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Highly Enantioselective One-Pot Synthesis of Chiral β -Hydroxy Sulfones via Asymmetric Transfer Hydrogenation in an Aqueous Medium

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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.

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