

scavenging effects of hydroxyl radical or superoxide anion by these phytochemicals.

[PD2-34] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Aristolactam Alkaloids from *Saururus chinensis* Protect Cultured Rat Cortical Neurons from Glutamate-Induced Neurodegeneration

Sung SH^o, Kim SR, Huh H, Kim YC

Collge of Pharmacy, Seoul National University

In the course of our search for neruoprotective compounds against glutamate-induced toxicity from natural sources, a methanolic extract from the dried roots of *Saururus chinensis* (Saururaceae) significantly mitigated glutamate-induced neurotoxicity in primary cultures of rat cortical neurons. Activity-guided fractionation using several chromatographic techniques resulted in the isolation of two neuroprotective alkaloids, sauro lactam and aristolactam BII. These alkaloids isolated from *S. chinensis* attenuated glutamate-induced neurotoxicity in primary cultures of rat cortical cells at concentrations ranging from 0.1 - 10.0 μ M. Furthermore, sauro lactam and aristolactam BII inhibited overproduction of NO and lipid peroxidation in glutamate-treated cells. These results demonstrate that aristolactam alkaloids isolated from *S. chinensis* exerted significant neuroprotective effects on cultured cortical neurons and may be efficacious in protecting neurons from oxidative damage produced by L-glutamate.

[PD2-35] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Effects of Polyoxypregnane Constituents from the Roots of *Cynanchum caudatum* on the Aldehyde Oxidase Activity and Lipid Peroxidation

Lee NJ^o, Park CH, Kang SI, Lee DU

Department of Biochemistry, Dongguk University, Kyongju, Kyongbuk 780-714, Korea

The roots of *Cynanchum caudatum* have been used in traditional medicine in Japan and China for the prevention and treatment of geriatric diseases, beriberi, and so forth, and also as a cardiotoxic agent. Constituents of this plant have mainly been examined for glycosides: besides two steroidal alkaloids, gagaminine and gagamine which was firstly isolated and named by us, more than 30 polyoxypregnane glycosides and aglycones have been isolated. Gagaminine inhibits potently the hepatic aldehyde oxidase activity (IC₅₀=0.8 μ M) and lipid peroxidation in an in vitro assay. In order to explain the structure-activity relationships of gagaminine which contains cinnamoyl- and nicotinoyl groups, we previously compared its activities with four related compounds - two polyoxypregnanes, cinnamic acid, and nicotinic acid. The present work deals with the comparison of antioxidative activities of gagamine, a new pregnane alkaloid, two isolated polyoxypregnanes and one synthetic natural derivative which contain a keto group at C-20 with those of gagaminine, a potent antioxidant. The results of this study further prove that the cinnamoyl group in the structure of gagaminine is critical in inhibition of the aldehyde oxidase activity while the nicotinoyl group may be necessary for anti-lipid peroxidation of this type of compound. Besides that, the keto compounds having no ester group at C-12 were more active than the others except gagaminine.

[PD2-36] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

The hepatoprotective and antioxidative effects of methanol extract and its fractions of *Phellinus linteus* in Hep G2 cells

Song EK^o, Kim JH, Jeon JY, Yoo SM, Sohn DH, Kim YC

College of Pharmacy and MRRC of Wonkwang University

Tacrine(THA) is the only drug currently approved for the treatment of Alzheimer's disease. It has been reported that the major side effect of THA is hepatotoxicity. In this study, we tried to find the hepatoprotective natural products on THA's toxicity. A methanolic extract of *P. linteus* is prepared, and this extract has been partitioned with organic solvents of the different polarities to afford n-hexane, dichloromethane, ethylacetate, n-butanol, and aqueous soluble fractions. The protective effect of this six samples against THA-induced cytotoxicity was determined by MTT assay, and antioxidative effects were estimated by DPPH radical scavenging action and MDA formation by TBA method. THA showed cytotoxicity in the time and dose-dependent manners against Hep G2 cell lines. Among six samples, dichloromethane and ethylacetate fractions exhibited the moderate protective effect on THA-induced cytotoxicity. Silymarin was used as a positive control. These two fractions also showed the moderate effects on DPPH radical scavenging action and MDA formation. It is necessary for isolation of active constituents in these fractions to develop the hepatoprotective agent.

[PD2-37] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

α -Viniferin and Kobophenol A, acetylcholinesterase inhibitors from *Caragana chamlague*

Lee KY^o, Kang SY, Kim JH, Sung SH, Park JH#, Kim YC

College of Pharmacy, Seoul National University, # College of Pharmacy, Pusan National University

In the course of our search for acetylcholinesterase inhibitor from natural product, it was found that a total methanolic extract of *Caragana chamlague* LAM. (Leguminosae) showed significant inhibitory effects on acetylcholinesterase. Further activity-guided fractionation of the extract resulted in the isolation and purification of stilbene oligomers, α -viniferin and kobophenol A. The IC₅₀ values of α -viniferin and kobophenol A were 16.64 μ M and 115.8 μ M, respectively.

[PD2-38] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Microbial Metabolism Studies of Silybin, an Antihepatotoxic Flavonolignan

Kim HJ^o, Yang HJ, Lee IS

College of Pharmacy, Chonnam National University

Silybin is the major component of silymarin, the active principle isolated from the ripe fruits of *Silybum marianum* (L.) Gaertn. (*Cardus marianus* L.) (Asteraceae), which has considerable therapeutic potential in protecting intact or damaged liver cells. An important aspect of the development of any drug is the study of its metabolism. Drug metabolism studies have mainly relied on the use of small animal models or *in vitro* enzyme systems. Microorganisms have been successfully used as predictive models for mammalian drug metabolism. A number of microorganisms were screened for their ability to metabolize silybin. *Trichoderma koningii* (KCTC6042) was selected for preparative scale transformation. Scale-up fermentation with *T. koningii* has resulted in the production of two major microbial metabolites.

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