Category
Peptide Chemistry

Key words
palladium catalysis
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Macrocyclization of Bioactive Peptides with Internal Thiazole Motifs via Palladium-Catalyzed C–H Olefination
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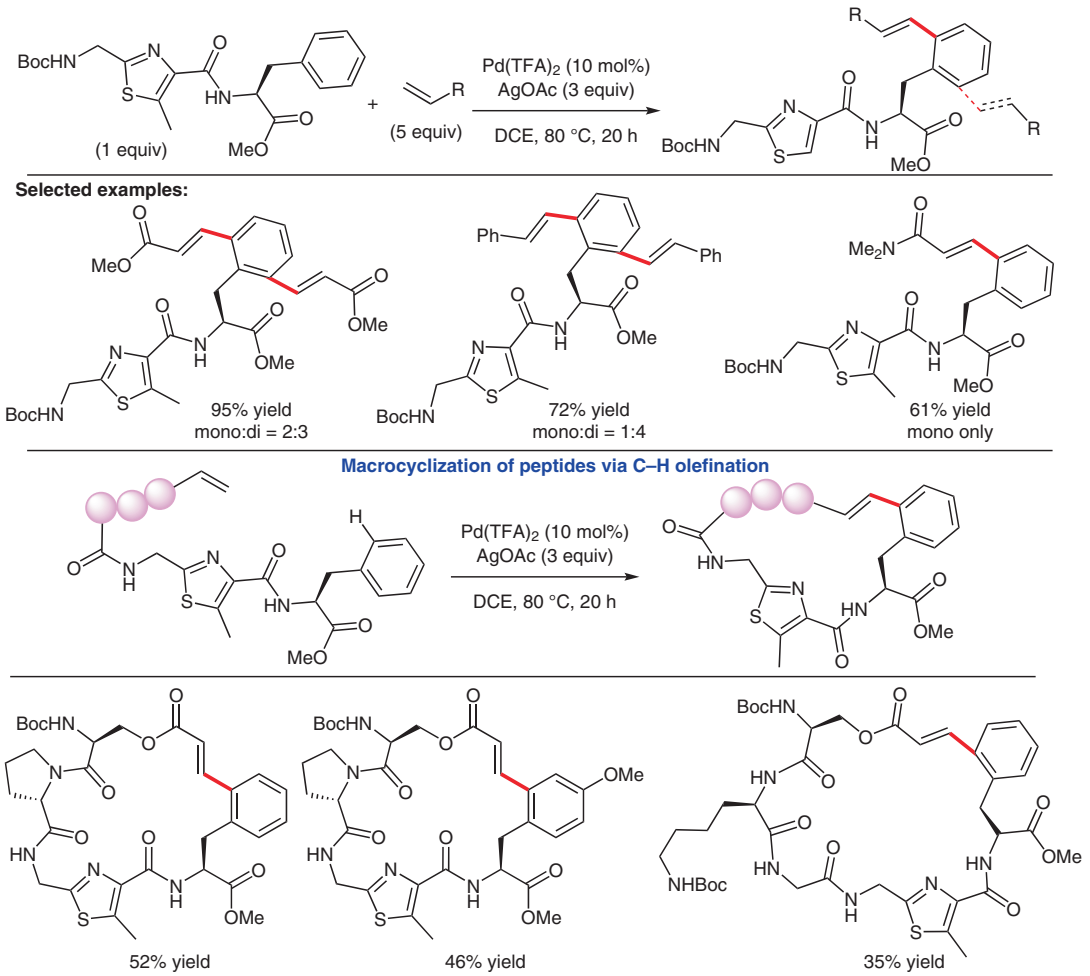
Synthesis of Functionalized and Macrocyclic Peptides by C–H Olefination



Significance: C–H bond activation is one of the powerful tools in organic synthesis for building complex bioactive molecules and natural products. In this present study, authors developed a palladium-catalyzed site-specific C(sp^2)–H olefination of internal thiazole-containing peptides to synthesize functionalized and macrocyclic peptides.

Comment: The developed palladium-catalyzed C–H olefination at the C-terminal of peptides having phenylalanine, tryptophan, or tyrosine residues proceeds smoothly to produce a series of functionalized peptides in good yields. The intramolecular olefination could also be performed efficiently to deliver macrocyclic peptides in moderate to good yields.

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