NEW METHOD FOR THE SYNTHESIS OF 9-SUBSTITUTED 2,3-DIHYDROIMIDAZO[1,2-a]BENZIMIDAZOLES

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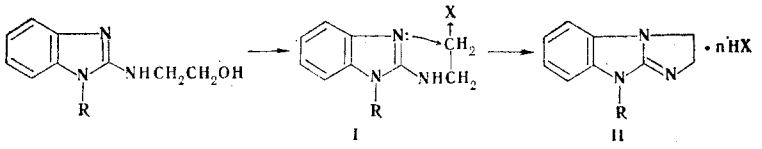
The known method for the preparation of 2,3-dihydroimidazo[1,2-a]benzimidazoles [1-3], which is based on the dehydrochlorination of 1-substituted 2-imino-3-(2-chloroethyl)benzimidazolines by means of an alcohol or aqueous alcohol solution of alkali, does not always give good results [2, 3]. We propose a new method for the synthesis of compounds of this type that consists in the thermal intramolecular cyclization of 2-(2-haloethylamino)benzimidazoles (I), obtained by the action of halogenating agents on the readily accessible 2-(2-hydroxyethylamino)benzimidazoles [4].



R=H, C_2H_5, $CH_2C_6H_5$, C_6H_5; X=Cl, Br; n=0, 1

The cyclization proceeds smoothly when I is heated briefly without a solvent (best carried out at a temperature close to the melting point of starting haloethylamine I) or in a suitable inert solvent such as benzene, toluene, xylene, octane, water, etc. The yields of II are close to quantitative. The presence in the reaction medium of agents with basic character, which is normal in the cyclization of compounds with similar structures to a number of other heterocycles [5], lowers the yields of imidazo[1,2-a]benzimidazoles II since it leads to an ambiguous reaction pathway.

1-Substituted 2-(3-chloropropylamino)benzimidazoles also readily undergo thermal cyclization under the conditions indicated above to give the corresponding 10-R-2,3,4,10-tetrahydropyrimido[1,2-a]benzimidazoles (III).

The structures of II and III were confirmed by the results of elementary analysis and data from the IR spectra, as well as by comparison with samples obtained by a known method [1-3]. The following compounds were obtained (compound, R, Hx, yield in percent, and melting point given): II, H, —, 97, 206-207; II, H, HCl, 100, 261-262; II, C_2H_5, $C_6H_3N_3O_7$, 100, 268-268.5; II, C_2H_5, HCl, 98.5, 256-256.5; II, $CH_2C_6H_5$, HBr, 100, 248-248.5; II, $CH_2C_6H_5$, HCl, 100, 257-258; II, $CH_2C_6H_5$, $C_6H_3N_3O_7$, —, 203.5-204; II, C_6H_5, —, 85, 115-116; II, C_6H_5, HBr, —, 226-228; III, $CH_2C_6H_5$, —, 95, 102; III, $CH_2C_6H_5$, HCl, 98, 266-266.5; III, C_6H_5, $HCl\cdot H_2O$, 91, 131-133; III, C_6H_5, HBr, —, 262-263.

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