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Title: Multicomponent reaction comprising one-pot installation of bidentate directing group and Pd(II)catalyzed direct β-arylation of C(sp3)single bondH bond of aliphatic and alicyclic carboxamides

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Abstract:

In this paper, we report a step-economical one-pot multicomponent reaction protocol comprising the installation of the bidentate directing group (auxiliary) followed by Pd(II)-catalyzed sp3 Csingle bondH activation and β -arylation of various aliphatic/alicyclic carboxamides. Accordingly, the reaction of a mixture of an aliphatic/alicyclic acid chloride, bidentate directing auxiliary (e.g., 8-aminoquinoline) and an aryl iodide in the presence of the Pd(OAc)2 catalyst and Ag2CO3 additive directly afforded the corresponding β -Csingle bondH-arylated N-(quinolin-8-yl)carboxamide derivative. To demonstrate the efficiency of the process, various bidentate directing auxiliaries were used and 8-Aminoquinoline was found to be the best directing group for accomplishing the one-pot Pd(II)-catalyzed, sp3 Csingle bondH activation and β -arylation of aliphatic/alicyclic carboxamides. A variety of aliphatic/alicyclic acid chlorides and aryl iodides were used as the substrates and several β -Csingle bondH arylated carboxamide derivatives were synthesized in moderate to high yields via the multicomponent reaction strategy.

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