

methyltoluamide with *o*-hydroxymethylbenzotrile might afford 3-arylisoquinoline which could be transferred to the aldehyde. Indeno[1,2-*c*]isoquinolines can be formed by an intramolecular ring cyclization method. Various derivatives of this compound including 11-alkoxy-6-methyl-6H,11H-indeno[1,2-*c*]isoquinolin-5-one and biological activity will be presented with the docking model with topoisomerase I enzyme.

[PD1-7] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Design and Synthesis of Apio Nucleosides with Exocyclic Methylene Substituent

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Apio nucleosides belong to unique classes of nucleosides in that 4'-hydroxymethyl group moves to 3'-position. Among these compounds, we found that apio dideoxyadenosine (apio-ddA) exhibited potent antiviral activity and apio-d4A showed potent anti-HCMV activity. Based on these findings, it was of great interest to design and synthesize apio nucleoside analogues with various substituents such as fluoro or azido group. In order to synthesize apio analogues, the glycosyl donor, D-, and L-apio sugar acetates were first synthesized, starting from D-galactose, condensed with silylated N4-benzoylcytosine, and then converted to the final D- and L-nucleosides. Synthesis of the D- and L-apio nucleosides will be presented in detail at the meeting.

[PD1-8] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Solution-Phase Synthesis of a Library of Biaryl Amides Using Girard's reagent T as an Acid Chloride Scavenger

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An efficient process for the solution-phase synthesis of biaryl amides has been developed. Girard's reagent T, an inexpensive scavenger, was found to be very efficient in trapping excess aromatic acid chlorides, resulting in water soluble by-products, which were easily removed from the products by liquid-liquid extraction. The ease of use, and the excellent purity of the amide libraries obtained are important features of this protocol.

[PD1-9] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Regio- & Stereoselective Synthetic Method for Polyhydroxyamines using Chlorosulfonyl Isocyanate

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The interest in polyhydroxyamines is based in their biological activity as enzyme inhibitors, and as starting materials in the synthesis of more complex compounds.

Polyhydroxyamines is that amine group is continuing structurally with hydroxy groups and has become important target of the synthesis strategy because of the chirality control of amine group and each hydroxy groups.

Polyhydroxyamines is a structural unit present in some biologically important compounds such as polyoxamic acid, codonopsinine, deoxynojirimycin, castanospermine, detoxinine. They belong to the class of compounds known as polyhydroxylated amino sugars, which proved to be highly effective glycosidase inhibitors.

We have recently described synthetic method for N-protected allylic amines from allyl ethers using chlorosulfonyl isocyanate(CSI) and found that the mechanism of this reaction is based on the stability of carbocation.

Furthermore, we investigated the reactions of various polybenzylethers and CSI, and developed the stereocontrolled CSI reaction condition of various polybenzylethers by varying the solvents and temperature.