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Enantioselective Copper-Catalyzed Defluoroalkylation Using Arylboronate-Activated Alkyl Grignard Reagents
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Asymmetric Copper-Catalyzed Defluoroalkylation

Category

Metal-Catalyzed
Asymmetric
Synthesis and
Stereoselective
Reactions

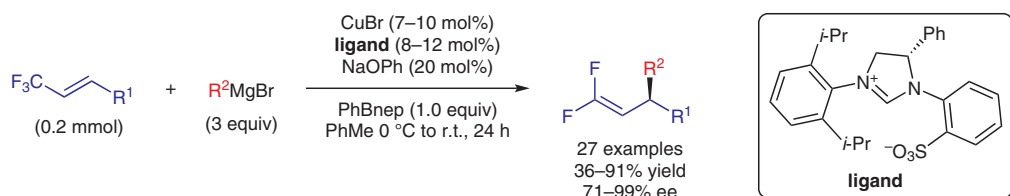
Key words

defluorination

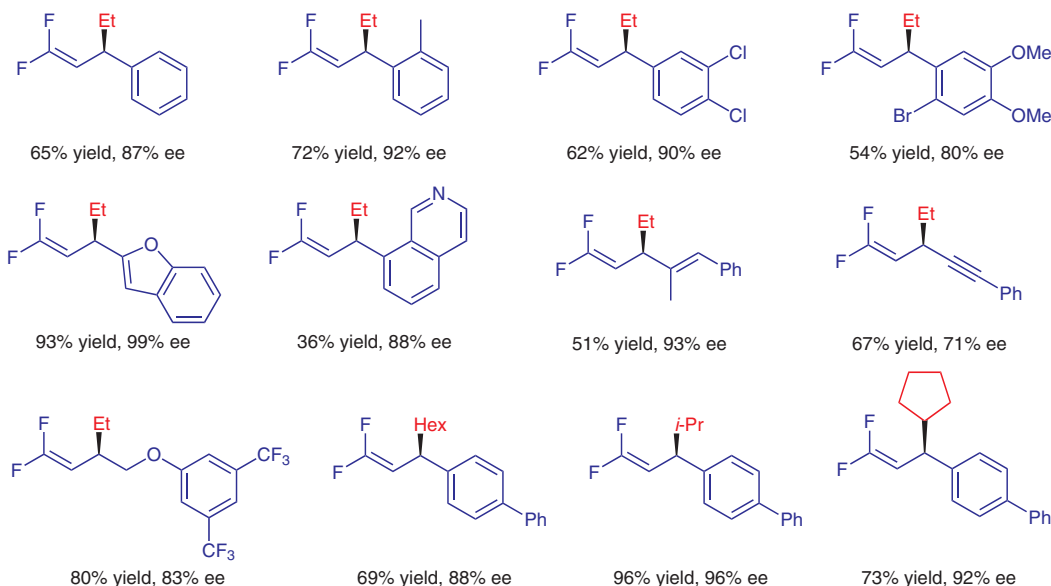
gem-difluoro-
alkenes

copper catalysis

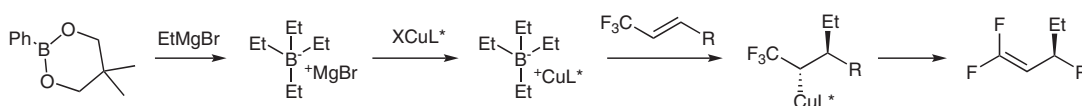
Synfact
of the month



Selected examples:



Proposed mechanism:



Significance: Defluorination of trifluoromethyl alkenes has become a popular strategy in the past few years to introduce a new stereocenter and synthesize *gem*-difluoroalkenes. *gem*-Difluoroalkenes are useful handles for further functionalizations and they are also useful moieties in medicinal chemistry. Shi and co-workers report the enantioselective addition of alkyl Grignard reagents to trifluoromethyl alkenes with the assistance of an arylboronate.

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Comment: The reaction uses alkyl magnesium bromide reagents which initially react with the organoboron species to form a tetraorganoborate. The tetraalkylboron species reacts with the copper catalyst to undergo an enantioselective addition to the trifluoromethyl alkene followed by β -fluoride elimination. The reaction was successful with a variety of substituents on the trifluoromethyl alkenes including aryl, alkenyl, alkynyl, and alkyl groups. Unfunctionalized Grignard reagents were most extensively investigated in the reaction.