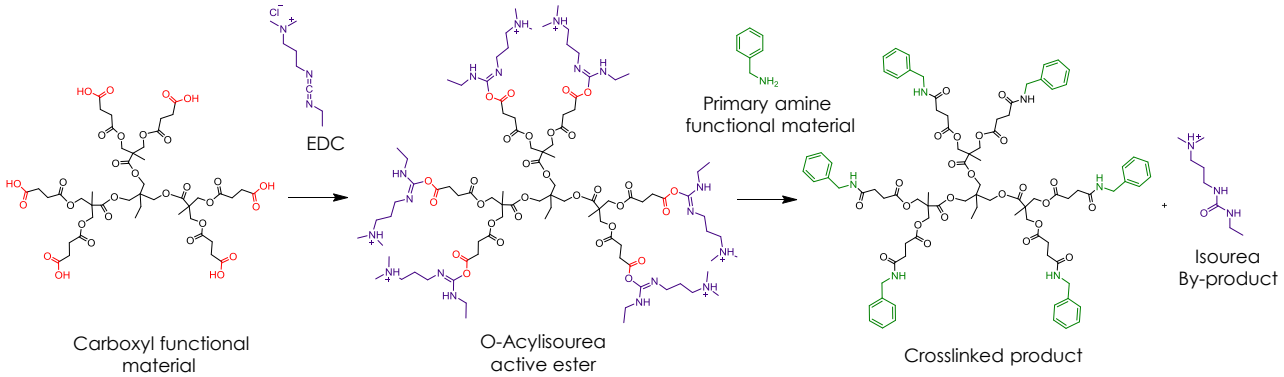
SOP: EDC coupling of primary amines to carboxyl dendrimers

Polyester bis-MPA dendrons and dendrimers are available from Polymer Factory and Sigma Aldrich with carboxylic acid (COOH) functional groups. These are readily functionalized *via* EDC chemistry with primary amines. Additionally, the COOH functional dendrons are also available with protected amine functionality, at either the core or periphery, for further modification.

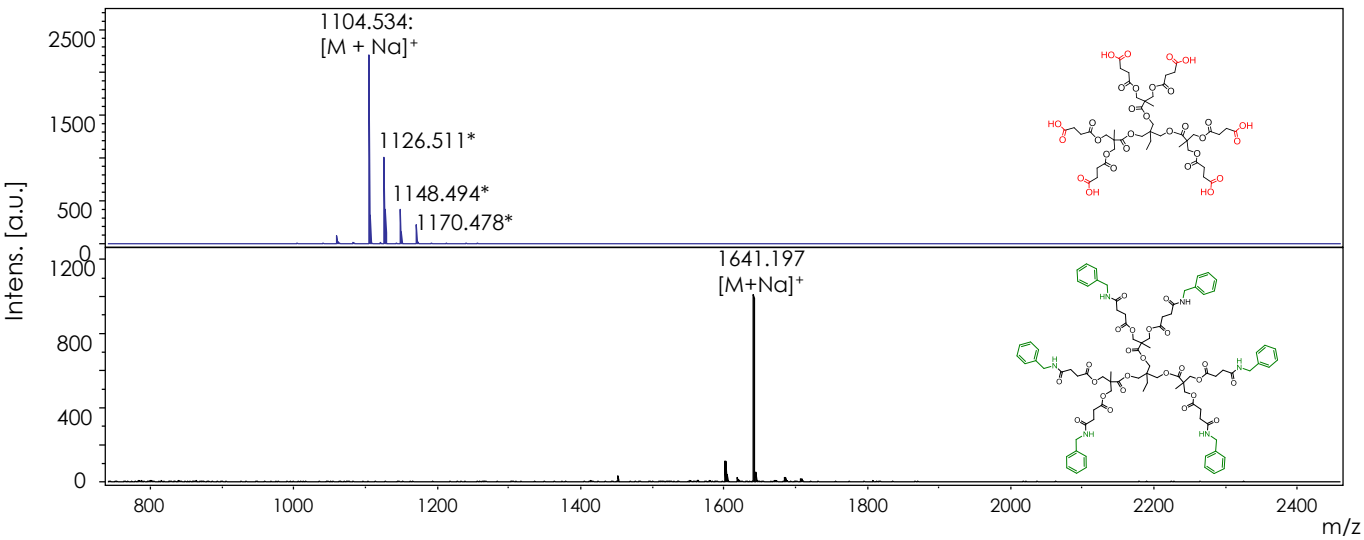
EDC is a water-soluble carbodiimide commonly used as an activating agent in the formation of amides in biochemistry. Coupling can be performed in water at pH 4-6, and is ideally suited to conjugation of peptides and proteins, as well as other amine-functional substrates.

Example: Functionalization of carboxylic dendrimer with primary amine



Protocol

- Dissolve/ disperse carboxyl molecule (1 equiv., 6 functional COOH) in MES buffer (1 mg/mL)
- Dissolve primary amine molecule (1.5 equiv per COOH) in MES (1 mg/mL) and add to carboxyl solution
- Dissolve EDC (equilibrated at room temperature, 1.5 equiv per COOH) in deionized water and add to the reaction immediately. Stir the reaction mixture at room temperature.
- Follow the reaction with MADLI-TOF MS after 1 hour, monitoring the appearance of the mass corresponding to the product. When the reaction reaches completion, the MALDI-TOF spectrum will show the monodisperse peak, below.
- Purification can be performed by column chromatography or dialysis (for higher molecular weight products.



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Carboxylic bis-MPA dendrons available from Polymer Factory

Product name	Generation (*n*)	Functional groups	
		Core	End groups
PFd-G1-NHBoc-COOH Polyester bis-MPA dendron, 2 COOH, 1 NHBoc (core)	1	NHBoc	COOH (2)
PFd-G2-NHBoc-COOH Polyester bis-MPA dendron, 4 COOH, 1 NHBoc (core)	2	NHBoc	COOH (4)
PFd-G3-NHBoc-COOH Polyester bis-MPA dendron, 8 COOH, 1 NHBoc (core)	3	NHBoc	COOH (8)
PFd-G4-NHBoc-COOH Polyester bis-MPA dendron, 16 COOH, 1 NHBoc (core)	4	NHBoc	COOH (16)
PFd-G1-COOH-NHBoc Polyester bis-MPA dendron, 2 NHBoc, 1 COOH (core)	1	COOH	NHBoc (2)
PFd-G2-COOH-NHBoc Polyester bis-MPA dendron, 4 NHBoc, 1 COOH (core)	2	COOH	NHBoc (4)
PFd-G3-COOH-NHBoc Polyester bis-MPA dendron, 8 NHBoc, 1 COOH (core)	3	COOH	NHBoc (8)
PFd-G4-COOH-NHBoc Polyester bis-MPA dendron, 16 NHBoc, 1 COOH (core)	4	COOH	NHBoc (16)

Carboxylic bis-MPA dendrimers available from Polymer Factory

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

Disclaimer

The EDC-mediated coupling reaction of primary amines to carboxylic acids is well established, and is robust and thoroughly investigated by the scientific community. However, these protocols are intended to serve as a guide for your own research, and are not guaranteed to work with all substrates.

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