ABSTRACT

This PhD work describes the development of different Michael and Michael type processes employing different bifunctional organocatalysts. All the processes studied involved a non-covalent activation of the substrates provided by the organic promoters.

An asymmetric epoxidation of electron-poor trisubstituted olefins has been developed by employing the commercially available diphenyl prolinol which afforded the epoxides in high yield, complete diastereocontrol and good enantioselectivity. Diaryl prolinols were found to promote a Michael addition of β-ketoesters to nitroalkenes. An unexpected high efficiency and stereocontrol was observed with hexafluorobenzene as unconventional solvent, but also employed as an additive. A convenient tandem double Michael addition process was developed to access symmetrically and unsymmetrically 3,5-diaryl substituted cyclohexanones by using quinine as catalyst. An aziridination reaction of terminal electron-poor olefins has been disclosed by using a commercially available aminothiourea catalyst. The desired aziridines, bearing a quaternary stereocenter, were isolated in good yield and enantiocontrol. These compounds, were regioselectively ring-opened to access valuable α,α-disubstituted α-amino ester derivatives. Finally an asymmetric Fischer indolization to produce helical molecules was investigated employing a chiral phosphoric acid as promoter and an ion-exchange polymer as ammonia scavenger.