

with Taxol showed a significant increase of CD11b+ cells including macrophages, indicating that Taxol has similar biological effect to lipopolysaccharide in primary macrophages. Additionally, synergistic effect of RGAP and Taxol was found to exhibit the increased tumoricidal activity of macrophages. These above results suggest that clinical trials of RGAP as an adjuvant in cancer chemotherapy of Taxol are highly feasible.

[PD2-66] [10/19/2001 (Fri) 14:00 – 17:00 / Hall D]

Antitumor and Immunoenhancing activities of Cambodian Phellinus linteus

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Phellinus linteus from Cambodia was confirmed to have a homologous DNA sequence to Phellinus linteus. Antitumor and immunomodulatory activities were evaluated with aqueous extract of Cambodian Phellinus linteus (CPL). CPL didn't show any significant cytotoxicity on HT1080, Sarcoma 180 and B16BL6, whereas it inhibited the relaxation of DNA topoisomerase I from the concentration of 250ug/ml. In the pulmonary colonization assay it inhibited pulmonary metastasis by B16BL6 in C57BL6 mice to 36%, 36.9% and 55.5% at various doses of 10 mg, 20 mg and 50 mg. From FACS analysis with splenocytes pretreated with PAE, it significantly increased CD3 and CD4 and induced production of IL-2. These results indicate Cambodian Phellinus linteus has antitumor and immunomodulatory activities still suggesting more study on its mechanism and effective compound in detail.

[PD2-67] [10/19/2001 (Fri) 14:00 – 17:00 / Hall D]

Hepatoprotective and Chologogic Effects of Tectorigenin Isolated from the Flower Extract of Pueraria thunbergiana

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The flower of Pueraria thunbergiana has been traditionally used for the treatment of alcohol intoxication and diabetes mellitus. We have previously reported that tectorigenin and kaikasaponin III have antimutagenic and antidiabetic activity and tectorigenin induces apoptosis and differentiation by signal transduction. In this study, the hepatoprotective effects of the MeOH extract, partitions of P. thunbergiana and tectorigenin were evaluated. The MeOH extract and BuOH fraction prevented the CCl₄- and D-galactosamine-induced hepatotoxicity in rats. In particular, the treatment of tectorigenin (10 mg/kg, i.p.) significantly prevented hepatotoxicity by 51% (p<0.05). The MeOH extract (250 mg/kg, p.o.), BuOH extract (250 mg/kg, p.o.) and tectorigenin (10 mg/kg, i.p.) also showed potent chologogic effects by measuring the amount of bile flow, total bilirubin and cholic acid. From this result, the hepatoprotective activity of the extract of flower of P. thunbergiana was confirmed, and tectorigenin is considered as one of active principles. The most active fraction, BuOH fraction, contained tectorigenin in glycosidic forms with other constituents, saponins and isoflavone glycosides.

[PD2-68] [10/19/2001 (Fri) 14:00 – 17:00 / Hall D]

Antioxidative activity of flavonoid compounds from leaves of *Salix hallaisanesis*

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Salix species have been used for antipyretic, analgesic and diuretic agents. Ten flavonoids (diosmetin-7-O- β -D-glucopyranoside(I), diosmetin-7-O- β -D-glucopyranoyl(1 \rightarrow 6) β -D-glucopyranoside(II), diosmetin-7-O- β -D-xylopyranoyl(1 \rightarrow 6) β -D-glucopyranoside(III), hyperoside(IV), quercetin-7-O- β -D-glucopyranoside(V), rutin(VI), luteolin(VII), luteolin-7-O- β -D-glucopyranoside (VIII), Kaempferol-3-O- α -L-rhamnopyranosyl(1 \rightarrow 6)- β -D-glucopyranoside (IX), and (+)-catechin(X)) have been isolated from the leaves of *Salix hallaisanensis* and their anti-oxidative activity were determined with DPPH method. Six compounds showed significant anti oxidative efficacy. Among these compounds, quercetin glycosides and luteolin glycoside were more potent radical scavenging activity as compared to ascorbic acid.

[PD2-69] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Phenylpropene C, a New Inhibitor of Acyl-CoA: Cholesterol Acyltransferase Produced by *Penicillium griseofulvum* F1959

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Acyl-CoA: cholesterol acyltransferase (ACAT, EC 2.3.1.26) is responsible for intracellular esterification of cholesterol and plays a key role in intestinal absorption of cholesterol, hepatic production of lipoproteins and accumulation of cholesteryl esters within macrophages and smooth muscle cells of the atheroma. Therefore, ACAT is an attractive target for new treatments of hypercholesterolemia and atherosclerosis. In the course of our search for ACAT inhibitors from microbial sources, phenylpropene C was isolated from the fermentation broth of *Penicillium griseofulvum* F1959. The structure of phenylpropene C was determined by NMR and MS spectroscopy. Phenylpropene C inhibited ACAT activity with the IC₅₀ value of 16.0 μ M in a dose dependent fashion. The structural modification and its analogues are now in progress.

[PD2-70] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Sesquiterpenoidal compounds from Plants of *Carpesium* genus

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Three novel guaiaolides were isolated from *Carpesium macrocerum*. Their structures determined to be 1*b*H,5*b*H,7*b*H,8*a*H-4*a*,10*a*-dihydroxy-guaia-8,12-olide (I), 1*b*H,5*b*H,7*a*H,8*b*H-4*a*,10*a*-dihydroxy-guaia-8,12-olide (II) and 5*b*H,7*b*H,8*a*H-4*a*,10*a*-dihydroxy-1(2),11(13)-guaia-8,12-olide (III) from NOE and various spectroscopic data. Isolation of the compounds(I, II, III) was performed as follows, The MeOH extract of *Carpesium macrocephalum* was partitioned between H₂O and Hexane. The resulting H₂O layer was extracted with CH₂Cl₂, EtOAc and *n*-BuOH, successively. The CH₂Cl₂ extract was chromatographed twice on silica gel column and RP-HPLC, which afforded the three novel sesquiterpene lactones.

One sesquiterpene lactones, a germacranolide, 2*a*, 5-epoxy-5,10-dihydroxy-6*a*-angeloyloxy-9*b*-