carbocyclic nucleosides using sequential Grubbs' ring closing metathesis and Trost allylic alkylation reaction as key reactions.

[PD1-6] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Cyclopropyl Intermediate for Synthesis of Novel Carbocyclic Nucleosides, Potential Antiviral Agents

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Carbocyclic nucleosides has extensively studied as a promising antiviral agents having chemical and metabolical stability. In our research program for discovery of antiviral drugs, some novel dimethylcyclopropyl nucleosides possessing additional methyl spacer between the base and the ring were synthesized. The important intermediate, dimethylcyclopropyl compound was synthesized from ethyl chrysanthemate via its ozonolysis, isomerization, reduction and protection by protecting group. The ethyl ester was reduced by LiAlH4 to give the cyclopropyl intermediate which was activated by tosylation for using condensation with purine bases.

[PD1-7] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

Total Synthesis of Cyclictetrapeptide Analogues of Apicidin

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The antiparasitic agent apicidin, which was recently isolated from cultures of Fusarium Pallidoroseum, belongs to a rare group of cylic tetrapeptiol fungal metabolites. Apicidin inhibits protozoal HADC and is orally active against Plasmodium berghei malaria in mice. The biological activity of apicidin appears to be attributable to inhibition of apicomplexan HADC at low nanomolar concentrations.
In the present, we have worked about the synthesis of new apicidin derivatives and discovered that apicidin and some derivatives have mild antiumor activity. It caused the change of tumor cells to normal ones morphology.
As part of our program toward the development of new antitumor agents, we designed and synthesized several cyclictetrapeptide compounds. In this presentation, we will report the total synthesis of these Apicidin analogues.

[PD1-8] [04/19/2002 (Fri) 10:00 - 13:00 / Hall E]

A Convenient Synthesis of Chelerythrine via Intramolecular Cyclization Reaction

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The benzophenanthridine alkaloids constitute a large group of metabolites which occur in the Fumariaceae, Papaveraceae, and Rutaceae and posses, in many cases, strong pharmacological activities. Thus, nitidine and fagaronine have been shown to have a antitumor activity in animal models, an activity which could be related to inhibition of DNA topoisomerase. The toxicological problems associated with the most active members of this group have led to develop new synthetic methods of these compounds, in order to study the structure-activity relationship.
There are many classical methods by which this heterocyle can be constructed and especially attractive are