Supporting information

Redox-sensitive, cholesterol-bearing PEGylated poly(propyleneimine)-based dendrimersomes for drug and gene delivery to cancer cells

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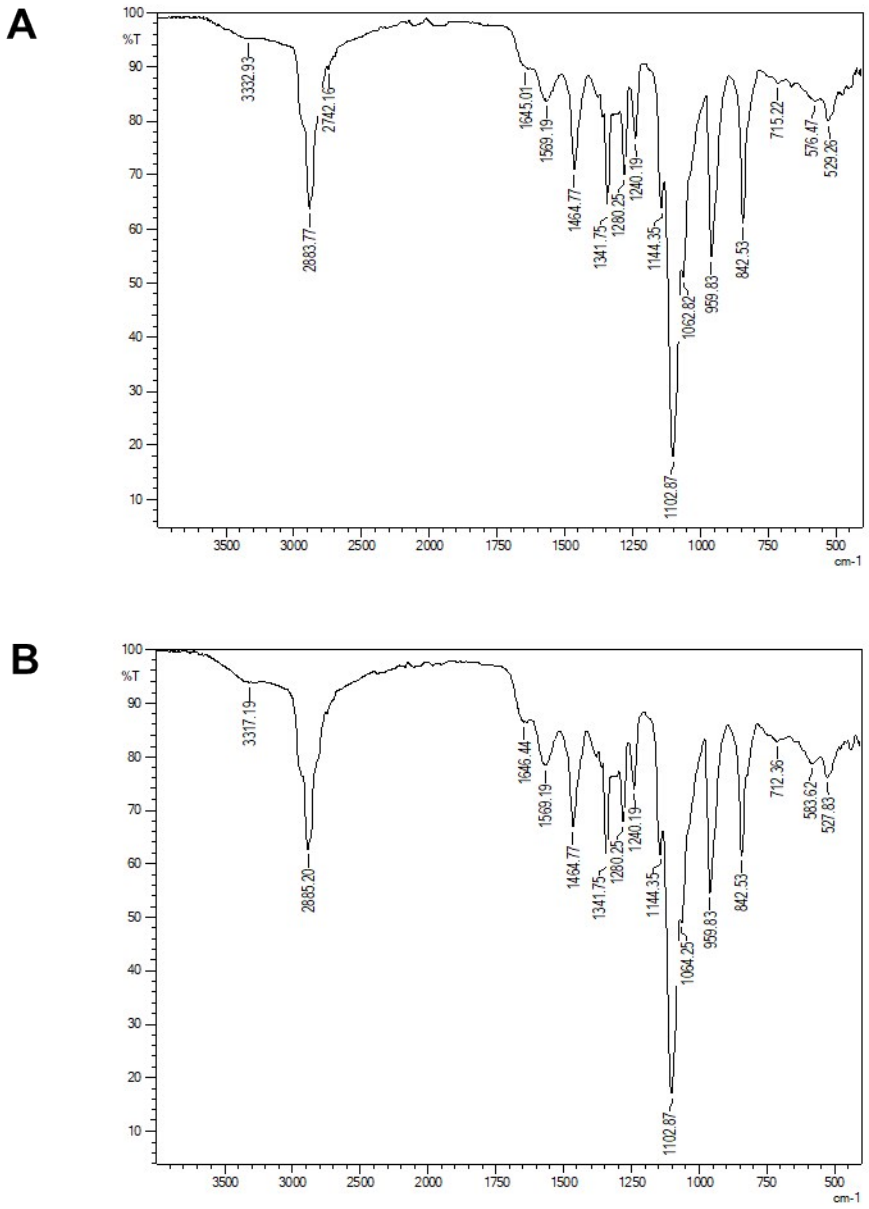


Figure S1. FTIR spectra of (A) low-cholesterol and (B) high-cholesterol dendrimers

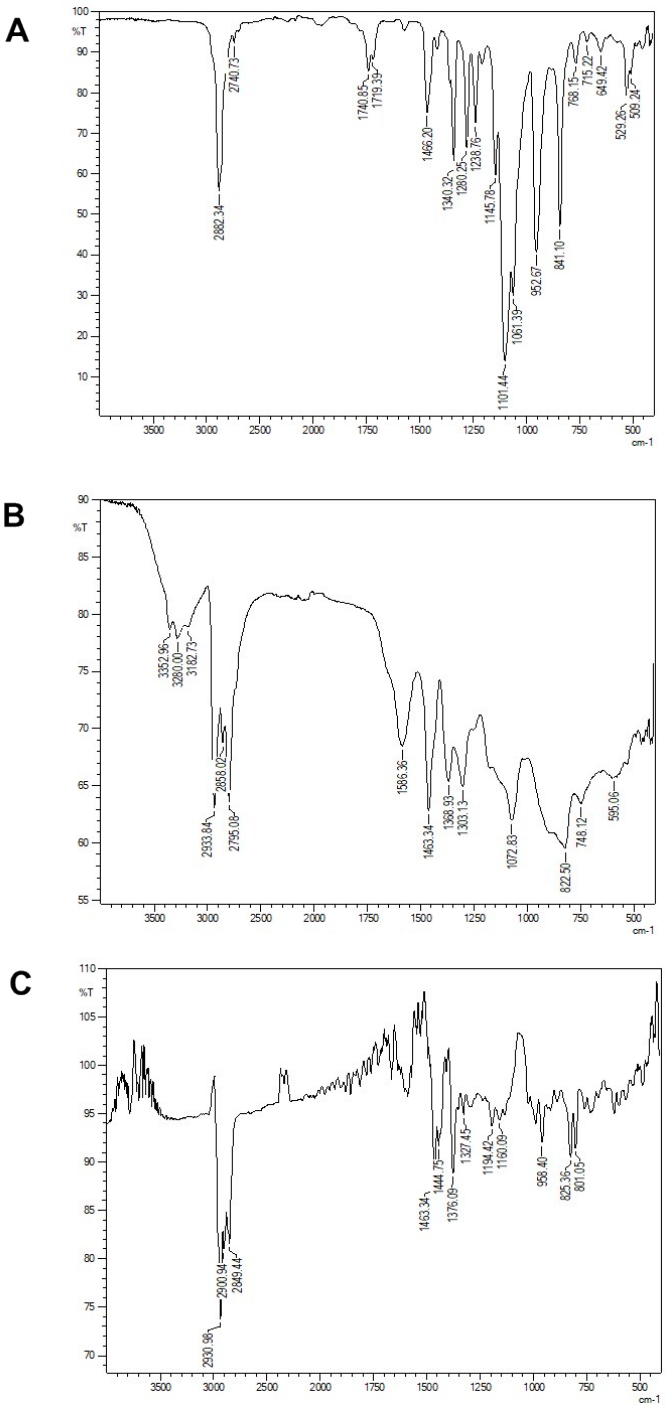
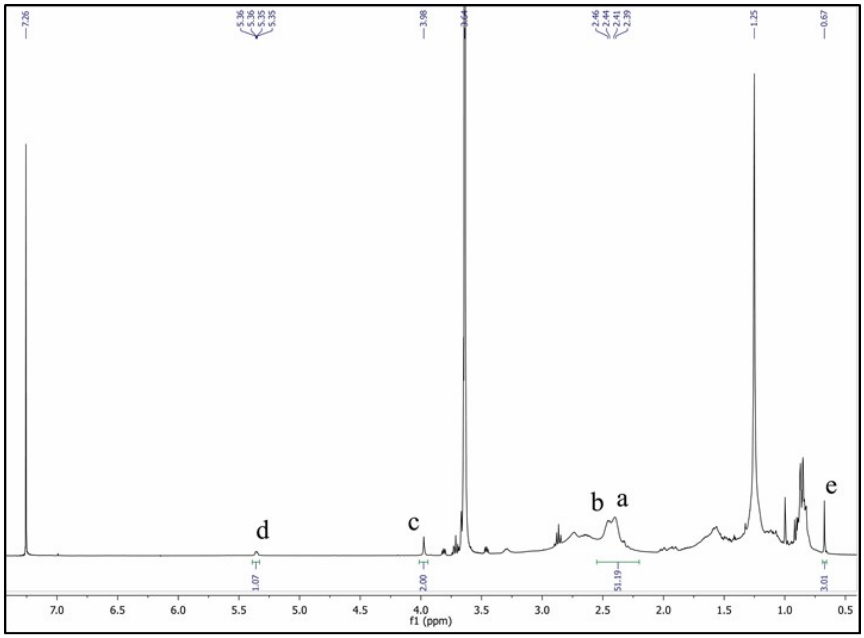


Figure S2. FTIR spectra of (A) OPSS-PEG-SCM (B) DAB dendrimer and (C) thiocholesterol

A



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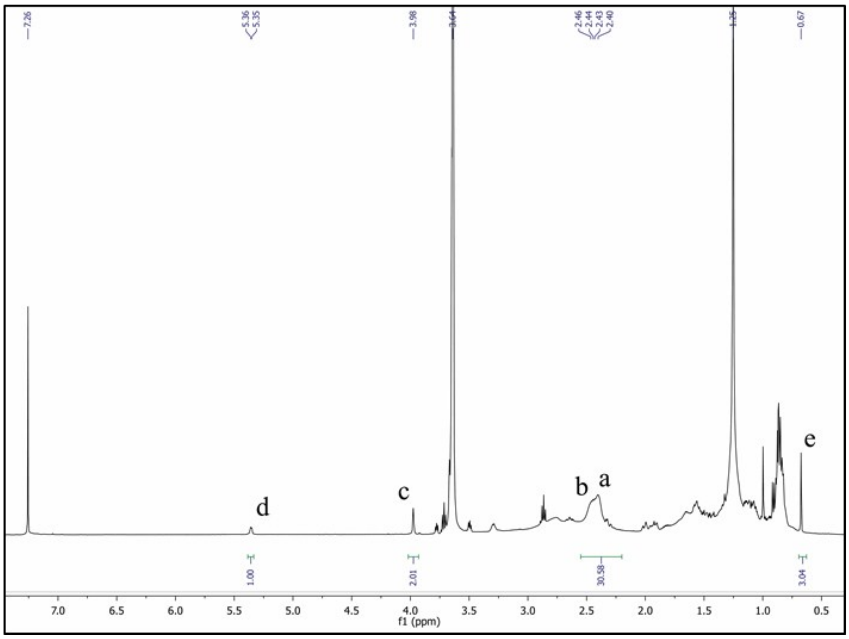

Figure S3. ^{1}H-NMR spectrum of low-cholesterol (A) and high-cholesterol (B) dendrimer (in $CDCl_3$, 500 MHz).

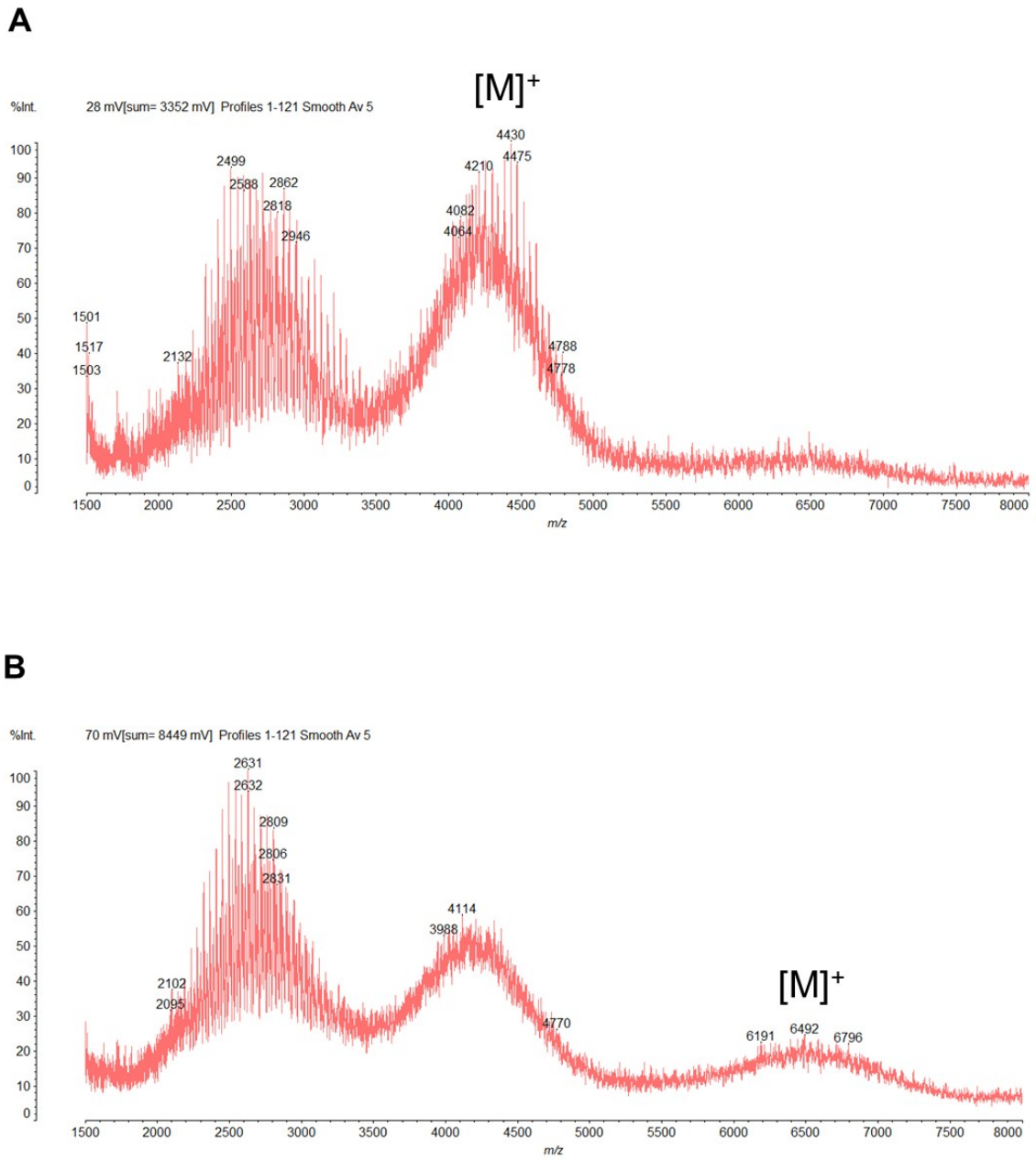

Figure S4. MALDI-TOF MS spectra of low-cholesterol (A) and high-cholesterol dendrimers (B)

Section S1. Lipid loading Calculations

The amount of lipid or cholesterol (CHOL) loading to modified dendrimer is calculated as the weight of conjugated CHOLSH as a percentage of the total average molecular weight:

Lipid-loading (%) = {(n x 401.72)/$[M]^+$}x 100

Where, n is the number of cholesterol conjugated to modified dendrimer and $[M]^+$ is the average molecular weight of the modified dendrimers analyzed from MALDI-TOF MS.

Low-cholesterol dendrimer (DPSCL), lipid loading (%) = {(1 x 401.72)/4210} x 100 = 9.54%

High-cholesterol dendrimer (DPSCH), lipid loading (%) = {(2 x 401.72)/6492} x 100 = 12.37%

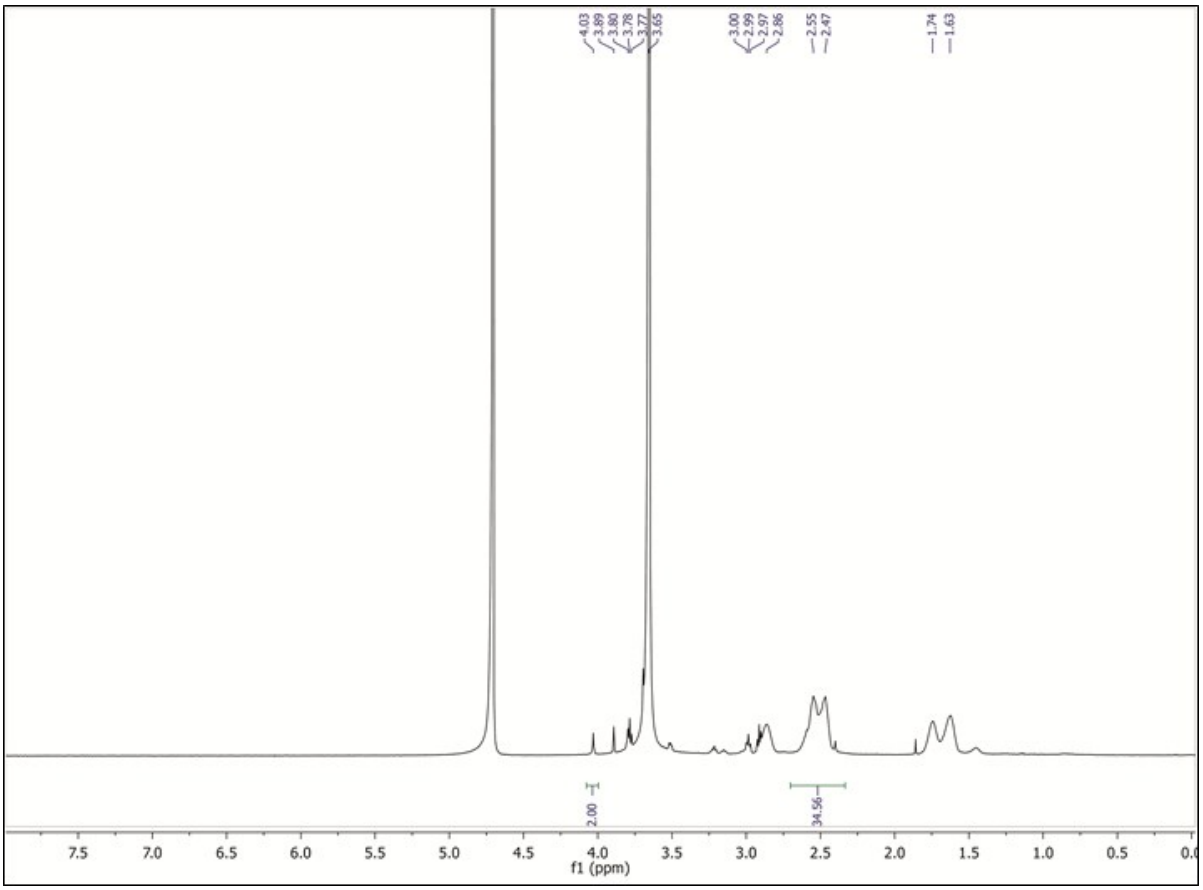

Figure S5. ^{1}H-NMR spectrum of high-cholesterol dendrimer (in D_2O, 500 MHz)

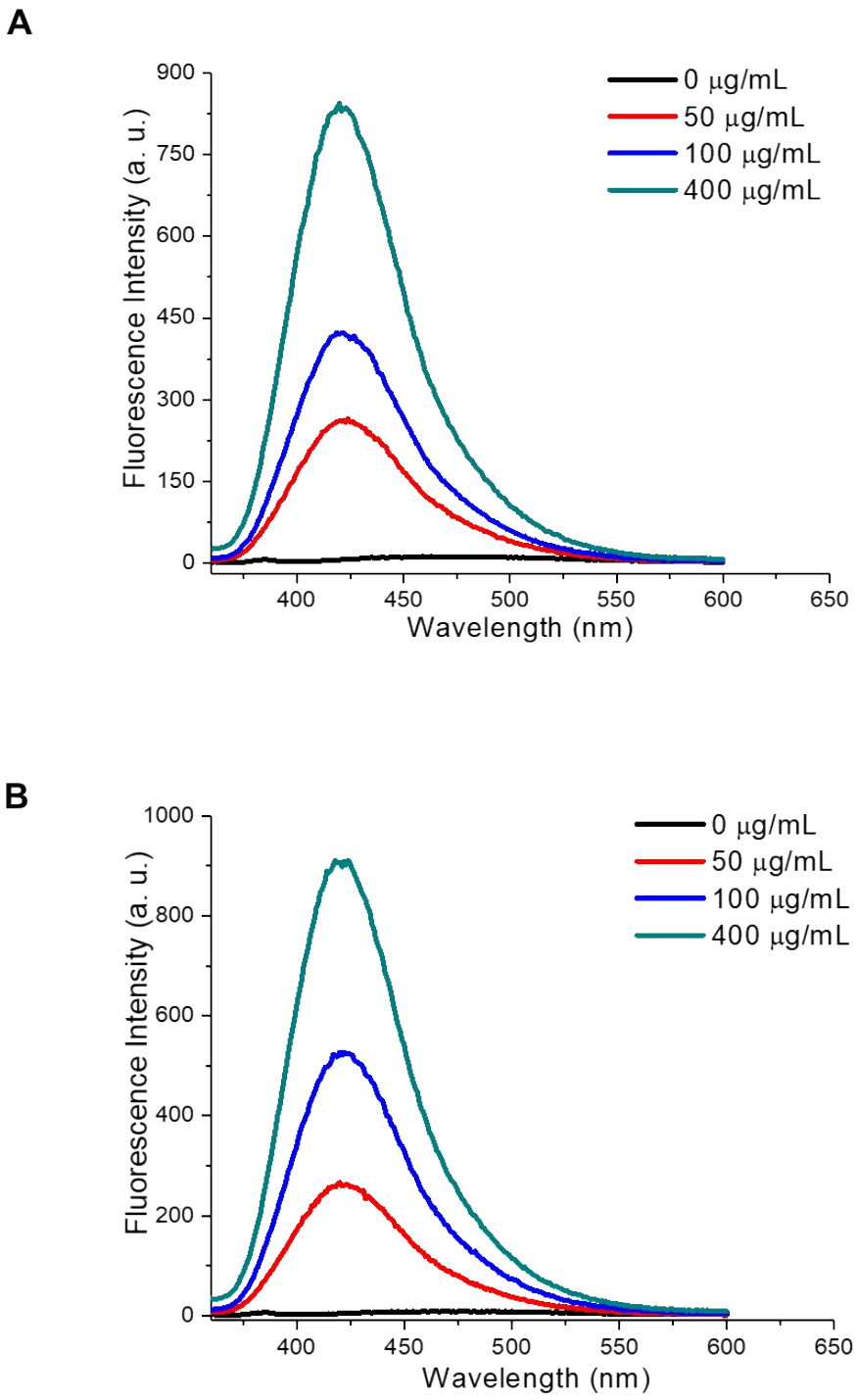

Figure S6. Fluorescence spectra of N-Phenyl-1-naphthylamine in presence or absence of low-cholesterol (A) and high-cholesterol (B) dendrimer dispersions at various concentrations in PBS buffer (pH 7.4)

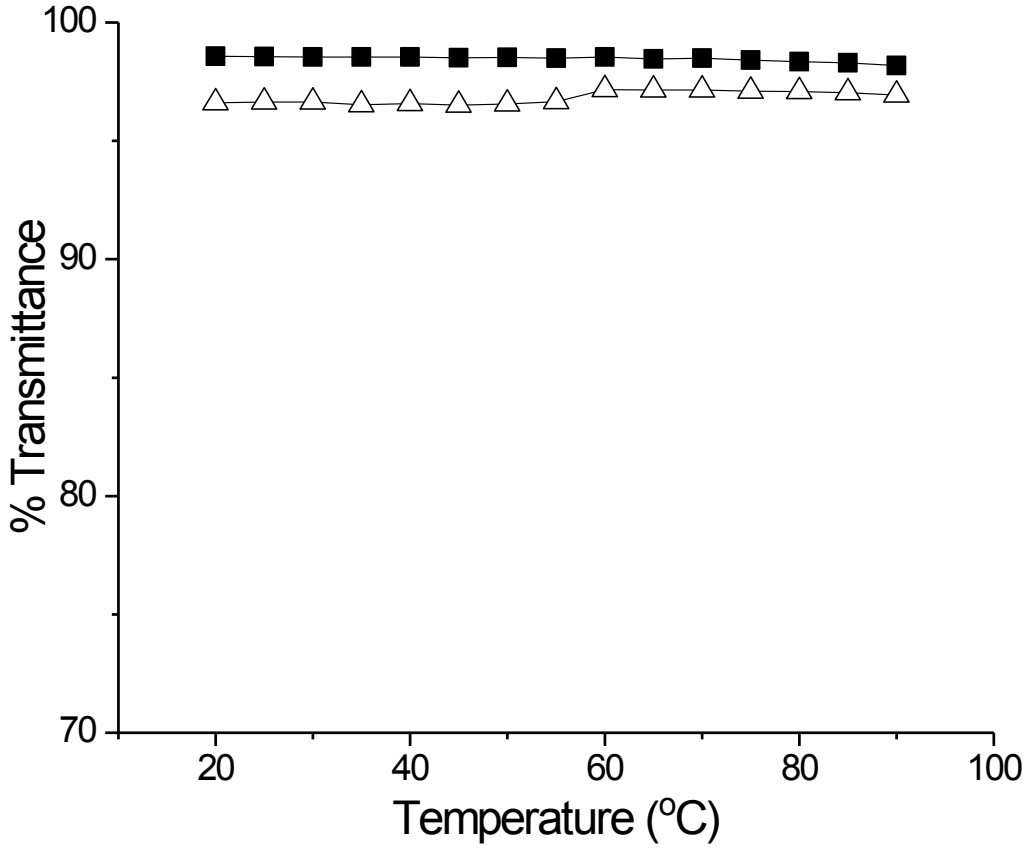

Figure S7. Transmittance of low-cholesterol (■) and high-cholesterol (○) dendrimer-based vesicles in function of temperature (400 μg/mL, pH 7.4).

Table S1. Results of phase transition analysis for low-cholesterol (DPSCL) and high-cholesterol (DPSCH) vesicles by DSC

Dendrimer	Heating cycle	Endotherm Onset T (°C)	Endotherm Peak T (°C)	Endotherm enthalpy (J/g)
DPSCL	1st	-0.8	0.8	-351.5
	2nd	-0.8	0.8	-343.5
DPSCH	1st	-0.6	-0.2	-2.03
	2nd	-0.6	-0.2	-10.26

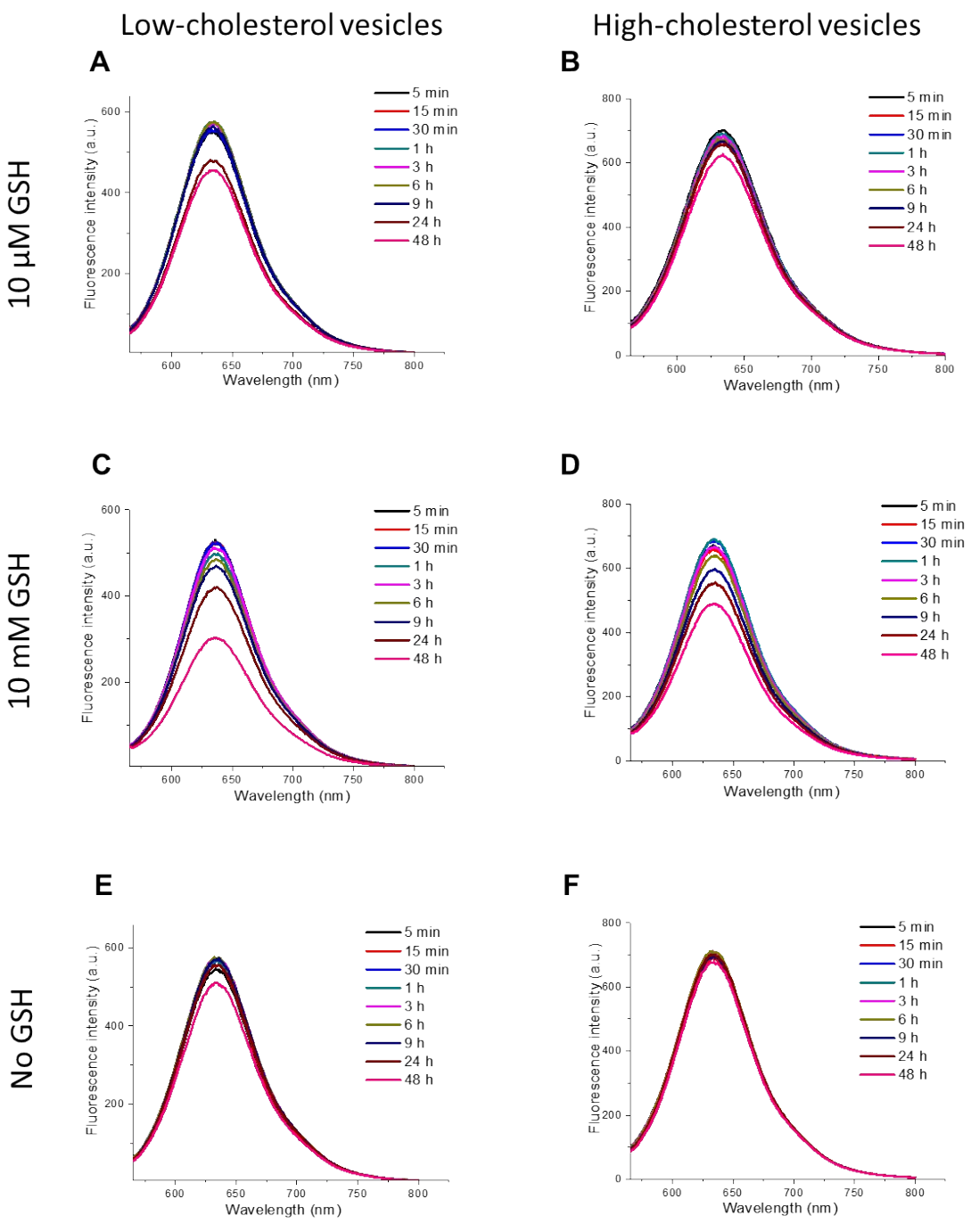

Figure S8. Fluorescence emission spectra of Nile Red entrapped in low-cholesterol (A, C, E) and high-cholesterol (B, D, F) dendrimer-based vesicles (100 µg/mL in PBS, pH 7.4) in presence of glutathione (10 µM (A, B) and 10 mM (C, D)) at various time intervals (control: no glutathione) (E, F)

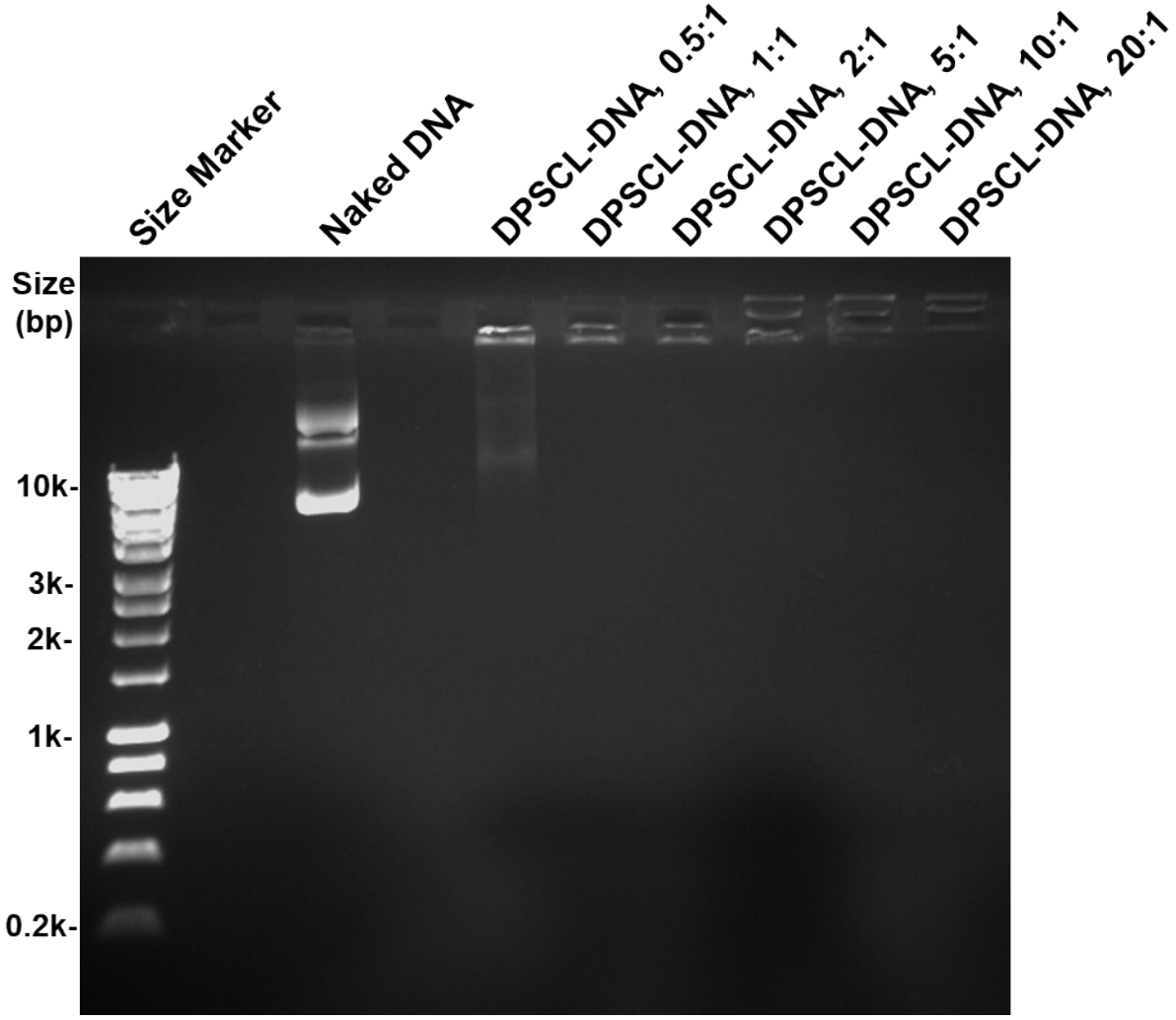

Figure S9. Gel retardation assay of low-cholesterol DAB (DPSCL) dendriplexes at various dendrimer: DNA weight ratios (0.5:1, 1:1, 2:1, 5:1, 10:1,20:1)

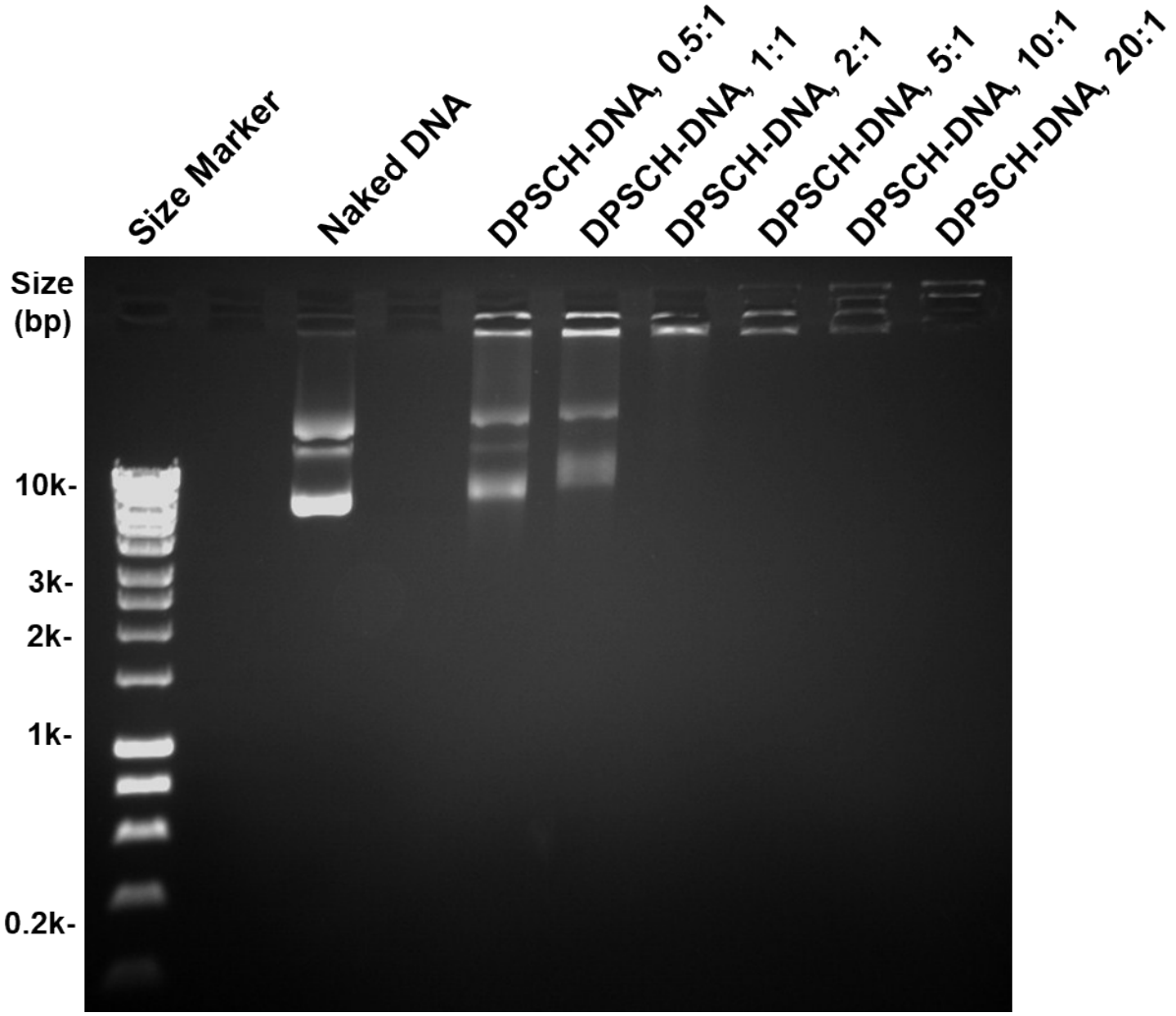

Figure S10. Gel retardation assay of high-cholesterol DAB (DPSCH) dendriplexes at various dendrimer: DNA weight ratios (0.5:1, 1:1, 2:1, 5:1, 10:1, 20:1)

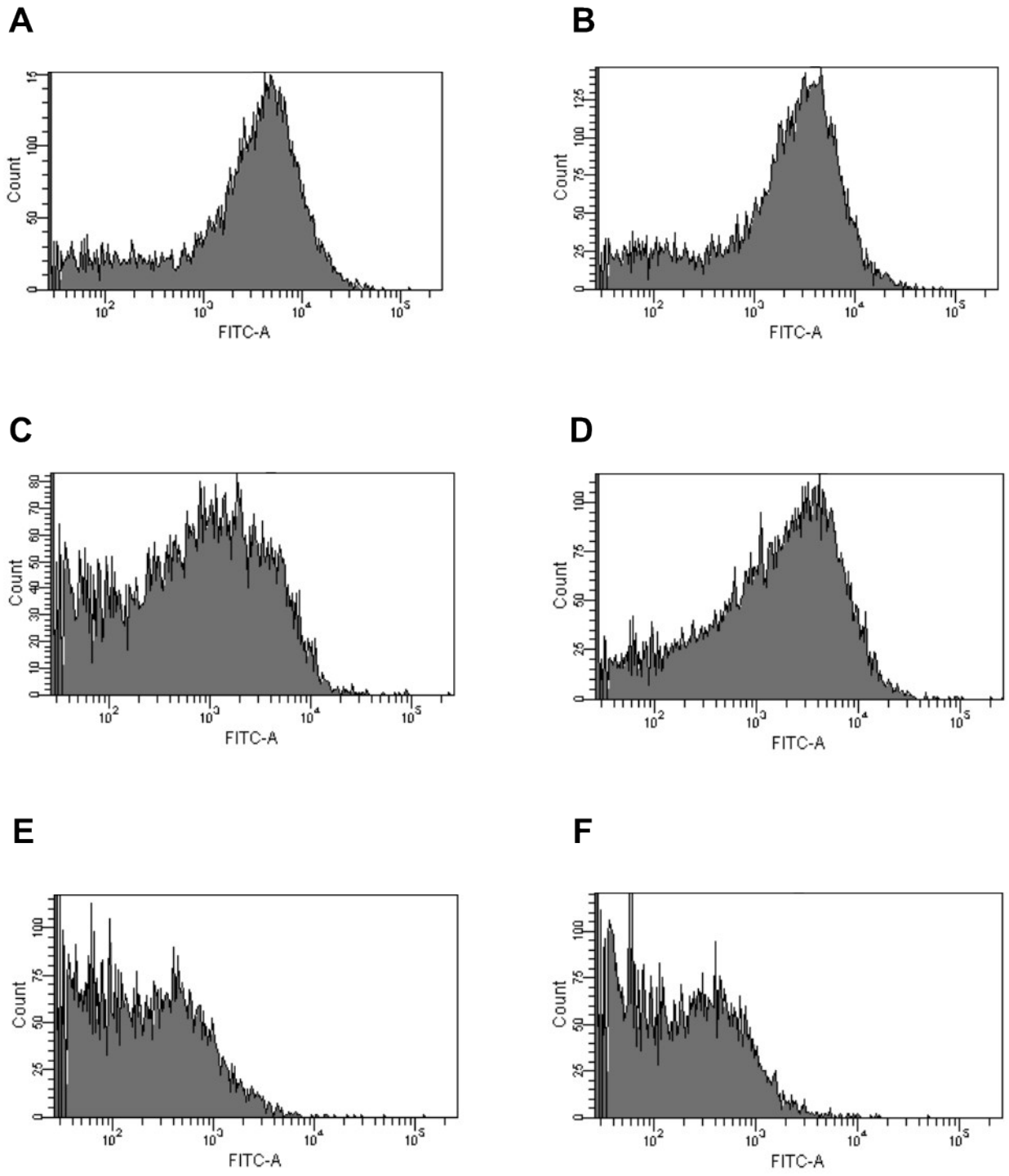

Figure S11. Flow cytometry histograms of PC3-Luc cells following 2 hours incubation with low-cholesterol dendriplex (dendrimer: DNA weight ratio 5:1 (A) or 10:1 (B)), high-cholesterol dendriplex (dendrimer: DNA weight ratio 5:1 (C) or 10:1 (D)) (Controls: DAB dendriplex (5:1) (E), DNA solution (F))