(-)-CRYPTOCARYALACTONE AND (-)-DEACETYLCRYPTOCARYALACTONE—GERMINATION INHIBITORS FROM CRYPTOCARYA MOSCHATA SEEDS

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Abstract—(-)-Cryptocaryalactone (6-[2-acetoxy-4-phenyl-3-butenyl]-5,6-dihydro-2-pyranone) and (-)-deacetyl-cryptocaryalactone (6-[2-hydroxy-4-phenyl-3-butenyl]-5,6-dihydro-2-pyranone) isolated from Cryptocarya moschata seeds are natural germination inhibitors. Applied at 0.004 M, the second compound completely arrested germination of velvetleaf (Abutilon theophrasti) and decreased the germination rate of soybeans, but did not appear to affect corn. The first compound was not as effective; 0.004 M reduced velvetleaf germination 50%. The respective antigermination activities of the two are given in Table 1. Compound 2 was decidedly more active against velvetleaf, so further experiments to determine its toxicity against the crop plants of corn and soybeans were performed. Corn was relatively unaffected at a concentration virtually lethal to velvetleaf (0.004 M) but soybean germination was about 75% as great as controls. These compounds are not as toxic as benzyl isothiocyanate [4] but have an effect similar to that of psilotin on turnips [3].

**RESULTS AND DISCUSSION**

Compound 1 gave mass and NMR spectra identical to those of (+)-cryptocaryalactone [5]. These spectra were also exhibited by the acetyl derivative of compound 2. Both were levorotatory; the magnitude of rotation for 1 (-20°) is about the same as that for (+)-cryptocaryalactone (+16°) [5].

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The activity of psilotin could be reversed by the addition of gibberellic acid (GA₃) to the medium [3]. Our experiments (Table 1) showed that GA₃ had no effect on the activity of compound 2 applied to velvetleaf.

**EXPERIMENTAL**

The C. moschata seeds were collected in Uruguay and were identified by botanists at the Beltsville Agricultural Research Center, Beltsville, MD. Seeds (150 g) were separated into kernel...
(50 g) and hull (100 g) fractions. Each fraction was then finely ground and extracted in a Soxhlet with hexane (8 hr) and Me₂CO (16 hr). The two extracts of the hulls were combined, slurried onto a dry silica column, and eluted sequentially with 300 ml portions of: EtOAc-hexane (1:9), EtOAc-hexane (1:1), EtOAc-hexane-EtOH (25:25:1) and EtOAc-hexane-EtOH (5:5:1). HPLC of the fractions on Partisil 10/50 PAC (Whatman) with EtOAc-hexane-EtOH (66:33:5) gave highly enriched portions of 1 and 2. These were purified by reversed-phase HPLC on a Zorbax C-8 (Dupont) column eluted with Me₂CO-H₂O (1:1). Bioassays were used as a guide to active materials throughout the isolation procedure.

The hexane extract of seed kernels produced crystals after most of the hexane had been removed. These crystals were recovered and washed × 3 with cold hexane. TLC on silica plates [0.25 mm, Brinkman, C₆H₆-EtOH (9:1)] showed them to be virtually pure 1. The remainder of this extract and the Me₂CO extract were taken through the chromatographic steps given above for the hull extracts. Total yields from all processes were: 1, 137 mg and 2, 286 mg. Optical rotations were measured in CHCl₃: 1, [α]D²⁰ = -20° (c 1.0); 2, [α]D²⁰ = -94° (c 2.2).

Compound 2 was allowed to stand overnight in Ac₂O-pyridine (1:2) and the product (1) was recovered by ether/H₂O extraction.

Mass and NMR spectroscopic equipment and techniques and details of the bioassay procedure are described in previous work [4, 7]. Concentrations are given in Table 1. To test whether or not the activity could be negated or reversed by gibberellic acid, GA₃ and compound 2 were applied together (simultaneous treatment, Table 1), or GA₃ was added 2 days after the original treatment with 2 and another 2 days were allowed to elapse before germination was evaluated (delayed treatment).

REFERENCES