Isolation and Structure Determination of Cytotoxic Compounds with Topoisomerase I Inhibitory Activity from the Bark of Machilus Thunbergii

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The bark of Machilus Thunbergii is used for the treatment of leg edema, abdominal pain and abdominal distension in Korean traditional medicine. The MeOH exact of Machilus Thunbergii was partitioned to evaluate cytotoxic effect and topoisomerase I inhibitory activity. By activity-guided isolation, we isolated several compounds from methylene chloride fraction. Among these compounds, meso-dihydrogualaretic acid showed the most cytotoxicity on HT-29, HP-G2 cell line and DNA topoisomerase I inhibitory activity.

[PD2-12] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Isolation of a New Cerebroside and a New Sterol from the Astragali Radix

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Astragali Radix, the dry roots of Astragalus membranaceus Bge.(Leguminosae), known as Huangqi in Korea, is very important tonic following Ginseng radix in Korean folk medicine to reinforce " qi "(vital energy), to strengthen the superficial resistance, and to promote the discharge of pus and the growth of new tissue. It is also an antiperspirant, a diuretic and is used for treatment of nephritis and diabetes.

The dried and pulverized roots of Astragalus membranaceus(5㎏) was extracted with 80% EtOH four times at room temperature. The resultant EtOH extract(796.27g) was suspended in H2O and then successively partitioned to give n-Hexane(42g), EtOAc(9.46g) and n-BuOH(16.4g) soluble fractions. From n-hexane(40g) extract, four compounds were isolated. By the NMR, FAB(+)MS and collision-induced dissociation(CID) spectrum of FAB(+)MS, the structures of compound 1, 2 were determined as (2S, 3S, 4R)-2-[(2'R)-2β-hydroxy-8'E-tetracosenylamino]-1,3,4- trihydroxy-octadecane(compound 1) and 3β-galactopyranosyl-5-ene-17- (2''-ethyl-1'',1'',24''-trimethyl-tetracosane)-androstane (compound 2) and they are first isolated from nature. The two known compounds, 7,2'-dihydroxy-3',4'-dimethoxyisoflavan(compound 3), (6aR, 11aR)-3- hydroxy-9,10-dimethoxypterocarpan(compound 4) were also identified on the basis of detailed spectra data.

[PD2-13] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Cytotoxic Pyrrolo- and Furano-sesterterpenes from the Sponge Sarcotragus species

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Ten new compounds, including seven pyrrolosesterterpenes and three furanosesterterpenes, were isolated from the marine sponge Sarcotragus sp. by bioactivity-guided fractionation. The gross structures were established based on NMR and MS analyses. And the stereochemistry of the tetronic

acid moiety was defined by NMR and CD spectroscopy. The compounds were evaluated for cytotoxicity against five human tumor cell lines to display significant potency.

[PD2-14] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Phytochemical Constituents of Chrysanthemi Flos

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The flowers of Chrysanthemum boreale and C. indicum (Compositae) have been used in the name of "Yagukhwa" for the treatment of headache and eye disease in the Korean traditional medicine. The extracts of Chrysanthemi Flos have been reported to exhibit antispasmodic, anti-inflammatory and antiviral activity. The genus Chrysanthemum is known to contain numerous flavonoids as well as sesquiterpene lactones. During our search for antiviral compounds from natural products, an ethyl acetate fraction of Chrysanthemi Flos was found to potently inhibit HIV-1 integrase. By means of bioassay-directed chromatographic fractionation, six flavonoids and three quinic acid derivatives were isolated. The structural determination of these compounds by the aid of spectroscopic analyses (1H-1H COSY, DEPT, HMQC and HMBC) will be discussed. Among isolated compounds, apigenin-7-glucuronide and three quinic acid derivatives were isolated from this plant for the first time.

[PD2-15] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Diarylheptanoids from Barks of Alnus japonica

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Barks of Alnus japonica have been used as a traditional medicine for the remedies of inflammation, hemorrage, fever, diarrhea and alcoholism. We tried to investigate the barks of Alnus japonica chemically and isolated 10 diarylheptanoids. Structures of these compounds were identified as hirsutanonol, hirsutenone, platyphylloside, oregonin, 1,7-bis-(3,4-dihydroxyphenyl)-heptane-3-O-β-D-glucopyranosyl(1→3)-β-D-xylopyranoside, 1,7-bis-(3,4-dihydroxyphenyl)-heptane-3-O-β-D-apiofuranosyl(1→6)-β-D-glucopyranoside, 1,7-bis-(3,4-dihydroxyphenyl)-heptane-3-one-5-O-β-D-glucopyranoside, 1,7-bis-(3,4-dihydroxyphenyl)-heptane-5-O-β-D-glucopyranoside, 1,7-bis-(3,4-dihydroxyphenyl)-5-hydroxyheptane and 1,7-bis-(3,4-dihydroxyphenyl)-5-hydroxyheptane-3-O-β-D-glucopyranoside by comparison with previously reported spectral data.

[PD2-16] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Panaxynone A, a New Inhibitor of Acyl-CoA: Cholesterol Acyltransferase from the roots of Panax ginseng

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Acyl-CoA: cholesterol acyltransferase (ACAT, EC 2.3.1.26) is responsible for intracellular esterification