Irreversible inhibitor may provide better therapeutic potential to control this viral disease. Since aziridine motif possesses alkylating property, integration of this motif into the backbone of trovidine analogs was attempted. Thus N-(pyridin-2-yl)- carbamoyl-2-phenylaziridines were synthesized and tested against HIV 1
and HIV 2 viruses.

[PD1-35] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Enantiomeric synthesis 3'-hydroxy apionucleosides and 4'-hydroxy carbocyclic nucleosides

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In the search for effective, selective and nontoxic antiviral agents, a variety of strategies have been exploited to design nucleoside analogs, which block viral replication without affecting host cellular process.
Among them 4'-substituted nucleosides have drawn great attention and significant progress have been made in the past several years in the battle against HIV, hepatitis B and other viruses.
As part of our drug discovery program, we have determined to synthesize nucleosides with hydroxy group at 3' or 4'-position. Herein, We would like to introduce very efficient synthetic methods of 2'-deoxy-3'-hydroxy-L-furanosy nucleosides as well as 4'-hydroxy carbocyclic skeleton using metathesis strategy from a-D-lactose.

[PD1-36] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Synthesis and Biological Activities of Synthetic Flavonoid Libraries

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The flavonoids are a very large and important group of polyphenolic natural product, which exhibit a wide range of biological properties including antimicrobial, antiinflammatory, immunomodulatory, antioxidative, antitumor and so forth. We synthesized series of flavonoid analogues, which can not be isolated from natural resources, to evaluate the biological activities for several therapeutic targets such as inflammation, cancer and others.
Mono and polyhydroxylated 2'-hydroxyacetophenones were reacted with various aromatic aldehydes in methanolic KOH to produce chalcone analogues as key intermediates and further ring formation reaction in iodine-DMSO conditions yielded a large number of synthetic flavonoids as crystalline products. Herein we demonstrate the synthesis and biological activities of synthesized flavonoid libraries for inflammation and some other biological targets.

[PD1-37] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

A Modified Niementowsky Reaction for the Synthesis of 4-Hydroxyquinoline, Qinazoline, and Their Derivatives

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