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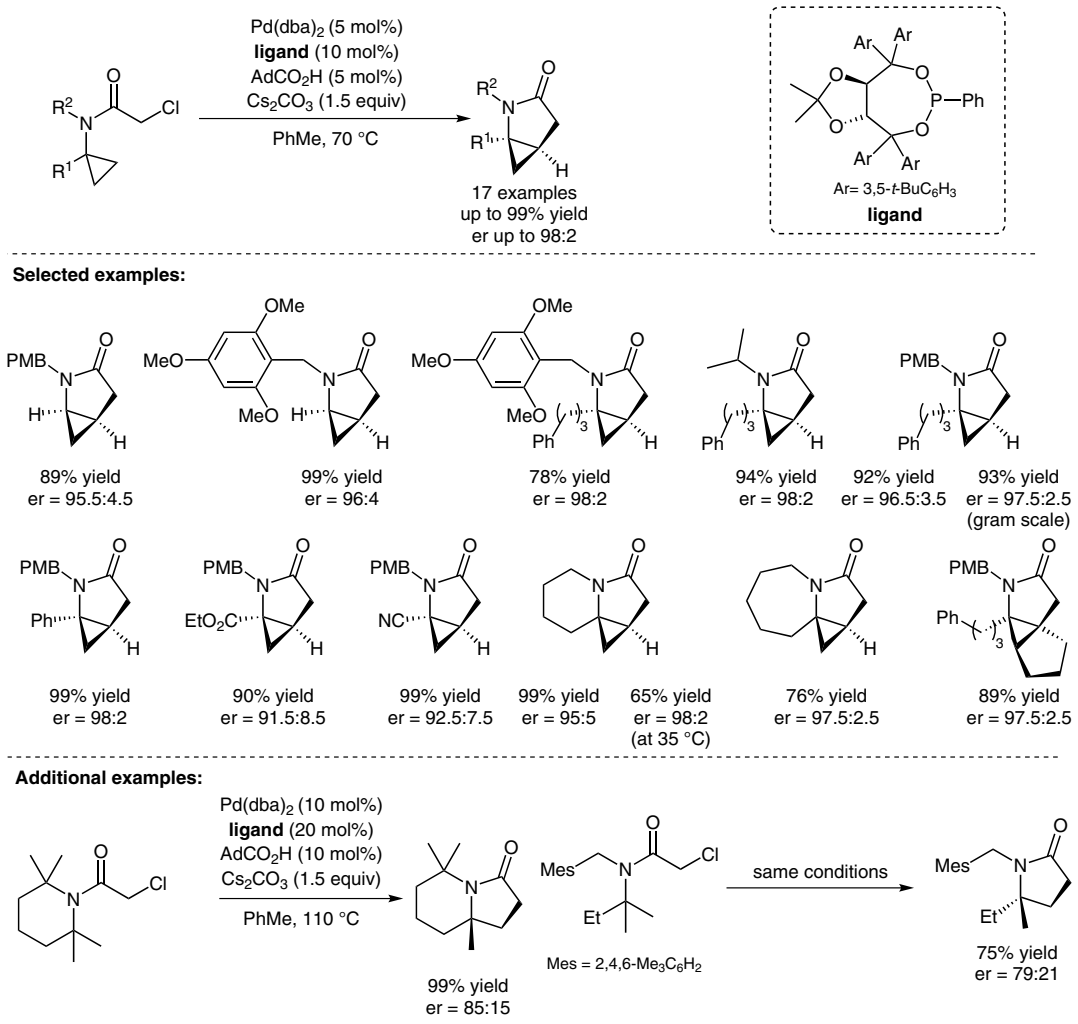
Chiral γ-Lactams by Enantioselective Palladium(0)-Catalyzed Cyclopropane Functionalizations
Angew. Chem. Int. Ed. **2015**, DOI: 10.1002/anie.201505916.

Chiral γ-Lactams by Enantioselective Cyclopropane Functionalization



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 $C(sp^3)$–$C(sp^3)$ bond formation by C–H activation.

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.

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Synfacts 2015, 11(10), 1055 Published online: 18.09.2015
DOI: 10.1055/s-0035-1560306; **Reg-No.:** L09915SF

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Category
Metal-Catalyzed Asymmetric Synthesis and Stereoselective Reactions

Key words
cyclopropanes
C–H bond activation
lactams

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