光学活性β，γ-不飽和アミノ酸の高立体選択的合成

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1996 Fiscal Year Final Research Report Summary

Highly Stereoselective Syntheses of Optically Active beta, gamma-Unsaturated Amino Acids.

Research Project

Project/Area Number

07557289

Research Category

Grant-in-Aid for Scientific Research (B)

Allocation Type

Single-year Grants

Section

試験

Research Field

Chemical pharmacy

Research Institution

Kanazawa University

Principal Investigator

ITAYA Taisuke Kanazawa University Faculty of Pharmaceutical Sciences Associate Professor, 薬学部, 助教授 (20019657)

Co-Investigator(Kenkyū-buntansha)

KANAI Tae Kanazawa University Faculty of Pharmaceutical Sciences Research Assistant, 薬学部, 教務職員 (80251932)

Project Period (FY)

1995 – 1996

Keywords

(E) - (2-Arylvinyl) glycine / Chiral synthesis / Wittig reaction / N- (Benzyloxycarbonyl) vinylglycine / Heck reaction / N-Protected 3-(triphenylphosphonio) alaninate / Stereoselective reaction

Research Abstract

We have developed two methods for synthesis of optically active (E) -2- (arylvinyl) glcine derivatives.
(1) In the present investigation N-protected 3- (triphenylphosphonio) alaninates are shown to be useful for the Witting reaction only with aromatic aldehydes : isobutyralehyde, cyclohexanone, and benzophenone proved unsuitable for this reaction.
(2) Improved synthesis of the optically pure key intermediate for the synthesis of hypermodified bases of phenylalanine transfer ribonucleic acids was achieved by the Wittig reaction employing N- (methoxycarbonyl) -3- (triphenylphosphonio) alaninate.
(3) The Wittig reaction described above was successfully applied to the synthesis at the nucleoside level. Thus, the most probable alternatives for the hypermodified nucleoside of rat liver phenylalanine transfer ribonucleic acid was synthesized for the forst time.
(4) For the Heck reaction between (S) -N- (benzyloxycarbonyl) vinylglycine and 4-iodoanisole in H_2O,NaHCO_3O was shown to be best of the bases tested from a viewpoint of optical yield.
(5) Scope and limitations of the Heck reaction described above was established in the present study.
Various (E) - (2-arylvinyl) glycines of 95-98% ee were obtained in highly stereoselective manners in 51-66% yields from phenyl, tolyl, anisyl, and naphthyl iodides. However, 2-, 3-, and 4-bromophenyl iodides provided the corresponding olefins of somewhat low optical purity (85-90% ee) in 30-51% yields ; iodobenzenes carying an electronwithdrawing 4-nitro or 4-acetyl group gave poor yields of products. Limited success was accomplished with iodides of heterocycles such as thiophene, imidazole, and imidazo [1,2-alpha] purin-9-ones.

Research Products (2 results)

All | Other

All | Publications (2 results)

[Publications] Taisuke Itaya: "Synthesis of 〔R- (R^*, S^*)〕-and 〔S-(R^*,R^*)〕-β-Hydroxy-3-(β-D-ribofuranosyl)wybutines, the Most Probable Altematives for the Hypermodified Nucleoside of Rat Liver Phenylalanine Transfer Ribonucleic Acid" Tetrahedron Lett.38(印刷中). (1997)

[Publications] T.Itaya: "Synthesis of [R- (R^<**>, S^<**>)] [S- (R^<**>, R^<**>)] and -beta-Hydorxy-3- (beta-D-ribofuranosyl) wybutines, the Most Probable Alternatives for the Hypermodified Nucleoside of Rat Liver Phenylalanine Transfer Ribonucleic Acid" Tetrahedron Lett.(in press).

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