A MORPHINAN ALKALOID FROM ANTIZOMA ANGUSTIFOLIA¹

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In our continuing search for biologically active compounds from indigenous South African flora, we have investigated Antizoma angustifolia (Burch.) Miers ex Harv. (Menispermaceae). In the folk medicinal context, A. angustifolia was used against abdominal disorders (1). We report here the high yield isolation and characterization of sinoacutine, a morphinandienone-type alkaloid. Also isolated was B-sitosterol. These compounds have not been reported previously from this source. The slight anti-inflammatory activity of sinoacutine previously reported (2) is in agreement with our results (26% inhibition of phlogistic response at 300 mg/kg dose).

EXPERIMENTAL

PLANT MATERIAL.—The whole plant of A. angustifolia used in this investigation was collected on December 2, 1984, 50 km north of Pretoria. A voucher specimen is deposited in the Schweikerdt Herbarium, University of Pretoria.

EXTRACTION AND FRACTIONATION.—Airdried, milled plant material of A. angustifolia (7 kg) was successively extracted with C_6H_6 (121 g extract), EtOAc (170 g extract), and MeOH (273 g extract) at room temperature for 48 h. After removal of the solvents, the crude extracts were fractionated separately over Si gel (Kieselgel 60, 70–230 mesh; Merck). Elution was conducted with mixtures of petroleum ether, EtOAc, and MeOH of increasing polarity. Fractions with corresponding R_f values on the tlc (petroleum ether-EtOAc, 1:1) were combined into two groups. Group 2 was found to exhibit anti-inflammatory activity (3).

ISOLATION AND IDENTIFICATION OF SINO-ACUTINE.—The active group was chromatographed over Si gel, and elution with EtOAc-MeOH (9:1) gave sinoacutine that crystallized from EtOAc as colorless prisms (16 g; 2.8% of total extract), mp 197–200° [lit (3) mp 197– 199°]; $[\alpha]^{25}D - 78^{\circ}$ (c = 1.0, CHCl₃), $[\alpha]^{25}D - 177^{\circ}$ (c = 1.0, MeOH). ¹H- and ¹³C-nmr spectra and other physical data were essentially as previously reported (4–7); details of the isolation and spectra can be obtained upon request from the major author.

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