

[PD2-36] [ 10/20/2000 (Fri) 11:30 - 12:30 / [Hall B] ]

### Hepatoprotective Constituents of *Psoralea corylifolia* against Tacrine -induced Cytotoxicity in HepG2 cells

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Tacrine(1,2,3,4-tetrahydro-9-aminoacridine hydrochloride) is an acetylcholinesterase inhibitor approved for the treatment of Alzheimer's disease. However, reversible hepatotoxicity in 30-50% of patients at therapeutic doses limits clinical use. Therefore, it would be valuable to find substances for the prevention of hepatotoxic effects of tacrine from natural products. Bioassay-guided fractionation of an H<sub>2</sub>O extract of the seeds of *Psoralea corylifolia* has furnished three hepatoprotective compounds, bakuchiol (1), bakuchicin (2) and psoralen (3), against tacrine-induced cytotoxicity in HepG2 cells. The ED<sub>50</sub> values of compounds 1-3 exhibited 3.9, 270, 270 mM, respectively. Compound 1 showed more hepatoprotective effect than silymarin as a positive control.

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### Macrophage activation and antibacterial activities of an aqueous extract of Korean Propolis

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Propolis has been used for thousands of years in folk medicine for lots of purposes including immunostimulating and antibacterial properties made by honeybees from the buds and bark of certain trees and plants. An aqueous extract of Korean propolis was assayed for immune responses and antibacterial activities. It was shown that Korean propolis increased proliferation of total lymphocytes in a dose dependent manner from 5 $\mu$ g/ml to 100 $\mu$ g/ml. Furthermore, nitric oxide release was also measured using the Griess reagent in RAW 264.7 cells. In vitro activities of Korean propolis against 160 strains of *Staphylococcus aureus* and 43 strains of *Enterococcus* sp. were studied and showed that aqueous extract of Korean propolis exerts an antibacterial effect. It is thought that Korean propolis is promising for the immunostimulating and antibacterial drug.

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### An inducible nitric oxide synthesis inhibitor in vitro from the seeds of *Psoralea corylifolia*

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Macrophages play a major role in host defense against infection and tumor development and this activity is regulated through the production of several mediators. In particular, over-expression of

iNOS by various stimuli, resulting in over-production of NO, contributes to the pathogenesis of septic shock and some inflammatory and autoimmune diseases. Therefore, it would be valuable to develop inhibitors of iNOS for potential therapeutic use.

Bakuchiol derived from the seeds of *Psoralea corylifolia* showed inhibition of nitric oxide synthesis in a dose-dependent manner by murine macrophage-like RAW 264.7 cells stimulated with interferon- $\gamma$  plus lipopolysaccharide. The inhibition of NO synthesis of bakuchiol was reflected in the decreased amount of iNOS protein, as determined by Northern blotting.

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### **Screening of Inhibitory Activity of LTB<sub>4</sub> Binding to Human Neutrophil from Medicinal Plants**

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Leukotriene B<sub>4</sub> (LTB<sub>4</sub>) is a pro-inflammatory mediator synthesised in myeloid cells from arachidonic acid and elevated levels of LTB<sub>4</sub> has been found in a number of inflammatory diseases. Because LTB<sub>4</sub> interacts with cells through specific cell surface receptors, LTB<sub>4</sub> receptor blockade is the most specific approach to reduce the pathogenic role of LTB<sub>4</sub>. In order to find LTB<sub>4</sub> receptor antagonist from plants, we screened the LTB<sub>4</sub> receptor antagonistic activity of herbal drugs. The ability of samples to inhibit specific binding of [<sup>3</sup>H]-LTB<sub>4</sub> to human peripheral neutrophils was used as assay to evaluate the antagonistic activity of plant materials. Among the tested methanol extracts of herbal drugs, Mori Radicis Cortex, Perillae Semen, Armeniacae Semen and Sophorae subprostratae Radix showed potent inhibitory activity above 70% at the concentration of 100  $\mu$ g/ml. We anticipate new LTB<sub>4</sub> receptor antagonist from herbal drugs, and the block of LTB<sub>4</sub> effects may provide beneficial in neutrophil mediated diseases such as inflammation and bronchial asthma.

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### **Antiviral screening of Korean sea weeds**

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More than 350 million world wide people are persistent carriers of hepatitis B surfaces antigen. Infection with HBV may lead to severe liver diseases, including liver failure, cirrhosis and hepatocellular carcinoma. Interferone and lamivudine are used for the treatment of HBV infection, but these have severe dose-dependent side effects and cross-resistance, respectively. Thus we tested anti-HBV assay with the Korean sea weeds and we also carried out anti-HIV and anti-HIV reverse transcriptase screening for the search of a new lead from marine resources. Among the 30 sea weeds tested, methanolic extracts of SSI-6, SSI-12 and S-33 showed anti-HBV activity and SSI-1, SSI-5, SSI-6, SSI-7 showed significant anti-HIV integrase and anti-HIV reverse transcriptase activity. On the basis of these results, organic solvent fractionation and subsequent bioactive-guided column chromatography are being progressed for the isolation and elucidation of active constituents.