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Recently, we have reported the isolation of a novel pimarane diterpene, acanthoic acid, from the Korean medicinal plant which has been traditionally used for treating rheumatism. In particular, acanthoic acid turned out to be biologically attractive because it has been showned to exhibit an excellent suppression of interleukin-1(IL-1) and tumor necrosis factor-α(TNF-α) at low level which are major proinflammatory cytokines.
More recently, the COX-2 inhibitory activities of acanthoic acid have also been investigated by us as an extension of the stuies on its anti-inflammatory effects as well as therapeutic utilities.
We herein report acanthoic acid and its analogues as a novel COX-2 inhibitor as well as structure-activity relationship of acanthoic acid.
In addition, the interaction mode of acanthoic acid with the COX-2 active site and antiinflammatory effects of the highly bioactivity-enhanced acanthoic acid analogues will be presented.

[PD1-14] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Asymmetric Synthesis of (1R, 2S)-1-Allyl-2-Silanyloxy Carbamates using CSI reaction

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A plethora of pharmaceutically and biologically valuable compounds comparise 1, 2-amino hydroxy functional groups. The substances are usually involved in exhibiting a variety of biological activities. The representatives are the glycosidase inhibitors (-)-swainsonine, (+)-castanoper-mine and azasugars, and (-)-statine as the key constituent of the aspartic protease inhibitor pepstatin. In addition, others include the neurotrophic agent (+)-lactacystin, the antibiotic (-)-furanomycin, the antifungal agent (-)-anisomycin and so forth.
We have recently described synthetic method for N-protected allylic amines from allyl ethers using chlorosulfonyl isocyanate(CSI) via the stable allylic carbocation.
In this presentation, we will report asymmetric synthetic method for (1R, 2S)-1-allyl-2-silanyloxy carbamates (1, 2-amino alcohol) by the simple CSI reaction which we developed with various allyl ethers and discuss mechanism of these reactions.

[PD1-15] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Synthesis of Ester Type Derivatives as Inhibitors of Acetylcholinesterase

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We have known that acetylcholinesterase inhibitiors are effective in enhancing cholinergic activity and useful in improving the memory of Alzheimer's patients. By structure-activity relationship studies and structural analysis, we have focused on the discovery of potent inhibitors of acetylcholinesterase and synthesized a series of 4-[4-(benzhydryloxy)piperidino]butyl benzoate's derivatives from reaction 4-[4-(benzhydryloxy)piperidino]-1-butanol with some para substituted benzoic acid by 1-ehtyl-3-(3-dimethylaminopropyl)carbodiimide and 4-dimethylaminopyridine coupling. Also,4-[4-(benzhydryloxy)-