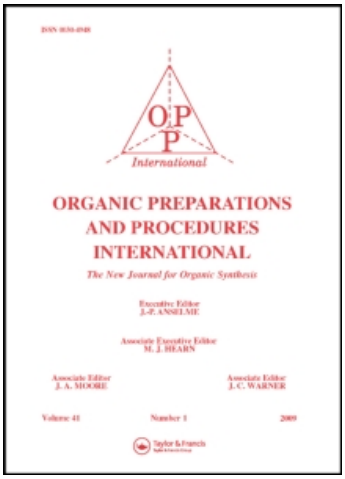

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4-DESMETHYLMESCALINE

A. Brossi[a]; S. Teitel[a]
[a] Chemical Research Department, Hoffmann-La Roche Inc., Nutley, New Jersey

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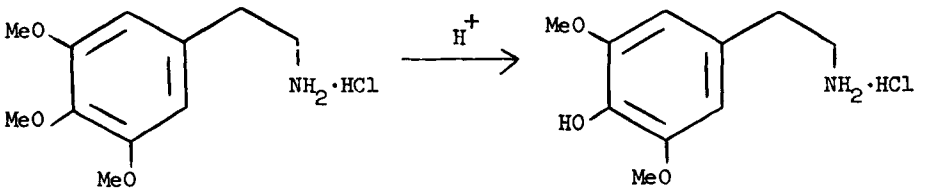
4-DESMETHYLMESCALINE

A. Brossi and S. Teitel
Chemical Research Department
Hoffmann-La Roche Inc., Nutley, New Jersey 07110



4-Desmethylmescaline, a potential metabolite of mescaline which occurs as a minor alkaloid in Lophophora williamsii and Trichocerus pachanoi[1], has been prepared from syringaldehyde by a multistep procedure[2]. A more convenient route is the facile conversion of mescaline by selective demethylation with mineral acid to afford 4-desmethylmescaline 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-desmethylmescaline hydrochloride: m.p. 249-250°; λ_{max}^{EtOH} sh 230 nm (6500), 272 (1280); nmr (DMSO-d_6, 60-Mc, tetramethylsilane) δ 2.93 ($2CH_2$), 3.76 ($2CH_3O$), 6.53 (2 aromatics), 8.23 (OH and NH_3^+); tlc (silica gel G, developed with 100 ethyl acetate: 10 methanol: 5 conc.

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 sample[2]. Mescaline hydrochloride (4 g.) is recovered by evaporation of the filtrate followed by crystallization from 100 ml. of acetonitrile. The overall yield of 4-desmethylmescaline hydrochloride is thus 64%.

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