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Among 4'-substituted nucleosides, Nucleocidin, 4'-azido thymidine (ADRT), 4'-fluorinated carbocyclic nucleoside, and 3'-fluoro oxetanosin analogue have demonstrated a variety of biological activities. Since the cyclopentane ring of carbocyclic nucleosides can emulate the furanose moiety, a number of these compounds exhibit interesting biological activity, particularly in the areas of antiviral and anticancer chemotherapy.
Encouraged by these interesting structures and antiviral activities, novel class of nucleoside comprising branched carbocyclic nucleosides with an additional fluorine atom at 4'-position was synthesized. The synthetic procedure and biological activity will be discussed in the meeting.

[PD1-19] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

A Novel and Highly Potent Non-vanilloid VR Antagonist

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The vanilloid receptor VR has attracted great interest as a sensory transducer for capsaicin, protons, and heat, and as a therapeutic target.
On the basis of the previous studies on vanilloid agonists and antagonists, we have looked for non-vanilloid VR antagonists by developing ideal vanilloid equivalents, which might provide the perfect analgesic effects without the side effects caused by vanilloid receptor agonists
Initially, we examined the in vitro activities of more than eight hundred synthetic compounds, which were designed based on the structures of the reported natural and unnatural agonists and antagonists.
In particular, our work focused on the development of novel vanilloid equivalents, which function as both hydrogen bonding donors and acceptors, like the vanilloid moiety of capsaicin. Here we present novel non-vanilloid VR antagonists, N-(4-t-butylbenzyl)-3,4-disubstituted benzylthiourea derivatives, with enhanced activities in both capsaicin single channel and calcium uptake assays compared with capsazepine.

[PD1-20] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Studies on the Regioselective and Diastereoselective Amination using Chlorosulfonyl Isocyanate (CSI)

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We have recently described the novel synthetic method for N-protected amines from various ethers using chlorosulfonyl isocyanate(CSI) and found that the mechanism of our CSI reaction is a competitive reaction of SN1 and SNi mechanism according to the stability of carbocation intermediate. Forthermore, we developed the regioselective and diastereoselective one-pot