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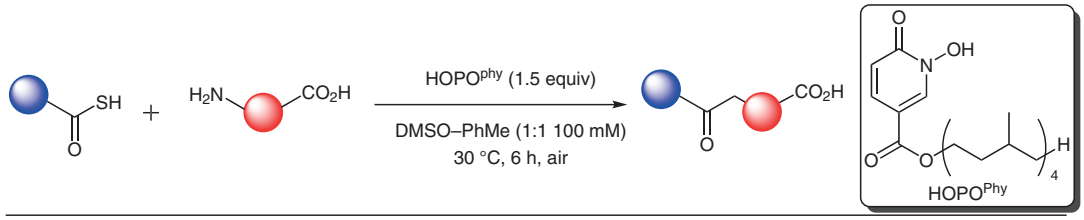
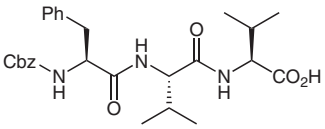
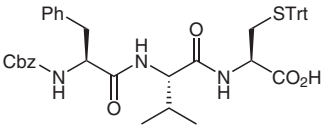
Practical N-to-C Peptide Synthesis with Minimal Protecting Groups
Commun. Chem. **2023**, *6*, 231 DOI: 10.1038/s42004-023-01030-0.

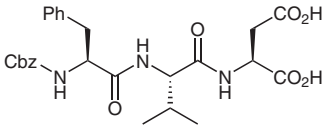
Atom-Economical N-to-C Peptide Elongation

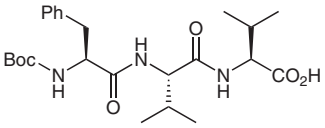


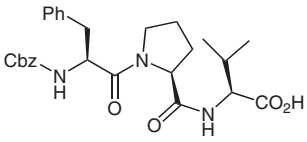
Selected examples:


99% yield (84% yield)


81% yield (67% yield)

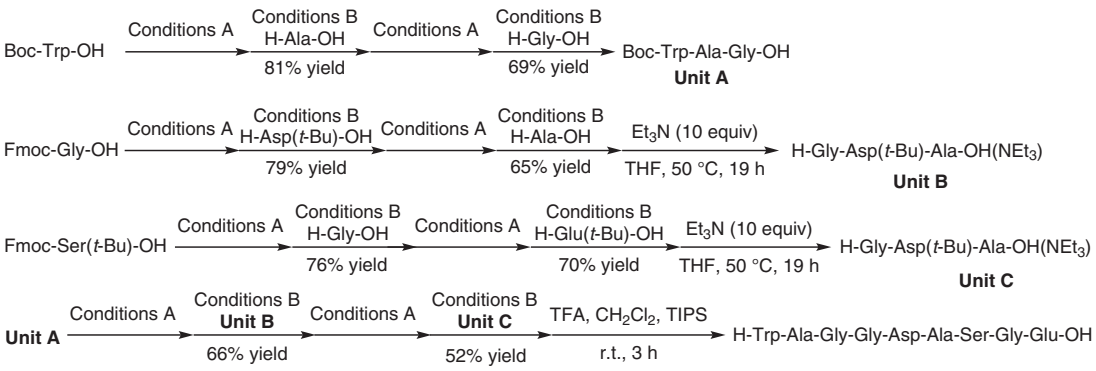

73% yield (22% yield)[a]


90% yield (76% yield)


86% yield (63% yield)

[a] Reaction was performed in DMSO (100 mM). $HOPO^{me}$ (3 equiv) was used as coupling reagent. $MgSO_4$ (2 g/mmol) was added.

The isolated yield of 50–100 mg scale is shown in parentheses.



Conditions A: AcSK (10 equiv), Ac_2S (20 mol%), DMF, 3–6 h, 0 °C, Ar.
Conditions B: $HOPO^{Phy}$ (1.5 equiv), DMSO–PhMe (1:1), 40 °C, 2.5–4 h.

Significance: With growing applications of peptides, the development of efficient and atom-economical methods for their synthesis is highly desirable. The authors have demonstrated a sequence peptide elongation using unprotected amino acids or peptides with low waste.

Comment: The peptide synthesis, which proceed by N-to-C elongation, is performed in an atom-economical way and the only wastes produced are water and elemental sulfur. Furthermore, the strategy could be applied for nonapeptide synthesis.

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Category
Peptide Chemistry

Key words
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atom economy
nonapeptide synthesis

Synfact of the Month

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