T. LIU, Z. PENG, M. LAI, L. HU, J. ZHAO* (GUANGZHOU MEDICAL UNIVERSITY, P. R. OF CHINA)

Inverse Peptide Synthesis Using Transient Protected Amino Acids
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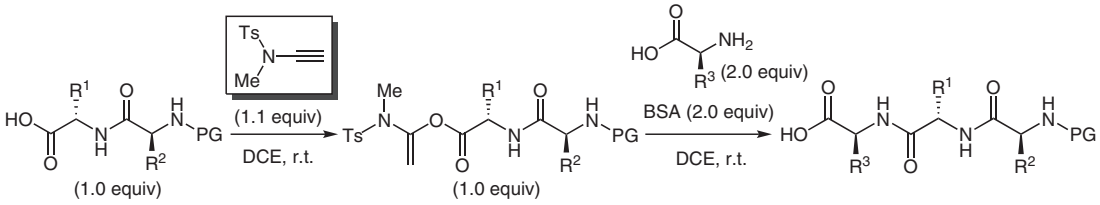
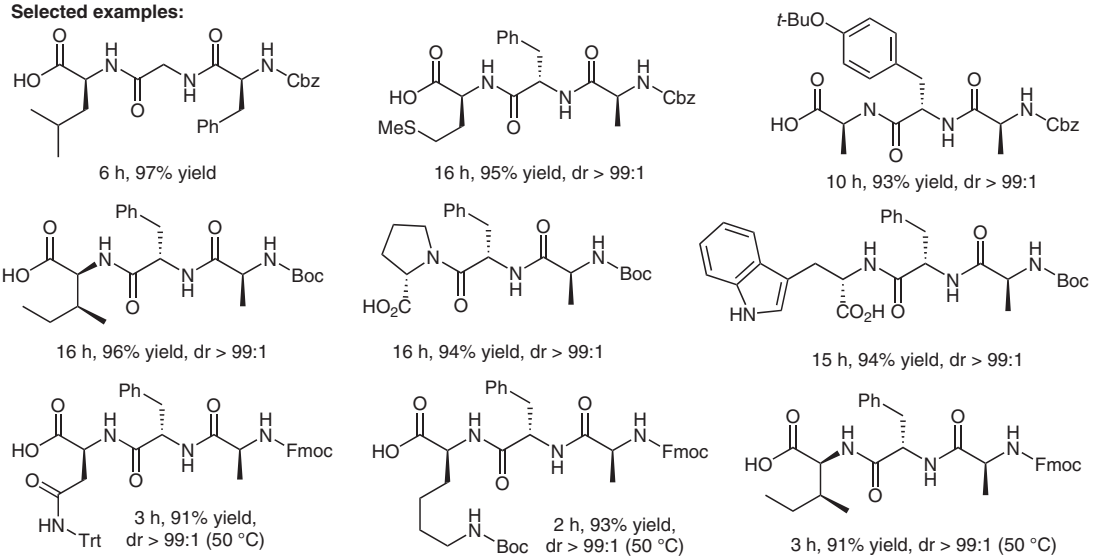
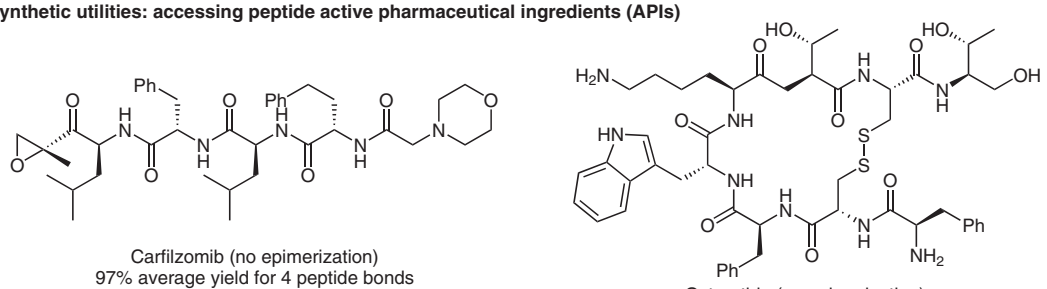
Synthesis of Peptides Inversely via the Utility of Transient Protected Amino Acids



Selected examples:



Synthetic utilities: accessing peptide active pharmaceutical ingredients (APIs)



Carfilzomib (no epimerization)
97% average yield for 4 peptide bonds
85% total yield for 5 steps

Octreotide (no epimerization)
92% average yield for 7 peptide bonds
47% total yield for 8 steps

Significance: The rapid renaissance of peptide drugs in recent decades has made it incumbent on organic chemists to develop more efficient methods of peptide synthesis in terms of atom-economy in a green environment compared to the conventional methods as showcased by the authors of this work.

Comment: The various peptides were synthesized inversely in high yields and without racemization and epimerization from their respective carboxyl and amino donors through activation, transient protection, aminolysis and in situ deprotection using ynamide coupling reagent.

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Category
Peptide Chemistry

Key words
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transient protected amino acids
ynamide coupling

Synfact of the Month