α- and β-amino acids, different alkaloids and carbohydrate derivatives.
Therefore, we developed novel synthetic method for N-protected allyl amines from allyl ethers using chlorosulfonyl isocyanate(CSI) via the stable allylic carbocation and allylic rearrangement.
In this presentation, we will report the regioselective synthesis of allyl carbamates from allyl ethers using CSI.
As one of our results, the reaction of 4-phenyl but-2-enyl methyl ether with CSI afforded methyl N-(4-phenyl but-2-enyl) carbamate and methyl N-(1-benzyl allyl) carbamate in a 1 : 1.1 ratio, on the other hand, (1-benzyl allyl) methyl ether afforded in 4.6 : 1 ratio.

[PD1-6] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis and in vitro cytotoxic activity of isoindoloquinolines

Lee H1, Jeong I1,2, Choi BG1, Hong SS1, and Yang SI3

1College of pharmacy, Chungbuk National University, 2R&D Center, Korea United Pharm. Co., Ltd., 3College of Medicine, Kunguk University

Doxorubicin is an important "lead" structure because it possesses broad-spectrum activity against various tumors. This is one of the most widely used intercalating agent since the approval by the FDA in 1974. However several undesirable side effects and the appearance of resistance limit its clinical usefulness.
Twelve isoindolo[5,6-g]quinolines incorporating hydrophobic DNA-interacting or H-bonding functionality were designed based on the structure-activity relationship of azaanthraquinones and structural analysis of products which are fitted with doxorubicin. These compounds were synthesized using a Diels-Alder reaction and a high pressure oxidative reaction as key steps. They were evaluated in vitro against human tumor cell lines. These compounds had less potent cytotoxic activity than the doxorubicin. The cytotoxic activity of the compounds containing substituted aromatic ring substituent are more potent than that containg phenyl substituent or propyl substituent Especially, compounds containing 2-methoxyphenyl substituent are the most potent in this series.

[PD1-7] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Structure-Activity Relationship Study of Asiatic Acid Derivatives Against Beta Amyloid (Ab)-induced Neurotoxicity

a)Jew SS,a)Yoo CH,a)Kim HM,b)Mook-Jung IH,c)Jung MW,d)Choi HS,e)Jung YH,f)Kim HD,a)Park HG.

a) Pharmarcy, Seoul National Univ., b) Brain Disease Res. Center, Ajou Univ., c)Ins. for Med. Sci., Ajou Univ., d) Dong Kook Pharm. Co. Ltd. Central Res. Ins., e) Pharmacy, Sung Kyun Kwan Univ. f) Pharmacy, Sookmyoung Woman Univ.

Dementia of Alzheimer's type in the elderly has become the main social problem. Recently it has been reported that the most important pathological hallmark of Alzheimer's disease (AD) is deposition of senile plaques in the brain. The senile plaque consists of diverse molecules but the major component is beta-amyloid (Ab) protein which is concentrated in the plaque core. Based on these results, the abnormal overproduction of Ab has been proposed as a cause of AD. Centella asiatica is one of herbal plants used in different continents by diverse ancient culture and tribal groups. Historically, the extract has been used as a wound healing agent, and brain tonics for the mentally retarded. The extract has three different triterpenoid ingredients; asiaticoside (1), asiatic acid (2), and madecassic acid (3). In this poster, the primary structure activity relationship (SAR) study of asiatic acid against Ab-induced neurotoxicity were reported.

[PD1-8] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Studies On the Synthesis of Antidiabetic Agents