[PD1-19] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Synthesis of Novel 3'-Deoxy-3'-C-hydroxymethyl Nucleosides with Conformationally Rigid Sugar Moiety as Potential Antiviral Agents

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Oxetanocin A is a naturally occurring nucleoside which shows potent anti-Hiv activity. The ring expanded 3'-C-hydroxymethyl analogue of oxetanocin A also exhibited similar antiviral activity, but its carbocyclic analogue was totally devoid of antiviral activity. This difference in antiviral activity might be due to absolutely different sugar conformation. Since antiviral activity of the ring expanded analogue was reported to due to the superposition of its 3'-C-hydroxymethyl group and hydroxymethyl substituent of oxetanocin A, we synthesized conformationally rigid 3'-C-hydroxymethyl derivative in which 2'-hydroxyl group is linked to the 4'-position. The preliminary result indicates that its 3'-hydroxyl group superimposed well with that of ring expanded 3'-C-hydroxymethyl analogue. We also synthesized another conformationally rigid 3'-C-hydroxymethyl derivative in which 2'-hydroxyl group is connected to the 6'-position. These compounds fix the orientations of 3'- and 5'-hydroxymethyl groups which will affect the affinity to kinases and finally antiviral activity. Synthesis and antiviral activities will be in detail presented in the meeting.

[PD1-20] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

New approach to the synthesis of α-amino acids by CSI reaction with allyl ethers

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The high level of interest in α-amino acids stems from their biological stability, their utility in studies of enzyme mechanisms, and their use as enzyme inhibitors. Furthermore, once incorporated into peptides, these amino acids influence the conformation of the protein, thereby altering its properties. In recent years, much attention has been paid to the development of concise and flexible synthetic approaches to α-amino acids, allowing facile incorporation of functional groups and structural variability.
Nowadays, we developed synthetic method for N-protected allylic amines from allyl ethers using chlorosulfonyl isocyanate(CSI) via the stable allylic carbocation. In this presentation, the method for the synthesis of various α-amino acids from allyl ethers through the use of CSI reaction will be described. First, allyl ethers were converted to the corresponding N-allylcarbarmates by CSI reaction and then double bond of allyl group was oxidized to form of α-amino acids
As one of our results, N-Cbz methylphenylalanate was obtained from 1,4-diphenylbut-2-enyl benzyl ether via benzyl(1-benzyl-3-phenylallyl)carbarmate as an intermediate.

[PD1-21] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Synthesis of 2-(4-Methoxyphenyl)-pyrrolo[2,1-d]pyrido[3,4-b][1,5]thiazepine

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