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Two phenolic flavonoids were isolated from the traditional medicine of Eastern Asia, Caesalpinia sappan L (Leguminosae). Brazilin (1) showed a significant inhibitory activity against inducible Nitric Oxide Synthase (iNOS) in lipopolysaccharides (LPS)-induced macrophage RAW 264.7 cells with an IC_{50} value of 1.68 mg/ml, which is more potent than the positive control, L-N^6-(1-iminoethyl)lysine (IC_{50} 3.49 mM). On the other hand, caesalpine J (2) was found to be inactive in the present iNOS assay system despite of their structural similarities. This result suggests that brazilin (1) may be a potential candidate to treat human diseases associated with iNOS such as inflammation, ischemia, and aging.

[PD2-19] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

A New Benzofuran from the Stem-bark of Styrax japonica

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Styrax japonica Sieb. et Zucc. (Styracaceae) is a deciduous tree growing in Korea, Japan, and China. The pericarps of this plant have been used as a folk medicine for treatment of cough. Jegosaponins, deacyl jegosaponins and benzofurans have been reported from the fruits and seeds of this plant, and these compounds have been shown antisweet and cytotoxic activities. As a part of a research aimed at the discovery of biological active compounds from plant sources, we have studied a chemical constituent of the stem-bark of S. japonica. The stem-bark was extracted with MeOH. The MeOH extract was suspended in H_2O and extracted with hexane and EtOAc. The resulting H_2O solution was further fractionated on Diaion HP-20 with H_2O, 50% MeOH and MeOH, successively. The MeOH-soluble fraction was chromatographed on a column of reverse phase C-18 to yield three compounds (1-3). The structures of compounds were determined as 5-(3"-hydroxypropyl)-7-methoxy-2-(3',4'-dimethoxyphenyl)-benzofuran 3"-O-[β-D-xylopyranosyl-(1→2)-β-D-glucopyranoside] (1), egonol (2) and egonol 3"-O-[β-D-xylopyranosyl-(1→2)-β-D-glucopyranoside] (3) by chemical and spectroscopic means. Among these, compound 1 was the first to be reported from natural sources.

[PD2-20] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

The Neuroprotective Activity Of Lignans Isolated From Machilus thunbergii

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The CH_2Cl_2 fraction of the bark of Machilus thunbergii Sieb. et Zucc. (Lauraceae) significantly protected primary cultures of rat cortical cells exposed to the excitotoxic amino acid, L-glutamate. Several lignans including (-)-isoguaiacin, meso-dihydroguaiaretic acid, machilin A, (+)-galbelgin, licarin A, (-)-sesamin, and (+)-guaiacin were isolated from the CH_2Cl_2 fraction using by bioactivity-guided isolation techniques. Among these lignans, (-)-isoguaiacin, meso-dihydroguaiaretic acid, licarin A and (+)-guaiacin had significant neuroprotective activities against glutamate-induced toxicity in primary cultures of rat cortical cells at concentration ranging from 0.1 μM to 10.0 μM. These lignans significantly reduced the calcium influx that routinely accompanies glutamate-induced neurotoxicity. To exert neuroprotective activity, they should have both methoxy group and hydroxy group in benzene ring.

[PD2-21] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Platycodin D Induced NF-κB Activation and Apoptosis in Immortalized Keratinocytes

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In this study, we investigated the molecular pathways targeted by platycodin D, which could involve apoptosis in immortalized human keratinocytes (HaCaT). We demonstrated that platycodin D-mediated apoptosis of HaCaT cells exhibited representative features, including DNA fragmentation, caspase-3, caspase-8 activation, and upregulation of Fas and FasL expression, but not p53 activation. To investigate the events involved in activation-induced FasL upregulation, we have examined mRNA accumulation, protein expression, and NF-kB activity to elucidate transcription level in the HaCaT cell line treated with platycodin D. We found that platycodin D induces apoptosis is mediated to activation of a death receptor pathway. Among the major transcription elements on the Fas and FasL promoter, we showed the essential role of NF-kB activation for the expression of the death receptor such as FasL. These results suggest that HaCaT cells have a property to induce apoptosis, which is involved in the upregulation of FasL expression via to NF-kB activation.In summary, our data demonstrate that NF-kB activation may play a crucial role in the induction of apoptosis in human HaCaT cells treated with platycodin D.

[PD2-22] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Platelet Anti-aggregatory Effects of Coumarins from the Roots of Angelica genuflexa and A. gigas

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Angelica genuflexa (Umbelliferae) is a perennial herbaceous plant which has also been variously reported as A. koreana and Ostericum koreanum. The MeOH extract was reported to have strong anti-thrombotic potential in the acute thrombosis model. In our preliminary testing, the MeOH extract and one of the solvent fractions (90% MeOH fr.) were observed to have both platelet anti-aggregating and anti-coagulant effects. Five coumarins, isoimperatorin (1), pabulenol (2), isooxypeucedanin (3), oxypeucedanin hydrate (4) and osthol (5) were isolated from the MeOH extract of Angelica genuflexa in the course of searching for anti-platelet and anti-coagulant components. Pabulenol (2) was isolated from A. genuflexa for the first time. The five compounds isolated from A. genuflexa, together with decursinol angelate (6), decursin (7) and nodakenin (8) from A. gigas were evaluated for their effects on platelet aggregation and blood coagulation. Compounds 2, 5, 6 and 7 were observed to be either equally effective or 2~4 times more inhibitory than acetylsalysilic acid in both arachidonic acid and U46619 (TXA_2 mimetic) induced platelet aggregations. Disappointingly, all of the tested compounds 1~8 were devoid of anti-coagulant effects, although the plant extract and the solvent fraction (90% MeOH fr.) elongated the coagulation time, suggesting the possibilities of the presence of compounds with anti-coagulant effects.

[PD2-23] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Nitric Oxide Production Inhibitory and Anti-Oxidative Activities of Phenolic Compounds from the Barks of Ulmus davidiana

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The Barks of Ulmus davidiana (Ulmaceae) have been used for the treatment of insecticide, anti-boil and anti-fungi in Korean traditional medicine. Four phenolic compounds were isolated from 80% Acetone extracts. The structures of these compounds were elucidated as (+)-catechin, (+)-catechin 7-O-β-D-glucopyranoside, (+)-catechin 7-O-β-D-xylopyranoside and procyanidin B-1. These phenolic compounds showed significant nitrogen monoxide(NO) production inhibitory activity in IFN-γ, LPS stimulated RAW 264.7 cell and also showed significant antioxidative activity on DPPH radical. These results suggest that the phenolic compounds which were isolated from Ulmus davidiana might be developed as a anti-inflammatory and anti-oxidative agent.