) of anhydrous $AlCl_3$ in 20 ml of anhydrous dichloroethane was mixed at 0°C with 3.4 ml (48 mmole) of acetyl chloride] with subsequent stirring of the reaction mixture at 20°C for 1 h, pouring of the mixture into a solution of 40.6 g of potassium sodium tartrate and 6.4 g of sodium hydroxide in 100 ml of water, and extraction with 200 ml of butanol. The initial product is probably 1,3-diacetyl derivative III, which undergoes N-deacetylation when it is treated with alkali. Ketone II did not depress the melting point of a genuine sample and had a molecular weight (M) of 160 (by mass spectrometry). Calculated M: 160. The oxime was obtained as colorless crystals with mp 246-247°C (from aqueous ethanol). The IR and PMR spectra were in agreement with the proposed structure.



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