S. OHMURA, T. ISHIKAWA, Q. HUANG, T. HORIBE, K. ISHIHARA* (NAGOYA UNIVERSITY, JAPAN)

Dehydrative Condensation of Carboxylic Acids with Amines Promoted by HBF_4/MS 5A
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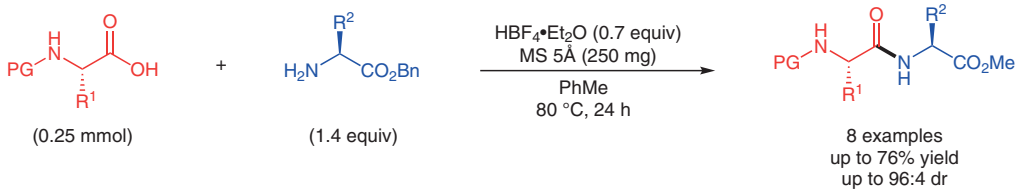
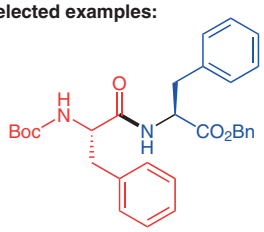
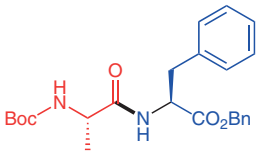
Dehydrative Peptide Coupling Promoted by HBF_4/MS 5Å



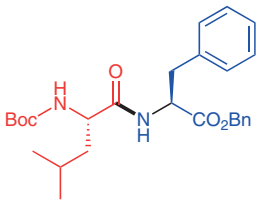
Selected examples:



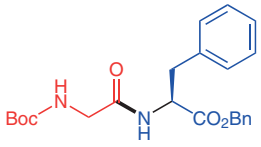
71% yield
dr = 94:6



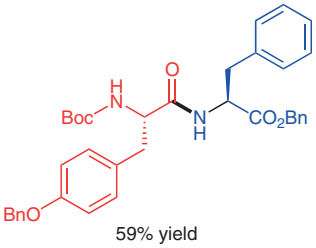
76% yield
dr = 95:5



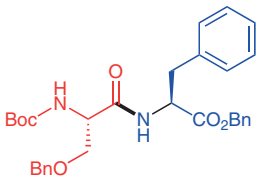
58% yield
dr = 95:5



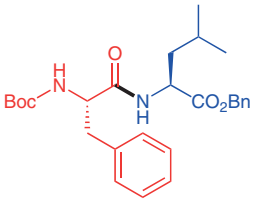
67% yield
dr = 96:4



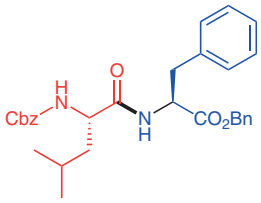
59% yield
dr = 93:7



53% yield
dr = 91:9



60% yield
dr = 95:5



57% yield
dr = 85:15

Significance: Dehydrative coupling reactions between carboxylic acids and amines are commonly used in peptide synthesis. The authors have developed a dehydrative peptide coupling using HBF_4/molecular sieve 5Å (MS 5Å).

Comment: This method produced various amides and dipeptides in good to high yields. In addition, MS 5Å could be recovered after the reaction, which further promoted the dehydrative condensation without HBF_4.

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Category
Peptide Chemistry

Key words
dehydrative condensation
tetrafluoroboric acids
molecular sieves
heterogeneous catalysis

Synfact of the Month