

Synthesis of Pseudotropine

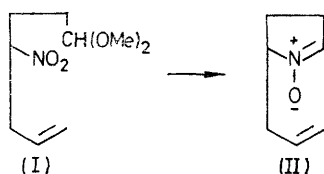
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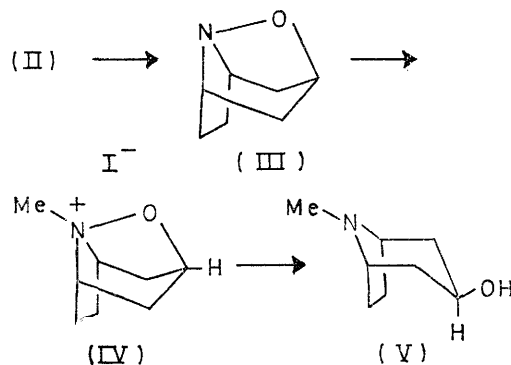
Summary A synthesis of pseudotropine is described which involves a nitron induced oxidative cyclization.

We have recently reported¹ the synthesis of supinidine using nitrones as key intermediates and would now like to report a novel entry into the tropane class of alkaloids² based on a nitron induced intramolecular cycloaddition. Thus, 4-nitrobut-1-ene,³ upon reaction with acrolein in

carbon bond essential to the completion of the synthesis but results in the concomitant and stereospecific oxidation of a centre destined to become the carbinol carbon of the natural product.



methanol containing sodium methoxide, followed by acidification of the medium with dry hydrogen chloride, affords nitro-acetal (I) [b.p. 64—68°/0.05 mm; i.r. (film) 6.1, 6.45, and 7.2 μ]. The nitro-acetal (I) was converted into the nitron olefin (II) by treatment with zinc in aqueous ammonium chloride solution followed by acidification with hydrochloric acid. The nitron olefin (II) [δ (CDCl₃, Me₄Si) 5.1 (m, 2), 5.6 (m, 1), 6.8 (m, 1); i.r. (film) 6.1, 6.3 μ] was heated in toluene to afford cycloadduct (III) [m.p. 46—48°; δ (CDCl₃, Me₄Si) 1.2 (m, 2), 1.7—2.4 (m, 6), 3.5 (m, 2), 4.7 (t, 1, J 5 Hz)]. This reaction not only forms a carbon-



The isoxazolidine (III) was methylated with methyl iodide to give the quaternary salt (IV), which gives pseudotropine (V) upon reduction with lithium aluminium hydride. The pseudotropine so prepared is identical with an authentic sample from tropinone.⁴

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¹ J. J. Tufariello and J. P. Tette, *Chem. Comm.*, 1971, 469.

² G. Fodor, in 'Chemistry of the Alkaloids,' ed. S. W. Pelletier, Van Nostrand Reinhold, New York, 1970, p. 431; G. Fodor in 'The Alkaloids,' vol. IX, ed. R. H. F. Manski, Academic Press, New York, 1967, p. 246.

³ M. H. Benn and M. G. Ettinger, *Chem. Comm.*, 1965, 445.

⁴ R. Willstätter, *Chem. Ber.*, 1896, 29, 936.