

Jean, Tanee FomumZ

Research Group of Pain and Neuroscience in Vision 2000 Project, East-West Medical Research Institutes, Kyung Hee University, Seoul, Korea

Eight compounds were isolated from the MeOH extracts of *Erythrina senegalensis* for HIV-1 protease inhibitors. Their structures were elucidated as eight isoflavonoids by spectroscopic analysis. These compounds showed dose dependent inhibitory activities on HIV-1 protease with IC₅₀ values from 0.5 to 30.0 μM.

[PD2-33] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Xanthorrhizol inhibits pro-inflammatory mediators in mouse macrophage cells

Min Hye-Young⁰¹, Park Hyen-Joo¹, Park Eun-Jung¹, Park Kwang-Kyun², Chung Won-Yoon², Hwang Jae-Kwan³, Lee Sang Kook¹

College of Pharmacy, Ewha Womans University¹, College of Dental Medicine, Yonsei University², Bioproducts Research Center, Yonsei University³

Prostaglandins (PGs) and nitric oxide (NO) are essential to maintain homeostasis and defense systems in human beings. However, overproduced PGs and NO by inducible cyclooxygenase (COX-2) and inducible nitric oxide synthase (iNOS), respectively, cause tissue damages, chronic inflammation, and carcinogenesis. In this view, the potential COX-2 or iNOS inhibitors have been considered as anti-inflammatory or cancer chemopreventive agents. In this study, we investigated the potential capacities of xanthorrhizol, a sesquiterpenoid isolated from the rhizome of *Curcuma xanthorrhiza*, as anti-inflammatory or cancer chemopreventive agent. Xanthorrhizol exhibited potent inhibitory activities against LPS-induced prostaglandin E₂ production (IC₅₀ = 0.9 μM) and nitrite formation (IC₅₀ = 4.6 μM) in cultured RAW264.7 cells. Using western blot and RT-PCR analysis, xanthorrhizol showed the suppression of COX-2 and iNOS protein expression, and COX-2 mRNA expression in a dose-dependent manner. In addition, xanthorrhizol also suppressed matrix metalloproteinase-2 (MMP-2) mRNA expression in human fibrosarcoma cells, and possessed growth inhibitory activities in colon cancer cells. These findings suggest that xanthorrhizol might be a potential lead candidate for anti-inflammatory or cancer chemopreventive agent.

[PD2-34] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Antioxidative activity of compounds from cultivated *Phellinus linteus*

Jung EunJoo⁰, Yang KiSook

College of Pharmacy, Sookmyung Women's University

Phellinus linteus has been used as anti-tumor and immuno stimulating agents in folk remedies. From precipitate of MeOH ex. by activated guided fractionation, 5,8-epidioxy ergosta-6,22-dien-3ol, palmitic acid, linoleic acid, and methyl linolate, 3,4-dihydroxybenzoic acid methylester and 4-(3',4'-Dihydroxyphenyl)-3-butene-2one were isolated. DPPH method was used to examine of antioxidative activity of the isolated compounds. As the result, 3,4-dihydroxybenzoic acid methylester, and phenolic compound, 4-(3',4'-Dihydroxyphenyl) -3-butene-2one were found to be a scavenger of 1,1-diphenyl-2-picrylhydrazyl radical.

[PD2-35] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

In vitro antioxidant triterpenoids from *Prunus serrulata* var. *spontanea*

Jung HyunAh⁰, Chung HaeYoung¹, Choi JaeSue

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

Prunus serrulata var. *spontanea* (Rosaceae) is a large sized tree widely distributed throughout Korea. The red fruits are edible and are used in traditional folk medicine against heart failure from beriberi, dropsy, mastitis, an emmenagogue, and toothache. Also, the bark of *P. serrulata* var. *spontanea* has been used for detoxification, and as an antitussive in traditional Korean medicine. A new triterpenoid, 2 α , 3 α , 24-trihydroxy-urs-12-en-28-O- β -D-glucopyranoside (5), along with five known triterpenoids, ursolic acid (1), 2 α -hydroxyursolic acid (2), 2 α , 3 α , 24-trihydroxy-urs-12-en-28-oic acid (3), 1 β , 2 α , 3 α , 24-tetrahydroxy-urs-12-en-28-oic acid (4), and 2 α , 3 α , 19 α , 24-tetrahydroxy-urs-12-en-28-O- β -D-glucopyranoside (6) were isolated from the leaves of *P. serrulata* var. *spontanea*. The structural identifications of these compounds were elucidated by 1D (¹H- and ¹³C-NMR), and 2D NMR (HMQC, HMBC, COSY, and NOESY) spectral data. We also evaluated the antioxidant capacities of these isolated triterpenoids 1-6 on DPPH radical, total ROS and the ONOO⁻ scavenging potential. All isolated compounds 1-6 showed no activity as DPPH radical scavenger, whereas high scavenging activity on total ROS in the order of compound 2 > compound 3 \geq compound 1 > Trolox (as positive control) > compound 5 \geq compound 4 \geq compound 6. Compounds 3 and 6 showed high peroxynitrite scavenging activities with IC₅₀ (50 % inhibition concentration) 4.90 \pm 0.38 μ M and IC₅₀ 6.88 \pm 0.46 mM, respectively, by positive control penicillamine with IC₅₀ 5.11 \pm 0.23 μ M. Compounds 4 and 5 had peroxynitrite scavenging activity with IC₅₀ 25.18 \pm 2.68 μ M and 82.05 \pm 2.80 μ M, respectively, whereas compound 1 and 2 showed no activities.

[PD2-36] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Screening of Compounds Isolated from the Roots of *Juglans mandshurica* for DNA Topoisomerases I and II Inhibitory Activity

Li Gao[○], Xu MingLu, Seo ChangSeob, Kim JaeHyon, Kim HyoJin, Lee ChongSoon, Woo MiHee, Son JongKeun*

College of Pharmacy, Yeungnam University; Department of Biochemistry, College of Natural Sciences, Yeungnam University; College of Pharmacy, Catholic University of Daegu

Abstract: As described previously, we reported twenty two compounds including one naphthoquinone, eight diarylheptanoids, two tetralone, one sesquiterpenoid, one diarylheptanoid glucoside, two tetralone glucosides, one naphthalene carboxylic acid glucoside and six naphthalenyl glycosides were isolated from the roots of *Juglans mandshurica* (17-22). Here we report that all of these compounds and a known triterpene are tested for the inhibitory effects against DNA topoisomerases I and II activities. Compound 16 showed strong inhibitory effects for DNA topoisomerase I at the concentration of 5 μ g/ml and compound 23 showed strong inhibitory effect for DNA topoisomerase II at the concentration of 5 μ g/ml. In addition, compounds 1, 3, 5, 6, 10, 14 and 16 exhibited moderate cytotoxicities in ranges of IC₅₀ from 0.8 to 25 μ g/ml against human colon carcinoma. Compounds 1 and 10 have IC₅₀ values of 1.2 μ g/ml and 22.1 μ g/ml against human breast carcinoma cell line.

[PD2-37] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Neuroprotective effect of extract of *Angelica tenuissimae* on ischemic damage after oxygen and glucose deprivation (OGD) in rat organotypic hippocampal slice

Son Dongwook, Lee Jongseok, Lee Pyeongjae, Kim Jeong Min, Kim Yong Sik¹, Kim Hocheol, Kim Sun Yeou[○]

Department of Herbal Pharmacology, Graduate School of East-West Medical Science, Kyung Hee University; ¹Department of Pharmacology, Seoul National University College of Medicine and Neuroscience Research Institute, Medical Research Center

Angelica tenuissimae is a plant often used in traditional Korean medicine. It has been used as analgesic, antipyretic and anti-inflammatory agent. However its component and precise modes of neuropharmacological action have not been reported. In the present study, we investigated the protective effects of *A. tenuissimae* and its component on ischemic damage induced by oxygen and glucose deprivation in rat hippocampal slice. Especially, the reduction in the supply of glucose and oxygen to the brains leads to a complex cascade of cellular event as ATP depletion, lactate release, glutamate release and radical production, resulted in delayed neuron cell death. After treatment with *A. tenuissimae*, we measured the recovery of ATP depletion in hippocampal slice after oxygen and glucose deprivation. Also *A. tenuissimae* and its component showed the reduction of PI (propidium iodide) uptake, indicator of neuronal membrane integrity and cell viability from ischemic brain damage in organotypic hippocampal slice culture.