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Synthesis of Fmoc-Amino Acid Fluorides via DAST, an Alternative Fluorinating Agent
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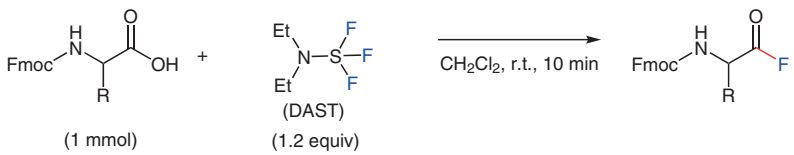
(Diethylamino)sulfur Trifluoride (DAST) for Fmoc-Amino Acyl Fluoride Synthesis



Entry	Fmoc-AA-F	% Yield
1	Fmoc-Aib-F	83
2	Fmoc-Ala-F	76
3	Fmoc-Asn(Trt)-F	81
4	Fmoc-Gln(Trt)-F	84
5	Fmoc-Glu(O-*t*-Bu)-F	76
6	Fmoc-Gly-F	85
7	Fmoc-Ile-F	72
8	Fmoc-Leu-F	79
9	Fmoc-Lys(Boc)-F	78
10	Fmoc-Met-F	73
11	Fmoc-Phe-F	66
12	Fmoc-Pro-F	79
13	Fmoc-Ser(*t*-Bu)-F	72
14	Fmoc-Thr(*t*-Bu)-F	70
15	Fmoc-Trp-F	71
16	Fmoc-Tyr(*t*-Bu)-F	75
17	Fmoc-Val-F	76

Significance: The development of rapid, practically simple, and cost-effective methods for the synthesis of activated amino acids is a highly demanding field in the peptide industry. In 1996, Kaduk and co-workers reported a rapid and practically simple method for the conversion of Fmoc-amino acids into Fmoc-amino acyl fluorides with the help of (diethylamino)sulfur trifluoride.

Comment: (Diethylamino)sulfur trifluoride (DAST) is one of the efficient reagents for the rapid conversion of Fmoc-amino acid into the corresponding Fmoc-amino acyl fluorides in good yields and with high purity. This method is practically simple and involves simple recrystallization or precipitation for the purification of Fmoc-amino acyl fluorides.

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Peptide Chemistry

Key words
diethylaminosulfur trifluoride
coupling reagents
amino acyl fluorides
fluorination