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Construction of Natural-Product-Like Cyclophane-Braced Peptide Macrocycles via sp^3 C–H Arylation

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Palladium-Catalyzed Synthesis of Cyclophane-Braced Peptide Macrocycles

Pd-catalyzed picolinamide-directed intramolecular C–H arylation of peptides:

Selected examples:

Significance: Cyclic peptides possess great potential for modulating challenging biological processes. The authors have developed a general method for the synthesis of cyclophane-braced peptide macrocycles through palladium-catalyzed $C(sp^3)$ –H arylation.

Comment: Cyclophane motifs with unusual structural and stereochemical complexity were obtained by the picolinamide-directed intramolecular γ -selective $C(sp^3)$ –H arylation of the N-termini of peptide substrates at both the methyl and methylene positions.

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