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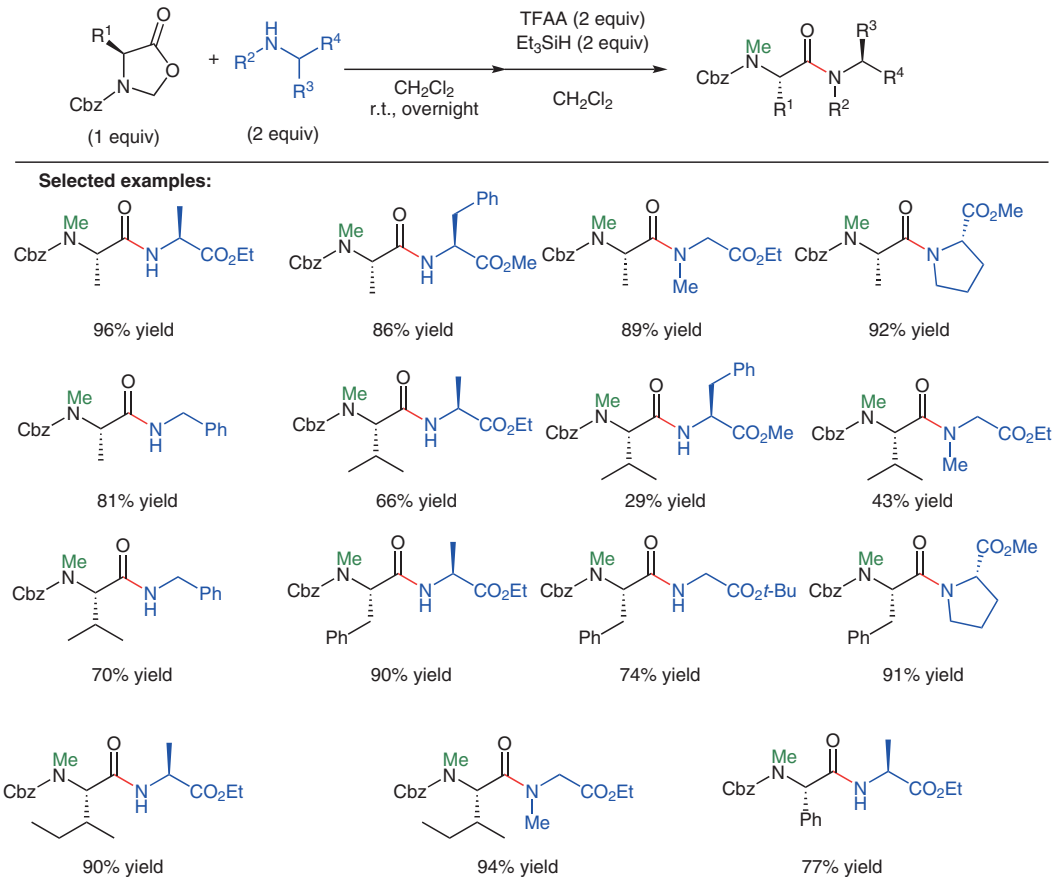
A Novel, One-Pot Preparation of *N*-Methyl-α-Amino Acid Dipeptides from Oxazolidinones and Amino Acids
Tetrahedron Lett. **1999**, *40*, 467–470, DOI: 10.1016/S0040-4039(98)02426-5.

One-Pot Synthesis of *N*-Methyl Dipeptides from Amino Acid-Derived Oxazolidinones



Significance: *N*-Methyl peptides play a crucial role in peptide drug discovery. This *N*-methyl peptide is especially useful for the improvement of biological properties such as target affinity, proteolytic stability and membrane permeability as well as the alteration of the conformational rigidity and hydrophobicity of the peptides. In 1999, R. L. Dorow and co-workers developed a one-pot synthesis of *N*-methyl-α-amino acid dipeptides from oxazolidinones and amino acids.

Comment: A series of amino-acid-derived oxazolidinones reacted smoothly with the amino acid esters to produce *N*-hydroxymethyl dipeptides, which were treated with TFAA and Et_3SiH to produce the corresponding *N*-methyl dipeptides in good yields. This one-pot protocol is a practically simple and highly efficient method to produce various *N*-methyl peptides.

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Peptide Chemistry

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oxazolidinones
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