

Abstract

Chiral acidic catalysts are extremely useful for the synthesis of enantioenriched small molecules, however, these catalysts require challenging preparation.

This work is focused on the preparation of readily affordable chiral Brønsted acid catalysts containing of 1,2,3,4,5-pentamethylcarboxycyclopentadiene (PCCP) or carboxytetracyanocyclopentadiene (CTCCP) scaffolds. Aromatic stabilization of conjugated PCCP or CTCCP anion is key factor of potent acidity of these compounds. A set of catalyst was prepared via transesterification or amidation of PCCP or via alkylation/desulfurylation reaction of tetracyanodithiine with chiral tosylacetates. Effectiveness of these catalysts has been shown on direct amination of aldehydes leading to a stereoselective formation of tetrahydroquinazolinones in excellent yields, even 99 % and with enantioselectivity of reaction up to 84 % *e.e.*

Key words

Organocatalysis, Brønsted acids, cyclopentadiene, PCCP, CTCCP, amination, aminals, tetrahydroquinazolinones, asymmetric synthesis.