REARRANGEMENT OF PYRIMIDO[1,2-a]INDOLES TO α-CARBOLINES

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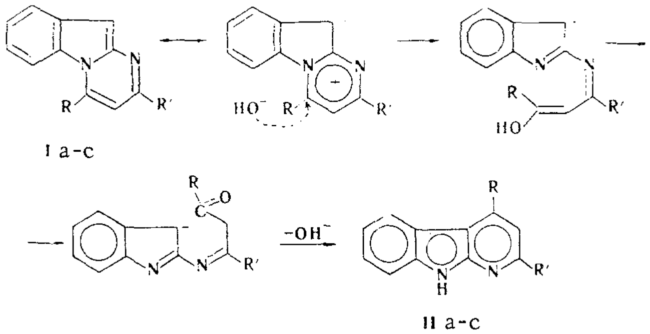
We have found that pyrimido[1,2-a]indoles (I) are quantitatively converted to α-carbolines (II) on heating in excess alcoholic alkali. Thus 2-methyl-4-phenyl-α-carboline (IIa), with mp 230-321° (from benzene), is obtained in quantitative yield when 0.026 g (0.1 mmole) of 2-phenyl-4-methylpyrimido[1,2-a]indole (Ia) is heated for 10 h in 2 ml of alcohol containing 0.6 g of potassium hydroxide and the mixture is subsequently poured into 10 ml of water. Carbolines IIb, with mp 221-222° (from benzene), and IIc, with mp 190-192° (from benzene), were similarly obtained. All of the substances were identical to genuine samples with respect to their chromatograms (on Silufol) and their IR spectra. Starting I apparently often have an ylid structure, and this makes the carbon atom bonded to the nodal nitrogen atom accessible to nucleophilic attack by hydroxide ion. As a result, the pyrimidinium ring opens with the subsequent formation of a nonionized pyridine ring through a step involving an indole anion:



I, II a $R = C_6H_5$, $R' = CH_3$, b $R = R' = CH_3$, c $R = CH_3$, $R' = C_6H_5$

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