ALKALOIDS OF THE LEAVES OF ERYTHROXYLUM HYPERICIFOLIUM

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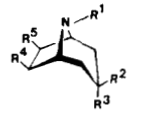
Three species of the genus Erythroxylum are well-known as sources of cocaine but of the approximately 200 other species only a few have been systematically examined for secondary metabolites. The evidence available (Evans 1981) suggests that a wide range of tropane alkaloids exists throughout the genus. We record here an investigation of the leaves of E. hypericifolium Lam. from Mauritius for alkaloids with a potential pharmacological action and contributing to the chemotaxonomy of the genus.

Alkaloids (0.06%) from the leaves (138 g) were obtained by ether extraction and fractionated by column and preparative-layer chromatography (Al-Yahya et al 1979). Fifteen esters, listed below, were identified; for each base ir, nmr and mass spectroscopy afforded the nature of the tropane moiety, its esterification pattern and sterochemistry (Al-Yahya et al 1979). The spectroscopic observations were confirmed where possible by hydrolytic experiments which led to the isolation of the relevant acids and tropanols.



(1) $R^1=R^2=R^4=R^5=H$; $R^3=C_6H_5COO$ (Bz).

(2) $R^1=R^2=R^4=R^5=H$; $R^3=C_6H_5CH:CHCOO$ (Cinn).

(3)* $R^2=R^4=R^5=H$; $R^1=Me$; $R^3=Cinn$.

(4) $R^2=R^4=R^5=H$; $R^1=Me$; $R^3=Bz$. (5)*† $R^3=R^4=R^5=H$; $R^1=Me$; $R^2=Cinn$.

(6)* $R^1=R^2=R^5=H$; $R^3=Cinn$; $R^4=OH$ (tentative identification).

(7) $R^1=R^2=R^5=H$; $R^3=Bz$; $R^4=OH$ (tentative identification).

(8)* $R^1=R^2=R^5=H$; $R^3=C_6H_5CH_2COO$; $R^4=OH$ (tentative identification).

(9)† $R^2=R^5=H$; $R^1=Me$; $R^3=Cinn$; $R^4=OH$. (10)*† $R^2=R^5=H$; $R^1=Me$; $R^3=Cinn$; $R^4=CH_3COO$.

(11)* $R^2=R^5=H$; $R^1=Me$; $R^3=OH$; $R^4=C_6H_5CH_2CO$ (tentative identification).

(12)* $R^2=R^5=H$; $R^1=Me$; $R^3=R^4=Cinn$.

(13)* $R^2=H$; $R^1=Me$; $R^3=Cinn$; $R^3=R^4=OH$ (tentative identification).

(14)* Dimeric alkaloid MW 602. (15)* Dimeric alkaloid MW 616.

*Recorded for the first time from a plant source. †Principal alkaloids

This is the first recorded instance in which a range of cinnamoyl esters predominates in the alkaloid mixture of a specific organ in a species of Erythroxylum; in the root-bark esters of phenylacetic acid are pre-eminent (Al-Said et al 1985). The principal alkaloid (9) of the leaves is a constituent of Knightia strobolina, Proteaceae (Lounasmaa et al 1980). Base (5) is the cinnamoyl analogue of the more widely distributed tropacocaine. The findings are of chemotaxonomic interest and illustrate the importance of organ specificity in the accumulation of secondary metabolites.

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Evans, W.C. (1981) J. Ethnopharmacol. 3: 265-277
Lounasmaa, M. et al (1980) Phytochemistry 19: 949-955