

proteoglycan, CTMC-specific proteases and large amounts of histamine, and generate the cyclooxygenase (COX) pathway product, prostaglandin (PG) D₂, following FcεRI-dependent activation. MMC contain chondroitin sulfate proteoglycan, MMC-specific proteases, less histamine than CTMC and generate leukotriene (LT) C₄, via the 5-lipoxygenase (5-LO) pathway in preference to PGD₂ following FcεRI-dependent activation. Mouse bone marrow-derived mast cells (BMMC) developed in interleukin (IL)-3, a progenitor population of mast cells, resemble MMC in terms of their granule contents and preferred FcεRI-dependent LTC₄ generation, but express mast cell proteases different from those expressed in CTMC and MMC. Coculture of BMMC with 3T3 fibroblasts in the presence of the stromal cytokine, c-kit ligand (KL) result in morphological and functional development toward a more mature CTMC-like phenotype. To characterize gene expression of cocultured BMMC, we examined the changes in genetic transcripts of BMMC and cocultured BMMC by the PCR-select cDNA subtraction method. In this study, we found that the expression of several genes were increased during coculture of BMMC with 3T3 fibroblast. Included among these were the known genes for MMCP (mouse mast cell protease)-1, MMCP-4, granzyme B and the novel gene AVRL. AVRL has high homology to human angiotensin II/vasopressin receptor like gene. We found that AVRL was at least 3 different form.

[PC1-44] [10/20/2000 (Fri) 15:30 - 16:30 / [Hall B]]

Conformations and activities of linear RGDX tetrapeptides

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The conformational study on Arg-Gly-Asp (RGD)-containing tetrapeptides in the unhydrated and hydrated states has been carried out using the force field ECEPP/3 and the hydration shell model. The tetrapeptides studied here are NH₂-RGDX-OH (X = Phe, Val, Cys, Gln, Ser, Tyr, and Leu), which show various activities against fibrinogen binding to platelets in the order of RGDF > RGDV > RGDC > RGDC > RGDC > RGDS ≈ RGDY ≈ RGDL.

In the unhydrated state, type I β-bends are found to be essential at the Gly-Asp sequence for all RGDX tetrapeptides except for RGDF and RGDY. In particular, type V'β-bends appear to be dominant for RGDF. In addition, type IV β-bends are significant at the Asp-Xaa sequence of almost tetrapeptides, followed by types I, VII, and II β-bends. On the other hand, in the hydrated state all RGDX tetrapeptides have type V'β-bends at the Gly-Asp sequence except for RGDC, which has type I β-bends at the Gly-Asp sequence. Type IV β-bends are found to be dominant at the Asp-Xaa sequence for all RGDX tetrapeptides.

We can conclude that type V' β-bends at the Gly-Asp sequence and type IV β-bends at the Asp-Xaa sequence are necessary conditions not sufficient conditions for biological activity of fibrinogen binding to platelets.

[PC2-1] [10/20/2000 (Fri) 15:30 - 16:30 / [Hall B]]

Inhibitory Component of Mori Cortex Radicis on Alcohol Dehydrogenase Activity

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Mori Cortex Radicis is one of the medicinal plants used in oriental medicine for diabetes mellitus. But we found out that the methanolic extract of Mori Cortex Radicis inhibited horse liver alcohol dehydrogenase. In connection with Mori Cortex Radicis inhibitory effects, a bioactivity-guided purification of active substance on alcohol dehydrogenase (ADH) was carried-out. The most active

compound was isolated as Mulberroside A (C₂₆O₁₄H₃₂), molecular weight 568. Mulberroside A inhibited ADH noncompetitively against ethanol or NAD⁺.

[PC2-2] [10/20/2000 (Fri) 15:30 – 16:30 / [Hall B]]

Inhibitory Component of Puerariae Radix on Alcohol Dehydrogenase Activity

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Puerariae Radix is one of the medicinal plants used in oriental medicine for hangover. There are several reports dealing for the pharmacological effects such as antialcohol abuse, antidipsotropic activity and antialcohol intoxication. In connection with Puerariae Radix effects, a activity-guided purification of active substance on alcohol dehydrogenase (ADH) was carried-out. The most active compound was isolated as puerarin (C₂₁H₂₀O₉), molecular weight 416. Puerarin inhibited ADH noncompetitively against ethanol or NAD⁺.

[PC2-3] [10/20/2000 (Fri) 15:30 – 16:30 / [Hall B]]

β-Glucuronidase-inhibitory tectorigenin protects CCl₄-induced hepatotoxicity.

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It has been known that liver damage caused by virus or chemicals increases activity of β-glucuronidase in blood and inhibitors of this enzyme are effective to liver damage. Here we isolated β-glucuronidase inhibitor, tectoridin, from the flower of *Pueraria thunbergiana* and measured its hepatoprotective activity on CCl₄-induced hepatotoxicity of mice.

CCl₄ treatment caused drastic increases in plasma ALT, AST and LDH activities in mice.

Pretreating mice with tectoridin at daily oral dose of 100mg/Kg for 3 day significantly suppressed the CCl₄-induced increase in plasma ALT and AST activities. The inhibitory effect of tectoridin was much more potent than dimethyl diphenyl bicarboxylate (DDB), a synthetic intermediate of schizandrin C. However when tectoridin was intraperitoneally administrated to mice, it did not show hepatoprotective activity. When tectorigenin was intraperitoneally administrated to mice, it exhibited hepatoprotective. In addition when tectoridin was incubated with human intestinal bacteria, it was transformed to tectorigenin. These results suggest that tectoridin, which is a inhibitor of β-glucuronidase, should be a prodrug for hepatoprotective.

[PC2-4] [10/20/2000 (Fri) 15:30 – 16:30 / [Hall B]]

Antiplatelet and antithrombotic activities of Chungpesagan-tang

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As part of our continuing search for biological active anti-stroke agents from the medicinal resources. We examined the possibility of Chungpesagan-tang and its ingredients as a novel