[PD1-1] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

A New Synthesis of Hydantoin derivatives by the Reaction of Unnatural Amino acids with Potassium Isocyanate

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Since two selective COX-2 inhibitors, celecoxib and rofecoxib, showed good biological activity as antiinflammatory agents, many medicinal chemists are interested in specific COX-2 inhibitors. The distinguished feature of these drugs is that the 5-membered heterocycle ring is substituted with two aryl groups. Therefore, in this study, we designed a new hydantoin derivatives via the reaction of unnatural amino acids as selective COX-2 inhibitors. In systematically steps, 5-phenyl-1(or substituted) hydantoin derivatives were prepared through esterification, bromination, C-N bond formation, cyclization from phenyl acetic acid. Particularly, a novel hydantoin ring was converted from unnatural amino acids with potassium isocyanate. In last step, the final analogs were synthesized the substitution at 3-position with alkyl reagents.

[PD1-2] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Template Synthesis of New Polyazamacrocycles

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Interest in the synthesis and chemistry of multidentate macrocyclic ligands is currently very high. Synthetic macrocycles arise from the fact that many biologically important molecules are metal complexes of macrocyclic organic systems; and in order to understand the mechanism of action of the naturally occurring complexes, chemists have resorted to the synthesis and study of so-called model systems. Macrocyclic ligands and their metal complexes can be used as models for protein-metal binding sites in a substantial array of metalloproteins in biological systems, as synthetic ionophores, as models to study the magnetic exchange phenomena, as therapeutic reagents in chelate therapy for the treatment of metal intoxication, and as cyclic antibiotics that owe their antibiotic actions to specific metal complexation. We represent several kinds of new synthetic macrocyclic complexes and their X-ray crystal structures.

[PD1-3] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Design and Synthesis of Thioureas as Capsaicin Receptor Antagonist

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Capsaicin is hot taste ingredient of chili pepper and was isolated in 1876 and in 1919 its structure is sympathized compound, induces pain and when persistently dosed, the fact will bring insensible condition to other chemical and mechanical thermal stimulation by incapacitating sensory neuron is known.
The analgesic effect by desensitization of such capsaicin is differ from the mechanism by analgesic action by opiate receptor of the existing analgesia or by prostaglandin mediation and the efficacy was known as similar with morphine. Since therefore, the analgesic action of capsaicin is local and may become a good pioneer substance for development of non-narcotic analgesia having more excellent analgesic efficacy with new mechanism may overcome limit of the existing non-narcotic analgesia which is weak. However, in case of agonist to bind with VR1 and will result extinction of sensory neuron due to persistent depolarization and so, development of capsaicin receptor antagonist is seriously required.
Therefore, in this study designed and synthesized a series of compounds which have thiourea group through the