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Title: Diastereoselective Pd(II)-Catalyzed sp3 C–H Arylation Followed by Ring Opening of Cyclopropanecarboxamides: Construction of anti β-Acyloxy Carboxamide Derivatives

Authors: Gopalakrishnan, B. (/jspui/browse?type=author&value=Gopalakrishnan%2C+B.)

Mohan, Sruthi (/jspui/browse?type=author&value=Mohan%2C+Sruthi) Parella, R. (/jspui/browse?type=author&value=Parella%2C+R.) Babu, S.A. (/jspui/browse?type=author&value=Babu%2C+S.A.)

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 $Abstract: \qquad \hbox{The diastereoselective Pd(OAc)2-catalyzed, bidentate ligand-directed sp3~C-H~activation/arylation}$ 

followed by ring opening of cyclopropanecarboxamides, which were assembled from cyclopropanecarbonyl chlorides and bidentate ligands (e.g., 8-aminoquinoline and 2- (methylthio)aniline), has been investigated. The treatment of various cyclopropanecarboxamides with excess amounts of aryl iodides in the presence of the Pd(OAc)2 catalyst, AgOAc and AcOH directly afforded the corresponding multiple  $\beta$ -C-H arylated open-chain carboxamides (anti  $\beta$ -acyloxy amides). This method has led to the construction of several anti  $\beta$ -acyloxy amides that possess vicinal stereocenters with a high degree of stereocontrol with the formation of a new C-O bond and three new C-C bonds. A plausible mechanism for the formation of multiple  $\beta$ -C-H arylated open-chain carboxamides from the Pd-catalyzed, bidentate ligand-directed  $\beta$ -C-H arylation and the ring opening of cyclopropanecarboxamides is proposed based on several control experiments. The observed diastereoselectivity and anti stereochemistry of the  $\beta$ -acyloxy amides

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were ascertained based on X-ray structural analysis of representative β-acyloxy amides.

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