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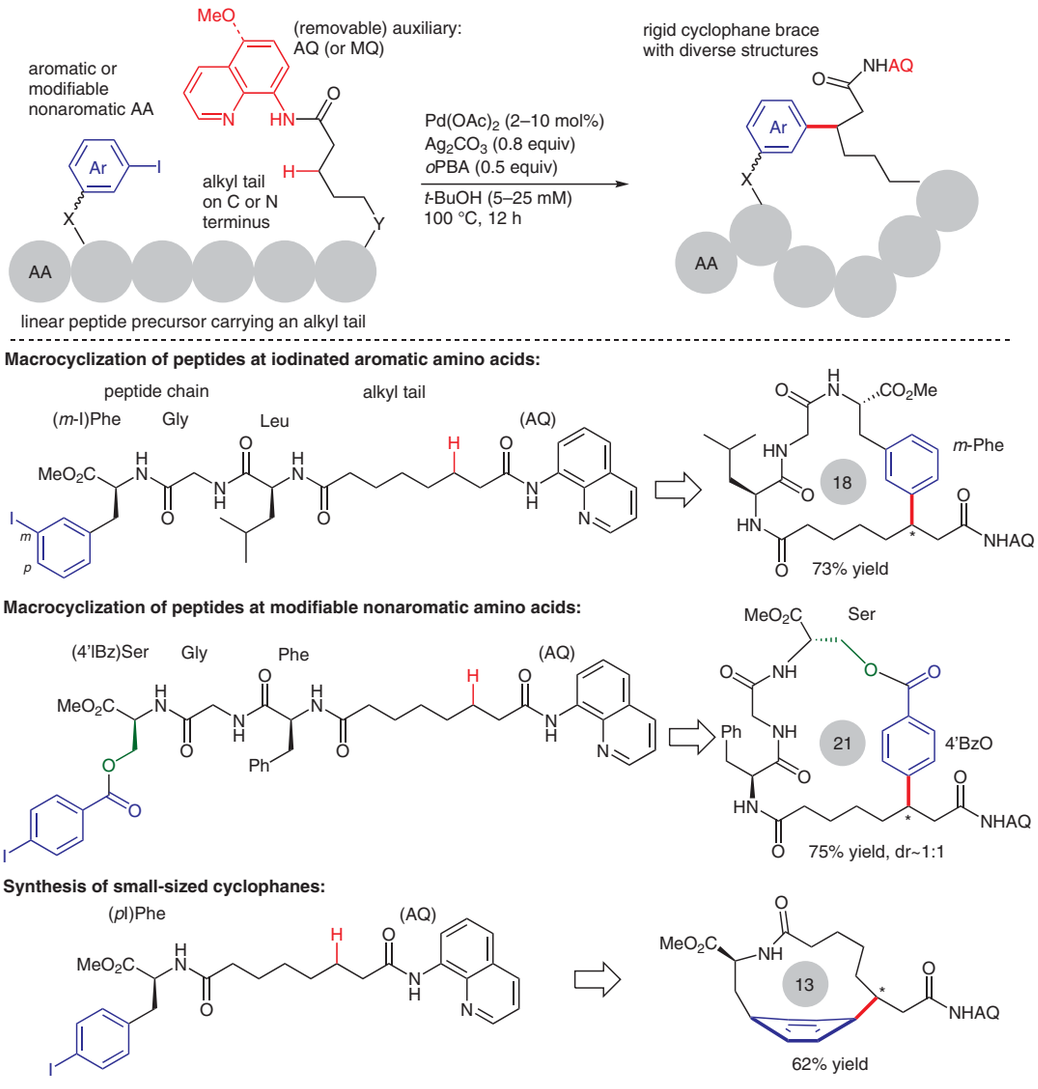
A General Strategy for Synthesis of Cyclophane-Braced Peptide Macrocycles via Palladium-Catalysed Intramolecular sp^3 C–H Arylation

Nat. Chem. **2018**, *10*, 540–548.

Synthesis of Cyclophane-Braced Peptide Macrocycles



Significance: New efficient methods for the intramolecular cyclization of peptides are important in terms of the development of drugs based on cyclic peptides. The authors report a powerful method for constructing new types of peptide macrocycles through palladium-catalyzed, aminoquinoline-directed, intramolecular C(sp^3)–H arylation reactions.

Comment: The cyclization of readily accessible linear peptide precursors selectively proceeds at side chains of either aromatic or modified nonaromatic amino acids units to provide a variety of cyclophane-braced peptide macrocycles containing small-sized cyclophanes.

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Synfact of the Month