Supporting Information

Acid-Active Supramolecular Anticancer Nanoparticles Based on Cyclodextrin Polyrotaxanes Damaging both Mitochondria and Nuclei of Tumor Cells

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Figure S1. The synthetic route of MGMA

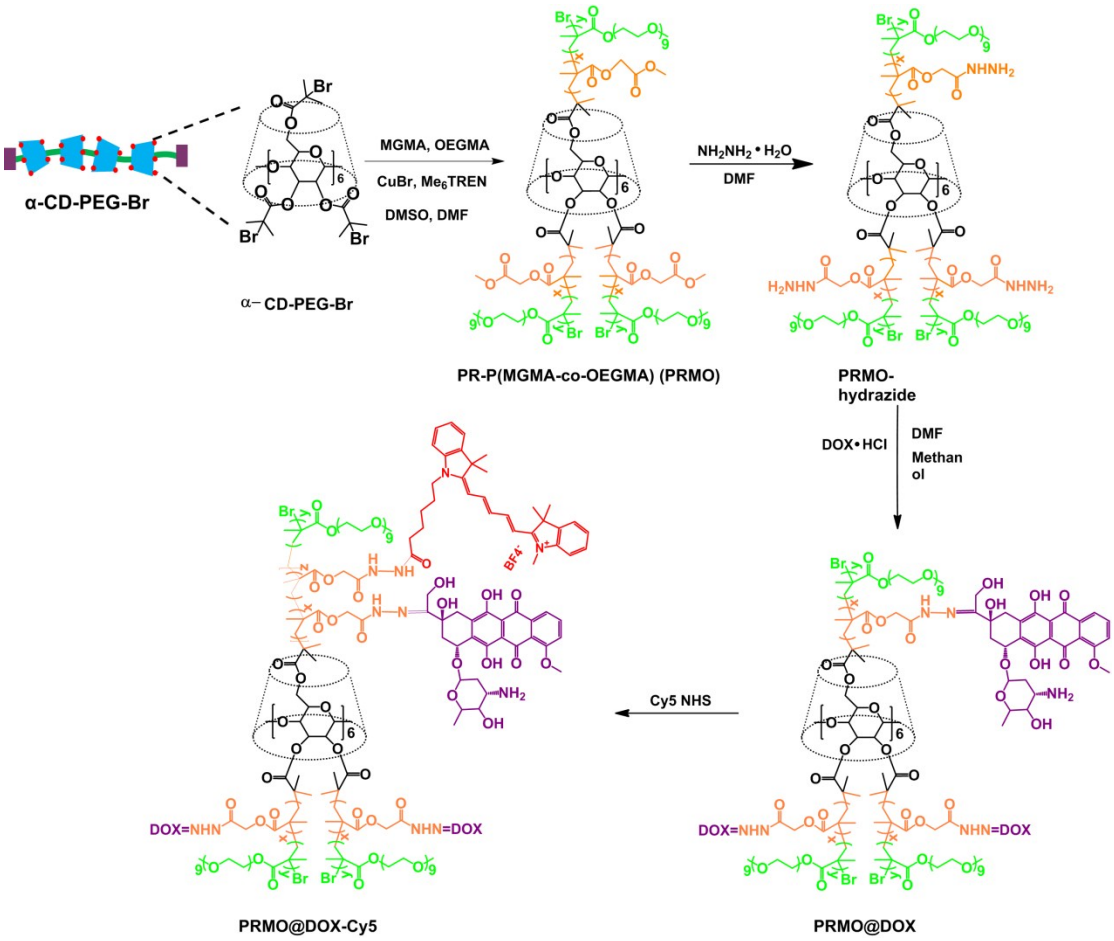

Figure S2. The synthetic route of PRMO@DOX and PRMO@DOX-Cy5.

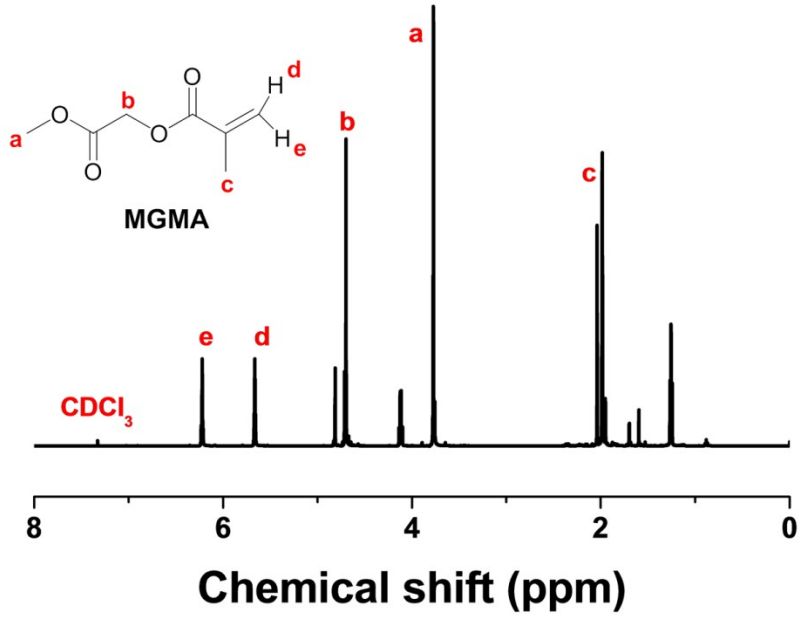

Figure S3. ^{1}H NMR spectrum of MGMA monomer.

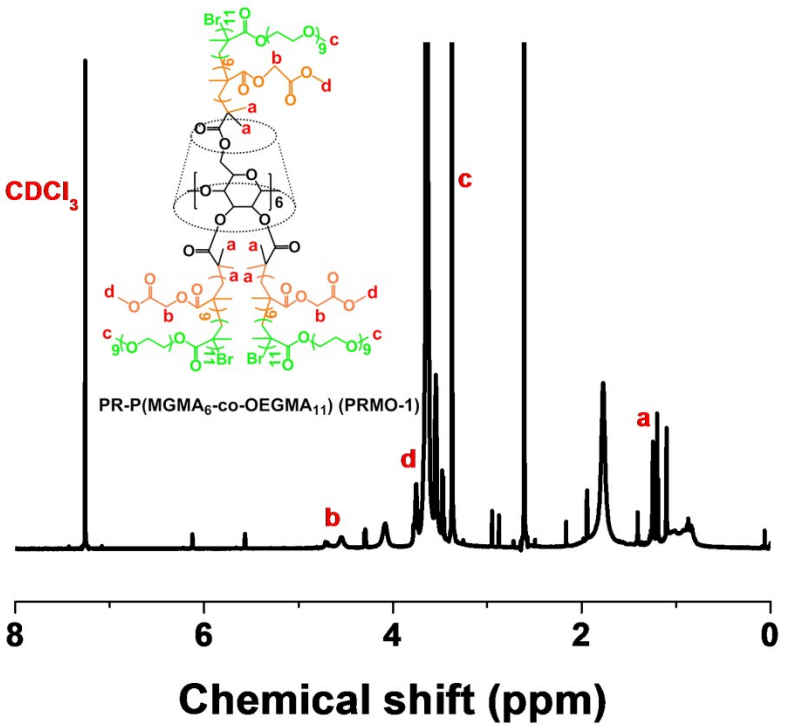

Figure S4. ^{1}H NMR spectrum of PRMO-1.

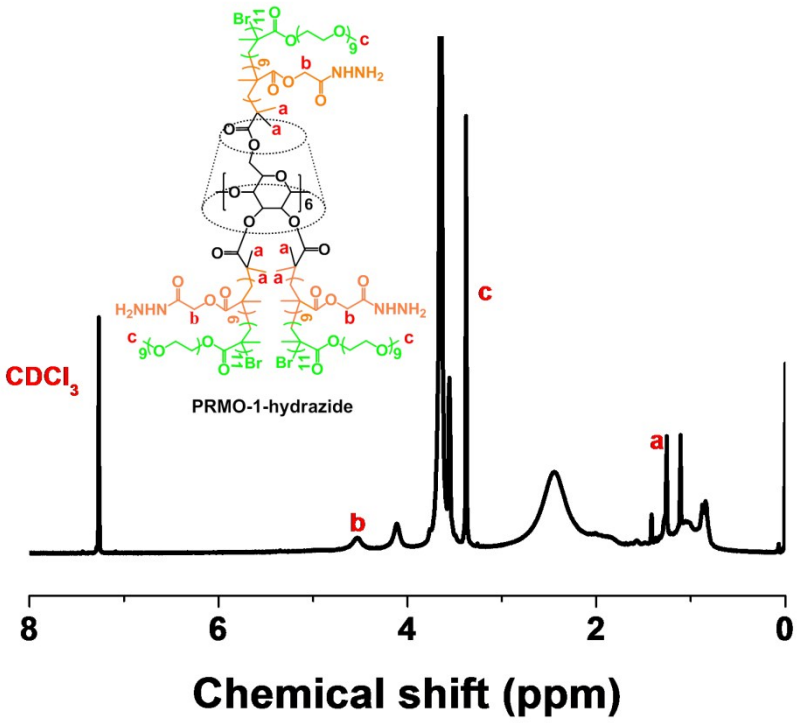

Figure S5. [1]H NMR spectrum of PRMO-1-hydrazide.

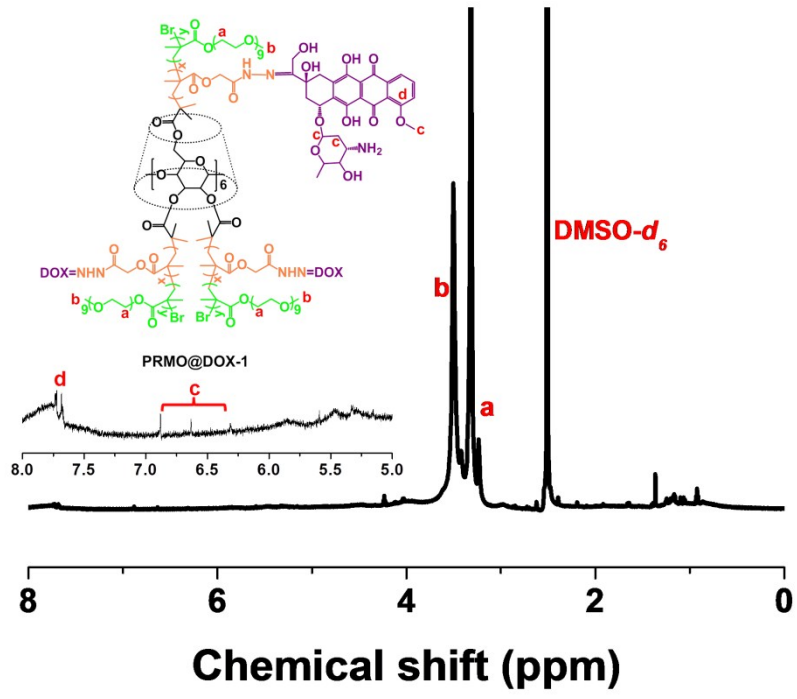

Figure S6. [1]H NMR spectrum of PRMO@DOX-1prodrug.

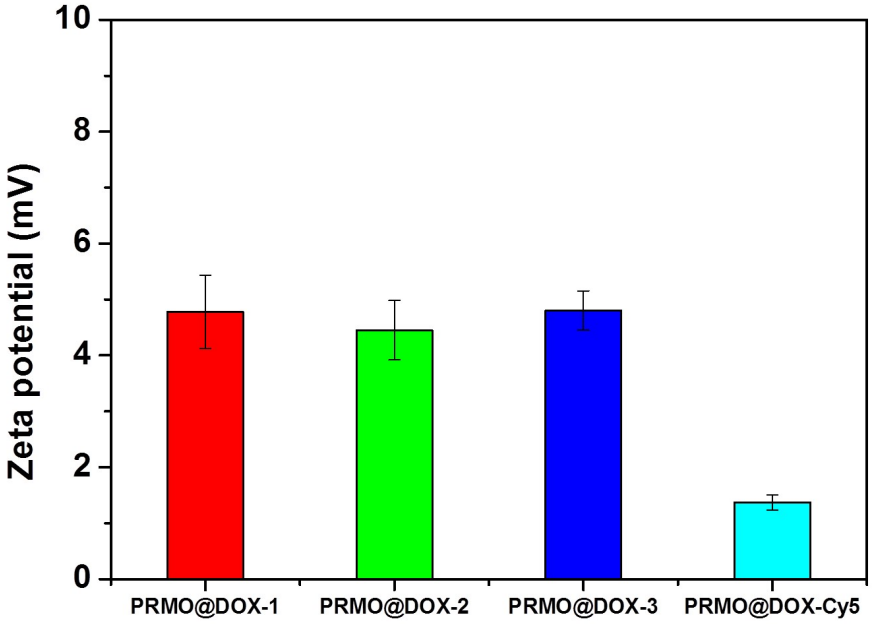

Figure S7. Zeta potential of PRMO@DOX-1, PRMO@DOX-2, PRMO@DOX-3 and PRMO@DOX-Cy5 in water medium. Data are presented as means ± SD (n =3).

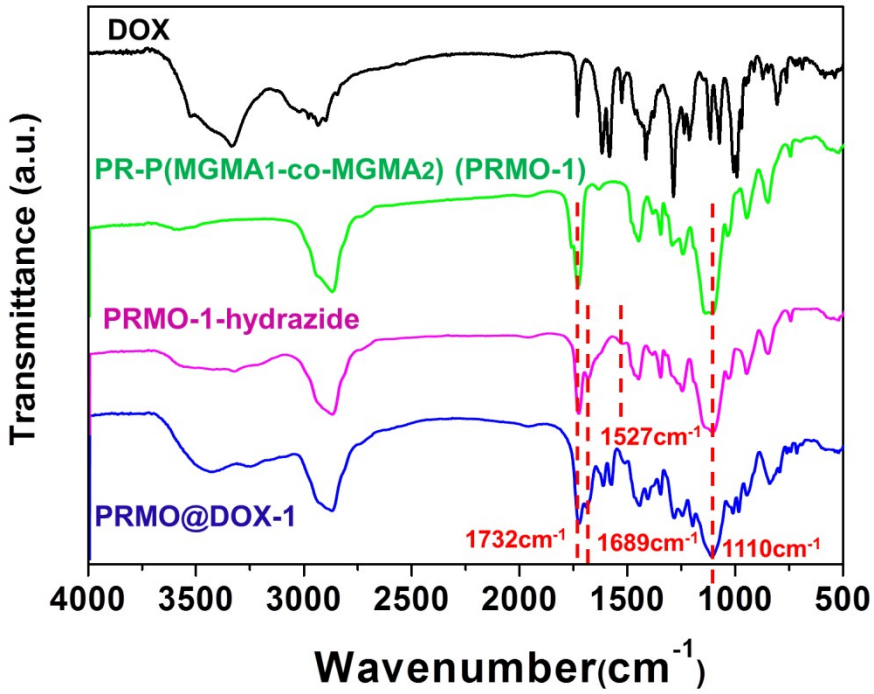

Figure S8. FT-IR spectra of DOX, PRMO-1, PRMO-1-hydrazide and PRMO@DOX-1.

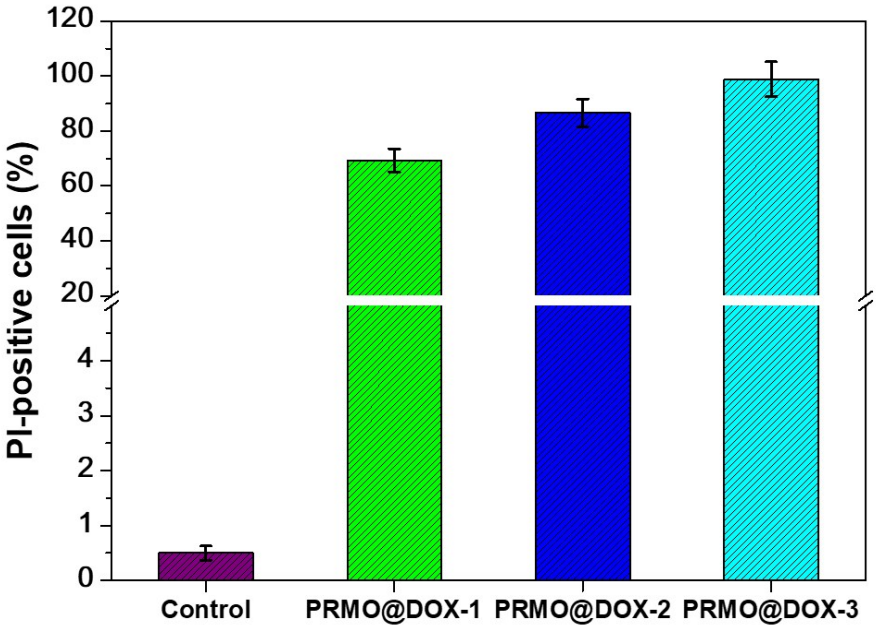

Figure S9. PI-positive HeLa cells after treatment by PBS (control), PRMO@DOX-1, PRMO@DOX-2 and PRMO@DOX-3 for 24 h. Data are presented as means ± SD (n =3).

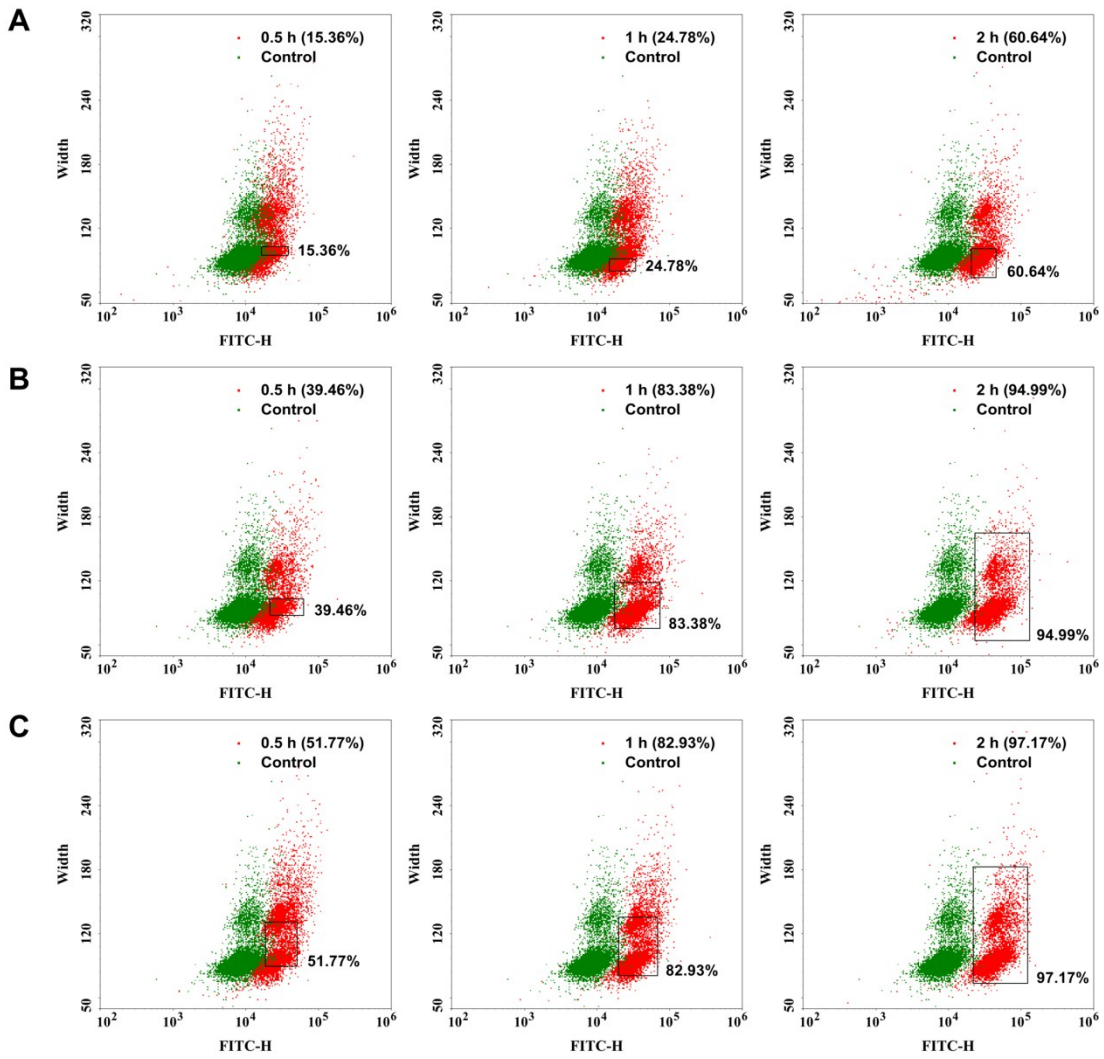

Figure S10. Flow cytometry analysis of HeLa cells after incubation with (A) PRMO@DOX-1, (B) PRMO@DOX-2, (C) PRMO@DOX-3 for 0.5h, 1h and 2h, respectively.

Table S1. Size, polydispersity index (PDI) and ζ-potential of PRMO@DOX-1, PRMO@DOX-2 and PRMO@DOX-3 measured by DLS and TEM

Sample	Size[a] (nm)	PDI[b]	D[c] (nm)	SD[c] (nm)	ζ-potential[d]
PRMO@DOX-1	63.56	0.352	41.1	6.2	4.78±0.65
PRMO@DOX-2	42.61	0.255	26.8	5.3	4.45±0.53
PRMO@DOX-3	21.1	0.25	13.2	4.2	4.8±0.35

[a]Size and PDI [b] of PRMO@DOX-1, PRMO@DOX-2 and PRMO@DOX-3 micelles in water were measured by DLS; [c]Average diameter (D) and standard deviation (SD) were calculated by measuring 50 micelles in a TEM image.; [d]ζ-potential were measured by DLS.