Peptide Synthesis Using Unprotected Amino Acids

Key words

unprotected amino acids

silacyclic dipeptide

convergent synthesis

76 examples
up to 97% yield
dr > 20:1 (all reactions)

Selected examples:

95% yield

80% yield

89% yield

88% yield

95% yield

95% yield

79% yield

90% yield

81% yield

84% yield

87% yield

97% yield
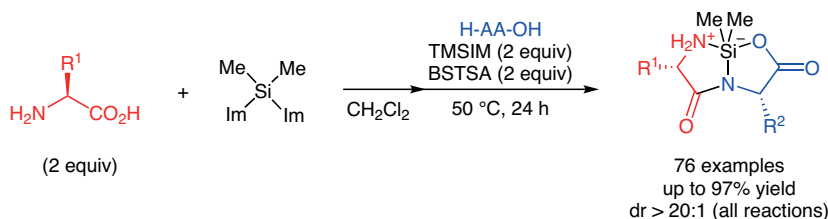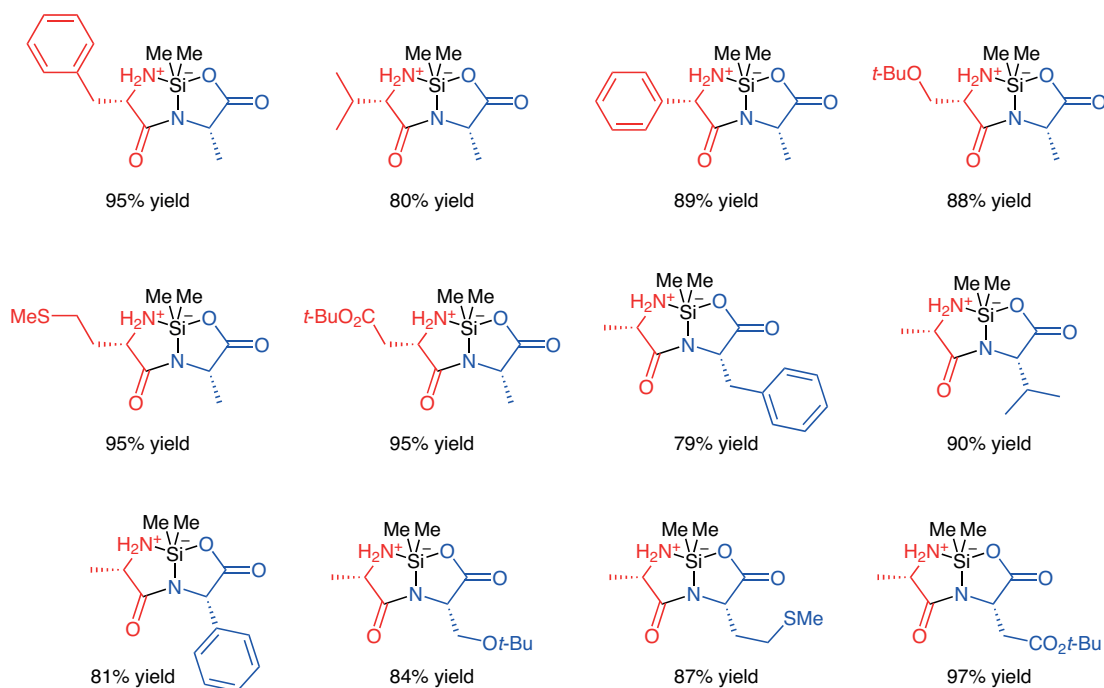
Significance: Protecting groups for the N-terminal amino and C-terminal carboxyl groups are essential in peptide synthesis. In this work, the authors have developed peptide bond formation between unprotected amino acids using two kinds of appropriate silylating reagents.

Comment: Me₂Si(Im)₂ and TMSIM were used to achieve peptide bond formation chemoselectively between two different unprotected amino acids to give a broad range of silacyclic dipeptides. Also, silacyclic dipeptides were successfully applied to convergent long peptide synthesis.