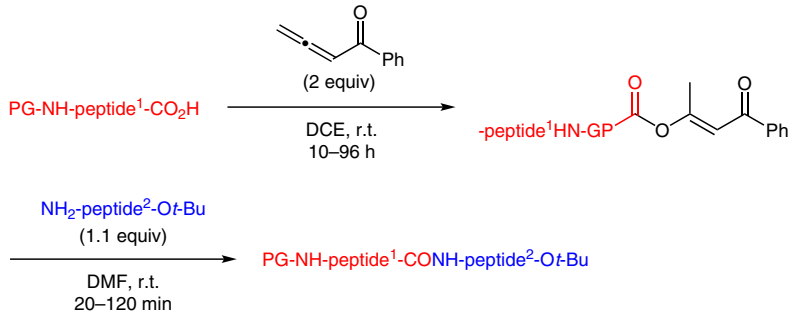
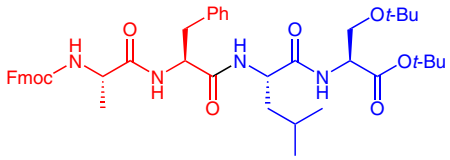
Z. WANG, X. WANG, P. WANG, J. ZHAO* (GUANGZHOU MEDICAL UNIVERSITY, NORTHWESTERN POLYTECHNICAL UNIVERSITY, XI'AN, JIANGXI NORMAL UNIVERSITY, NANCHANG, AND NANKAI UNIVERSITY, TIANJIN, P. R. OF CHINA)

Allenone-Mediated Racemization/Epimerization-Free Peptide Bond Formation and Its Application in Peptide Synthesis
J. Am. Chem. Soc. **2021**, *143*, 10374–10381, DOI: 10.1021/jacs.1c04614.

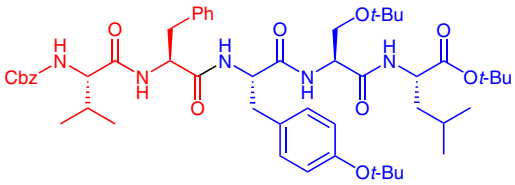
Allenone-Mediated Formation of Peptide Bonds



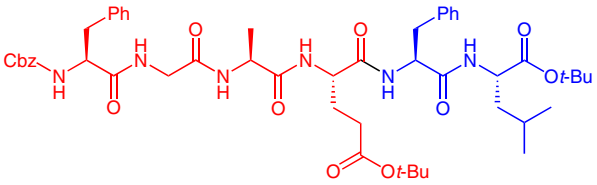
Selected examples:



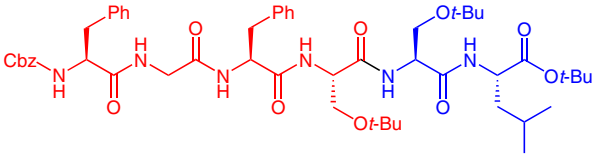
94% yield in 2 steps, de > 99%



82% yield in 2 steps, de > 99%



86% yield in 2 steps, de > 99%



90% yield in 2 steps, de > 99%

Significance: The development of an efficient method for amide bond formation is important in peptide drug discovery. The authors have developed an allenone-mediated peptide synthesis.

Comment: This allenone-mediated amidation reaction affords peptides containing a variety of amino acid residues in high yields without any epimerization.

SYNFACTS Contributors: Hisashi Yamamoto, Wataru Muramatsu
Synfacts 2021, 17(11), 1283 Published online: 19.10.2021
DOI: 10.1055/s-0040-1720903; **Reg-No.:** H10621SF

Category
Peptide Chemistry

Key words
allenones
α-carbonyl vinyl esters
peptide-bond formation

Synfact of the Month