

[PD2-47] [10/17/2002 (Thr) 09:30 – 12:30 / Hall C]

An Isocoumarin with Hepatoprotective Activity in Hep G2 and Primary Hepatocytes from *Agrimonia pilosa*

Ko EunKyung⁰, Park EunJeon, Kim MiHee, Jun JungYang, Park SungUk*, Sohn DongHwan, Kim YounChul

MRRC and College of Pharmacy, Wonkwang University; *Spela Co. Ltd., Seocho-gu, Seocho-dong, Seoul

In connection with our studies on the isolation of hepatoprotective constituents from natural products, we have recently reported hepatoprotective compounds including phenolic bakuchiol, diarylheptanoids, furocoumarins. 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 antidiarrheic 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-*O*- β -D-glucopyranoside (3), and catechin (2). 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 μ M, respectively.

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

KIM Jin Sook⁰, Ko JinHee, Kim HyungJeong, Ma Jinyeul

Dept. herbal Pharmaceutical Development, Korea Institute of Oriental Medicine

Diabetic nephropathy is 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 inhibitor 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.

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

Kang Hye Sook⁰, Kim Hyeung Rak, Chung Hae Young¹, Choi Jae Sue

Faculty of Food Science and Biotechnology, Pukyong National University; ¹ College of Pharmacy, Research Institute of Drug Development, Pusan National University

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 IC_{50} of 16.8 μ M and 21.5 μ M, respectively. It compared well with kojic acid, a well-known tyrosinase inhibitor, with an IC_{50} of 22.4 μ M. The inhibitory kinetics, analyzed by a Lineweaver-Burk plot, found rosmarinic acid and its methyl ester to be competitive inhibitors with K_i 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 IC_{50} of 4.27 μ M and 3.05 μ M, respectively. These scavenging effects were more potent than that of L-ascorbic acid ($IC_{50} = 11.75 \mu$ M).

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

Shin SeungWon⁰, Kim JiHyun, Lim Sook, Pyun MiSun

College of Pharmacy, Duksung Women's University

The antifungal activities of the essential oils from *Citrus bergamia*, *Ciderus atlantica*, *Cymbopogon ditratus*, *Eucalyptus globulus*, *Juniperus communis*, *Lavandula angustifolia*, *Melaleuca aterifolia*, *Pelargonium graveolens*, *Pogostemon 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. ditratus*, *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

Lee KyungTae¹, Kim RyungKyu¹, Ji SaYoung¹, Shin KyoungMin¹, Choi Jongwon², Jung HyunJu³, Park HeeJuhn⁰³

¹College of Pharmacy, Kyung-Hee University Seoul 130-701, ²College of Pharmacy, Kyungsung University, Pusan 608-736 and ³Division of Applied Plant Sciences, SangJi University, Wonju 220-702

This research was undertaken to find the in vitro anti-inflammatory action 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]

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

Jeong ChoonSik⁰, Hyun JinEe¹, Li DaWei, Lee EunBang, Kim YeongShik²