Stereocontrolled asymmetric synthesis of pancratistatin

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Pancratistatin is a highly oxygenated phenanthridone alkaloid, exhibits a high level of in vitro and in vivo cancer cell growth in inhibitory activity, and antiviral activity. The asymmetric synthesis of this alkaloid has been accomplished from the commercially available (R)-(+)-3-Butyn-2-ol. We utilized the Claisen rearrangement and metathesis to install stereogenic centers in the cyclohexene ring that has absolute chemistry. Further functionalization of cyclohexene ring as described, previously by us led to the asymmetric total synthesis of (+)-Pancratistatin.

[PD1-60] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Total synthesis of Antofine by using Intramolecular 1,3-dipolar cycloaddition of Azidealkene

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Antofine belongs to the Phenathroindolizidine group of alkaloids. This natural products exhibit interesting biological properties such as antitumour activity, and anti-inflammentory. Wittig reaction of phenathrenealdehyde with the phosponium salt provided the phenathreneazidealkene in good yield. Intramolecular 1,3-dipolar cycloaddition of the resulting azidealkene in refluxing benzene proceeded the imine. It was reduced with cyanoborohydride or Noyori's Asymmetric Hydrogenation. Then, completion of the total synthesis was achieved by using Pictet-Spengler cyclization.

[PD1-61] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

An Asymmetric Synthesis of (+)-Polyoxamic acid

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The Polyoxin complex is an antifungal antibiotics produced by *Streptomyces cacaoi var. asoensis* that exhibit marked and selective activity against pytopathogenic fungi. They incorporate carbamoylated dipeptides attached to the sugar moiety. Controlled alkaline hydrolysis of polyoxins result in several products, one of which has been identified as (+)-(2*S*, 3*S*, 4*S*)-2-amino-3, 4, 5-trihydroxypentonic acid(polyoxamic acid). A variety of chemical syntheses of polyoxamic acid have been developed over several years. However, development of new method for synthesizing this polyhydroxy amino acid still remains challenging and worthwhile.

Recently, we have developed a new Pd(0)-catalyzed procedure for the stereoselective formation of an oxazoline ring from an acyclic allylic and homoallylic amide having a benzoyl substituent as an N-protecting group. We would like to report here the stereoselective synthesis of oxazine ring from *trans*-oxazoline. The most significant point of this synthesis is that it is based on the oxazine ring formation in palladium(0) catalyzed condition.

After a few unsuccessful trial, we could find the right combination of reaction sequence and achieved (+)-polyoxamic acid from oxazine.

[PD1-62] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthetic study of costunolide