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CONVOLIDINE – A NEW ALKALOID FROM *Convolvulus krauseanus*

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The roots of the previously unstudied species Convolvulus krauseanus Rgl. et Schmalh., collected at the end of the vegetation period on August 31, 1976 in the region of the village of Bakhmal (Turkestan range) contained 0.62% of total alkaloids. When the mixture of bases was separated, convolvine and convolamine [1], amounting to ~80% of the total, were isolated.

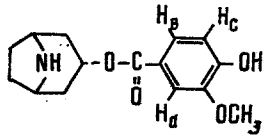
From the chloroform-soluble fraction of the total material we isolated a phenolic base with mp 214-215°C (methanol), composition $C_{15}H_{19}NO_4$ (mol. wt. 277) differing in its properties from those known hitherto, which we have called convolidine (I).

According to its IR spectrum, the alkaloid contains OH and NH groups (3620, 3200 cm^{-1}, an aromatic ester carbonyl group (1680 cm^{-1}), and a 1,2,4-trisubstituted benzene ring (825, 805, 880 cm^{-1}). The composition and spectral characteristics permit the conclusion that convolidine is based on a tropane skeleton, and subsequent chemical transformations of (I) confirmed this hypothesis.

The mass spectrum has the peak of the molecular ion with m/e 277 and the peaks of ions with m/e 167, 154, 151, 126, 123, 110 (100%), 108, and 97, which are characteristic for alkaloids of the tropane series.

Because of its poor solubility in the usual organic solvents, the NMR spectrum of the base was taken in trifluoroacetic acid. In the spectrum (JNM-4H-100/100 MHz), the signal of an aromatic H_c proton appeared at δ 6.65 ppm (doublet, J_{ortho} = 9 Hz), and the signals of H_a and H_b protons at 7.12-7.35 ppm; there were other signals at 5.08 (1 H, t) – $C_{3\alpha}$-H – and at 3.57 ppm (3 H, s) – aromatic OCH_3 group. The results of a comparison of the NMR and mass spectra of (I) with those of convolvine gave grounds for the assumption that (I) differs from convolvine only by the presence of a hydroxy group in place of one of the methoxy groups in the benzene ring. Thus, the methylation of (I) with diazomethane led to convolvine.

To determine the position of the hydroxy group, convolidine was subjected to alkaline hydrolysis, which led to the amino alcohol nortropine and an acid with mp 208-209°C, which proved to be vanillic acid [2]. On the basis of the facts given, the structure of (+)-3α-vanilloyloxynortropane is proposed for convolidine:



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