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Synthesis of Peptides Employing 9-Fluorenylmethyl Chloroformate as a Coupling Agent
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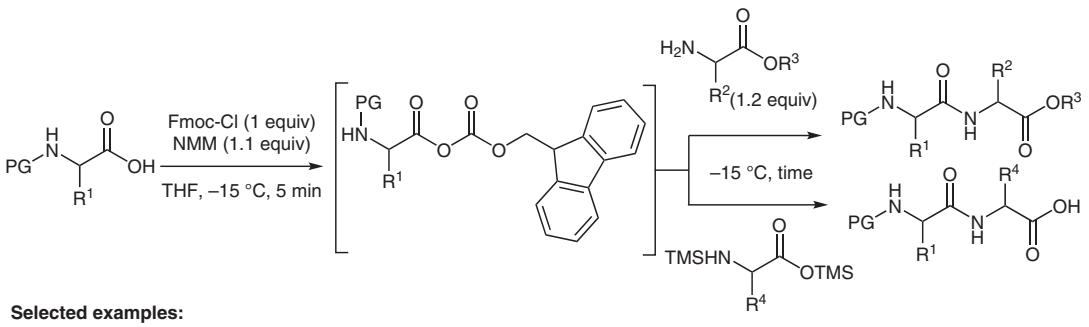
1*H*-Fluoren-9-ylmethyl Chloroformate as a Coupling Reagent for Peptide Synthesis



Selected examples:

Entry	Peptide	Reaction time (min)	Yield (%)
1	Fmoc-Phg-Phe-OMe	35	80
2	Fmoc-D-Phg-Phe-OMe	35	90
3	Fmoc-Val-Gly-OBzl	30	70
4	Fmoc-Tyr(Bzl)-Pro-OMe	25	90
5	Fmoc-Phe-Leu-OBzl	25	90
6	Boc-Pro-Phe-OMe	30	85
7	Cbz-Val-Val-OMe	25	90
8	Fmoc-Val-Phe-OH	30	91
9	Boc-Val-Pro-OH	25	90
10	Cbz-Val-Leu-OH	25	88
11	Cbz-Phe-Pro-OH	25	80

Significance: The development of a rapid, racemization-free, practically simple, and cost-effective methods for the synthesis of peptides is an important process in peptide drug discovery. In 2003, Sureshbabu and co-workers developed 1*H*-fluoren-9-ylmethyl chloroformate (Fmoc-Cl) as an effective coupling reagent for the synthesis of peptides.

Comment: N-Protected amino acids smoothly reacted with a series of amino acid esters to provide various peptides in good yields with the help of Fmoc-Cl as a coupling reagent. This method is practically simple, rapid, and racemization-free; moreover, Fmoc-Cl is a commercially available, crystalline, bench-stable solid.

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Category
Peptide Chemistry

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Fmoc chloroformate
mixed anhydrides
peptide synthesis