

The vicinal amino alcohol moiety is a common structural component in a vast group of naturally occurring and synthetic molecules. The presence of this moiety and the relative stereochemistry are generally important for the biological activity of molecules containing a vicinal amino alcohol. As such, a variety of stereoselective synthetic methods have been developed. Just as there are an equally large number of synthetic routes to these molecules. Conceptually one can divide these synthesis into four different classes: (1) functional group manipulation of a molecule containing both heteroatoms, (2) addition of one heteroatom to a molecule which already contains one heteroatom, (3) addition of both heteroatoms to a molecule which has neither, (4) coupling of two molecules, each of which has one heteroatom. We have recently described synthetic method for N-protected allylic amines from allyl ethers using chlorosulfonyl isocyanate(CSI) via the stable allylic carbocation, and furthermore, we developed novel technique to compare directly the stability of carbocations in the solution phase using CSI reaction. In this presentation, we will report diastereoselective synthetic method for 1, 2-aminoalcohols by the our CSI reaction system and discuss mechanism of these reactions.

[PD1-15] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Asymmetric synthesis of (2S, 3R, 4E)-2-Amino-5-phenyl-pent-4-ene-1,3-diols

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(2S, 3R, 4E)-2-Amino-5-phenyl-pent-4-ene-1,3-diols had been stereoselectively synthesized. (1S, 5S)-(-)- α -Pinene was treated with KMnO₄ to give (1R, 2R, 5R)-(+)-2-hydroxy-3-pinane, which reacted with ethylglycinate, boron trifluoride etherate and then with C₆H₅CH=O, arylpropenal to yield (1R, 2R, 5R)-aldol compounds. These compounds were hydrolyzed with HCl and reduced with NaBH₄ to give (2S, 3R, 4E)-2-amino-5-phenyl-pent-4-ene-1,3-diols.

[PD1-16] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

A synthesis of novel acyclic nucleosides

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The discovery of acyclovir as an antiherpes agent ignited the search for new antiviral nucleosides with disconnected chain resulting from omitting any bond from the pentose or cyclopentane rings. During the last twenty years, many new synthetic schemes for various acyclic nucleoside analogues have been discovered and many of these molecules have shown promising antiviral activities. Among them, desciclovir, ganciclovir, penciclovir, famciclovir have shown potent antiviral activity against HBV and herpes virus. It could be assumed that the potent antiviral activity be originated from flexible acyclic sugar mimicking chain moiety. In view of these promising results of acyclic nucleosides and as part of our continuing drug discovery efforts, we planned to synthesize novel disecconucleosides (two bond disconnection). Here, we would like to report the synthetic route of novel 1',x and 4',x-diseco-nucleosides from D-lactose.

[PD1-17] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Synthesis and Anti-Inflammatory Activity of Heteroaryl Substituted Flavones

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