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 $\label{eq:continuous} \mbox{Title:} \qquad \mbox{Pd-Catalyzed Diastereoselective Intramolecular Amide α-C-H Arylation in Sterically Hindered}$

Monospirooxindole Motifs

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Abstract:

This paper reveals the diastereoselective Pd-catalyzed intramolecular α -C(sp3)–H arylation in amides. The intermolecular and intramolecular α -arylation of tertiary C(sp3)–H bond of carbonyl compounds have been well documented in the literature. Nevertheless, the diastereoselective intramolecular α -arylation of tertiary C(sp3)–H bond involving sterically hindered spirocyclic carbonyl substrates, especially amides remains a less explored task. Accordingly, we have demonstrated the diastereoselective Pd-catalyzed intramolecular amide α -arylation of tertiary C(sp3)–H bond using monospirooxindole-based carboxamide substrates and construction of various sterically hindered 1,2-bisspirooxindolopyrrolidines possessing contiguous vicinal quaternary stereocenters with high diastereoselectivity. Monospirooxindole-based carboxamide substrates suitably positioned with an aryl halide unit and tertiary α -C-H bond, which were required for investigating the intramolecular α -C(sp3)–H arylation were assembled via the stereoselective [3+2] cycloaddition of azomethine ylides with acrylamides. The stereochemistry of monospirooxindoles and 1,2-bisspirooxindolopyrrolidines possessing contiguous vicinal quaternary stereocenters was assigned based on the X-ray structure analyses of representative compounds.

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