REACTION OF PYRIDO[2,3-b]PYRAZINE SALTS WITH NUCLEOPHILES

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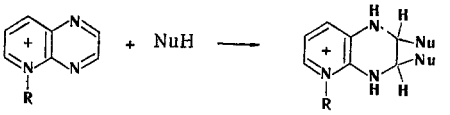
During an investigation of the effect of benzoannelation and the introduction of aza groupings on the reactivities of azines, we observed that protic and quaternary salts of pyrido[2,3-b]pyrazine (I) add nucleophile residues on reaction with indoles and phenylhydrazines in refluxing ethanol.



I II-VI

II R=H, Nu=3-indolyl; III R=CH_3, Nu=2-methyl-3-indolyl; IV R=C_2H_5, Nu=2-methyl-3-indolyl; V R=CH_3, Nu=$NHNHC_6H_5$; VI R=CH_3, Nu=$NHNHC_6H_4NO_2$-*p*

The investigated reactions proceed in an unusual manner: whereas the cations of the monoazinium series, the corresponding N-oxides [1, 2], and the N-alkylquinoxalinium cation [3] add one residue of the uncharged nucleophile and their electrophilicity is exhausted by this, the formation of diaddition products is observed for the first time in this reaction.

Whereas quinolinium cations are nucleophilically attacked in the pyridinium ring [1, 2], the direction of nucleophilic attack changes when the benzene ring is replaced by a pyrazine ring, and addition occurs at the C=N bonds of the uncharged pyrazine fragment.

The PMR spectra of II-IV contain two characteristic doublets (2-H and 4-H) at 5 ppm ($J_{2,3}$=9 Hz); the PMR spectrum of V in dimethyl sulfoxide (DMSO) at 35°C does not give information because of broadening of the lines. The compound undergoes decomposition accompanied by the KhPYa effect (negative polarization of the benzene protons) when the temperature is raised to 100°.

Satisfactory results of elementary analysis were obtained for all of the compounds. Diaddition was also confirmed by a study of the mass spectra.

The number of the compound, its melting point, the crystallization solvent, the UV spectrum [λ_{max} nm (log ε)], and the percent yield are given: II, base, 202-204, toluene, 272 (4.27), 291 (4.19), 332 (4.13), 87; III, 232-235 (dec.), ethanol, 279 (4.30), 289 (4.20), 351 (4.20), 49; IV, 236-238 (dec.), ethanol, 280 (4.21), 289 (4.11), 355 (4.11), 50; V, dec. > 100, ethanol, 272 (4.38), 319 (4.12), 346 (4.20), 61; VI, 200-202, CH_3COOH, 264 (3.90), 374 (4.49), 81.

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