An Iso coumarin with Hepatoprotective Activity in Hep G2 and Primary Hepatocytes from Agrimonia pilosa

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In connection with our studies on the isolation of hepatoprotective constituents from natural products, we have recently reported hepatoprotective compounds including phenolic bakuchiol, diarylheptanoids, furoucoumarins. In the course of continuing efforts, the aqueous extract of the roots of Agrimonia pilosa Ledeb. (Rosaceae) was found to exhibit promising hepatoprotective activity. A. pilosa is a perennial herb distributed throughout South Korea, and its roots have been used as the hemostatic, antimalarial, and antidysenteric agent in oriental medicine. Chemical investigation of the aqueous extract of the roots of this plant, as guided by hepatoprotective activity in vitro, furnished two isocoumarins, agrimonolide (1) and agrimonolide 6-β-D-glucopyranoside (2), and catechin (3). Compound 1 showed hepatoprotective effects on both tacrine-induced cytotoxicity in human liver-derived Hep G2 cells and tert-butyl hydroperoxide-induced cytotoxicity in rat primary hepatocytes with EC50 values of 66.2 ± 2.8 and 22.9 ± 2.6 μM, respectively.

INHIBITORY ACTION OF PROCESSED HERBAL MEDICINES ON THE PRODUCTION OF ADVANCED GLYCA TION ENDPRODUCTS(AGEs)

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Diabetic nephropathy is a major chronic complication of diabetes mellitus. Advanced glycation endproducts (AGEs) are largely involved in the pathogenesis of diabetic nephropathy. The irreversibly formed AGEs do not return to normal even if hyperglycemia is corrected and continue to accumulate over the lifetime of protein. The AGEs inhibitor, aminoguanidine (AG), is the only protein glycation inhibitor currently under development, its safety however is desirable. To find possible AGEs inhibitors in herbal medicines, bovine serum albumin was added to a mixture of sugars and some of processed, unprocessed herbal medicines or AG. Cyperi rhizoma was processed in four different methods according to Chinese pharmacopoeia and traditional literatures. In comparison to the negative control with no inhibitor and positive control with AG, alcoholic extracts of these processed cyperi rhizoma proved to have more potent inhibitory activities than that of unprocessed cyperi rhizoma. These results revealed that some processed herbal medicines have a more potent in vitro inhibitory action on AGEs formation than AG, suggesting the possible candidate for diabetic nephropathy from the processed herbal medicines.

Tyrosinase Inhibiting and DPPH Radical Scavenging Activities of Rosmarinic Acid and Its Methyl ester from Salvia miltiorrhiza

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Rosmarinic acid (1) and methyl rosmarinic acid (2), isolated from the ethyl acetate soluble fraction of the methanolic extract of Salvia miltiorrhiza Bunge (Lamiaceae) were found to be the tyrosinase inhibitors and scavengers of 1,1-diphenyl-2-picrylhydrazyl (DPPH) radical. Compounds 1 and 2 inhibited the oxidation of L-
tyrosine catalyzed by mushroom tyrosinase with IC₅₀ of 16.8 µM and 21.5 µM, respectively. It compared well with kojic acid, a well-known tyrosinase inhibitor, with an IC₅₀ of 22.4 µM. The inhibitory kinetics, analyzed by a Lineweaver-Burk plot, found rosmarinic acid and its methyl ester to be competitive inhibitors with Kᵢ of 2.35×10⁻⁵ M and 1.52×10⁻⁵ M, respectively. In addition, compounds 1 and 2 showed the scavenging activities on DPPH radical, with IC₅₀ of 4.27 µM and 3.05 µM, respectively. These scavenging effects were more potent than that of L-ascorbic acid (IC₅₀ = 11.75 µM).

[PD2–50] [ 10/17/2002 (Thr) 09:30 – 12:30 / Hall C ]

Study on antifungal activity of herb oils against *Trichophyton* spp.

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The antifungal activities of the essential oils from *Citrus bergamia*, *Ciderus atlantica*, *Cymbopogon citratus*, *Eucalyptus globulus*, *Juniperus communis*, *Lavandula angustifolia*, *Melaeca aterinfolia*, *Pelargonium graveolens*, *Pogestemon patchouli*, *Rosmarinus officinalis*, *Styrax tonkinensis*, and *Thymus vulgaris*, which are recommended for the treatment of microbial infections in aromatherapy and complementary medicines, were tested against *Trichophyton* spp. The activities were measured by broth dilution method and disk diffusion assay. As the results, most of the test oils inhibited growth of *T. tonsurans*, *T. mentagrophytes*, *T. ferrugineum*, and *T. rubrum*. Especially, the essential oils from *C. atlantica*, *C. citratus*, *E. globulus*, and *P. graveolens* showed the strongest activity among the tested herb oils showing MICs between <0.09 and 0.39 mg/ml.

[PD2–51] [ 10/17/2002 (Thr) 09:30 – 12:30 / Hall C ]

In vitro Antiinflammatory Activity of the Essential oil Extracted from *Chrysanthemum sibiricum* in Murine Macrophage RAW 264.7 Cells

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This research was undertaken to find the in vitro anti–inflammatory activity of the essential oil (CS-oil) extracted from *Chrysanthemum sibiricum* (Compositae) herbs. We investigated the effects of the CS-oil not only on the formation NO and PGE₂ and TNF-α but also on inducible nitric oxide synthase and cyclooxygenase-2 (COX-2) in lipopolysaccharide (LPS)–induced murine macrophage 264.7. The data obtained were consistent with the modulation of iNOS enzyme expression. A similar fashion was also observed when LPS–induced PGE₂ release and COX–2 expression were tested. The significant inhibitory effects were shown in concentration–dependent manners. In addition, CS-oil also mildly but significantly reduced the formation of TNF–α. These actions may contribute to the availability of CS-oil as an antiinflammatory essential oil. GC–MS data on the oil led to the finding of 2–methoxythioanisol, (+)–camphor, geraniol, citral, thymol, eugenol, β–caryophyllene oxide, β–caryophyllene, β–eudesmol, juniper camphor together with an unknown substance contained more than 3% of the total oil.

[PD2–52] [ 10/17/2002 (Thr) 09:30 – 12:30 / Hall C ]

Antigastritic and anti-ulcerative constituent from Panax ginseng head and its pharmacological activity

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