COPPER CATALYZED ASYMMETRIC FORMATION OF ENANTIOENRICHED β-CF₃ ESTER & NITRILE DERIVATIVES

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Due to its unique properties, the fluorine atom is nowadays widely used in medicinal chemistry [1]. That is why the synthesis of fluorinated moieties has become a considerable challenge. In particular, the trifluoromethyl group is one of the most common in drug structures. Although the construction of non-stereogenic C-CF₃ has been extensively studied [2], catalytic asymmetric methodologies for the synthesis of chiral -CF₃ centers at a distant position from a carbonyl group remain underdeveloped, with for instance catalytic asymmetric hydrogenations or the use of chiral N-heterocyclic carbene catalysts [3]. Consequently, we focused our work on the enantioselective reduction of β -CF₃ ester and nitrile derivatives by forming in-situ a chiral copper-hydride complex. This smooth methodology leads to the desired products with good yields and excellent selectivity.



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