[PD2-31] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Effect of some flavonoids on LPS-induced NO production in rat peritoneal macrophages

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Nitric oxide(NO), known as an endothelium-derived relaxing factor, has been demonstrated to play a major role in the control of vasomotor tone and structure exhibiting various physiological activities and to be readily produced in macrophages activated by cytokine and endotoxin in the inflammatory processes. It has been previously shown that hyperin, a flavonoid isolated from the leaves of Acanthopanax chiisanensis caused a significant inhibition of PGE_2 production in the murine macrophages. In the present study, the effects of three flavonoids on LPS-induced NO production and iNOS expression in the rat peritoneal macrophages were estimated to clarify their roles in the inflammatory processes.
Rat peritoneal macrophages stimulated with LPS(1μg/ml) were incubated at 37℃ for 4hr in the presence of flavonoids. Inducible NOS proteins were detected by Western blot analysis.
All of the flavonoids tested such as hyperin, isoquercitrin and quercetin showed an inhibition of LPS-induced NO production and iNOS protein expression in concentration dependent manner(10-100μM). Quercetin caused a significant inhibition of LPS-induced phosphorylation of mitogen-activated protein kinases (p44/p42 MAPK(ERK), p38 MAPK and JNK 1/2). These results suggested that the inhibition of LPS-induced NO production by flavonoids were partly due to the inhibition of iNOS protein expression.

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Long Chain Phenols, Inhibitory Principles of HIV Protease from the Sarcotestas of <I>Ginkgo biloba</I>

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Nine long chain phenols were isolated from the $CHCl_3$ extracts of the sarcotestas of *Ginkgo biloba* for HIV protease inhibitors. Their structures were elucidated as four cardanols (**1-4**), three phenolic acids (**5-7**) and two bilobols (**8-9**) by spectroscopic analysis. Of them, phenolic acids and bilobols showed dose dependent inhibitory activities on HIV protease with IC_{50} values from 2.6 to 24.8 μM.

[PD2-33] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Screening of sterol biosynthesis inhibitors from natural products using recombinant yeast carrying human lanosterol synthase

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For the development of new generation cholesterol lowering drugs from natural products, we have

been screening natural products having inhibitory activity of sterol biosynthesis by a simple and rapid assay method using recombinant yeast carrying rat lanosterol synthase. This time we developed an assay system using human lanosterol synthase(hLS) and screened natural products for the inhibitory activity of sterol biosynthesis.
Originally recombinant yeast having GAL1 promotor were cloned for the expression of hLS using yeast expression vector, pYES2. For the construction of transformed yeast having GPD promotor, Spe 1 site in cloning site of pYES2 vector was disrupted(pYES2△S) and GPD promotor was amplified by PCR from yeast genomic DNA. These two plasmids were digested with Spe 1 and Hind III, ligated and propagated to get yeast expression vector having GPD promotor, pYES2G1. hLS gene was transfered from pYES2h(GAL 1 promotor) to pYES2G1(GPD promotor) to get expression vector having GPD promotor and hLS ORF, pYES2G1h. Transformed yeast, pYES2G1h/GIL77, was cloned with pYES2G1h and mutant yeast strain, GIL77, lacking LS. With this transformed yeast, the assay method for inhibition of sterol biosynthesis was established by measuring only the yeast growth.
With this assay method, 81 kinds of plant water extract were screened in the medium with ergosterol or without ergosterol. The assay method and screening results will be discussed.

[PD2-34] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Evaluation of natural products on inhibition of cyclooxygenase -2, inducible nitric oxide synthase activities and cytotoxic potential

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In order to discover novel lead compounds for antiinflammatory and cancer chemopreventive agents, methanolic extracts of approximately 170 oriental herbal medicines were prepared and primarily evaluated for inhibition of lipopolysaccharide (LPS)-induced cyclooxygenase-2 (COX-2) and inducible nitric oxide synthase (iNOS) activities in cultured RAW 264.7 macrophages. As a result, Curcuma zedoaria, Rehmania glutinosa, Pterocarpus santalius, Cinnamomum cassia, Aristolochia debilis and Rhus verniciflua showed potent inhibition of COX-2 and iNOS activities. Turmerones isolated from C. zedoaria were active principles in this capacity. In addition, Paeonia moutan, Rheum coreanum, Rhus verniciflua, Eugenia caryophyllata were active leads for antioxidant activity determined by 1,1-diphenyl-2-picrylhydrazyl (DPPH) radical scavenging activity, and inhibition of xanthine oxidase (XOD) activity. Several extracts including Cynanchum paniculatum showed cytotoxic activity in cultured human lung and colon cancer cells. Active principles for Cynanchum paniculatum are under investigation.

[PD2-35] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Inhibitory Effects of Tannin Compounds on Dopa Oxidase Activity of Tyrosinase

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It has reported that tannin compounds have various biological activity like an enzyme inhibitory effect, anti-bacteria, anti-virus and anti-oxidative effect. And Some inhibitory effects of tannin compounds on Dopa Oxidase activity of Tyrosinase were also reported.
For the utilizing of tannins in the whitening-effect cosmetics, inhibition effect against tyrosinase of tannins was determined. Gallocatechin, gallocatechin 3', 4'-O-gallate, epicatechin 3-O-gallate, 1,2,6-tri-galloyl-β-D-glucose, 2,3-(s)-HHDP-D-glucose, pedunculagin showed moderate(20~40%) inhibitory effect against tyrosinase.