

active column fraction was further purified by successive preparative TLC's until the pure KB-active material had been isolated. This compound was identified as the lignan deoxypodophyllotoxin by spectral (IR, NMR) analysis, mp and comparison with an authentic specimen (undepressed mmp, identical IR spectra).

Deoxypodophyllotoxin has been found in our laboratories [3] to exhibit PS activities of 194% test/control (T/C) and 161% T/C at dose levels of 12.5 and 6.25 mg/kg, respectively. Activity in the PS test system is defined as an increase in the survival of treated animals over that of controls resulting in a T/C  $\geq$  125% [4]. In the KB test system, deoxypodophyllotoxin exhibited an activity of 0.00024  $\mu$ g/ml. Activity in the KB test system is defined as ED<sub>50</sub>  $\leq$  20  $\mu$ g/mg [5].

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### OSAJAXANTHONE FROM *KIELMEYERA CORIACEA*

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**Key Word Index**—*Kielmeyera coriacea*; Guttiferae; osajaxanthone; schistosomicide.

The extract of *K. coriacea* Mart. in hexane-EtOAc (4:1) showed protection against infection by cercariae of *Schistosoma mansoni*, when applied to the skin of experimental animals. This extract was fractionated by column chromatography over Si gel and afforded a yellow compound, whose physical data were compatible with osajaxanthone (2,2-dimethyl-5,8-dihydroxypyranoc-[3,2-b]xanthone). Osajaxanthone exhibited the protective activity against *S. mansoni* cercariae observed in the extract. This compound has been isolated previously from *Maclura pomifera* Raf. (Moraceae) [1], *Calophyllum scriblitifolium* Hend & Wyatt Smith [2], *C. canum* Hook (Guttiferae) [3], *K. corymbosa* (Spr.) Mart [4] and *K. ferruginea* A. P. Duarte [5]. Acetylation of osajaxanthone gave the colourless diacetate whose NMR spectrum [1] and mp confirmed the identity of the parent compound. This is the first report of a xanthone possessing significant schistosomicidal activity.

#### EXPERIMENTAL

NMR and MS were made by Dr. Paul M. Baker (Federal University of Rio de Janeiro), mps are uncorr.

**Osajaxanthone.** Pulverized wood and leaves of *K. coriacea* (7 kg) were extracted at room temp. with hexane-EtOAc (4:1), giving, after removal of the solvent, 43 g of a dark brown gum. 30 g of this gum was chromatographed over Si gel (750) g in hexane-EtOAc giving crude osajaxanthone (150 mg), which

separated from EtOAc as yellow needles; mp 264–266°, lit. 264–265° [1], FeCl<sub>3</sub>, green; UV  $\lambda_{\text{max}}^{\text{EtOH}}$  240, 249, 288, 340 and 382 nm (log  $\epsilon$  4.2, 4.2, 4.6, 3.8, 3.6); MS (high resolution) showed M<sup>+</sup> at  $m/e$  310.08389 (calc. for C<sub>18</sub>H<sub>14</sub>O<sub>5</sub>, 310.084116). A mmp with an authentic sample showed no depression. *Osajaxanthone diacetate*. Crystallized from EtOH as needles, mp 200°, lit. 203–204° [1]; NMR (CDCl<sub>3</sub>, 60 MHz)  $\delta$  1.40 (s, 6H), 2.40 (s, 3H), 2.47 (s, 3H), 5.75 (d, 1H), 6.42 (d, 1H), 6.70 (s, 1H), 7.40 (m, 2H) and 7.90 (m, 1H), MS (70 eV)  $m/e$  394 (M<sup>+</sup>, 8%).

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