

[OD-4] [10/18/2002 (Fri) 12:00 – 12:10 / Hall B]

Total synthesis of deoxy-azasugars

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Azasugars, which have been called the "sugar-shaped" alkaloids from plants, are reversible, competitive inhibitors of glycosidases. The purpose of these natural products is possibly to inhibit the carbohydrate metabolism and consequently the growth of plant consuming pests. Since selective glycosidase inhibitors have a large number of interesting potential applications including treatment of AIDS, diabetes, and tumor metastasis, they have received a considerable attentions. On the basis of our previous research, we anticipated that the palladium(0)- catalyzed oxazoline formation of homoallyl benzamide formed from protected D-serinol might proceed with high stereoselectivity. As part of program directed at expanding the synthetic utility of oxazoline as chiral building block for the synthesis of natural products, we report herein our synthetic efforts, which led to a concise and highly stereocontrolled total synthesis of deoxy-azasugars(deoxy-galactonojirimycin and deoxygulonojirimycin) using trans-oxazoline.

[OD-5] [10/18/2002 (Fri) 12:10 – 12:20 / Hall B]

DESIGN, SYNTHESIS AND IN VITRO EVALUATION OF APIO ANALOGUE OF NEPLANOCIN A

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Apio nucleosides whose 4'-hydroxymethyl group moves to 3'-position exhibit interesting biological activity such as antitumor or antiviral activity. On the other hand, neplanocin A is the representative of the carbocyclic nucleosides and has been recognized as a potent antitumor and antiviral agent. Based on these findings, it was of great interest to design apio neplanocin A which combined the properties of apio nucleosides and neplanocin A. For the synthesis of the apio neplanocin A, D-ribose was converted to the key intermediate, D-apio cyclopentenol via Grignard reaction, oxidative cleavage, and hydroxymethylation as key steps. The glycosyl donor, D-apio cyclopentenol was condensed with adenine anion to give the final nucleoside after the removal of the protecting group. The final apio neplanocin A was assayed against several viruses such as HSV-1, HSV-2, and HBV and found to be neither active nor cytotoxic. Interesting chemistry encountered during the synthesis and biological activity will be presented in the meeting.

[OD-6] [10/18/2002 (Fri) 12:20 – 12:30 / Hall B]

Potent Antitumor Activity of SB31 and Identification of Active Compound

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