

Category

**Metal-Catalyzed
Asymmetric
Synthesis and
Stereoselective
Reactions**

Key Words

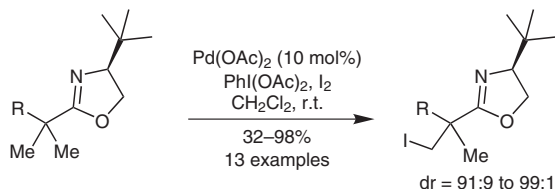
asymmetric
catalysis
C–H activation
chiral auxiliaries
iodine
palladium

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Palladium-Catalyzed Asymmetric Iodination of Unactivated C–H Bonds under Mild Conditions

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Pd-Catalyzed Diastereoselective Iodination of Unactivated C–H Bonds



Significance: Palladium-catalyzed functionalization of an unactivated C–H bond β to a functional group oxazoline was achieved. The *tert*-butyl oxazoline auxiliary gave highly diastereoselective functionalization of diastereotropic C–H bonds. The substrates can be easily prepared from their corresponding carboxylic acid and readily available (*S*)-*tert*-leucinol.

Comments: C–H bond activation by cyclometallation of palladium is well known as a stoichiometric process. The authors chose a chelating chiral oxazoline auxiliary to favor catalyst turnover affording synthetically useful iodides in generally good to excellent yield. The Pd catalyst can be easily reused many times. Excellent diastereoselectivity was obtained by using enantiopure *tert*-butyl oxazoline, which can be cleaved using H_2SO_4 /dioxane to afford an enantioenriched carboxylic acid (up to 99% ee). The C–H functionalization reaction also takes place preferentially on activated bonds such as cyclopropyl C–H or *ortho*-aromatic C–H bonds, further increasing the utility of the process.

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