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A New Silyl Linker for Reverse-Direction Solid-Phase Peptide Synthesis
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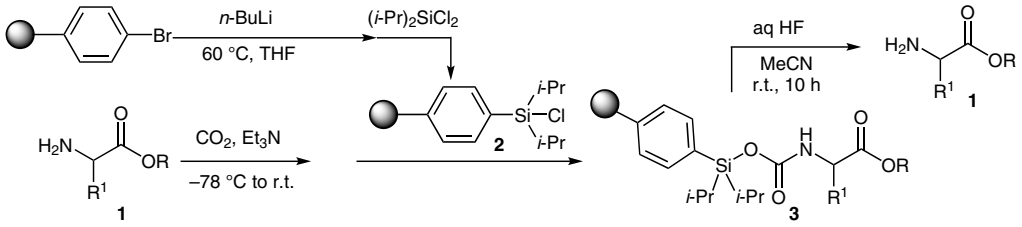
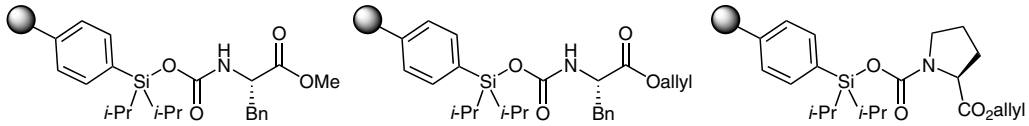
A Silyl Carbamate Linker for Solid-State Peptide Synthesis in the Reverse Direction



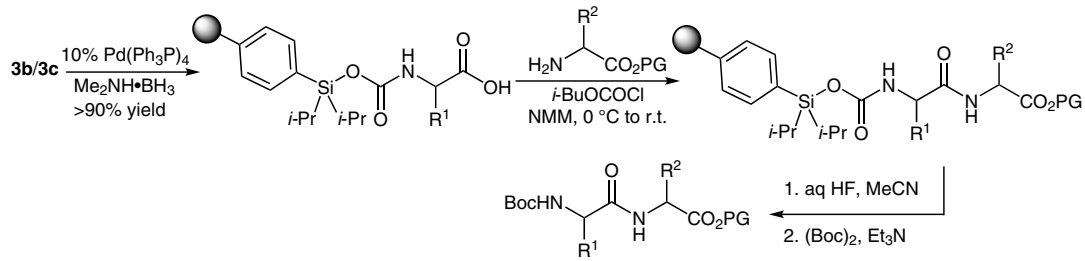
Selected examples:



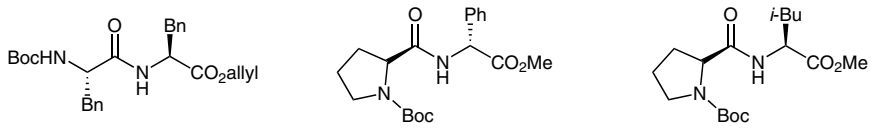
3a, 76% yield[a]
1a, 82% yield[b]
3b, 85% yield[c]
1b, 89% yield[b]
3c, 93% yield[c]

[a] Yield determined by elemental analysis. [b] Isolated yield. [c] Yield determine based on resin gain in weight

Application of silyl linker in solid phase peptide synthesis in reverse direction:



Selected examples:



75% yield
92% yield
84% yield

Significance: Silicon-containing solid supports play an inherent role in solid-state peptide synthesis. Consequently, chemists are in search of elegant and practical supports for peptide synthesis. In 2001, Lipshutz and Shin developed a novel and easily accessible silyl carbamate linker for peptide synthesis.

Comment: Polystyrene-bound silyl carbamates of amino acid esters were synthesized by treatment of amino acid esters with gaseous CO_2 in dichloromethane, with subsequent trapping of the polymer-bound silyl chloride. The resulting polystyrene-bound silyl carbamates of amino acid esters can be used in solid-state syntheses of polypeptides, building from the carboxy terminus.

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Category
Peptide Chemistry

Key words
silyl carbamates
linking group
solid phase synthesis
polypeptides