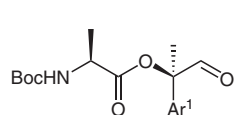
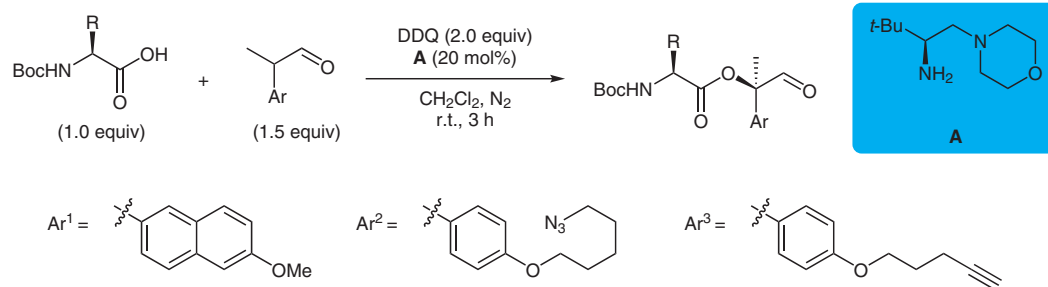


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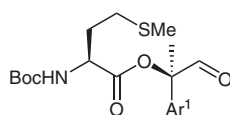
Stereoselective Oxidative Bioconjugation of Amino Acids and Oligopeptides to Aldehydes

Angew. Chem. Int. Ed. 2020, DOI: 10.1002/anie.202008513.

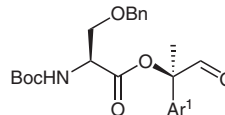
## Coupling of Amino Acids and $\alpha$ -Branched Aldehydes



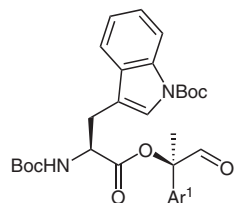
85% yield  
dr = 10:1<sup>a</sup> (dr = 8:1)<sup>b</sup>



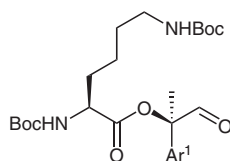
67% yield  
dr = 6:1<sup>a</sup> (dr = 5:1)<sup>b</sup>



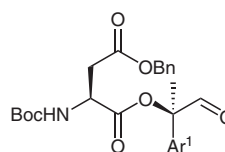
86% yield  
dr > 20:1<sup>a</sup> (dr = 12:1)<sup>b</sup>



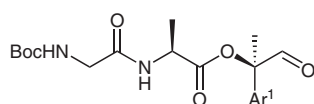
73% yield  
dr = 11:1<sup>a</sup> (dr = 11:1)<sup>b</sup>



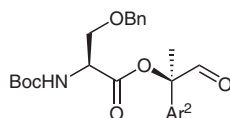
59% yield  
dr = 7:1<sup>a</sup> (dr = 5:1)<sup>b</sup>



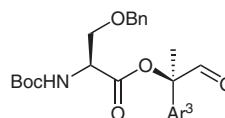
74% yield  
dr = 10:1<sup>a</sup> (dr = 10:1)<sup>b</sup>



80% yield  
dr = 12:1<sup>a</sup> (dr = 9:1)<sup>b</sup>



51% yield  
dr = 20:1<sup>a</sup> (dr = 16:1)<sup>b</sup>



84% yield  
dr = 13:1<sup>a</sup> (dr = 13:1)<sup>b</sup>

<sup>a</sup> dr was determined by <sup>1</sup>H NMR analysis of isolated product.

<sup>b</sup> dr was determined by <sup>1</sup>H NMR analysis of crude reaction mixture.

**Significance:** The synthesis of modified amino acids is significant in the pharmaceutical industry and in medicinal chemistry. The authors used a metal-free oxidative bioconjugation method to couple amino acids and aldehydes.

**Comment:** Various amino acid esters are synthesized from amino acids and  $\alpha$ -branched aldehydes. The yields of the reactions were moderate to good, and the stereoselectivities were good.

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Category

Peptide Chemistry

Key words

metal-free

organocatalysis

bioconjugation

amino acids

aldehydes

asymmetric reaction

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