Enantioselective Synthesis of β-Hydroxy Sulfones via Transfer Hydrogenation

Selected examples:

Scale-up of the reaction:

Significance: Chiral β-hydroxy sulfones are useful building blocks in organic synthesis, as the α-position can easily be functionalized and the sulfonyl group easily be removed or transformed. In the present report, the authors describe a one-pot approach to chiral β-hydroxy sulfones, starting from α-bromo ketones and involving transfer hydrogenation.

Comment: A variety of products could be formed in high yield and high to excellent enantioselectivity. Interestingly, both alkyl and aryl substituents can be tolerated at the R1 and R2 positions, with aryl groups giving superior results. Through kinetic studies, the authors demonstrate that nucleophilic substitution followed by transfer hydrogenation is the dominant sequence.