

S. LIU, C. CAI, Z. BAI, W. SHENG, J. TAN\*, H. WANG\* (CHONGQING NORMAL UNIVERSITY AND NANJING UNIVERSITY, P. R. OF CHINA)

Late-Stage Macrocyclization of Bioactive Peptides with Internal Oxazole Motifs via Palladium-Catalyzed C–H Olefination  
*Org. Lett.* **2021**, *23*, 2933–2937, DOI: 10.1021/acs.orglett.1c00580.

## Palladium-Catalyzed Olefination of Oxazole-Containing Peptides

Category

Peptide Chemistry

Key words

palladium catalysis

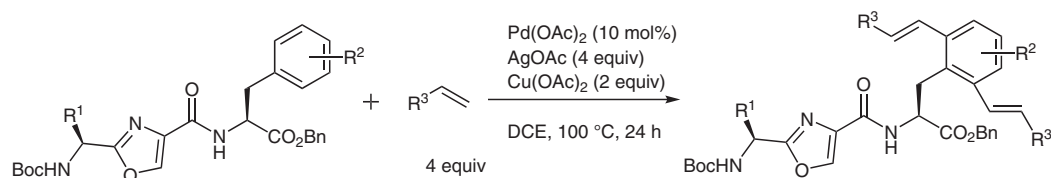
oxazoles

C–H bond activation

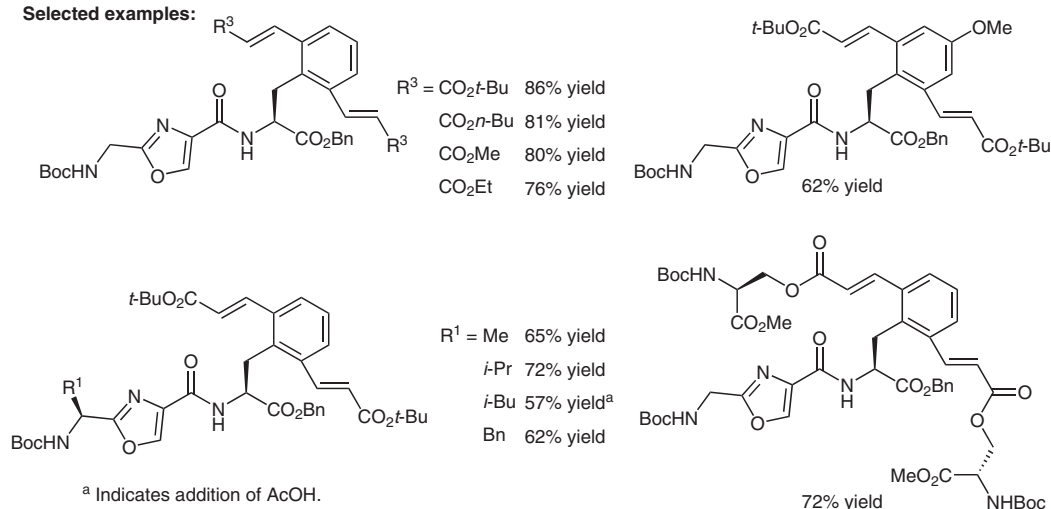
macrocyclization

olefination

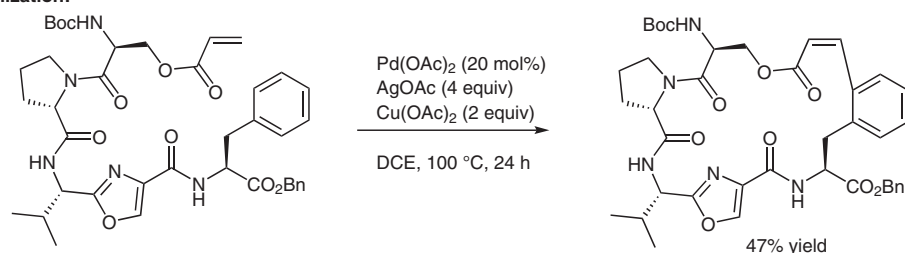
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### Selected examples:



### Macrocyclization:



**Significance:** Late-stage functionalization of peptides has enormous potential for drug discovery. The authors have developed a palladium-catalyzed  $\text{C}(\text{sp}^2)\text{-H}$  olefination at the aromatic ring of oxazole-containing peptides.

**Comment:** The palladium-catalyzed olefination proceeds for various oxazolone-containing peptides. Moreover, this method can be applied to macrocyclization.

**SYNFACTS Contributors:** Hisashi Yamamoto, Tomohiro Hattori  
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