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4-DESMETHYLMESCALINE

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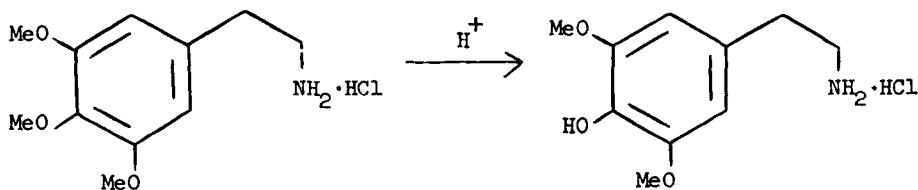
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4-DESMETHYLMESCALINE

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4-Desmethylnescaline, a potential metabolite of mescaline which occurs as a minor alkaloid in *Lophophora williamsii* and *Trichocereus pachanoi*¹, has been prepared from syringaldehyde by a multistep procedure². A more convenient route is the facile conversion of mescaline by selective demethylation with mineral acid to afford 4-desmethylnescaline in 64% overall yield. This procedure is another example of the preferential cleavage of the middle methoxyl that has been demonstrated^{3,4} for various alkaloidal systems containing three neighboring methoxy groups.

Experimental

A solution of 8 g. (32.4 mmole) of mescaline hydrochloride in 100 ml. of 20% hydrochloric acid is refluxed for 2 hrs. and evaporated at 40° under reduced pressure. The residual oil is crystallized from 100 ml. of ethanol to give 2.4 g. of 4-desmethylnescaline hydrochloride: m.p. 249-250°; $\lambda_{\text{max}}^{\text{EtOH}}$ sh 230 nm (6500), 272 (1280); nmr (DMSO-d₆, 60-Mc, tetramethylsilane) δ 2.93 (2CH₂), 3.76 (2CH₃O), 6.53 (2 aromatics), 8.23 (OH and NH₃⁺); tlc (silica gel G, developed with 100 ethyl acetate: 10 methanol: 5 conc.

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ammonium hydroxide for 11 cm. and detected with Dragendorff's reagent)

$R_f=0.40$; identical in m.m.p., uv, nmr and tlc to an authentic sample².

Mescaline hydrochloride (4 g.) is recovered by evaporation of the filtrate followed by crystallization from 100 ml. of acetonitrile. The overall yield of 4-desmethylecscaline hydrochloride is thus 64%.

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