光学活性ベンズアルデヒドを活用する抗腫瘍性化合物の不斉合成

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1999 Fiscal Year Final Research Report Summary

Development of Antitumor Compounds Using the Chiral Benzaldehyde

Research Project

Project/Area Number

09470484

Research Category

Grant-in-Aid for Scientific Research (B)

Allocation Type

Single-year Grants

Section

一般

Research Field

Chemical pharmacy

Research Institution

Kanazawa University

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Project Period (FY)

1997 – 1999

Keywords

chiral benzaldehyde / styryllactone / chiral aldol reaction / stereoselective reaction / chiral synthesis / lactone structure / antitumor compound

Research Abstract

1. Chirai aldol reaction of the chiral benzaldehyde with silyl ketene acetals afforded anti-aldol products with highly stereoselectivity. Thus, chirality of the chiral benzaldehyde was effectively transformed to a chiral carbon chain.
2. Aldol reaction of the chiral benzaldehyde with a titanium enolate derived form a thioester gave stereoselectively an anti-aldol product.
3. Common synthetic intermediate having four continuous asymmetric carbons was synthesized in highly stereoselective manner and highly optical yield from the chiral benzaldehyde through twice aldol reactions. Total synthesis of styryllactones having a 5-membered lactone, goniofufurone, goniobutenolide A and B was achieved.
4. Conversion of a 5-membered lactone to a 6-membered lactone through a lactol was developed. According to this method, styryllactones having a 6-membered lactone, goniodiol, goniotriol, 8-acetylgoniotriol, altholactone, and 9-deoxygoniopypyrone were synthesized.
5. Chiral total synthesis of goniofupyrone was succeeded. This synthesis established the structure of goniofupyrone including absolute stereochemistry and revised the previously proposed structure.
6. The structure of gonioheptolide A was revised by its synthesis from goniofupyrone. The proposed 8-membered lactone structure was found to be incorrect.
7. Amino acid part of AI-77B, an antiulcerogenic antibiotic, and AI-77B analogue were synthesized from the chiral benzaldehyde.
8. 1,3-Dipolar cycloaddition of chiral nitrons, derived form the chiral benzaldehyde, with olefins gave stereoselectively cis-3,5-disubstituted isoxazolidines.

Research Products (6 results)

All | Other

All | Publications (6 results)

[Publications] Chisato Mukai: "New Approach to AI-77B : Stereoselective Conversion of a Potential Precursor of the Amino Acid Side Chain"J. Chem. Soc., Perkin Trans. 1. 913-917 (1997)

[Publications] Chisato Mukai: "Stereoselective Syntheses of (+)-Goniotriol, (+)-8-Acetylgoniotriol, (+)-Goniodiol, (+)-9-Deoxygoniopypyrone, (+)-Altholactone and (-)-Goniofupyrone"J. Org. Chem.. 62. 6619-6626 (1997)

[Publications] Chisato Mukai: "Revised Structure of Gonioheptolide A"Chem. Pharm. Bull.. 47. 131-132 (1999)

[Publications] MUKAI, Chisato: "New Approach to AI-77B : Stereoselective conversion of a Potential Precursor of the Amino Acid Side Chain"J. Chem. Soc., Perkin Trans.. 1・6. 913-917 (1997)

[Publications] MUKAI, Chisato: "Stereoselective Syntheses of (+)-Goniotriol, (+)-8-Acetyl-goniotriol, (+)-Goniodiol, (+)-9-Deoxygoniopypyrone, (+)-Altholactone and (-)-Goniofupyrone"J. Org. Chem.. 62・19. 6619-6626 (1997)

[Publications] MUKAI, Chisato: "Revised Structure of Gonioheptolide A"Chem. Pharm. Bull.. 47・1. 131-132 (1999)

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