2,3,6-trimethoxy-phenanthrene and (R)-(E)-4-(tributylstannanyl)but-3-en-2-ol, Overmann rearrangement of imidate, and RCM(ring-closing metathesis) for construction of pyrrolidine.

[PD1-36] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Studies on the Regioselective of Chlorosulfonyl Isocyanate with Cyclic ethers

SeungYong Lim°, YoungHoon Jung
College of Pharmacy, Sungkyunkwan University

The synthesis of various cyclic carbamate compounds and amino alcohols has attracted attention in the past because of their potential as antibiotics, antitumor, analgenics, anticonvulsants. Several methods for the preparation of cyclic carbamate compounds have previously been reported including the use of heterocumulenes. We have recently described the novel synthetic method for N-protected amines from various ethers using Chlorosulfonyl isocyanate(CSI) and found that the mechanism of our CSI reaction is a competitive reaction of S_N1 and S_Ni mechanisms according to the stability of carbocation intermediates. In the present, we developed the regioselective one-pot synthetic method for the corresponding cyclic carbamates from various cyclic ethers using CSI. This presentiton, we will describe the CSI reaction with three, four, five-membered cyclic ethers to give five, six, seven-membered cyclic carbamates, which can be converted to various amino alcohols.

[PD1-38] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Synthesis of 2-Oxo-4-quinolines Using One-pot Reaction for Novel Flavonoid Derivatives

Park Myung-Sook°, Kim Nan-Young, Park Hae-Sun, Kim Ju-Hee, Lee Jae-In
College of Pharmacy, Duksung Women's University, Department of Chemistry, Duksung Women's University

We report the synthesis of 3,4-dihydro-2-oxo-4-quinolines 5a-e for the development novel flavonoid derivatives with potential antiinflammatory activity and propose a mechanism of the one-pot reaction. The various amines (1a-e) for this work were commercially available. We isolated that ester (2a-e) were formed by nucleophilic attraction using ethyl benzoylacetate. The C-N bond formation proceeded at refluxing in toluene with catalytic amount of p-toluenesulfonic acid and a removal of water and ethanol was important in this reaction. Esters 2a-e were converted to 2-oxo 4-quinolines (3a-e) in toluene after removal of water using Dean-Stark apparatus. Synthetic process from amine (1a-e) to 3,4-dihydro-2-oxo-4-quinolines 5a-e could be carried out in one-pot without isolation of intermediate (2a-e) and 2-oxo 4-quinolines 3a-e. 3,4-Dihydro-2-oxo-4-quinolines 5a-e were generated during the standing at rt or recrystallization. One-pot condensation with dehydration could be convenient synthetic method, gives 3,4-dihydro-2-oxo-4-quinolines 5a-e.

[PD1-39] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

First Total Synthesis of (-)-Antofine by Using Catalytic Phase Transfer Alkylation.

Lee Jaekwang°, Lee Taeho, Park Hyeung-geun, Kim Deukjoon, Kim Sanghee
Natural Products Research Institute, College of Pharmacy, Seoul National University, 28 Yungun, Jongro, Seoul 110-460, Korea, College of Pharmacy, Seoul National University, San 56-1, Shilim, Kwanak, Seoul 151-742, Korea

Phenanthroindolizidine alkaloid, (-)-antofine has attracted attention because of its extremely potent inhibition of cancer cell growth (Its IC_{50} values have the low nanomolar range). The frist asymmetric total synthesis of (-)-antofine is described. An important feature of this synthesis is the creation of a stereogenic center by enantioselective alkylation using the phase transfer catalyst (PTC) and ring-closing metathesis (RCM) for pyrrolidine ring construction. This synthesis is efficient to allow the asymmetric preparation of other naturally occurring phenanthroindolizidine and phenanthroquinolizidine alkaloid.