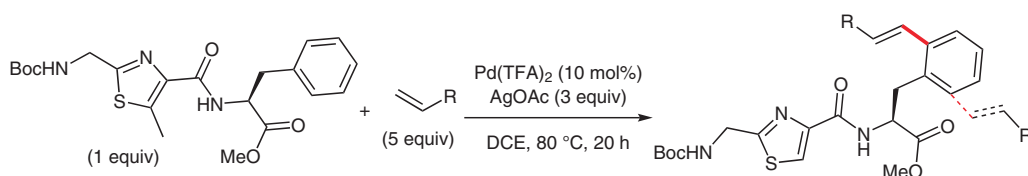
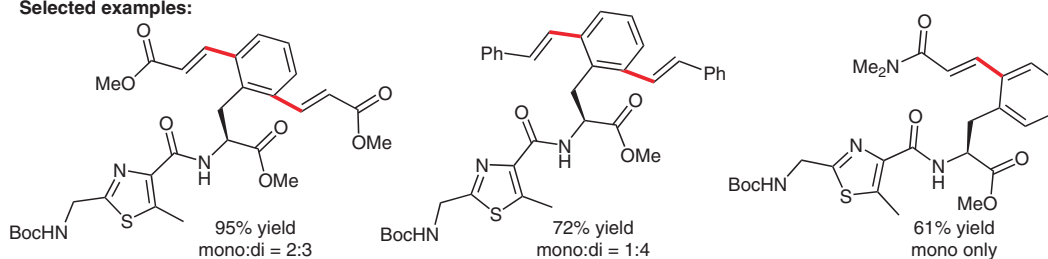


C. CAI, F. WANG, X. XIAO, W. SHENG, S. LIU, J. CHEN, J. ZHENG, R. XIE, Z. BAI*, H. WANG* (NANJING UNIVERSITY, P. R. OF CHINA)
 Macrocyclization of Bioactive Peptides with Internal Thiazole Motifs via Palladium-Catalyzed C–H Olefination
Chem. Commun. **2022**, 58, 4861–4864, DOI: 10.1039/D1CC06764H.

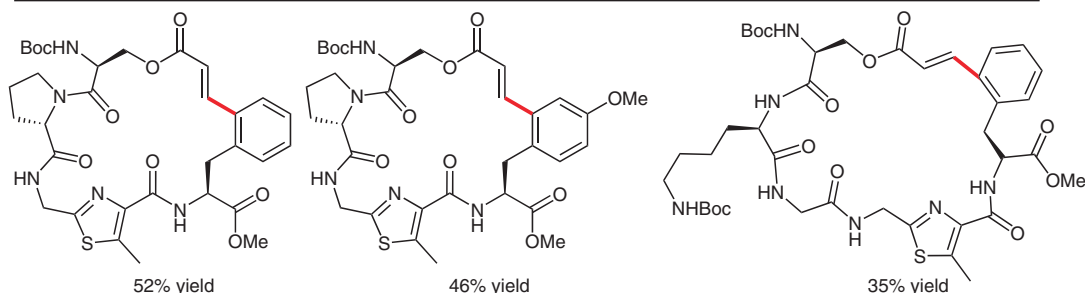
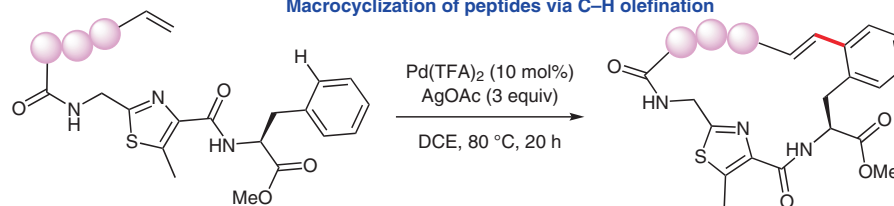
Synthesis of Functionalized and Macrocylic Peptides by C–H Olefination



Selected examples:



Macrocyclization of peptides via 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(sp²)–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.