

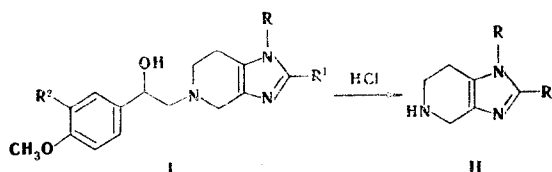
NEW INSTANCE OF THE CLEAVAGE OF A TERMINAL C-N BOND
IN NITROGEN HETEROCYCLES

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We have shown that the products of the reduction of 5-phenacylimidazo[4,5-c]pyridinium salts, viz., 5-(β -hydroxy- β -phenethyl)-4,5,6,7-tetrahydroimidazo[4,5-c]pyridines (Ia-d), which contain 4-methoxy and 3,4-dimethoxy groups in the phenyl ring, readily undergo cleavage upon heating to the boiling point with hydrochloric acid in aqueous alcohol solution; cleavage takes place at the terminal C-N bond to give 1- and 1,2-substituted derivatives of 4,5,6,7-tetrahydroimidazo[4,5-c]pyridines (spinaceamine), which is detected in living organisms [1].

Compounds of the I type that do not have a methoxy substituent in the phenyl ring do not undergo cleavage under the described conditions.



I a $R=CH_3$, $R^1=H$, $R^2=OCH_3$; b $R=CH_3$, $R^1=H$, $R^2=H$; c $R=Ph$, $R^1=H$, $R^2=H$; d $R=CH_3$, $R^1=CH_3$, $R^2=H$

The structure of IIa was confirmed by data from the IR and PMR spectra and also by conversion to the 1,5-dimethyl derivative, which was previously obtained by reduction of 1,5-dimethylimidazopyridinium iodide with sodium borohydride.

The following compounds were obtained [yields in percent and melting points in degrees centigrade (solvents) given]: Ia, 72, 171-172 (benzene-hexane); Ib, 86, 125-127 (dioxane); Ic, 68, 148-150 (isopropyl alcohol); Id, 75, 144-146 (isopropyl alcohol); IIa (dihydrochloride), 92, 227-228 (methanol); IIc (dipicrate), 69, 180-182 (alcohol); IId (dichloride) [sic]; 82, 252-254 (methanol).

The results of elementary analysis of I and II were in agreement with the calculated values.

LITERATURE CITED

1. V. Erpamer, T. Vitali, M. Roseghini, and J. M. Cei, *Experientia*, **19**, 346 (1963).