Electronic Supplementary Material (ESI) for RSC Advances.

SUPPORTING INFORMATION

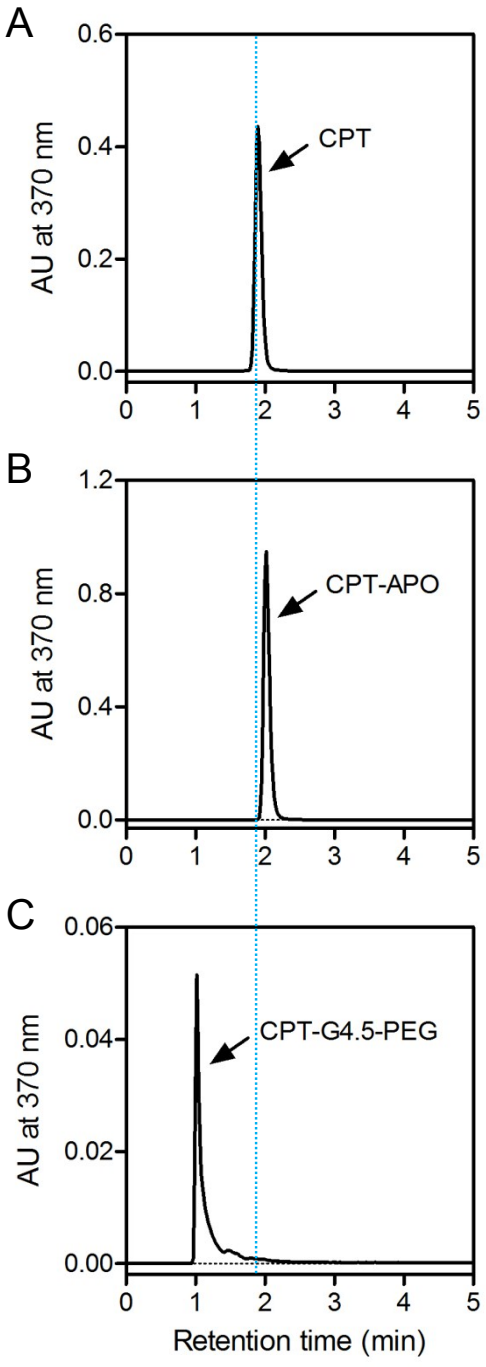

Figure S-1. RP-HPLC chromatograms of CPT (A), CPT-APO (B), and CPT-G4.5-PEG (C).

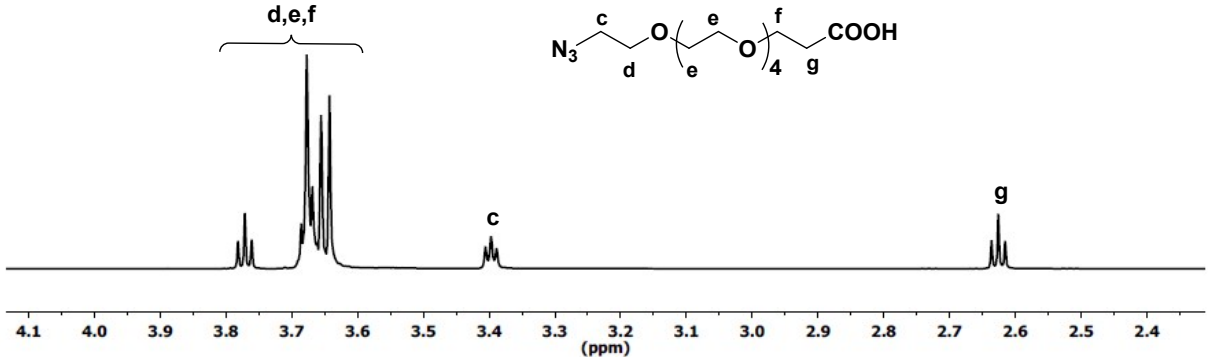

Figure S-2. ^{1}H NMR spectrum of APO in $CDCl_3$.

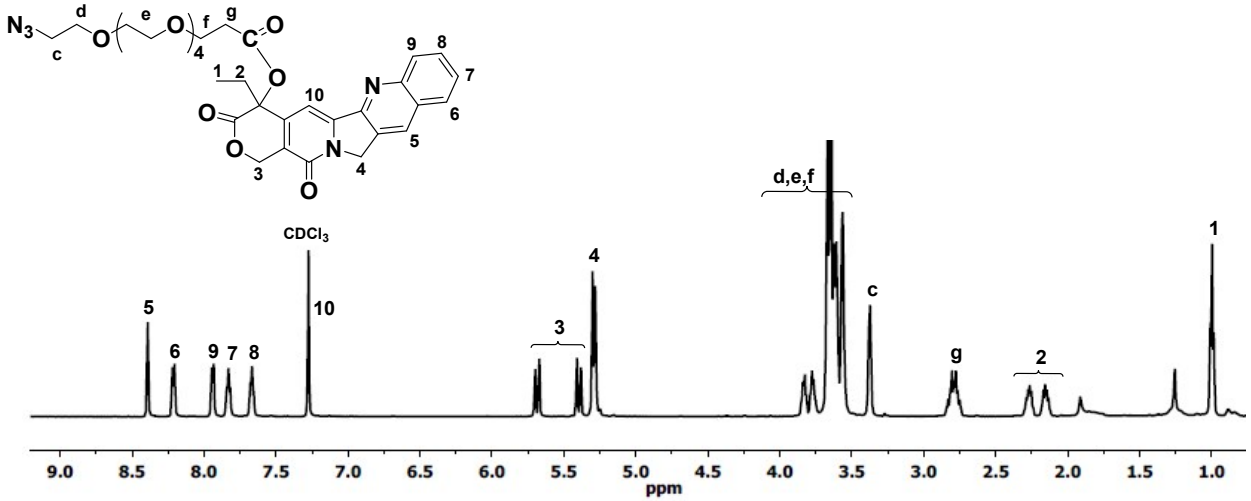

Figure S-3. ^{1}H NMR spectrum of CPT-APO in $CDCl_3$.δ (ppm) 8.37 (s, 1H), 8.20 (d, J=8.4 Hz, 1H), 7.93 (d, J= 7.9 Hz, 1H), 7.82 (t, J= 7.5Hz, 1H), 7.65 (t, J=7.5 Hz, 1H), 7.26 (s, 1H), 5.67 (d, J=16.9 Hz, 1H), 5.38 (d, J=17.0Hz, 1H), 5.27 (s, 2H), 3.81 (m, 1H), 3.76 (m, 1H), 3.54-3.66 (m, 18H), 3.36 (t, J=4.8 Hz, 2H), 2.77 (m, 2H), 2.25 (m, 1H), 2.14 (m, 1H), 0.98 (t, J =7.5Hz, 3H).

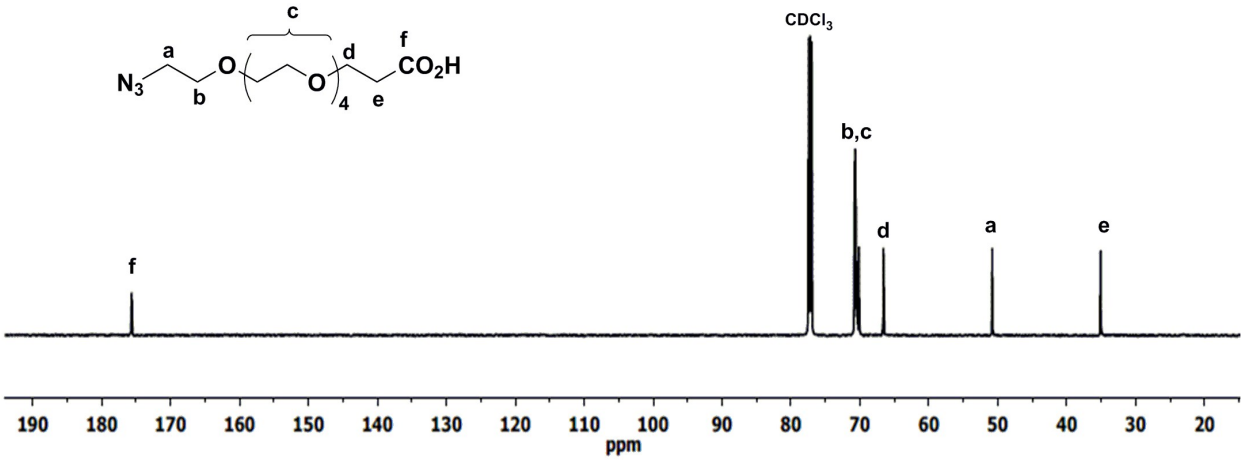

Figure S-4. ^{13}C NMR spectrum of APO in $CDCl_3$.

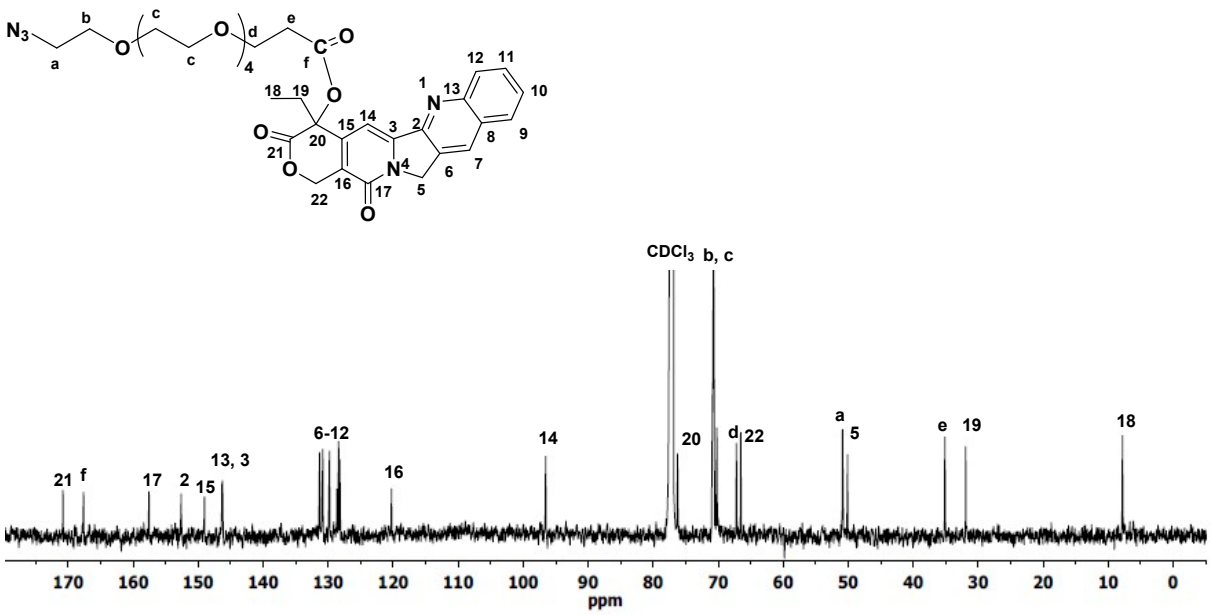

Figure S-5. ^{13}C NMR spectrum of CPT-APO in $CDCl_3$.

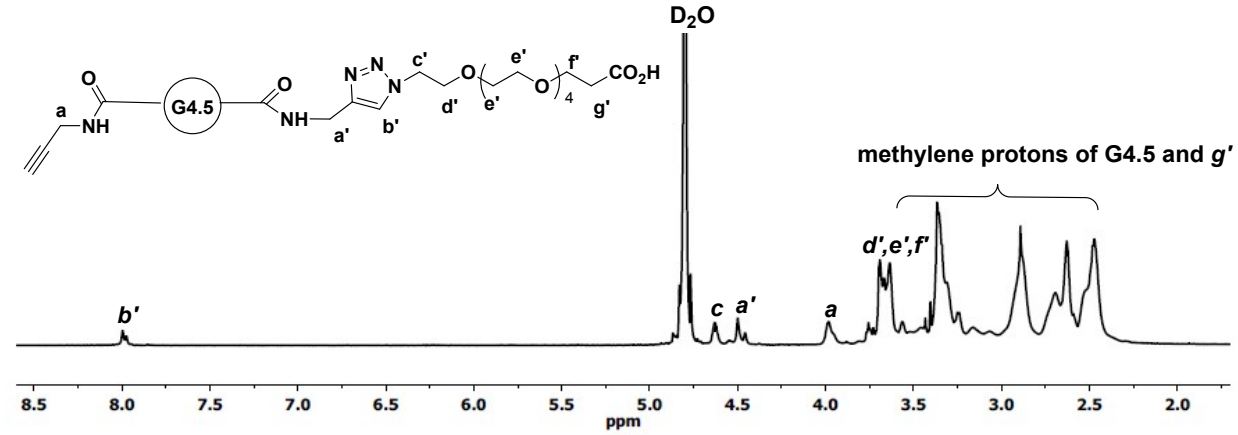

Figure S-6. Assessment of reactivity and accessibility of alkyne in G4.5-PPA for click reaction. To a water solution (4 mL) containing G4.5-PPA (8 mg, 0.34 μmol) and an excess amount of APO (14.6 mg, 43 μmol) was added $CuSO_4$ (5.4 mg, 22 μmol) followed by sodium ascorbate (8.6 mg, 43 μmol). The obtained mixture was stirred overnight at 55 ºC under nitrogen. After cooling down the reaction mixture was dialyzed against 5% aqueous solution of EDTA for 8 h, against water for 24 h and then freeze-dried. (D_2O, 600 MHz): δ (ppm) 7.99 (m, 1H), 4.63 (br.s, 2H), 4.49 (m, 2H), 3.99 (m, 2H), 3.55-3.79 (m, methylene protons of PEG repeat units), 2.32-3.47 (m, methylene protons of G4.5). The formation of the triazole linker was supported by the appearance of a signal at 7.99 ppm assigned to methine proton b'. Furthermore, a broad singlet at 4.63 ppm and proton signals in the range 3.55-3.79 ppm are assigned to the methylene protons (c') adjacent to the triazole ring and the methylene protons (d',e', and f') of PEG, respectively. The simultaneous presence of multiplet at 4.49 ppm (a') assigned to methylene protons adjacent to the triazole ring and broad singlet at 3.99 ppm (a) assigned to the unreacted acetylene groups indicates not all alkynes on the dendrimer surface are available for click reaction. Proton NMR

integrations showed that an average of 17 alkyne groups were successfully click coupled with APO. With this analysis result as a guide, the feed molar ratio (17:1) of CPT to dendrimer was used in the click reaction for drug-dendrimer coupling.

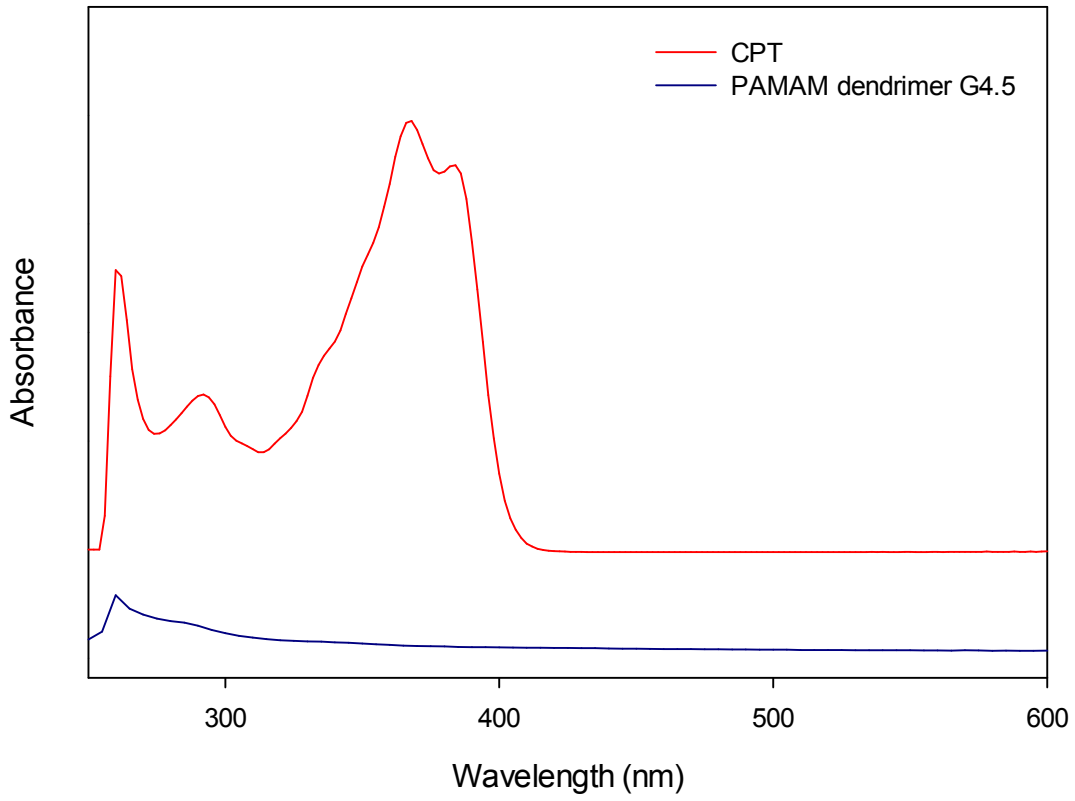

Figure S-7. UV-Vis spectra of CPT and PAMAM dendrimer G4.5 in DMSO. In contrast to PAMAM dendrimer G4.5, CPT shows a distinct absorption peak at 370 nm, which was used for estimation of CPT loading on the dendrimer surface.