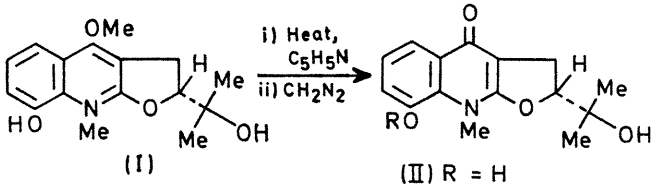
Antibiotics from Higher Plants: Pteleatinium Chloride, A New Quaternary Quinoline Alkaloid from *Ptelea trifoliata* with Antitubercular and Antiyeast Activity

By L. A. MITSCHER,* M. S. BATHALA, and J. L. BEAL

(*Division of Natural Products Chemistry, The Ohio State University, Columbus, Ohio* 43210)

Summary The agent from extracts of *Ptelea trifoliata* which was found to be reproducibly active against *Mycobacterium smegmatis* and *Candida albicans* was isolated and its structure determined by spectroscopic examination and by chemical transformation into (+)-balfourodine.

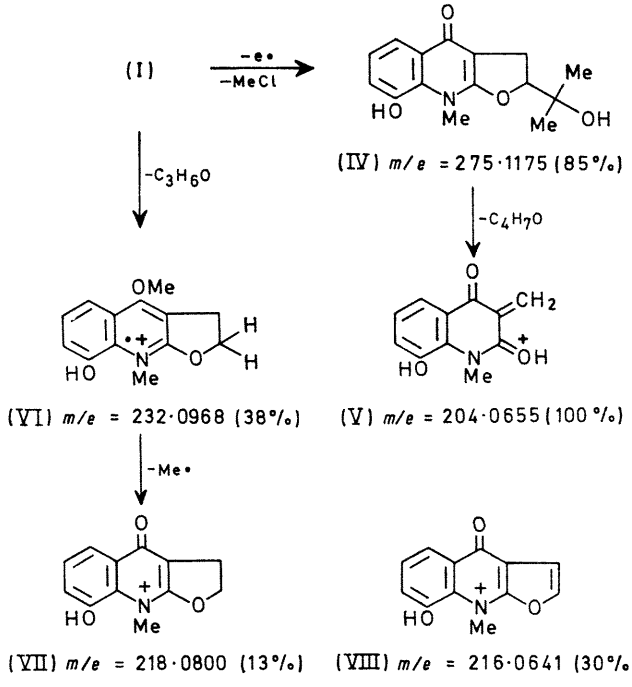
ALCOHOLIC extracts of *Ptelea trifoliata* L. were found to be active *in vitro* against *Mycobacterium smegmatis* (ATCC 607) and *Candida albicans* (ATCC 10231). The plant was fractionated systematically and the bio-active agent was found to be quaternary alkaloid crystallizable from the mixture of quaternary bases by use of methanol. We have named this novel alkaloid pteleatinum chloride and propose structure (I)



Pteleatinium chloride (I), m.p. 267—270°, (M^+ — HCl 289·1324), gives satisfactory analytical data, λ_{max} (MeOH) 213, 257, 277, 304sh, and 330 nm; λ_{max} (NaOH–MeOH) 240, 277, 320sh, and 380—385 nm; ν_{max} (KBr) 3330, 3260, 1636, 1610, 1550, and 1497 cm^{-1}; δ (CD_3OD) 1·30 and 1·45 (s, 2 × C-CH_3), 3·95 (d, J 8 Hz, CH·CH_2), 4·40 (s, O- or N-Me), 4·50 (s, O- or N-Me), 5·20 (t, CH·CH_2), 7·30—7·85 p.p.m. (m, 3 × ArH), c.d. (MeOH) $[\theta]_{315}$ — 11,080, $[\theta]_{255}$ — 117,300 $[\theta]_{210}$ + 202,040, is a fairly unstable (to alkali), water-soluble, quaternary base. Treatment with hot pyridine removed the *O*-methyl group to give compound (II) which on treatment with ethereal diazomethane gave an excellent yield of (+)-balfourodine (III) [m.p. 186—189°, $[\alpha]_D$ +45° (EtOH)]. The identity was confirmed by comparison with an authentic sample.[1]

The mass spectrum of (I) is easily interpretable on the basis of the assigned formula with the major peaks identified as in the Scheme. These fragments are consistent with prior experience in the mass spectroscopy of quinolone alkaloids.[2]

Pteleatinium chloride is only the fourth quaternary quinolinium alkaloid to be described.† *Ptelea trifoliata* contains at least four additional members of this class and their structure is under study in this laboratory. The structural relationship of pteleatinium chloride to the substantial number of new alkaloids recently reported[3] from *Ptelea trifoliata* is obvious.



SCHEME

The biological and additional chemical properties of pteleatinium chloride and its congeners will be reported elsewhere.

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† Ribalinium salts,[3] o-methylbalfourodinium salts,[3] and (+)-platydesminium salt [D. R. Boyd and M. F. Grundon, *J. Chem. Soc.* (*C*), 1970, 556], have been reported previously.

[1] We are grateful to H. Rapoport for this sample. H. Rapoport and K. G. Holden, *J. Amer. Chem. Soc.*, 1960, **82**, 4395.
[2] R. A. Corral and O. O. Orazi, *Tetrahedron*, 1965, **21**, 909.
[3] J. Reisch, K. Szendrei, V. Papay, E. Minker, and I. Novak, *Tetrahedron Letters*, 1970, 1945; J. Reisch, K. Szendrei, I. Novak, E. Minker, and V. Papay, *ibid.*, 1969, 3803; D. L. Dreyer, *Phytochemistry*, 1969, **8**, 1013; R. K. Mulvey and C. J. Zalewski, *Economic Botany*, 1968, **22**, 75.