Supporting information

Camptothecin-based dendrimersomes for gene delivery and redox-responsive drug delivery to cancer cells

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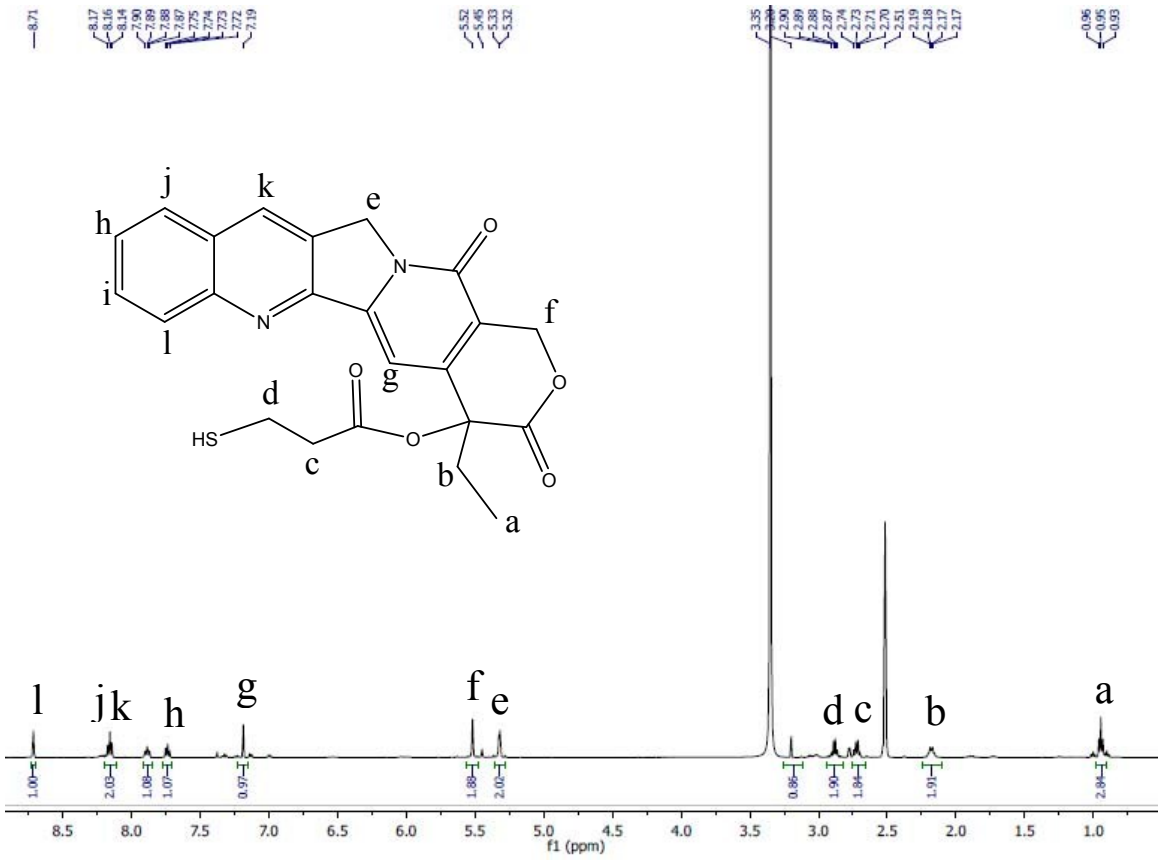

Figure S1. [1]H-NMR spectrum of thiolated camptothecin CPT-SH (in DMSO-d6, 500 MHz).

[1]H NMR (500 MHz, DMSO-d6, δ ppm): 8.71 (s, 1H), 8.16 (m, 2H), 7.87-7.90 (m, 1H), 7.72-7.75 (m, 1H), 7.19 (s, 1H), 5.52 (s, 2H), 5.32 (s, 2H), 3.20 (m, 1H), 2.87-2.90 (m, 2H), 2.70-2.74 (m, 2H), 2.17-2.19 (m, 2H), 0.93-0.96 (t, 3H).

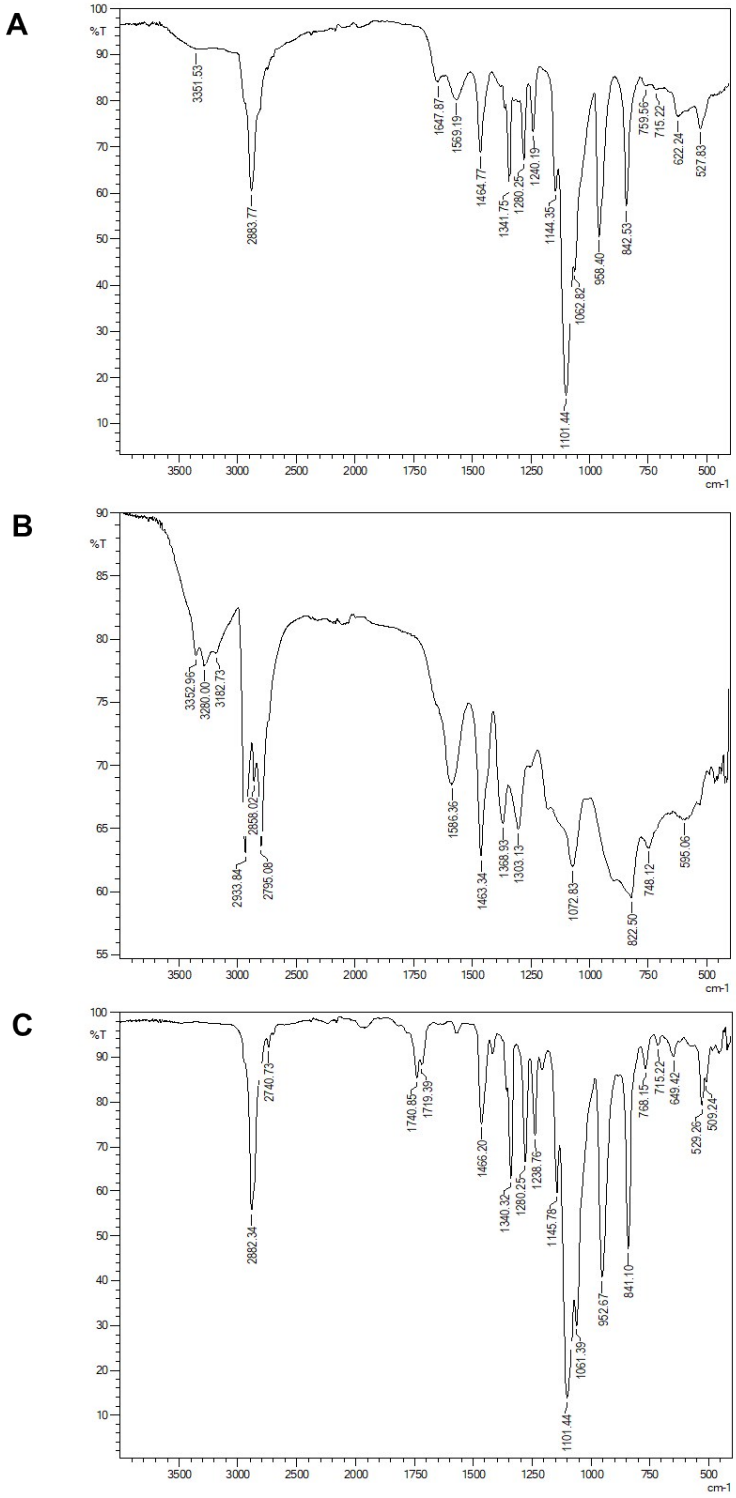

Figure S2. FTIR spectrum of CPT-bearing PEGylated DAB dendrimer (DPSSC) (A), DAB (B), and OPSS-PEG-SCM (C)

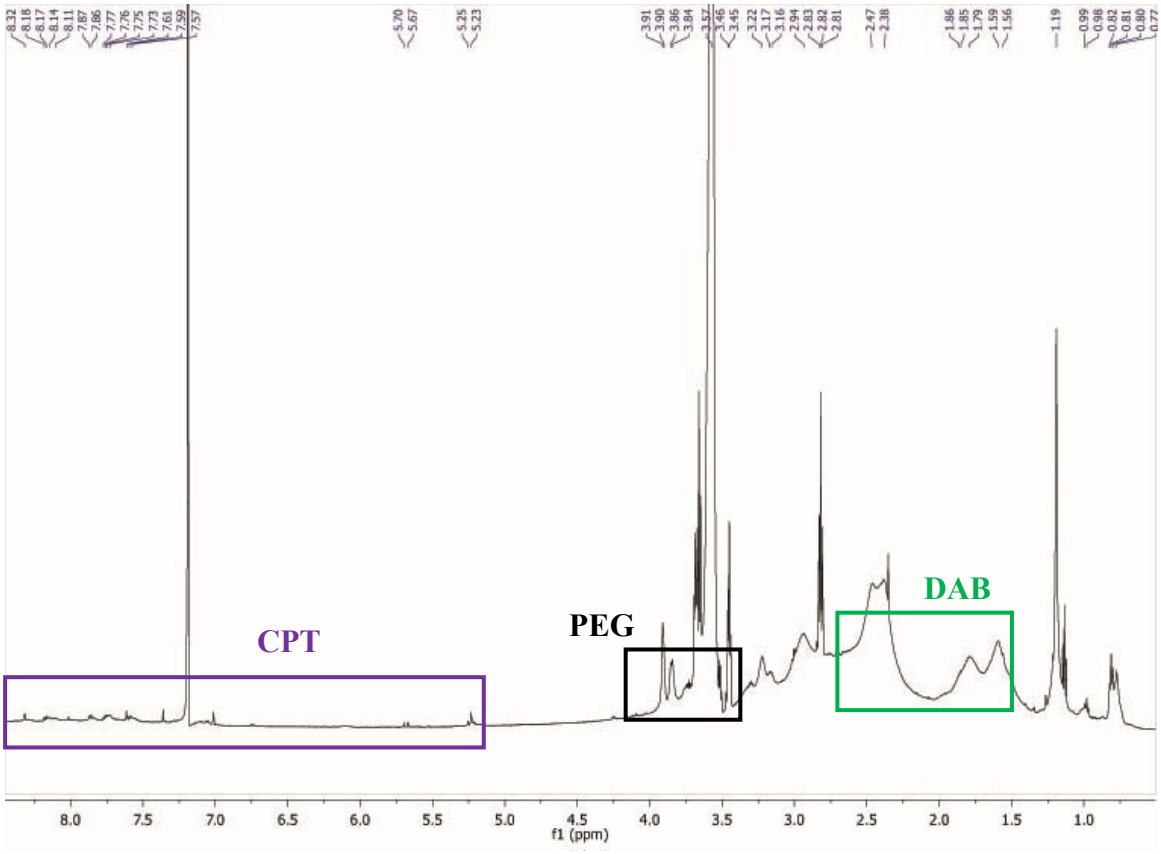

Figure S3. ^{1}H-NMR spectrum of DPSSC dendrimer ($CDCl_3$, 600 MHz).

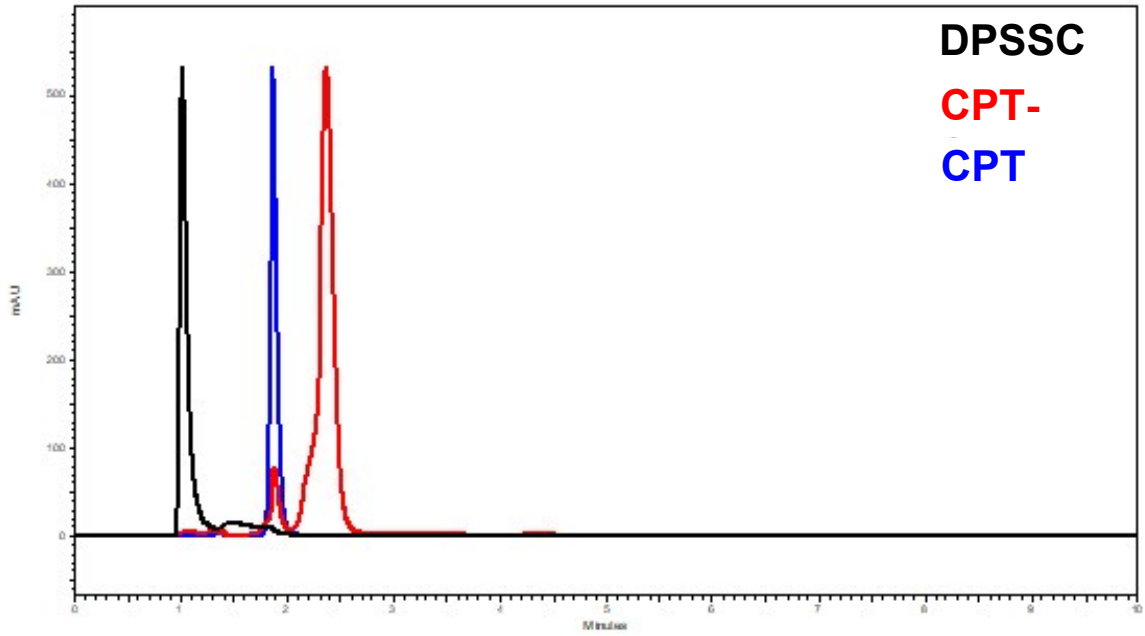

Figure S4. HPLC chromatogram of DPSSC (controls: CPT and CPT-SH)

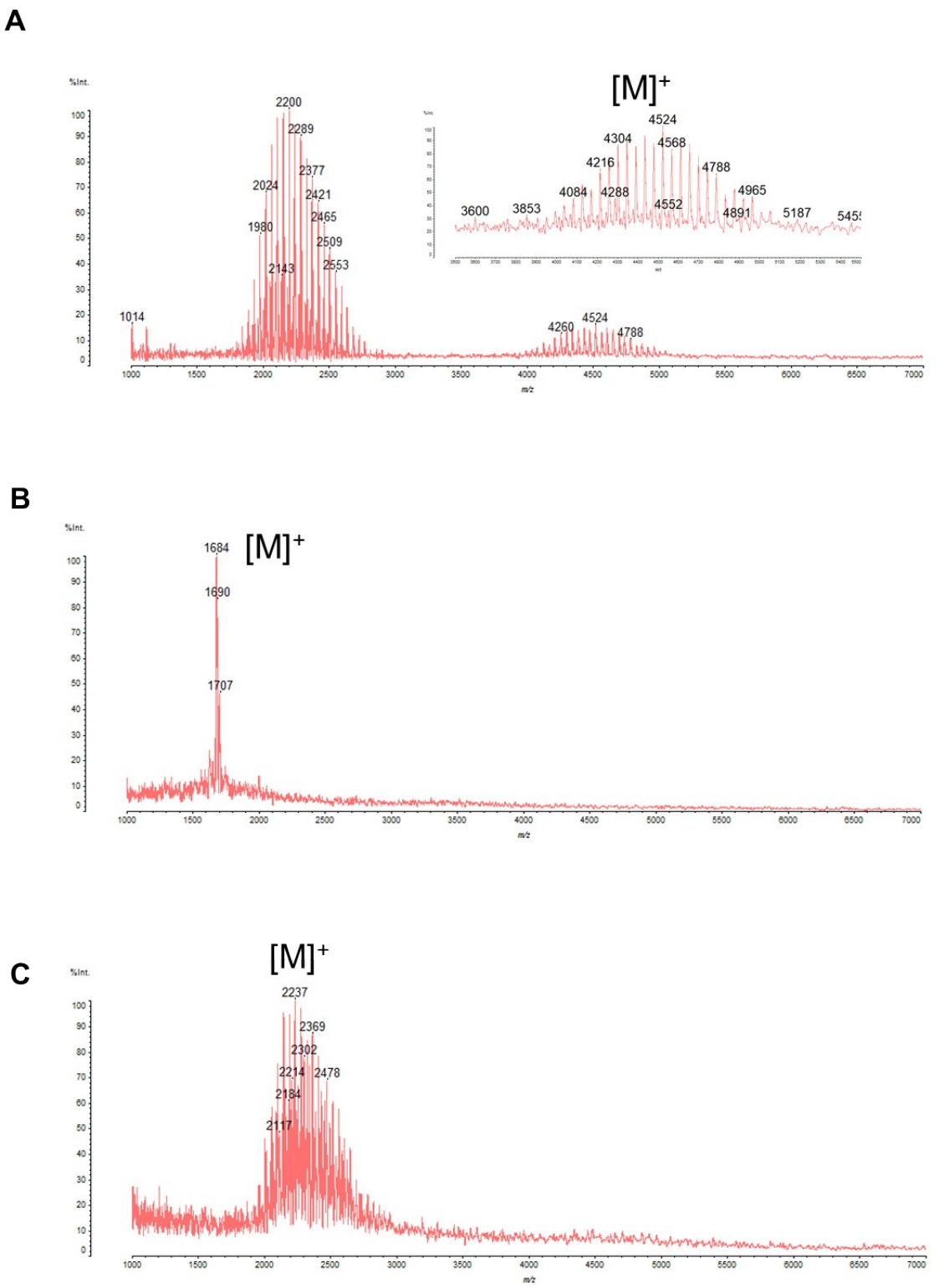

Figure S5. MALDI-TOF MS spectra of DPSSC (A), DAB (B) and OPSS-PEG-SCM (C)

S1. CPT loading calculation

The CPT loading in DPSSC was calculated as the weight of conjugated CPT expressed as a percentage of the total average molecular weight:

CPT loading (%) = $\{(n \times MW_{conjugated\ CPT})/[M]^{+}\} \times 100$

Where n is the number of CPT conjugated to modified dendrimer, $MW_{conjugated\ CPT}$ is the molecular weight of conjugated CPT (347.35 g/mol) and $[M]^{+}$ is the average molecular weight of the modified dendrimer (4480 g/mol), as obtained from MALDI-TOF mass spectrometry analysis.

CPT loading in DPSSC (%) = {(1 x 347.35)/4480} x 100 = 7.75%

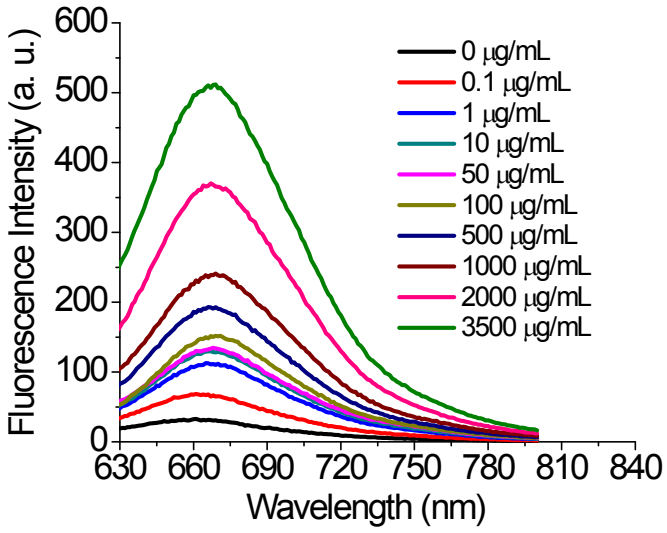

Figure S6. Fluorescence spectra of Nile Red in presence of DPSSC at various concentrations in phosphate buffer (pH 7.4)

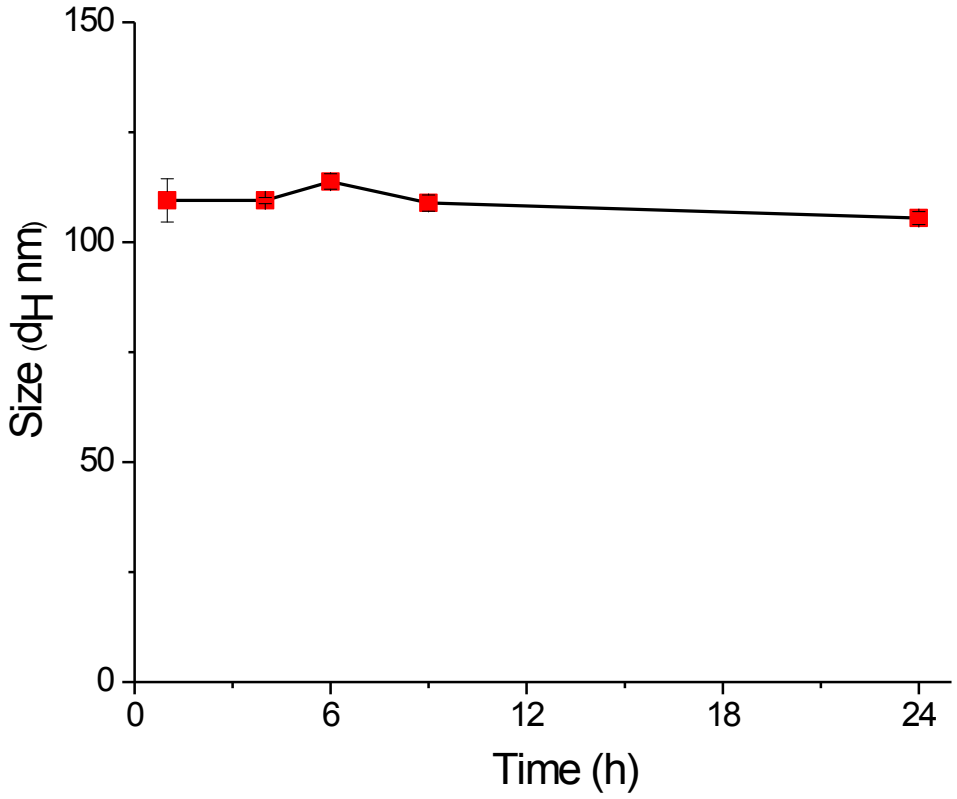

Figure S7. Size of DPSSC (2 mg/mL) incubated in presence of complete medium containing 10% FBS at 37 ^{o}C at various time intervals (n=3).

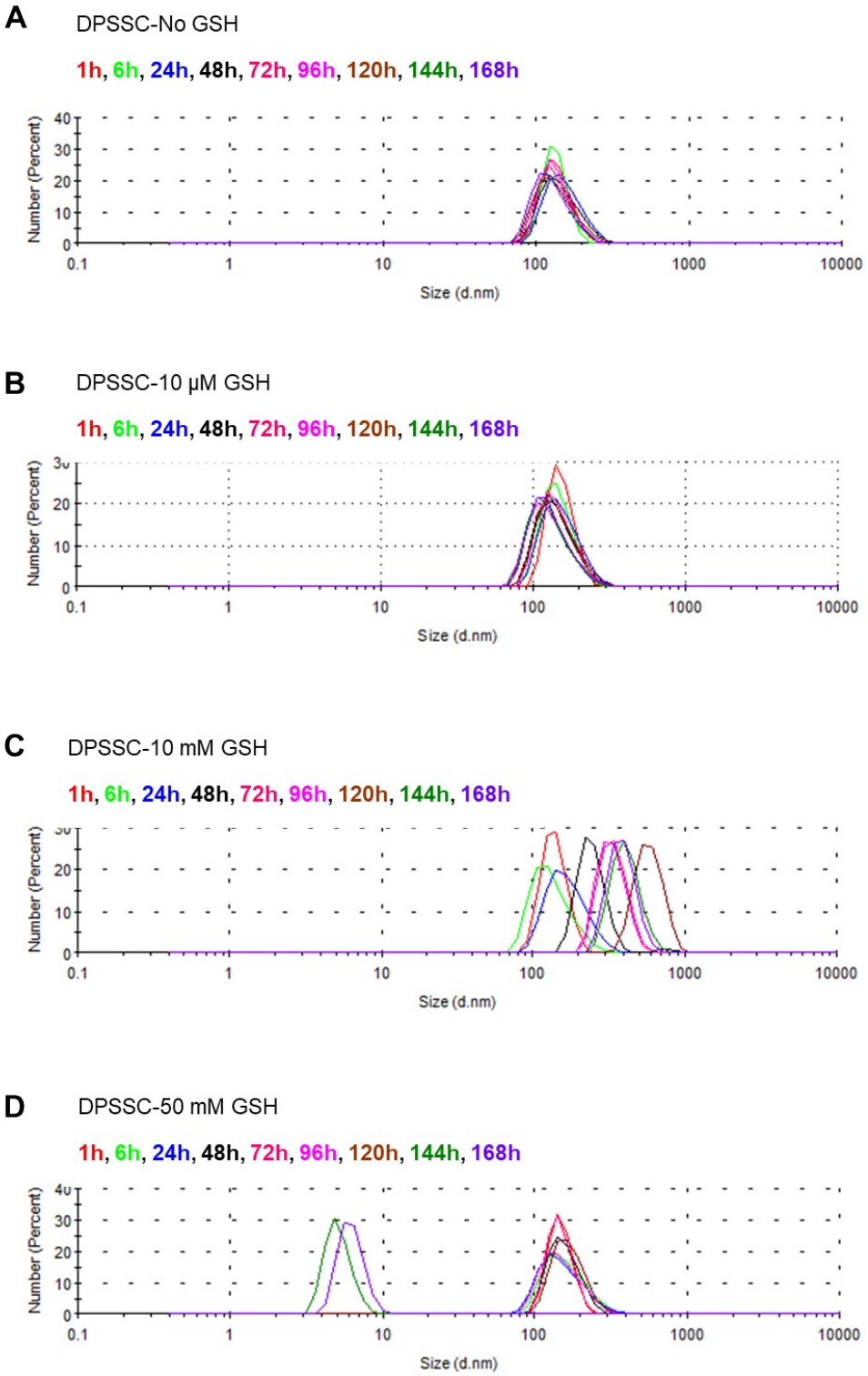

Figure S8. Size distribution of DPSSC (1 mg/mL, phosphate buffer (pH 7.4) in presence of various GSH concentrations: 0 (A), 10µM (B), 10mM (C) and 50mM (D)

Table S1. Zeta potential of DPSSC (1 mg/mL, phosphate buffer of pH 7.4) incubated in presence of various GSH concentrations (0, 10 µM, 10 mM and 50 mM) at 37 ^{o}C after different time intervals (n=3)

DPSSC solutions (1 mg/mL)	Zeta Potential (mV)	
	After 6 h	After 7 days
No GSH	4.21 ± 0.65	4.97 ± 0.49
10 µM GSH	NA	4.09 ± 0.64
10 mM GSH	NA	0.46 ± 0.06
50 mM GSH	NA	23.1 ± 2.55

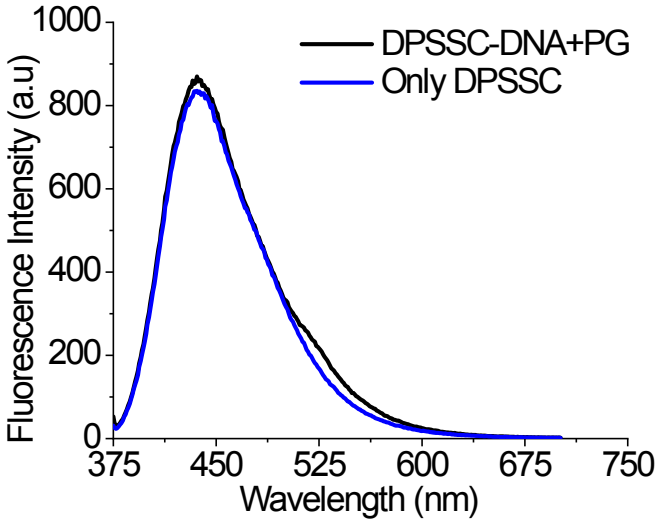

Figure S9. Fluorescence emission spectra (λ_{exc}: 365 nm) of DPSSC complexed with DNA at DPSSC: DNA weight ratio of 20:1, in presence of PicoGreen (PG) (control: DPSSC only (200 μg/mL)). The amount of DNA was fixed at 10μg for each complex.

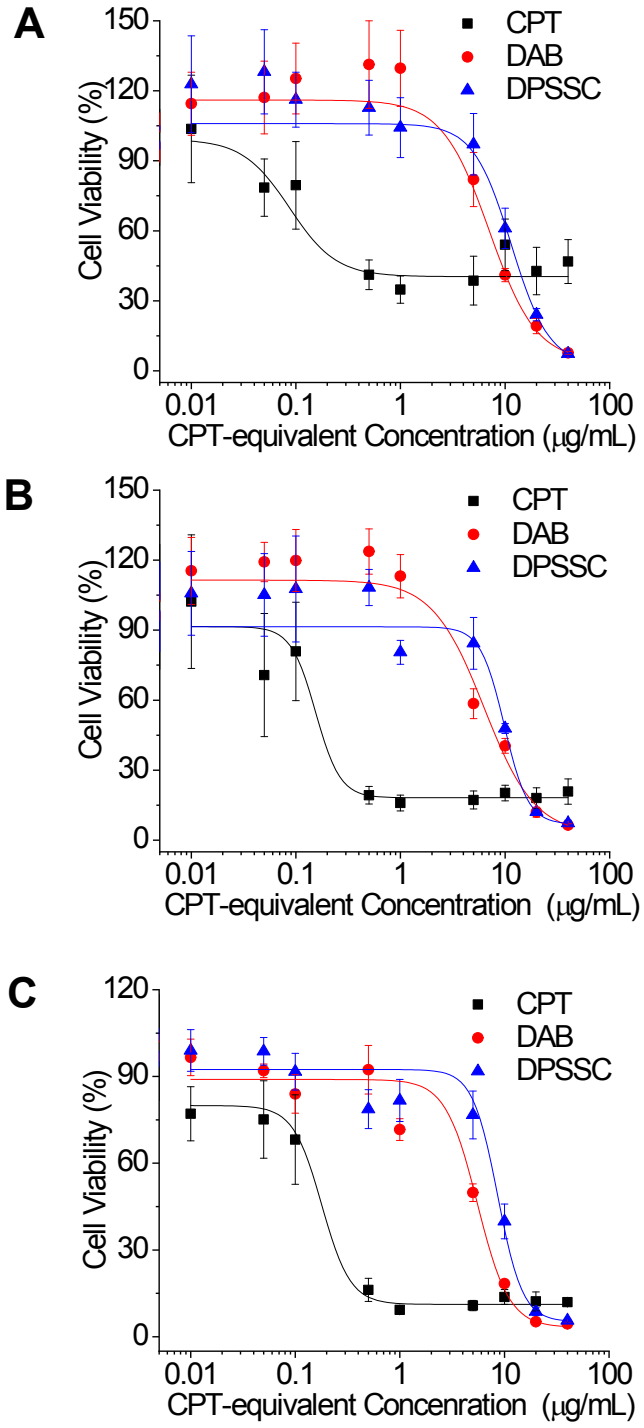

Figure S10. Cell viability of DPSSC, DAB and free CPT on PC3-Luc human prostate cancer cells at various concentrations after 24 (A) 48 (B) and 72 h (C) of incubation. The data points are mean ± SD. (n = 5).

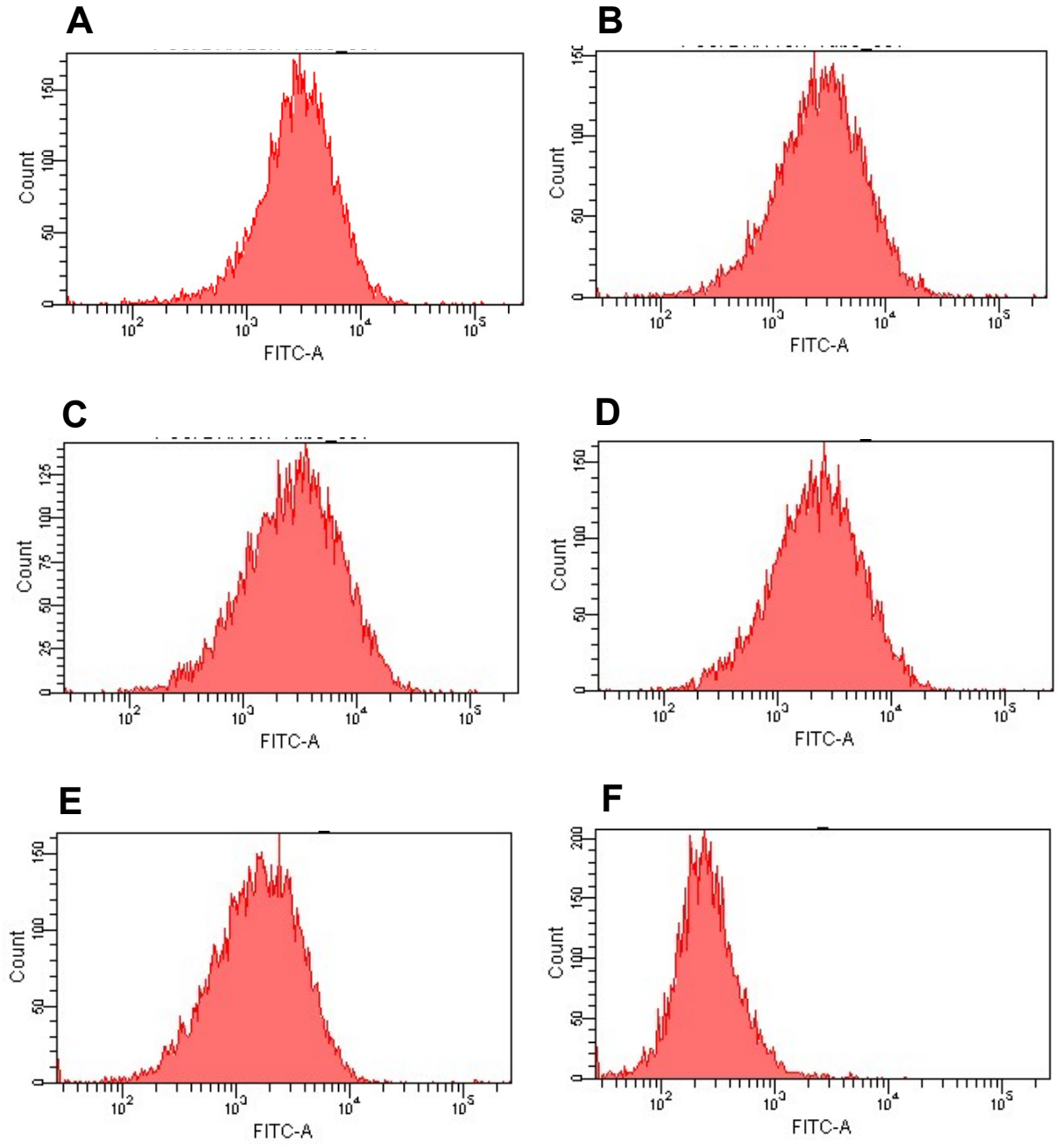

Figure S11. Flow cytometry histograms of PC3-Luc cells following 2 hours incubation with DPSSC-DNA complexes (dendrimer: DNA weight ratios: 20:1 (A), 10:1 (B), 5:1 (C) and 10:1 (D)) (controls: DAB dendriplex (dendrimer: DNA weight ratio 5:1 (E)) and DNA solution (F))