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Carbonucleosides has extensively been studied as a promising anti-viral agents having chemical and metabolical stability. As yet there are no rules relating the structures of carbocyclic nucleosides to their therapeutic activity, although trends among certain kinds of structure have been tentatively put forward. In our research program for discovery of anti-viral drugs, the novel cyclobutyl nucleosides can be expected to be potential antiviral drugs as analogues of cyclobut-A, anti-HBV agent. The key cyclobutyl intermediate synthesized by ring contraction reaction using zirconium complex, was condensed with purine base for synthesis of the novel carbocyclic nucleosides.

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

Syntheses of Aminoalcohols with Alkenyl Substituents for the Development of Tissue Factor Inhibitors and Their in vitro Nanomolar Level-Activities

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Tissue Factor (TF), a principal initiator of the veterbrate coagulation cascade is known to to induced in endothelial cells, monocytes and macrophages by inflammetry stimuli and in many pathological conditions. Through our synthetic efforts to develop new TF inhibitors, seventeen N-C-18 alkenyl group (9-octadecenyl or 9,12-octadecadienyl) substituted aminoalcohols (2-aminoethanol, 1-amino-2-propanol and 3-amino-1-propanol) were prepared and their in vitro TF inhibitory activities were examined. Except one case, they all exhibit nanomolar level activities (1.1~7.7×10^-9 mole/TF unit). Details of the studies will be discussed.

[PD1-17] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Synthesis and Biological Activity of 1β-Methyl-2-[5-(2-N-Substituted aminoethylcarbamoyl)pyrrolidin-3-ylthio]carbapenem Derivatives.

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The synthesis of a new series of 1β-methylcarbapenems having the substituted aminoethyl-carbamoylpyrrolidine moiety is described. Their in vitro antibacterial activities against both Gram-positive and Gram-negative bacteria were tested and the effect of substituent on the pyrrolidine ring was investigated. In particular, the compound 11g having piperazinyl urea moiety showed the most potent antibacterial activity.

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

Stereoselective synthesis of carbocyclic analogue of Nucleocidin