

transit through the gastrointestinal tract. Degree of depolymerization (%) by dextranase determined by DNS method at 37°C for dextran-NA with DS of 7, 19, or 32 was 81, 68, or 8, respectively, in 8 hrs and that for dextran was 91. When dextran-NA (equivalent to 50 µg of NA) with DS of 7 or 17 was incubated with cecal contents (100 mg) of rats at 37°C, the extent of NA released in 24 hrs was 41% or 32% of the dose, respectively. NA was not liberated from the incubation of dextran-NA with the homogenate of tissue and contents of the small intestine.

[PD1-19] [04/21/2000 (Fri) 14:50 – 15:50 / [1st Fl, Bldg 3]]

Synthesis of Affinity column-packing Materials for Seeking Binding Protein Related to Costunolide

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Costunolide, which is known as chemopreventive drug, is a sesquiterpene lactone compound isolated from *Magnolia sieboldii* and has antitumor and anti-inflammatory activities. It is expected to be farnesyl transferase inhibitor and anti-inflammatory activities, because the structure of costunolide is similar to farnesyl moiety. Costunolide is one of macrocyclic compounds and their derivatives have already been synthesized from santonin as a starting material by Corey and followed by Grieco and Nishizawa presented total synthesis from santonin through Cope rearrangement.

The aim of this research is to develop new and easy method. Costunolide has farnesyl moiety in its structure. We can synthesize costunolide resin by attaching it with resin using a linker. Once synthesized, product, derivatives, and all of its intermediates go through Affinity Column-packing material. Anti-inflammatory Protein will come out late since it binds with Protein resulting in longer retention time. However, unbounded ones will come out fast. For now, we are trying to synthesize various derivatives. After attaching resins on these derivatives, we will put them through Affinity Column-packing and search for prospective anti-inflammatory proteins.

[PD1-20] [04/21/2000 (Fri) 14:50 – 15:50 / [1st Fl, Bldg 3]]

Synthesis of Some Cyclopropyl Nucleosides as Potential Antiviral Agents

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Some novel cyclopropyl nucleosides possessing additional methyl spacer between the base and the ring were synthesized as potential antiviral agents. The important intermediate, cyclopropyl compound was synthesized from feist's acid, via esterification, reduction, the partial protection by using TBDPS chloride and activated by tosylation. The condensation of cyclopropyl intermediate with bases in the presence of potassium carbonate and a crown compound and its deprotection by using tetrabutylammonium fluoride gave the final cyclopropyl nucleosides.

[PD1-21] [04/21/2000 (Fri) 14:50 – 15:50 / [1st Fl, Bldg 3]]

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[PD1-22] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Design and Synthesis of Novel Fluorocyclopropanoid Nucleosides

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The small structural perturbation and/or isosteric changes of carbonucleosides are believed to have a critical effects on their conformation and thus on antiviral activity. To search for the chemically and enzymatically stable carbonucleoside as a promising antiviral agent, while causing minimal structural disturbance, we designed novel fluorocyclopropanoid nucleoside analogues. The incorporation of fluorine atoms into organic molecules has often been associated with profound changes in the biological profiles of the fluorinated analogues compared to their hydrocarbon counterparts. It has also been suggested that a fluoromethylene group is a better isostere of oxygen than the methylene group and therefore cyclopropyl derivatives substituted by fluorine are also attractive targets. In this presentation, we wish to report on the syntheses of a series of fluorocyclopropanoid nucleosides in attempts to mimic even more closely the natural nucleoside by installing an fluoro group and cyclopropane ring.

[PD1-23] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Study on the reactions of various cinnamyl alkyl ethers with Chlorosulfonyl Isocyanate

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Chlorosulfonyl isocyanate(CSI) has been used in many synthetic transformation and in the synthesis of several heterocyclic systems. CSI has two electrophilic sites for attack by nucleophilic reagents, namely, the carbonyl carbon and sulfur of the sulfonyl chlorine group. Also, the N=C moiety of CSI should be prone to cycloaddition reactions with multiple bonded compounds.

In this presentation, we will report the transformation of variable cinnamyl alkyl ethers with CSI, by nucleophilic attack on the carbonyl carbon.

Through these reactions, various cinnamyl alkyl ethers were converted to the corresponding carbamates via stable carbocation.

As one of our results, the reaction of cinnamyl benzyl ether with CSI resulted in benzyl N-cinnamyl