

CUCURBITACIN B AND ISOCUCURBITACIN B: CYTOTOXIC COMPONENTS
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Helicteres isora L. (Sterculiaceae) is one of the best known articles of the Hindu Materia Medica (1,2). Antitumor screening of the EtOH root extract detected cytotoxicity in the 9KB (human nasopharyngeal carcinoma) cell culture assay (3). The several compounds previously reported (4,5) from this plant do not account for this activity or the purported medicinal properties.

The concentrated EtOH extract of the ground root logs was partitioned and purified through column chromatography and hplc to yield two potent cytotoxic compounds. Analysis of spectral and physical data identified the compounds as cucurbitacin B ($ED_{50} < 10^{-5}$ $\mu\text{g/ml}$) and isocucurbitacin B ($ED_{50} = 7.6 \times 10^{-5}$ $\mu\text{g/ml}$). Both compounds have been previously isolated (6-8) as natural cytotoxic substances. Cucurbitacins are heretofore unknown in the family Sterculiaceae, but they have been reported from another family (Elaeocarpaceae) in the same order (Malvales) as well as elsewhere (9).

EXPERIMENTAL

PLANT MATERIALS.—Root logs were obtained from India in September 1976, and authenticated by the Economic Botany Laboratory, USDA, Beltsville, Maryland (B-814861, PR 47893). Collections of stems (PR 46173) and fruits (PR 51570) showed significant but lesser 9KB cytotoxicities than did the roots. Vouchers of all collections are maintained by the Economic Botany Laboratory.

EXTRACTION, ISOLATION, AND IDENTIFICATION.—Pulverized, dried root (23.8 kg) was extracted with EtOH, concentrated, and partitioned between CCl_4 , CHCl_3 , and various solutions of aqueous EtOH. Further partitioning of the dry CCl_4 solubles between MeOH- H_2O -hexane (9:1:10) resulted in activity in the dry MeOH layer. Chromatography on C_{18} silica, silica, and finally on 5μ spherical silica hplc resolved the two compounds. All fractions were monitored by 9KB cytotoxicity. The compounds were identified by tlc, mp, uv, fdms, and ^1H nmr as cucurbitacin B (20.7 mg) and isocucurbitacin B (4.8 mg) (5,6); from the mp and ^1H nmr data, the latter is clearly distinguished from 3-*epi*-isocucurbitacin B (8).

An expanded discussion and full details of the isolation and identification of the compounds are available on request to the senior author.

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