An Investigation Into the Origin of Enantioselectivity and Activity in Cinchona Alkaloid Derived Asymmetric Phase Transfer Catalysts

Robert Weintraub and Scott E. Denmark.

A study of catalyst structure-activity/selectivity relationships employing Cinchona alkaloid-based asymmetric phase transfer catalysis (APTC) for the alkylation of the glycine Schiff base, 1, was conducted. An array of substituent modifications at C(9) (Y) and the quinuclidine nitrogen (CH₂X) were introduced to examine the role of steric and electronic effects on rate and selectivity. Catalysts that contained large substituents attached to the quinuclidine nitrogen were found to be the most selective and those in which the hydroxyl group was protected generally afforded faster catalysts. The presence of a polar group at C(9) significantly impacted catalyst activity.