

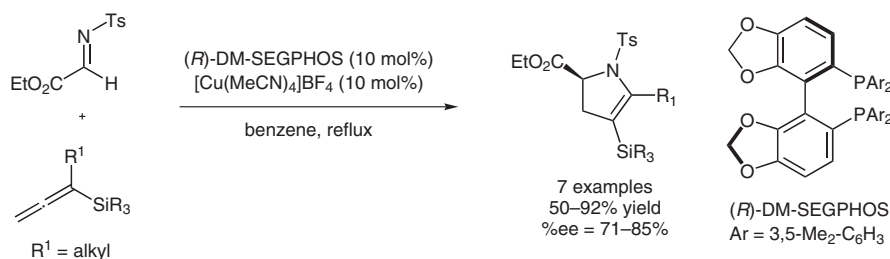
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Cu(I)-Catalyzed Enantioselective [3+2] Cycloaddition Reaction of 1-Alkylallenylsilane with α -Imino Ester:

Asymmetric Synthesis of Dehydroproline Derivative

Org. Lett. **2005**, *7*, 1051-1053.

Enantioselective [3+2] Cycloaddition of Allenylsilanes with α -Imino Esters



Significance: The first enantioselective [3+2] cycloaddition reaction of 1-alkyl-substituted allenylsilanes with an α -imino ester is reported using a chiral Cu(I) catalyst. The presence of an α -alkyl group was essential for the reaction to succeed and a range of alkyl substituents was demonstrated. Use of a highly reactive aldimine is necessary to drive the reaction and only one example of an iminoester substrate gave the desired product. The vinyl silane functionality in the dehydroproline products allows further derivatization.

Comment: Electron deficient olefins, aldehydes and N,O-hemiacetals have previously been demonstrated to undergo [3+2] cycloaddition reactions with 1-methylallenylsilane, producing five-membered carbocycles and heterocycles, respectively. However, their application to enantioselective reactions has been limited. The scope has now been extended to include a chiral Lewis acid-catalyzed addition of allenylsilane to an activated imine, creating enantioenriched heterocyclic compounds which are abundant in natural products and biologically active compounds. The scope of imines is very limited at this time. Changing the solvent to THF led to dramatically lower yields.

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Synfacts 2005, 0, 0043-0043

DOI: 10.1055/s-2005-869938; Reg-No.: L00605SF

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Category

Metal-Catalyzed
Asymmetric
Synthesis and
Stereoselective
Reactions

Key Words

[3+2]
cycloaddition
alkylallenylsilanes
iminoesters
enantioselective
reactions
dihydroprolines
copper(I)