UNEXPECTED O → N MIGRATION OF THE METHYL GROUP IN 5-METHOXY-6-CYANOBENZIMIDAZO-[1,2-a][1,8]NAPHTHYRIDINE

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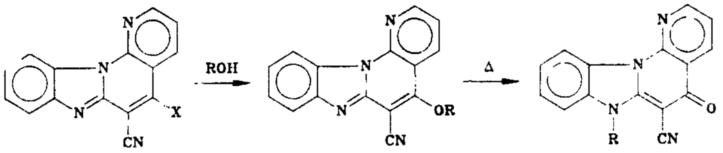
During studies of condensed heterocyclic systems with a nodal nitrogen atom, we have obtained 5-chloro-6-cyanobenzimidazo[1,2-a][1,8]naphthyridine [I, X = Cl, yield 87%, mp 189°C (from diozane)] by treating the hydroxy-compounds (I) (X = OH) with a mixture of PCl_5 and $POCl_3$, as in [1]. The chlorine atom readily undergoes nucleophilic attack, and on reaction with methanol in the presence of potassium carbonate affords 5-methoxy-6-cyanobenzimidazo[1,2-a][1,8]naphthyridine [II, R = CH_3, yield 83%, mp 173°C (from propanol)].

We have found that on heating the methoxy-compound (II) (R = CH_3) to its melting point, it is converted into a new, higher-melting product which, according to its elemental analysis, is isomeric with the original compound. The same conversion also takes place on boiling a solution of the methoxy-derivative (II) (R = CH_3) in DMF for 2.0-2.5 h (followed by chromatography on Silufol UV-254 plates). It is characteristic that the signal for the protons of the methyl group in the PMR spectrum of the original methoxy-derivative is seen at its usual position (4.57 ppm in DMSO-D_6), and in the final product at 4.07 ppm. Finally, the remaining properties (mp above 300°C, mixed melting point, and the IR, UV, and PMR spectra) are identical with those of the previously described 7-methyl-5-oxo-6-cyanobenzimidazo[1,2-*a*][1,8]-naphthyridine (III, R = CH_3) [2].

Similar reactions have been carried out with the deuteromethoxy-derivative (II, R = CD_3).



I X=OH, Cl   II R=CH_3, CD_3 III R=CH_3, CD_3

LITERATURE CITED

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