ARYLATION OF PRIMARY AND SECONDARY AMINES BY MEANS OF ALKYLPYRIDINIUM SALTS

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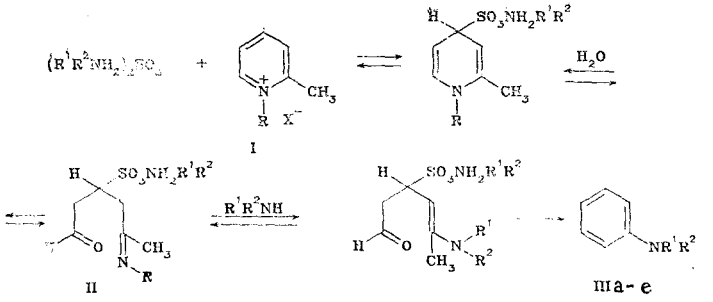
It has been previously shown that quaternary pyridinium salts of the I type are capable of undergoing recyclization under the influence of nucleophilic agents to give aromatic amines [1, 2]. It was subsequently established [3] that the rearrangement is complicated by side processes, among which transamination in the step involving open intermediate II plays the primary role. When a bulky substituent such as an isopropyl group is attached to the pyridine nitrogen atom, transamination becomes the principal process and can be used to introduce aromatic groupings into primary and secondary amines.



I, II R=CH(CH$_3$)$_2$; *n*-C$_4$H$_9$; III a R^1=CH$_3$, R^2=H; b R^1=R^2=CH$_3$; c R^1=R^2=C$_2$H$_5$; d R^1=R^2=C$_3$H$_7$; e R^1=R^2=C$_4$H$_9$

Methyl-, dimethyl-, diethyl-, dipropyl-, and dibutylanilines (IIIa-e) were obtained in yields of more than 50% when 1-isopropyl-2-picolinium iodide was heated with the corresponding amine and an aqueous solution of the sulfite of the same amine in a sealed ampul at 182-200°C for 40-45 h. The reactions with 1-butyl-2-picolinium bromide were also carried out similarly.

The described transformations constitute a fundamentally new method for the introduction of aromatic groupings into nitrogen bases [4]; the aromatic groupings are formed during the reaction from quaternary pyridinium salts by recyclization.

The compounds were identified by means of gas—liquid chromatography (by means of standards), thin-layer chromatography (on Silufol), and by comparison with genuine samples.

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