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A trimeric Cinchona alkaloid ammonium salt, a,a',a"-tris[O(9) allylcinchonidinium]mesitylene tribromide has been prepared as a novel phase-transfer catalyst. The catalytic enantioselective alkylation of N-(diphenylmethylene)glycine tert-butyl ester using the trimeric catalyst show high enantioselectivity (90 ~ 97% ee).

[PD1-14] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Novel Asymmetric Synthesis of (1R, 2S)-1-Allyl-2-Silanyloxy Carbamates as precursors for the Synthesis of β-Hydroxy-α-Amino Acids using CSI reaction

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β-Hydroxy-α-amino acids are an important class of compounds due to their inherent biological activities and their role as structural components of more complex organic compounds that possess a wide range of biological activities, such as antifungals, antibiotics and immunosuppresants. They are also useful intermediates in the synthesis of other compounds, such as β-lactams, aminosugars, chiral ligands and β-fluoro amino acids. So, a number of elegants approaches have been described for the asymmetric synthesis of various β-hydroxy-α-amino acids in enantiomerically pure form.
We have recently described synthetic method for N-protected allylic amines from allyl ethers using chlorosulfonyl isocyanate(CSI) via the stable allylic carbocation.
In this presentation, we will report novel asymmetric synthetic method for (1R, 2S)-1-allyl-2-silanyloxy carbamates as precursors for the synthesis of β-hydroxy-α-amino acids by the simple CSI reaction which we developed with various allyl ethers and discuss mechanism of these reactions.

[PD1-15] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Microbial asymmetric reduction of β-keto ester for the synthesis of 4-acetoxyazetidinone

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A chiral compound, 4-acetoxyazetidinone is considered as the key inter-mediate for the preparation of β-lactam antibiotics such as carbapenems or penems. We invesgated the microbial asymmetric reduction of ethyl 2-(phthalimidomethyl)acetoacetate as β-keto ester substrate by bacteria and fungi as well as yeasts expecting the production of (2S, 3R)-ethyl 2-(phthalimidomethyl)-3-hydroxybutyrate (syn-1) according to the anti-Prelog rule. We report here the screening results of microbial asymmetric reduction carried out with 465 species of microorganisms according to the conventional screening method. All reaction products were analysed with HPLC and screening results were discussed in detail.
We can expect the production of four stereoisomers, two syn- and two anti-isomers resulted from microbial asymmetric reduction. Therefore, four isomers (syn-1, syn-2, anti-3 and anti-4, respectively) were prepared by NaBH4 reduction of ethyl 2-(phthalimidomethyl) acetoacetate and identified by HPLC with chiral column. Although most microorganisms produced one syn-isomer (syn-2) and two anti-isomers generally, 10 species showed charac-teristic product distribution in which main product was (2S, 3S)-ethyl 2-(phthalimidomethyl)-3-hydroxybutyrate (anti-4) corresponding to