[PD1-8] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

The synthesis of aminocarbocyclics from glucose

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The abundance of carbohydrates in nature with diverse roles in biological systems makes them a subject of considerable interest.
They exhibit biological effects, ranging from cellular regulation to the selective inhibition of enzymes with key roles in living organisms.
A wide range of carbocyclic polyhydroxyls has significant therapeutic effects, examples of which include cyclohexane hexitols, such as inositols, and pseudo-sugars, such as cyclophellitol and valienamine. Structural analogues of valienamine include adiposin, trestatin, amylostatin and most constituents of aminoglucoside antibiotics.
Many chemical synthetic methods have been developed to obtain pure optically analogues of these compounds.
Enzymatic reactions have proved to be efficient and give good yields with high stereoselectivity and regioselectivity.
To first synthesize valienamine from glucose and partially protected glucose using the Nozaki-Kishi reaction.
Glucose is being used because of the fixed stereochemistry that is identical to the valienamine structure.
Synthesize intermediate compounds for transformation into carbocyles using both chemical and enzymatic methods.
Use organometallic reagents of identical mechanism.

[PD1-9] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Synthesis and Inhibitory Activity against COX-2 Catalyzed Prostaglandin Production of Flavone Analogs

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To decipher the structure-activity relationships of flavones for the inhibition of cyclooxygenase-2 catalyzed prostaglandin production, we synthesized 7-methxoyflavones, 7-hydroxyflavones, 5-methxoyflavones, 5-hydroxyflavones and flavones without any phenol group on A ring. Methoxyflavones were prepared from 2,6- and 2,4-dihydroxyacetophenones in 3 steps. Most of the methxoyflavones were converted to the corresponding hydroxyflavones by the reaction with BBr3 in good yields. Flavones without any phenol group on A ring were synthesized from 2-hydroxyacetophenone in 2 steps.
The inhibitory activity of the synthetic flavones against prostaglandin production from lipopolysaccharide-treated RAW 264.7 cells was measured. 3',4'-Dichloroflavones exhibited good inhibitory activity of prostaglandin production.

[PD1-10] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

A synthesis of sugar-modified S-adenosyl-L-homocysteine(AdoHcy) analogues as