

Chiral Non-racemic Bicyclic Diketopiperazines: A Common Precursor to Explore Diverse Asymmetric Reactions



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Bicyclic diketopiperazine (DKP) **1** is an effective platform from which to explore selective bond formation. In particular, regio- and stereoselective Diels-Alder cycloaddition of the DKP azadiene **2** is observed on heating with both electron-rich and -deficient dieneophile substrates. Our study of this reaction have revealed several reaction attributes: 1) the dominant product regioisomer is predictable, 2) stereochemistry favors reaction from the endo transition state with electron deficient unsaturated esters and imides, and 3) excellent diastereofacial control is enforced with a removable aminor substituent.

