piperidino]-1-butanol was converted from 4-hydroxypiperidine through several steps. Some of ester derivatives have a potent inhibition activity with the IC50 value of μM against acetylcholinesterase. Specially, IC50 value of 4-[4-(benzhydryloxy)piperidino]butyl 4-chlorobenzoate was found to be 300nM, against acetylcholinesterase.

[PD1-16] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Total Synthesis of (+)-Hernandulcin

Kim JungHun°, Cheon SeungHoon

College of Pharmacy, Chonnam National University

(+)-Hernandulcin was isolated as a sweet bisabolane sesquiterpene constituent of the Mexican plant Lippia dulcis Trev. (Verbenaceae) and has shown to be 1,000-1,500 times as sweet as sucrose. The structure and relative stereochemistry of this sesquiterpene were proposed by Kinghorn and the absolute configuration was determined by Mori and Kato in 1985 by a total synthesis. They established that the absolute configuration of naturally occuring hernandulcin is 6S, 1'S enantiomer and found that (+)-isomer is the only sweet compound.
A concise synthesis of (+)-hernandulcin((6S,1'S)-(+)-6-(1'-Hydroxy-1',5'-dimethyl-4'-hexenyl)-3-methyl-2-cyclohexenone) from (-)-isopulegol is reported here. Selective epoxidation followed by opening of the epoxide with prenyl Grignard, which was prepared from prenyl chloride and magnesium in the presence of purified cuprous iodide, was afforded the tertiary alcohol with correct stereochemistry. Oxidation of the secondary alcohol to ketone was accomplished by using TPAP and N-methylmorpholine N-oxide. Finally, phenylselenide formation followed by oxidative elimination provided the final product.

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

Macrolactonization of ω-Hydroxy Esters from Selenoester Intermediate

Shen Liu-Lan°, Jeong Jin-Hyun

College of Pharmacy, Kyung Hee University

A new method of macrolactonization of ω-hydroxy methyl esters has been studied. Dimethylaluminum methaneselenolate($Me_2AlSeMe$) converts methyl esters to the corresponding esters of methaneselenol in a high yield. These will function as extremely reactive acyl transfer agents for macrolide in the condition of medium-diluted system.

[PD1-18] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Synthesis of new Apicidin derivatives as a potential antitumor agents.

Kang HyunOk°:Jin ChengHua, Han JeongWhan, Lee HyangWoo, Lee HoiYoung*, Lee YinWon**, Zee OkPyo, Jung YoungHoon

College of Pharmacy Sungkyunkwan University,*College of Medicine Konyang University,**College of Agriculture & Life Siences Seoul National University

Apicidin [cyclo(N-O-methyl-L-tryptophanyl-L-isoleucinyl-D-pipecolinyl-L-2-amino-8-oxodecanoyl)] is a fungal metabolite shown to exhibit antiparasitic activity by the inhibition of histone deacetylase (HDAC).