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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.

[PD1-22] [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 pertubation 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 cycloproane 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 Chlolosulfonyl Isocyanate

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Chlorosulfony 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 carbarmates via stable carbocation.
As one of our results, the reaction of cinnamyl benzyl ether with CSI resulted in benzyl N-cinnamyl

carbamate. On the other hand, cinnamyl 4-methoxybenzyl ether reacted with CSI to give cinnamyl N-(4-methoxybenzyl) carbamate.

[PD1-24] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

The New Erythromycin A derivatives with C-9 oxime as a treatment of Helicobacter Pyrori.

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Clarithromycin is used as a H. Pyrori treatment and is one of the top five best-selling antibiotics in 1997.
Roxithromycin is known as more stable than Erythromycin A under acid conditions like gastric environment. In this regards, we designed compounds to resist the strong acidic condition and to have excellnt actitvity against H. Pyrori-active. A series of erythromycin A derivatives were synthesized and tested for acid-resistant property. Biological activity against H. Pyrori was evaluated.

[PD1-25] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Importance of phenyl moiety for cytotoxicity of 4-Phenyl-1-arylsulfonylimidazolidinones

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Novel 4-Phenyl-1-arylsulfonylimidazolidinones have been reported to show highly potent antitumor activity against the various cancer cell lines.
As a result of the structural modification of these compounds, the small aromatic moiety such as phenyl ring at 4-position of imidazolone ring had been identified as a structurally essential necessity for cytotoxicity.
However, the derivatives removed phenyl ring at 4-position have not been investigated. The corresponding compounds were synthesized and evaluated for their antitumor activity and compared to that of 4-phenyl compounds.

[PD1-26] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis and Antibacterial Activity of New Carbapenems Containing Isoxazole Moiety

Kang Yong Koo, Shin Kye Jung, Yoo Kyung Ho, Kim Dong Chan, Seo Kyung Jae, Lee Kyung Seok, Park Ran Hee, Kim Dong Jin, Park Sang Woo

Korea Institute of Science and Technology

1β-Methylcarbapenems exhibit a broad antibacterial spectrum against both Gram-positive and Gram-negative organisms and high stability to dehydropeptidase-I (DHP-I). Meropenem, which has a 1β-methyl group in carbapenem nucleus, is stable to renal DHP-I and it has successively been launched on the market. In recent years, several anlogues such as BO-2727, S-4661, ZD-4433,