Chiral γ -Lactams by Enantioselective Cyclopropane Functionalization

Selected examples:

Additional examples:

Significance: Cyclopropanes are important components of many biologically active molecules and they can be found fused to a pyrrolidine ring in certain medicines. The authors present a new approach to this ring system using an enantioselective C–H functionalization of a cyclopropane, enabled by a Pd/TADDOL catalyst. This work constitutes a notable advance in the field of C(sp³)–C(sp³) bond formation by C–H activation.

SYNFACTS Contributors: Mark Lautens, Thomas Johnson
Synfacts 2015, 11(10), 1055 Published online: 18.09.2015
DOI: 10.1055/s-0035-1560306; Reg-No.: L09915SF

Comment: The reaction shows good functional group tolerance and allows the synthesis of a library of diverse cyclopropane-fused pyrrolidines in high yield and with high enantioselectivity. The substrates can be accessed in a sequence by using a variant of the Kulinkovich reaction. The authors also demonstrate that the catalyst can efficiently activate methyl C–H groups in other substrates.

Category

Metal-Catalyzed
Asymmetric
Synthesis and
Stereoselective
Reactions

Key words

cyclopropanes

C–H bond activation

lactams

Synfact
of the month