

Stereospecific Synthesis of Cyclopropanone Equivalents and Application to Alkylidenecyclopropanes and β -Amino Acid derivatives

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Cyclopropanone derivatives constitute notoriously difficult building blocks to employ in synthesis due to their extreme strain and kinetic instability resulting from multiple decomposition pathways. For these reasons, cyclopropanone surrogates such as cyclopropanone hemiketals have thus typically been used as substrates instead to access cyclopropanones in situ. However, these precursors are often poorly reactive and have largely been reported as equivalents of achiral unsubstituted derivatives. Herein, the first general enantioselective synthesis of 1-sulfonylcyclopropanols as chiral cyclopropanone equivalents is disclosed via α -hydroxylation of readily accessible enantioenriched sulfonylcyclopropanes with a bis(triethylsilyl)peroxide reagent as an oxygen source. This unprecedented transformation thus enables the use of milder conditions for activating the cyclopropanone equilibrium, unlocking novel synthetic disconnections to chiral strained building blocks. As an application, alkylidenecyclopropanes were successfully accessed via Wittig reaction in the presence of *N*-iodosuccinimide, and utilized in one-pot as a precursor of enantioenriched cyclopropane β -amino acids by sequential Michael addition of amines.

