Synthesis of α-Amino Acid Derivatives by Copper-Catalyzed Electrophilic Amination

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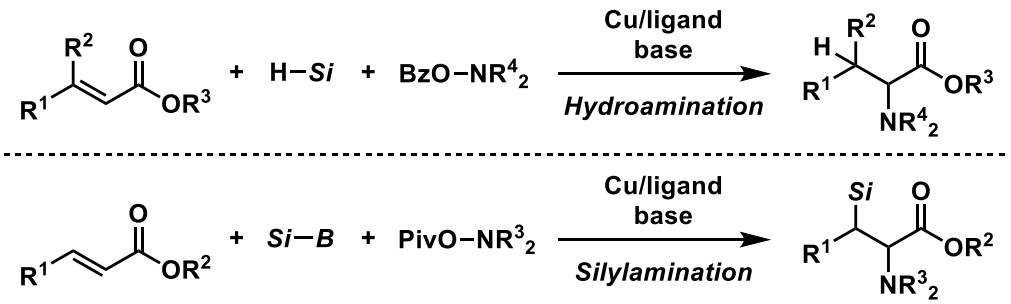
Conjugate additions of nucleophiles to *α,β*-unsaturated carbonyl compounds have been intensely investigated. In particular, copper hydride [1] or silylcopper [2] complexes have been utilized for the chemoselective conjugate reduction or hydrosilylation of *α,β*-unsaturated carbonyl compounds. On the other hand, the functionalizations at the *α* position of *α,β*-unsaturated carbonyl compounds in the transition-metal-catalyzed conjugate addition are quite rare and challenging because of the predominant protonation at the *α* position. To the best of our knowledge, there is no report of the hydroaminations and silylaminations of *α,β*-unsaturated carbonyl compounds for the synthesis of *α*-amino acids derivatives.

Herein, we report the copper-catalyzed hydroamination of *α,β*-unsaturated esters with hydrosilanes and hydroxylamines giving the corresponding *α*-amino acids derivatives. Additionally, asymmetric induction is also possible by using the chiral bisphosphine ligand, and optically active *α*-amino acids derivatives are obtained with high enantioselectivity.[3] Moreover, with silylboranes instead of hydrosilanes, the silylaminations of *α,β*-unsaturated esters proceed to form the corresponding *β*-silyl-*α*-amino acids derivatives, which are known to show unique biological activity.



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