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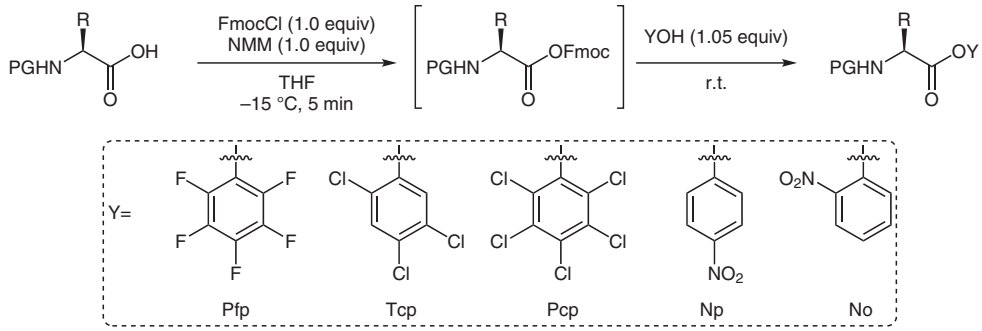
9-Fluorenylmethyl Chloroformate (Fmoc-Cl) as a Useful Reagent for the Synthesis of Pentafluorophenyl, 2,4,5-Trichlorophenyl, Pentachlorophenyl, *p*-Nitrophenyl, *o*-Nitrophenyl and Succinimidyl Esters of N^{α}-Urethane Protected Amino Acids
Lett. Pept. Sci. **2003**, *10*, 655–662.

Synthesis of Reactive Amino Acid Esters by Using 9-Fluorenylmethyl Chloroformate



Product	Isolated Yield / %	Product	Isolated Yield / %
Fmoc-Phe-OPfp	95	Cbz-Asp(*t*-Bu)-OPfp	90
Fmoc-D-Phe-OPfp	92	Fmoc-Phe-OTcp	90
Fmoc-Ser(*t*-Bu)-OPfp	85	Fmoc-Cys(Bzl)-OTcp	95
Fmoc-Asp(*t*-Bu)-OPfp	90	Boc-Leu-OPcp	90
Fmoc-Lys(Cbz)-OPfp	95	Cbz-Glu(*t*-Bu)-OTcp	80
Fmoc-Cys(Acm)-OPfp	80	Cbz-Cys(Bzl)-OPcp	90
Fmoc-His(Trt)-OPfp	72	Fmoc-Ala-ONp	90
Fmoc-Asn-OPfp	81	Fmoc-Val-ONo	84
Fmoc-Gln-OPfp	78	Fmoc-Lys(Boc)-ONp	80
Cbz-Leu-OPfp	90	Cbz-Gly-ONp	93
Cbz-Ser-OPfp	80	Cbz-Cys(Bzl)-ONp	90

Significance: Reactive amino acid phenyl esters have been used in dipeptide synthesis. However, the preparation of these esters is challenging due to racemization during the formation of the esters. In 2003, Tantry and Babu reported a method in which FmocCl is used to prepare reactive amino acid esters.

Comment: With the developed method, various reactive amino acid phenyl esters can be synthesized. The yields of the reactions are excellent, and no racemization is detected.

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Peptide Chemistry

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