In the present work about the synthesis and biological activities of new apicidin derivatives which are analogues of apicidin isolated from Fusarium sp., we have discovered that some apicidin and derivatives have mild antitumor activity, which caused the change of tumor cells morphology to return to normal cells. As part of our program toward the development of new antitumor agents, we established its efficient synthetic route, synthesised its derivatives systemically, and then studied their structure-activity relationships. At present, we modified the ketone moiety of apicidin to various imine derivatives. Synthesis and biological activities of our new apicidin derivatives will be presented in detail.

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

Enantioselective synthesis of (S)-N,N-diethyl-2-formyl-2-(methoxymethoxy) butyramide, a key intermediate for 20(S)-camptothecin analogues, via asymmetric bromolactonization

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A new enantioselective synthetic method for enantiomerically pure (S)-N,N-diethyl-2-formyl-2-(methoxymethoxy)butyramide, a versatile key intermediate of camptothecin has been developed employing asymmetric bromolactonization using (S)-proline as the chiral auxiliary.

[PD1-20] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

One-Pot Synthesis of Cinnamylamines with various Protecting Groups from Cinnamyl Ethers

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Carbamates have been widely used in peptide and protein synthesis as a protective group of the amines, and also used as biologically active compounds in the field of medicine and pharmaceutical industry. Especially, carbamate moiety is frequently introduced for the derivatization of lead compound in medicinal chemistry. Representative protecting groups for this purpose are the Boc, the Cbz, the Moc, the iPoc, the Pnz, the Moz), the Troc and the Aloc group. Because of their important roles, continuous efforts have been made to obtain carbamates through simple and efficient methods.
Our studies are based on the observation that various carbamates are formed from cinnamyl alkyl ethers using CSI in accord with the alkyl moiety of the cinnamyl alkyl ethers.
The reaction of various cinnamyl alkyl ethers with CSI afforded the corresponding cinnamylamines with various protecting groups, such as -NHMoc, -NHiPoc, -NHCbz, -NHPnz, -NHTroc and -NHAloc. In the case of cinnamyl t-butyl ether and cinnamyl p-methoxybenzyl ether, the corresponding cinnamyl carbamates were formed via a different reaction pathway from the above.

[PD1-21] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

The Synthesis and Antibacterial Activity of Mansonone F, a Potent Anti-MRSA Sesquiterpenoid Quinone, and its structural analogues