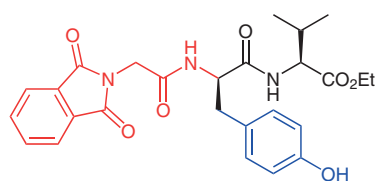
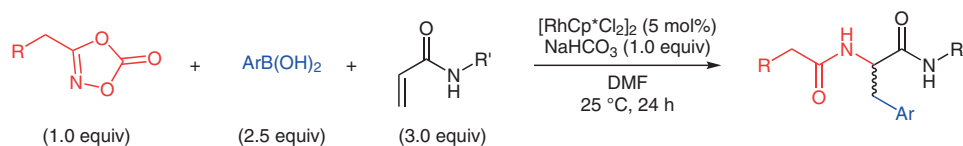
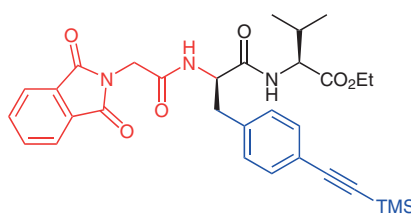


C. W. LAMARTINA, C. A. CHARTIER, S. LEE, N. H. SHAH*, T. ROVIS* (COLUMBIA UNIVERSITY, NEW YORK, USA)
 Modular Synthesis of Unnatural Peptides via Rh(III)-Catalyzed Diastereoselective Three-Component Carboamidation Reaction
J. Am. Chem. Soc. **2023**, *145*, 1129–1135, DOI: 10.1021/jacs.2c10793.

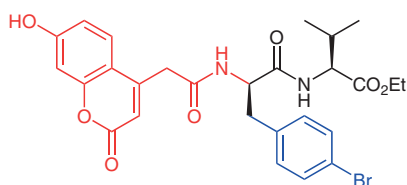
Rh(III)-Catalyzed Synthesis of Unnatural Peptides



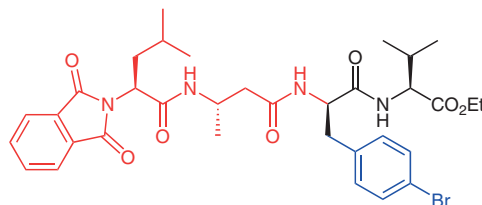
84% yield, dr = 3.4:1 (3.0:1)



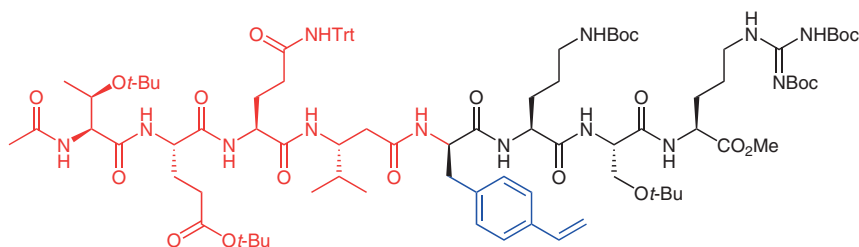
70% yield, dr = 2.6:1 (2.9:1)



42% yield, dr = 3.0:1 (3.2:1)



46% yield, dr = 12:1 (2.9:1)



32% yield, dr > 20:1 (3.4:1)

All yields and dr are isolated, with the crude dr in parentheses.

Significance: Introducing unnatural amino acid residues into the peptide chains is significant in the development of novel drugs. The authors have developed a carboamidation method to insert an unnatural amino acid residue into the peptides from dioxazolones, arylboronic acids and acrylamides.

Comment: A series of peptides containing unnatural amino acid residues are prepared. The yields of these three-component reactions are moderate to good by using Rh(III) as catalyst.

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Category

Peptide Chemistry

Key words

rhodium catalysis

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three-component reaction

carboamidation

dioxazolones

arylboronic acids

acrylamides

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