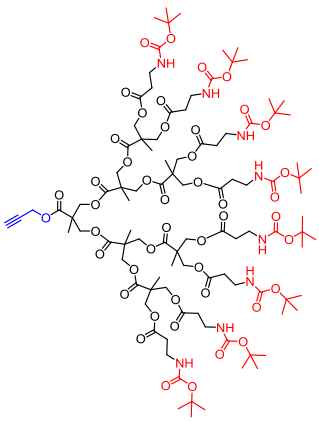
SOP - Deprotection of NHBoc dendrimers and dendrons

Polyester bis-MPA dendrons and dendrimers are available from Polymer Factory with NHBOC protected amine functionality, with the dendrons having a unique ability to act as bifunctional linkers in biochemistry and materials science. These are available with a range of orthogonal reactive groups and can act as signal amplifiers, bearing a precise number of reactive groups at the periphery.

The NHBoc protecting group can be quantitatively deprotected to reveal ammonium groups for further reaction, such as EDC/DCC coupling with carboxylic acids or reaction with NHS-activated acids (see additional SOPs). The dendrons also containing additional reactive site(s) for further modification *via* click chemistry.

Example NHBoc functional scaffold



Product Name:	PFd-Acetylene-G3-NHBoc
Product No.:	PFDO-030412
MW:	2238,44 g mol^{-1}
Molecular Formula:	$C_{102}H_{164}N_8O_{46}$
Number of NHBoc:	8
Number of acetylene:	1
Solubility:	THF, Chloroform, DCM, DMSO, DMF, Alcohols

Deprotection with TFA

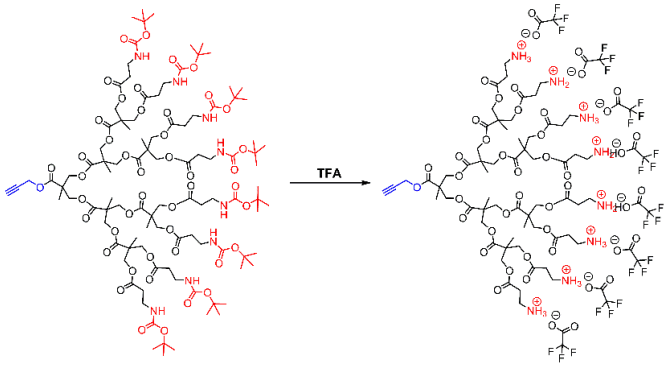


Figure 1: Reaction scheme for deprotection of NHBoc peripheral groups.

Protocol

- Dissolve the NHBoc functional dendron or dendrimer in TFA at a concentration of 0.5 g/mL with stirring.
- The reaction can be followed by MALDI-TOF MS (Figure 2) or 1H-NMR (Figure 3 – the singlet at 1.45 ppm for NHBoc will disappear and be replaced by the *tert*-butanol formed). If these techniques are not available the reaction should be left for 2 hours or until no more CO_2 is produced.
- The TFA and *tert*-butanol can be removed by evaporation *in vacuo* or with a stream of inert gas. Full removal of TFA can be performed with successive strip cycles by addition and evaporation of methanol. For higher molecular weight products, purification may be performed by precipitation into cold diethyl ether.
- The deprotected materials are very hygroscopic. It is recommended to store the final product at -18 °C under inert atmosphere.

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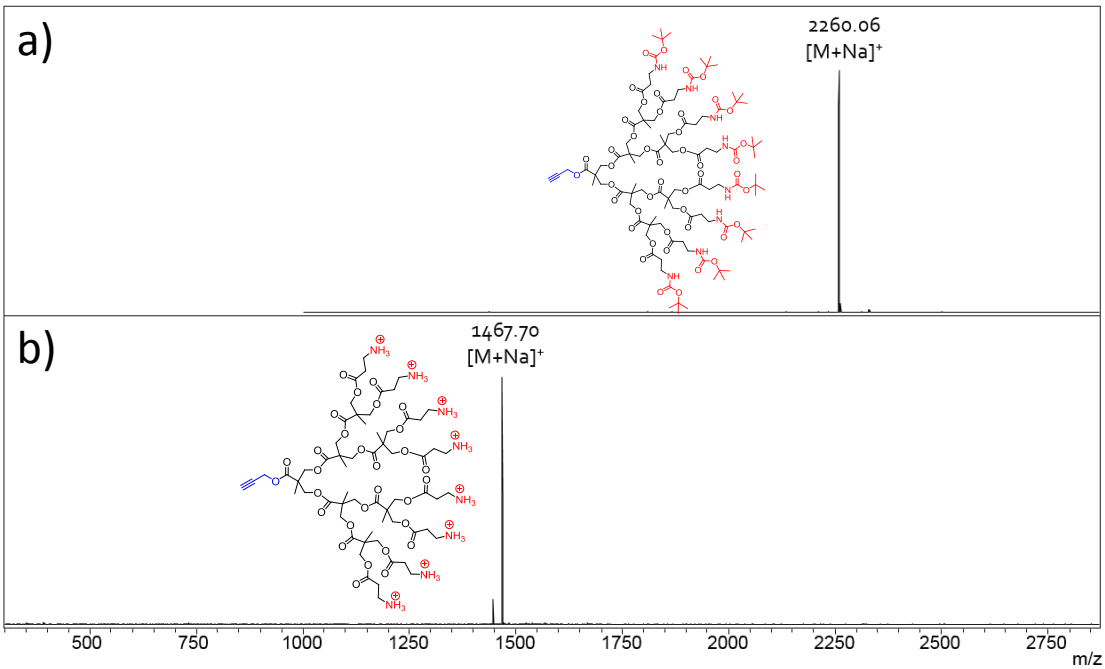

Figure 2: MALDI-TOF-MS spectra of a) starting dendron NHBoc functional dendron, b) ammonium functional dendron upon completion of reaction.

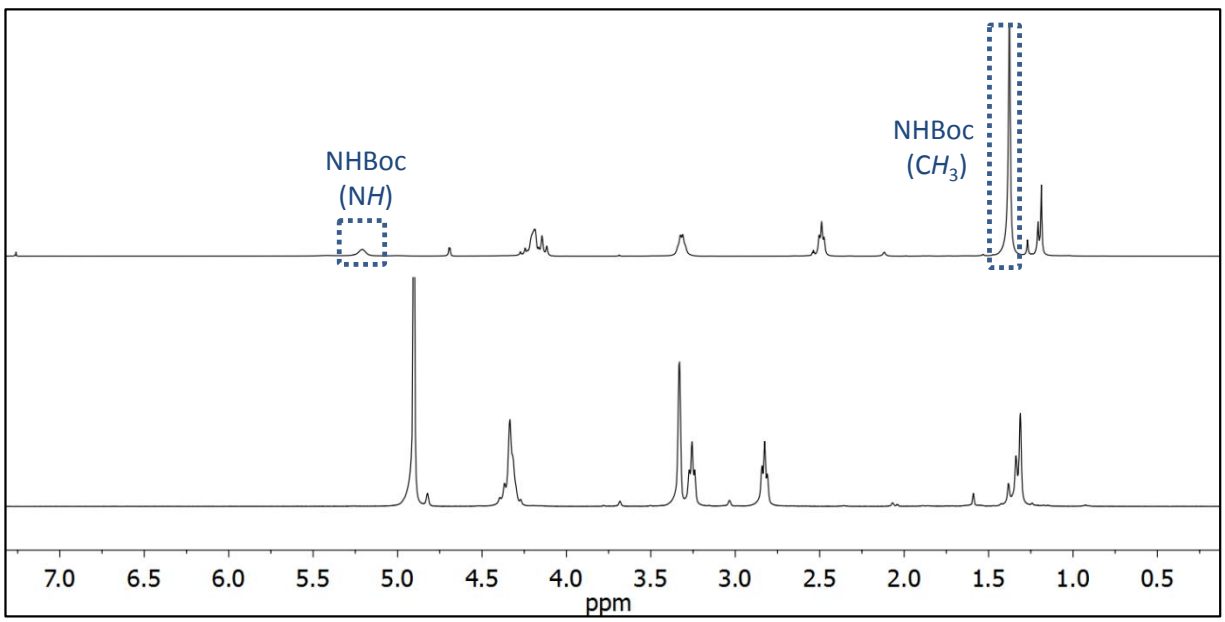

Figure 3: ^{1}H-NMR spectra of a) NHBoc functional dendron in $CDCl_3$ and b) the amine functional product after purification

Reference

- P. Strenstrom, M.Malkoch *et al* "Synthesis and in Vitro Evaluation of Monodisperse Amino-Functional Polyester Dendrimers with Rapid Degradability and Antibacterial Properties" *Biomacromolecules*, **2017**, *18* (12), pp 4323–4330.

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Protected amine bis-MPA dendrons available from Polymer Factory

Product name	Generations available (*n*)	Functional groups	
		Core	End group
PFd-G*n*-NHBoc-Azide	1 - 4	NHBoc	Azide (2 - 16)
PFd-G*n*-NHBoc-Acetylene	1 - 4	NHBoc	Alkyne (2 - 16)
PFd-G*n*-NHBoc-COOH	1 - 4	NHBoc	COOH (2 - 16)
PFd-G*n*-Azide-NHBoc	1 - 4	Azide	NHBoc (2 - 16)
PFd-G*n*-Acetylene-NHBoc	1 - 4	Alkyne	NHBoc (2 - 16)
PFd-G*n*-COOH-NHBoc	1 - 4	COOH	NHBoc (2 - 16)
PFd-G*n*-Thiol-NHBoc	1 - 4	Thiol	NHBoc (2 - 16)

Protected amine bis-MPA dendrimers available from Sigma-Aldrich

Product name	Generation	End group functionality
PFD-G1-TMP-NHBoc bis-MPA-NHBoc dendrimer, trimethylol propane core, generation 1	1	NHBoc (6)
PFD-G2-TMP-NHBoc bis-MPA-NHBoc dendrimer, trimethylol propane core, generation 2	2	NHBoc (12)
PFD-G3-TMP-NHBoc bis-MPA-NHBoc dendrimer, trimethylol propane core, generation 3	3	NHBoc (24)
PFD-G4-TMP-NHBoc bis-MPA-NHBoc dendrimer, trimethylol propane core, generation 4	4	NHBoc (48)

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