

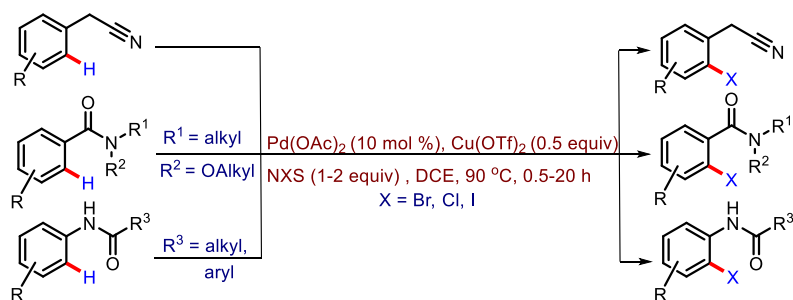
Palladium-catalyzed, *ortho*-selective C-H Halogenation of benzyl nitriles, aryl Weinreb amides and anilides

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Abstract: Aryl halides are an indispensably important class of compounds, due to their role as precursors in the synthesis of organometallic reagents¹ and nucleophilic substitution reaction.² In addition, with the advent of cross-coupling chemistry³ the importance of aryl halides increased tremendously, and hence they can be classified as the core building blocks of organic synthesis. Traditional methods to access aryl halides involve Sandmeyer reaction⁴ or electrophilic aromatic substitution⁵ and these usually suffer from several disadvantages, such as harsh reaction conditions, dangerous operations, toxic reagents and low selectivity. Over the last decade, metal catalyzed C-H halogenation of arenes has emerged as a modern and environmentally friendly tool for organic synthesis. In this context we will discuss herein a palladium catalyzed, *ortho*-selective C-H halogenation. The highlight of the work is the highly selective C(*sp*²)-H functionalization of benzyl nitriles in presence of an activated C(*sp*³)-H bond, which results in good yields of the halogenated products with excellent regioselectivity. Along with benzyl nitriles, aryl Weinreb amides and anilides have been evaluated for the transformation using aprotic conditions. Mechanistic studies yield interesting aspects with respect to the pathway of the reaction and the directing group abilities.



Scheme-1

References and Notes:

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