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1-(*t*-Butyldimethylsilyloxy) Benzotriazole (TBDMS-OBt): A New and Novel Reagent for the Synthesis of Peptides
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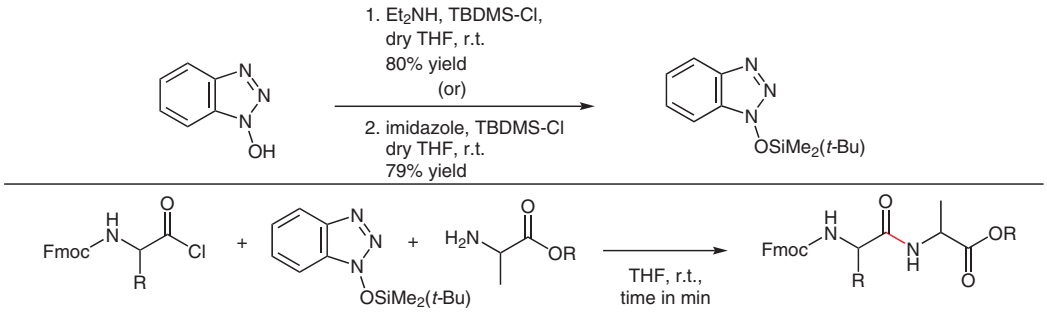
A Novel Reagent for Coupling of Amino Acid Chlorides with Amino Acid Esters

Synthesis of coupling reagent TBDMS-OBt:



Substrate scope:

Entry	Peptide	Reaction time (min)	Yield (%)
1	Fmoc-Phg—Phe-OMe	30	86
2	Fmoc-D-Phg—Phe-OMe	30	87
3	Fmoc-Leu—Ala-OMe	30	88
4	Fmoc-Phe—Ala-OMe	25	90
5	Fmoc-Val—Ile-OMe	35	79
6	Fmoc-Ala—Ala-OMe	25	90
7	Fmoc-Aib—Aib-OMe	60	72
8	Fmoc-Ac_6c—Ac_6c-OMe[a]	50	70
9	Fmoc-MeAla—MeVal-O*t*-Bu	50	71

[a] Ac_6c = α-aminocyclohexane carboxylic acid

Significance: The development of novel and efficient coupling reagents for peptide-bond formation is a continuous and highly demanding area in peptide chemistry. In 2002, Tantry and Babu reported that 1-{[*tert*-butyl(dimethyl)silyl]oxy}benzotriazole (TBDMS-OBt) is an efficient coupling reagent for the synthesis of dipeptides from amino acid chlorides and amino acid esters.

Comment: TBDMS-OBt is an efficient coupling reagent for the coupling of Fmoc-amino acid chlorides with amino acid esters to produce a series of dipeptides in good yields. This reaction is also successful with *N*-methyl amino acid esters. The coupling reation is racemization free and the TBDMS-OBt coupling reagent can be synthesized in one step.

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Category
Peptide Chemistry

Key words
butyldimethylsilyloxy benzotriazole
peptide bond formation
peptide coupling reagent