Antioxidative Phenolic Compounds from the Cambodian Mushroom Phelinus Linteus

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In continuing search for antioxidative compounds from natural sources, we found an antioxidative activity in the methanolic extract of the Cambodian mushroom *Phelinus Linteus*. After partitioning between $CHCl_3$ and 30% MeOH, the former layer was purified by a series of ODS flash, Shephadex LH-20, silica column chromatography to give two antioxidative phenolic compounds, 4-(3,4-dihydroxyphenyl)-(*E*)-3-buten-2-one (1) and caffeic acid (2). Compounds 1 and 2 like stilbenes or flavonoids with a catechol moiety showed high radical scavenging effect on DPPH radicals with RC_{50} values of 7.9 and 8.7 μg/mL, respectively.

[PD2-34] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

An Antioxidative Dimeric C-Glucoside from Ardisia japonica Blume

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In our ongoing researches for bioactive compounds from natural sources, our attentions were focused on potent antioxidants from *Ardisia japonica*. The methanolic extract of the plant was partitioned in accordance with the Kupchan's scheme. Chromatographic purification of the *n*-BuOH layer afforded an unprecedented dimeric *C*-glucoside (1). The molecular formula of 1 was established as $C_{28}H_{30}O_{18}$ by the HRFAB mass and ^{13}C NMR data, indicative of 13 degree of unsaturation. Its structure was elucidated by the interpretation of the NMR spectra and its stereochemistry determined by *J*-coupling constants and NOE experiments. Compound 1 exhibited moderate antioxidative activity with RC_{50} value of 4.6 μg/mL.

[PD2-35] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Four Anti-tumor Sesquiterpenes from the Red Alga Laurencia okamurae

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Some halogenated metabolites from marine organisms are believed to play the role of chemical defence substances against marine herbivores. Among the chemical constituents isolated from the red algae in genus *Laurencia* (Ceramiales, Rhodomelaceae) was an unusual tricyclic sesquiterpene named as aplysin. The co-occurrence of brominated and non-brominated aplysins in many natural sources prompted speculation that the debromo-analogues scavenged reactive halogens from the marine environment before they could inflict damage on the host. The aplysins are also believed to act as anti-feedant preventing the predatory advances of other marine organisms. As a part of our continuing searches for anti-tumor agents from Korean marine algae, we found a potent anti-tumor activity in the methanolic extract of *Laurencia okamurae*. We herein report the isolation, structural elucidation, and biogenesis of four aplysin-related sesquiterpenes. Among them, laurinterol (1) showed potent anti-tumor activity against A549, SK-OV-3, SK-MEL-2, XF498, and HT15 with EC_{50} values of 2.6-3.6 μg/mL, respectively.

[PD2-36] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Induction of iNOS gene expression by alpha-Hederin in macrophages

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Alpha-Hederin, a triterpene saponin, is reported to have antitumor activities, however, the mechanism underlying its therapeutic effects is not known. In this study, we examine the effects of alpha-Hederin on the release of nitric oxide (NO) and the level of inducible nitric oxide synthase (iNOS) gene expression in mouse macrophages. Alpha-Hederin elicited a dose-dependent increase in NO secretion. Reverse-transcription polymerase chain reaction showed that the increased NO secretion was due to an increase in iNOS mRNA. Since the promoter in iNOS gene contains binding motifs for NF-kB, the effect of alpha-Hederin on the inactivation of this transcripts factor was determined by transient transfection assay. Employing a transfection and reproter gene expression system with p(NF-kB)3-Luciferase, the treatment of alpha-Hederin produced a dose-dependent increase of luciferase activity in RAW 264.7 murine macrophages cell line. These results demonstrate that alpha-Hederin stimulates NO release and is able to upregulate iNOS expression through NF-kB transactivation, which may be a mechanism, whereby alpha-Hederin elicits its biological effects.

[PD2-37] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Up-regulation of inducible nitric oxide synthase expression by 18b-glycyrrhetinic acid in macrophages

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Glycyrrhizin, a triterpenoid saponin fraction of licorice, is reported to have anti-viral and anti-tumor activities and is metabolized to 18b-glycyrrhetinic acid (GA) in the intestine by intestinal bacteria. However, the mechanism underlying its effects is poorly understood. To further elucidate the mechanism of GA, the aglycone of glycyrrhizin, we investigated the effects of GA on the release of nitric oxide (NO) and at the level of inducible nitric oxide synthase (iNOS) gene expression in mouse macrophages. We found that GA elicited a dose-dependent increase in NO production and in the level of iNOS mRNA. Since iNOS transcription has been shown to be under the control of the transcription factor NF-kB, the effects of GA on NF-kB activation were examined. Transient expression assays with NF-kB binding sites linked to the luciferase gene revealed that the increased level of iNOS mRNA, induced by GA, was mediated by the NF-kB transcription factor complex. By using DNA fragments containing the NF-kB binding sequence, GA was shown to activate the protein/DNA binding of NF-kB to its cognate site, as measured by electrophoretic mobility shift assay. These results demonstrate that GA stimulates NO production and is able to upregulate iNOS expression through NF-kB transactivation in macrophages.

[PD2-38] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Monoamine Oxidase Inhibitory Component from the Fructus of Piper longum (II)

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Monoamine oxidase(MAO) [EC 1.4.3.4] is flavin-containing enzyme, and catalyzes the oxidative deamination of endogenous neurotransmitter amines as well as exogenous amines. It exists in two subtypes, MAO-A and MAO-B, on the basis of their different specificities toward substrates and inhibitors. We had screened medicinal plants to search for novel MAO inhibitors from medicinal plants, and we discovered that the MeOH extract of the Fructus of Piper longum showed high inhibition against MAO. The MeOH extract was therefore subjected to the bioactivity-guided fractionation. Compound 1 was isolated from CH2Cl2 fraction. Compound 1 showed significant inhibitory effect against MAO-B(IC50 : 0.37 μg) than