Antiplatelet and antithrombotic activities of Sunghyangjunggi-san

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As part of our continuing search for biological active anti-stroke agents from the herbal medicinal resources. We examined the possibility of Sunghyangjunggi-san and its ingradients as a novel antithrombotic agents in vitro and ex vivo, and its antithrombotic effect in vivo.
Among ingredients of Sunghyangjunggi-san, Arisaematis Rhizoma, Cinnamomi Cortex and Zingiberis Rhizoma potently inhibited ADP- and collagen-induced platelet aggregation in a dose-dependent manner in vitro. Sunghyangjunggi-san and most of its ingredients did not affect coagulation parameters as APTT, PT and TT in human plasma. Sunghyangjunggi-san, Arisaematis Rhizoma, Atractylodis Rhizoma Alba and Pinelliae Rhizoma significantly inhibited ex vivo rat platelet aggregation. Sunghyangjunggi-san, Alpiniae Fructus and Zingiberis Rhizoma showed significantly protection from death due to pulmonary thrombosis in mice.

[PC2-4] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Metabolism of ginsenoside Rc by human intestinal bacteria

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Ginseng is frequently used in Asian countries for replenishment of viatal energy, tranquilization, mood elevation and prevention of aging. Its major components are ginsenosides, such as ginsenoside Rb1, Rb2 and Rc. These ginsenosides have been reported to show various biological activities including an anti-inflammatory and anti-tumor activities. To explain these pharmacological actions, it is thought that ginseng saponins must be metabolized by human intestinal microflora after orally taken them. Therefore, we investigate the metabolism of ginsenoside Rc by human intestinal bacteria. Ginsenoside Rc was metabolized to compound K and 20(S)-protopanaxadiol. Bifidobacterium K-506 transformed to compound K via compound Mb→compound F2 and/or compound Mc. However, Bifidobacterium K-103 transformed to compound K via compound Rd→ compound F2. Mb was a new compound, 3-O-(β-D-glucosyl)-20S-O-(α-L-arabinofuranosyl-1,6-β-D-glucopyranosyl)--protopanaxadiol (MW. 940[+Na]).

[PC2-5] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

In vitro antagonistic acticity of Acharan sulfate against Helicobacter pylori infection to KATO III cell line

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Helicobacter pylori is recognized as a major etiological agent of acute and chronic gastritis. Infection with HP is strongly associated with pathogenesis of peptic ulceration and the development of adenocarcinoma of the distal stomach. HP adherences to sulfated carbohydrates, GM3 ganglioside, phosphatidylethanolamine and sialylactose of the mucous epithelial cell surface and the mucous layer lining the gastric epithelium.
Therefore, we investigate the antagonistic activity of acharan sulfate, which is an acidic glycosaminoglycan from Achatica fulica, on HP infection to a gastric cell line. This acharan sulfate was a

potent antagonist for HP infection to KATO III cells.

[PC2-6] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Sequence Analysis of Regulatory Regions of *ermAM* genes with Unusual Induction Patterns from enterococci

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Target modification is the major mechanism of resistance to macrolide antibiotics in enterococci and is due to the synthesis of a methylase, which modifies the ribosome.
This modification confers cross-resistance to macrolides, lincosamides, and streptogramin B (that is MLS_B phenotype). Genes belonging to erm class commonly mediate MLS resistance. Among MLS antibiotics, 14-membered-ring macrolides such as erythromycin have been considered the most potent inducers in *ermAM* gene.
In the screening of 306 Korean clinical enterococci isolates, we found 58 isolates with two unusual induction specificities. In the phenotype I group, the 16-membered macrolide was the stronger inducer than the 14-membered macrolide. In the phenotype II group, both the 14-membered macrolide and the 16-membered macrolide showed equivalent inducibility.
The regulatory regions of the *ermAM* genes of enterococci isolates were amplified and sequenced. The sequenced regulatory regions of *ermAM*s aligned with the same region of *ermAM* in Tn917. Thirteen *ermAM*s showed two mutations which are identical with those of the *ermAMR* as we previously reported: arginine-to-cysteine change of 7th codon and TAAA duplication in the leader peptide. In the second group of 17 *ermAM*s, one tranversion and insertion of 12 nucleotides were observed: Asn→Tyr of 8th codon and insertion of 4 amino acids. In the third group of 5 *ermAM*s, several substitutions and the duplication of TAAA were found. All the above mutations were identified in the phenotype I group. In the remaining 20 *ermAM*s, only one mutation was identified: the duplication of TAAA in the leader peptide. This variation was observed in the phenotype II group.

[PC2-7] [10/19/2001 (Fri) 09:00 - 12:00 / Hall D]

Isolation and characterization of cytotoxic and immunosuppressant substance 0116p produced by Streptomyces scabies subsp.MO137

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Isolation and characterization of cytotoxic and immunosuppressant substance 0116p produced by Streptomyces scabies subsp.MO137

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An cytotoxic substance 0116p, which showed cytotoxicity against human macrophage cell line (THP-1), was isolated from mycelial extract of Streptomyces scabies subsp.MO137. The cytotoxic subsatance was purified by solvent extraction, Sephadex LH-20 column chromatography, and silica-gel column chromatography. The molecular formula was determined to be C19H40O2(MW 301.10) by elementary, MS, and IR analysis. 0116p was identified to be a nonadecanoic acid by NMR spectral data, and showed cytotoxic activities to various cancer cell lines including A549, SK-OV-3, SK-MEL-2 and HCT-15. Also, 0116p showed antiinflammatory and immunosuppressant effects and thus showed therapeutic effects on Th1-mediated autoimmune diseases.