SOP – CuAAC "Click" coupling of dendritic alkynes/azides

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Polyester bis-MPA dendrons and dendrimers are available from Polymer Factory with both acetylene (alkyne) and azide functional groups, with the dendrons having a unique ability to act as bifunctional linkers in biochemistry and materials science. These are readily functionalized through "click" chemistry with the corresponding azide or alkyne. Additionally, the azide functional dendrons and dendrimers are able to undergo SPAAC (strain promoted azide-alkyne coupling), for users who prefer to avoid the presence of copper salts.

Protocol: coupling of azide to acetylene dendron

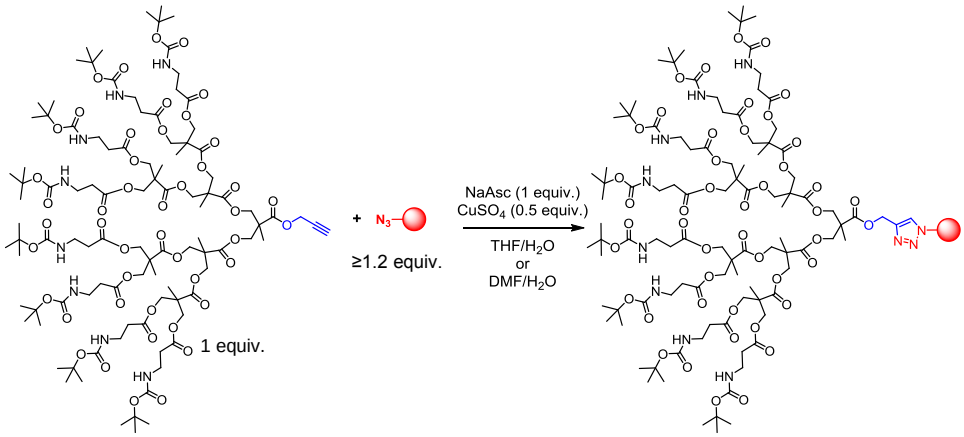

Figure 1: Example reaction scheme for functionalization of core acetylene

- Dissolve the acetylene functional dendron/dendrimer in THF or DMF, and add ≥ 1.2 equivalents of azide reactant per equivalent of acetylene.
- Add water until the solution becomes opaque, followed by 1 equivalent of sodium ascorbate and 0.5 equivalents of copper(II) sulfate.
- Follow the reaction with MADLI-TOF MS, monitoring the appearance of the mass corresponding to the product, or with 1H-NMR until the peak corresponding to the acetylene proton disappears (a triplet at ca. 2.43 ppm; C≡C*H*)
- When complete, evaporate the solvents and purify *via* flash column chromatography. Higher molecular weight products may be purified by dialysis.

Protocol: coupling of acetylene to azide dendron

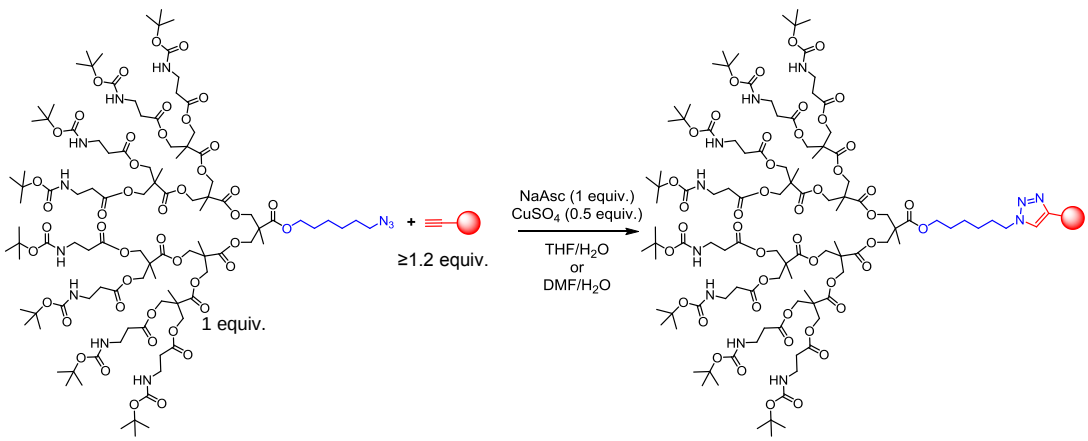

Figure 2: Example reaction scheme for functionalization of core azide

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Protocol

- Dissolve the azide functional dendron/dendrimer in THF or DMF, and add ≥ 1.2 equivalents of alkyne reactant per equivalent of azide.
- Add water until the solution becomes opaque, followed by 1 equivalent of sodium ascorbate and 0.5 equivalents of copper(II) sulfate.
- Follow the reaction with MADLI-TOF MS, monitoring the appearance of the mass corresponding to the product, or with 1H-NMR until the peak corresponding to the azide-C*H*2 protons disappears (a triplet at ca. 3.30 ppm; -CH_2-N_3) and the appearance of the triazole proton appears (ca. 8 ppm).
- When complete, evaporate the solvents and purify *via* flash column chromatography. Higher molecular weight products may be purified by dialysis.

References

- K. B. Sharpless, C. J. Hawker *et al.* "Multivalent bifunctional dendrimers prepared by click chemistry" *Chem. Commun.*, **2005**, 0, 5775-5777.

Clickable dendrons available from Polymer Factory

Product Name	Generations available (*n*)	Functional groups	
		Core	End groups
PFd-G*n*-Acetylene-OH	1 - 5	Acetylene	OH (2 - 32)
PFd-G*n*-Acetylene-NHBoc	1 - 4	Acetylene	NHBoc (2 - 16)
PFd-G*n*-Azide-OH	1 - 5	Azide	OH (2 - 32)
PFd-G*n*-Azide-NHBoc	1 - 4	Azide	NHBoc (2 - 16)
PFd-G*n*-NHBoc-Acetylene	1 - 4	NHBoc	Acetylene (2 – 16)
PFd-G*n*-NHBoc-Azide	1 - 4	NHBoc	Azide (2-16)

Clickable dendrimers available from Polymer Factory

Product name	Generation (*n*)	End group functionality
PFD-G*n*-TMP-Acetylene	1 - 5	Alkyne (6 - 96)
PFD-G*n*-TMP-Azide	1 - 5	Azide (6 - 96)

Disclaimer

The "click" coupling reactions are well established and are 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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