QUINOXALINE IN THE HETARYLATION REACTION

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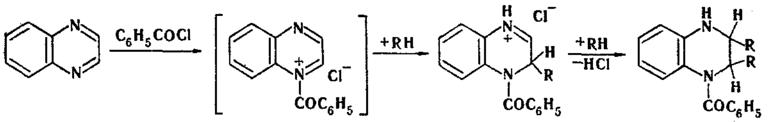
We have found that 1-acyl-2,3-disubstituted 1,2,3,4-tetrahydroquinoxalines are obtained in the reaction of quinoxaline with dialkylanilines or other nucleophilic organic compounds in the presence of equimolar amounts of an acyl halide. The initial products are evidently N-acylquinoxalinium salts, which subsequently electrophilically attack the nucleophile molecule to give monosubstituted salts, as has been described for N-alkylquinoxalinium salts [1]. However, in our case in the absence of an oxidizing agent the compounds do not subsequently undergo aromatization but rather add a second molecule of the nucleophile to the carbon–nitrogen double bond:



The individuality of the compounds obtained was monitored by chromatography on Silufol. The high-resolution mass spectra confirmed the empirical compositions of the molecular ions of the compounds obtained and of most of the fragment ions. The IR spectra also confirm the structures of the synthesized compounds (ν_{NH} 3440, ν_{CO} 1670, indole ring δ 1500, 1800 cm^{-1}, etc.). Given for the synthesized 1-acyl-2-R- and 3-R-1,2,3,4-tetrahydroquinoxalines: R and melting points in degrees Centigrade: 3-indolyl, 299-301; 1-methyl-3-indolyl, 285-287; 2-methyl-3-indolyl, 250; p-dimethylaminophenyl, 310. All of the synthesized compounds were recrystallized from dimethylformamide.

In the reaction of indole with quinoxaline in excess acetic anhydride we obtained 1,4-diacetyl-2,3-bis(3-indolyl)-1,2,3,4-tetrahydroquinoxaline with mp 342-344 deg C. The results of elementary analysis of the synthesized compounds were in agreement with the calculated values.

LITERATURE CITED

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