Title:	Direct Bis-Arylation of Cyclobutanecarboxamide via Double C–H Activation: An Auxiliary-Aided Diastereoselective Pd-Catalyzed Access to Trisubstituted Cyclobutane Scaffolds Having Three Contiguous Stereocenters and an All-cis Stereochemistry
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Abstract:	An auxiliary-aided Pd-catalyzed highly diastereoselective double C–H activation and direct bis-arylation of methylene C(sp3)–H bonds of cyclobutanecarboxamides and the syntheses of several novel trisubstituted cyclobutanecarboxamide scaffolds having an all-cis stereochemistry are reported. Extensive screening of various auxiliaries and reaction conditions was performed to firmly establish the optimized reaction conditions required for effecting the mono- or double C–H arylation of cyclobutanecarboxamides. The auxiliary-attached cyclobutanecarboxamides 15a, 15g, and 15h, prepared from the auxiliaries such as, 8-aminoquinoline, 2-(methylthio)aniline, and N′,N′-dimethylethane-1,2-diamine were found to undergo an efficient direct bis-arylation. The Pd-catalyzed arylation reaction of N-(quinolin-8-yl)cyclobutanecarboxamide 15a with one equivalent or more of aryl iodides, afforded the corresponding bis-arylated cyclobutanecarboxamides 16a–y. Nevertheless, the Pd-catalyzed arylation of 15a with just 0.5 equiv of the aryl iodides 13a, 13b, 13e, and 13m, selectively gave the corresponding monoarylated cyclobutanecarboxamides 17a–17d. The Pd-catalyzed arylation of 15g or 15h with one equivalent or more of aryl iodides afforded the bis-arylated cyclobutanecarboxamides 19a–19c and 21a–21m, respectively. However, the Pd-catalyzed arylations of compounds 15g or 15h with just 0.5 equiv of aryl iodides were ineffective. The stereochemistry of compounds obtained in this work was unambiguously assigned from the X-ray structures of representative products.
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