

Supporting Information

Dual-pH Sensitive Charge-reversal Nanocomplex for Tumor-targeted Drug Delivery with Enhanced Anticancer Activity

By

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Figure S10. (a) Flow cytometry analysis of cellular uptake of Cy3-labeled nanoparticles by MHCC97-H cells at pH 7.4 and pH 6.8 conditions for 4 h. (b) Quantitative analysis of the fluorescence intensity of Cy3-labeled nanoparticles in MHCC97-H cells.

Figure S11. Cytotoxicity of Free DOX and various nanoparticles against MHCC97-H cells at different concentrations of DOX under (a) pH 7.4 and (b) pH 6.8 for 48 h. (c) Cytotoxicity of various polymers (PMLA-PEI, PMLA-PEI-TAT, PEG-DMMA and PEG-SA) against A549 cells at different concentrations pH 7.4 for 48 h.

Table S1. Summary of size, zeta potential, PDI, and DOX drug content of PMLA-PEI-DOX, PMLA-PEI-DOX-TAT, PMLA-PEI-DOX-TAT@PEG-SA and PMLA-PEI-DOX-TAT@PEG-DMMA.

Table S2. Summary of IC_{50} value of Free DOX, PMLA-PEI-DOX, PMLA-PEI-DOX-TAT, PMLA-PEI-DOX-TAT@PEG-SA and PMLA-PEI-DOX-TAT@PEG-DMMA against A549 cells.

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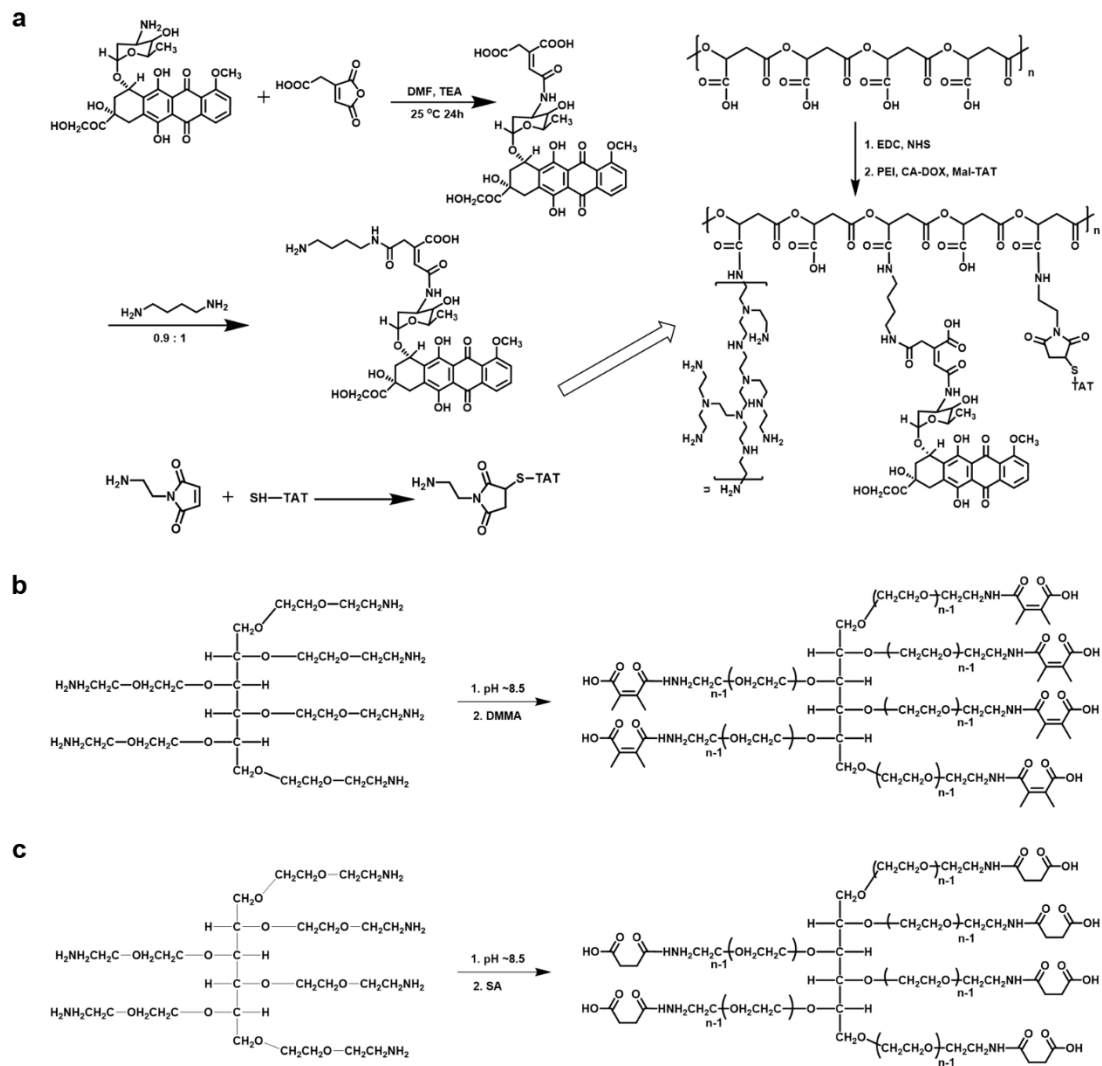


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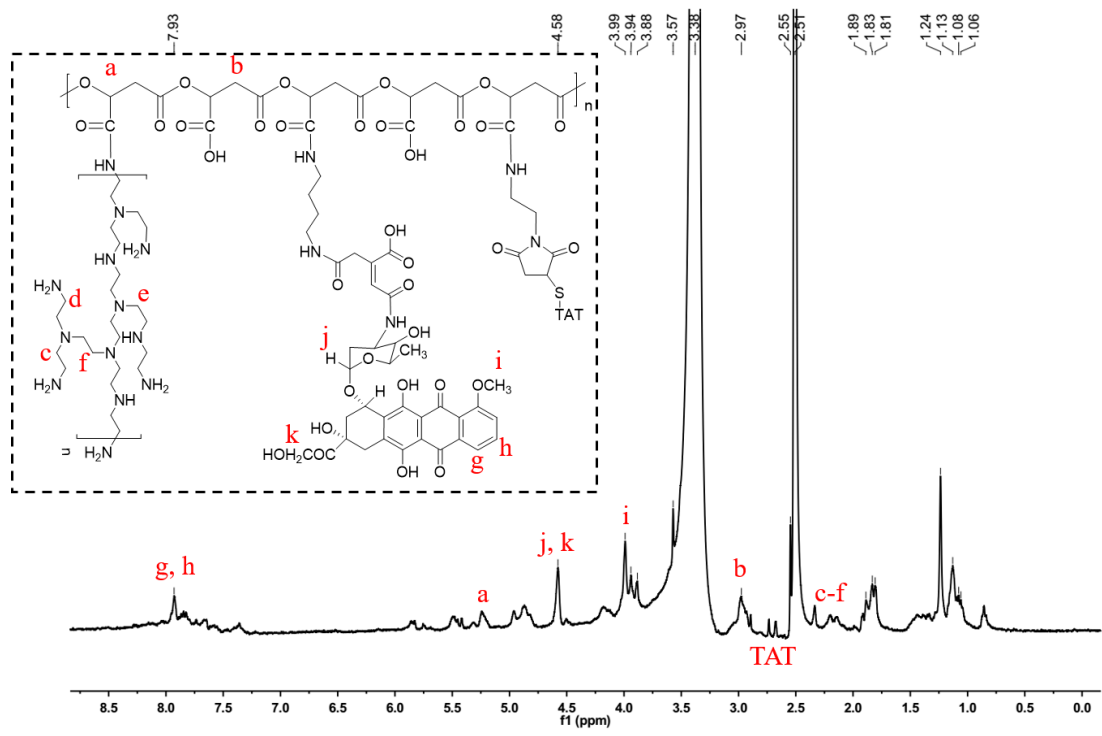


Figure S2. ¹H NMR (400 MHz) spectrum of PMLA-PEI-DOX-TAT.

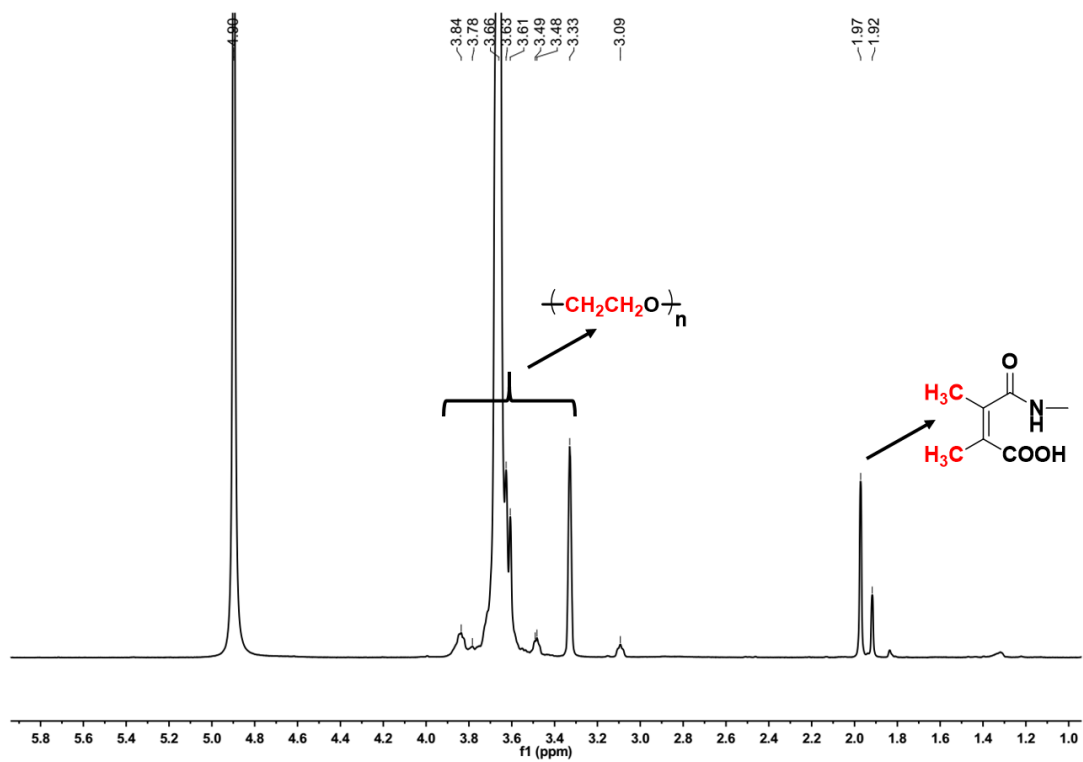


Figure S3. ^1H NMR (400 MHz) spectrum of PEG-DMMA.

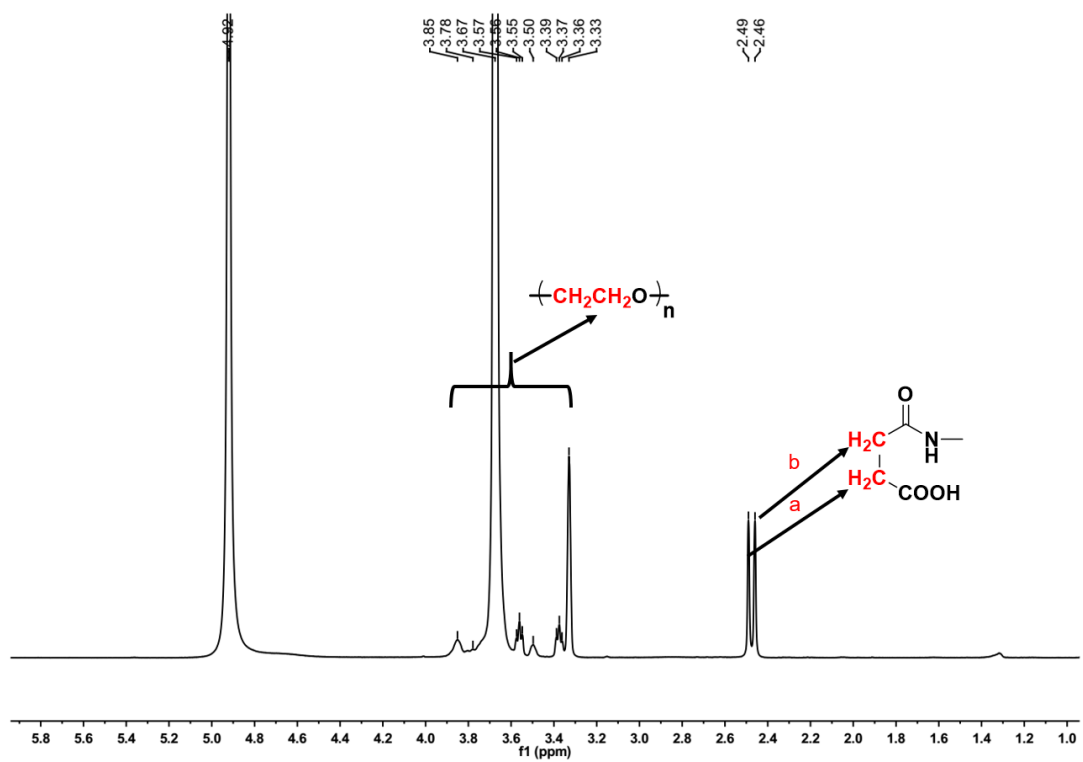


Figure S4. ^1H NMR (400 MHz) spectrum of PEG-SA.

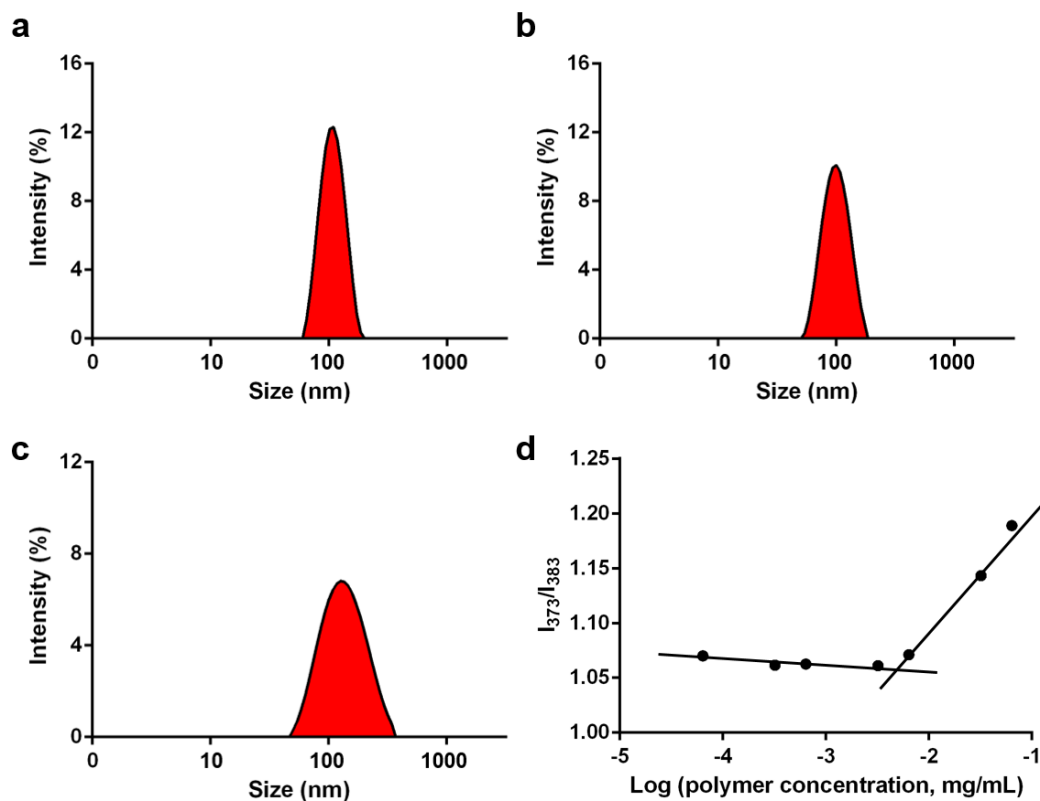


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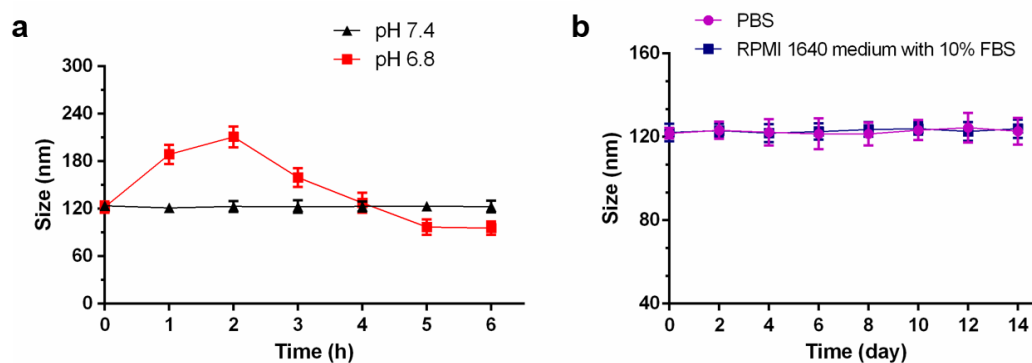


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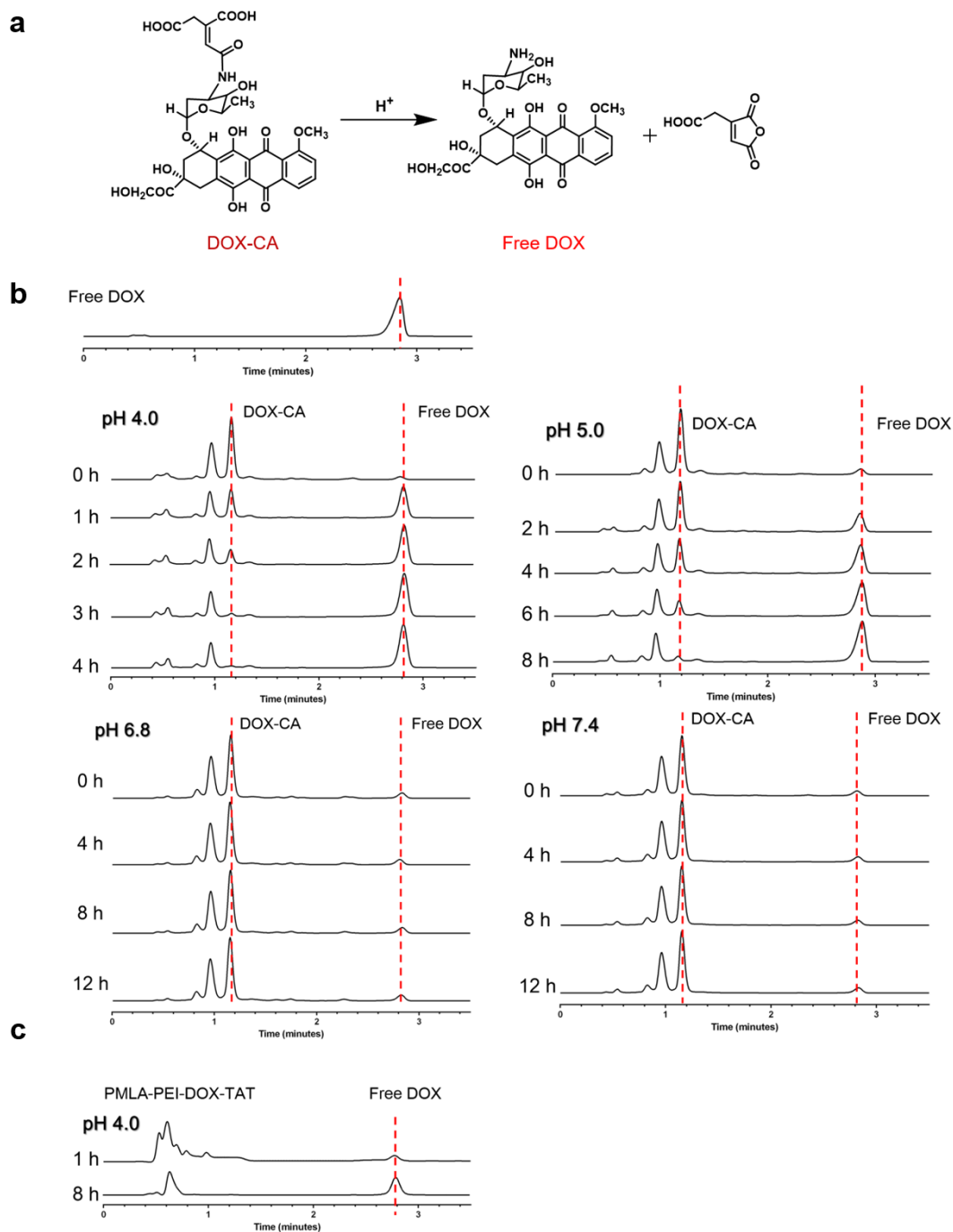


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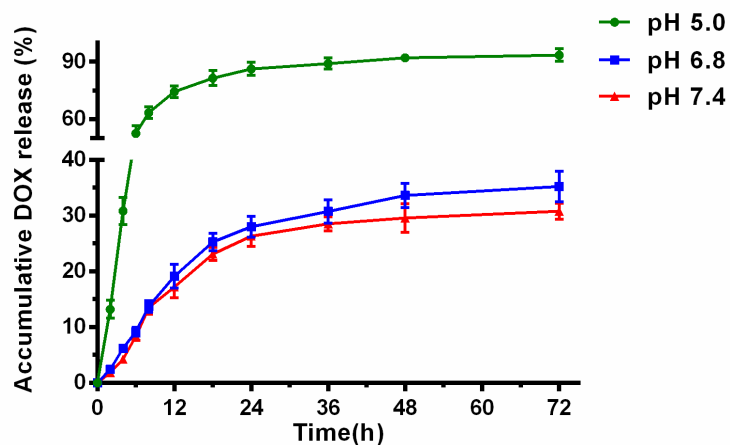


Figure S8. Release profile of DOX from PMLA-PEI-DOX-TAT in PBS (pH 5.0, pH 6.8 or pH 7.4) at 37 °C.

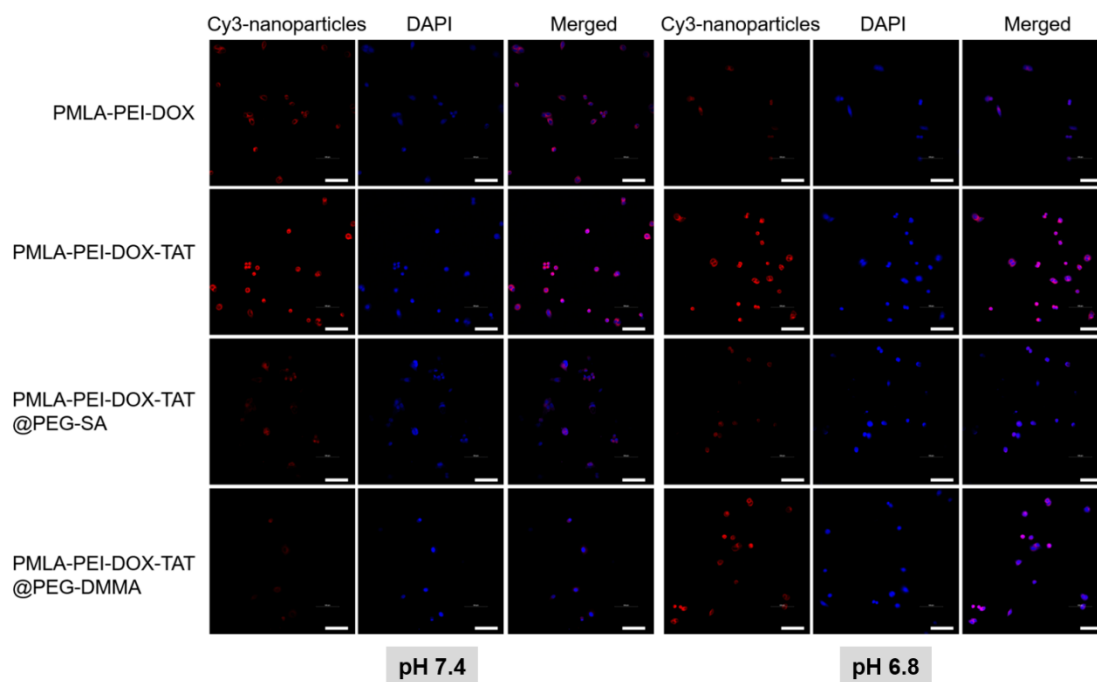


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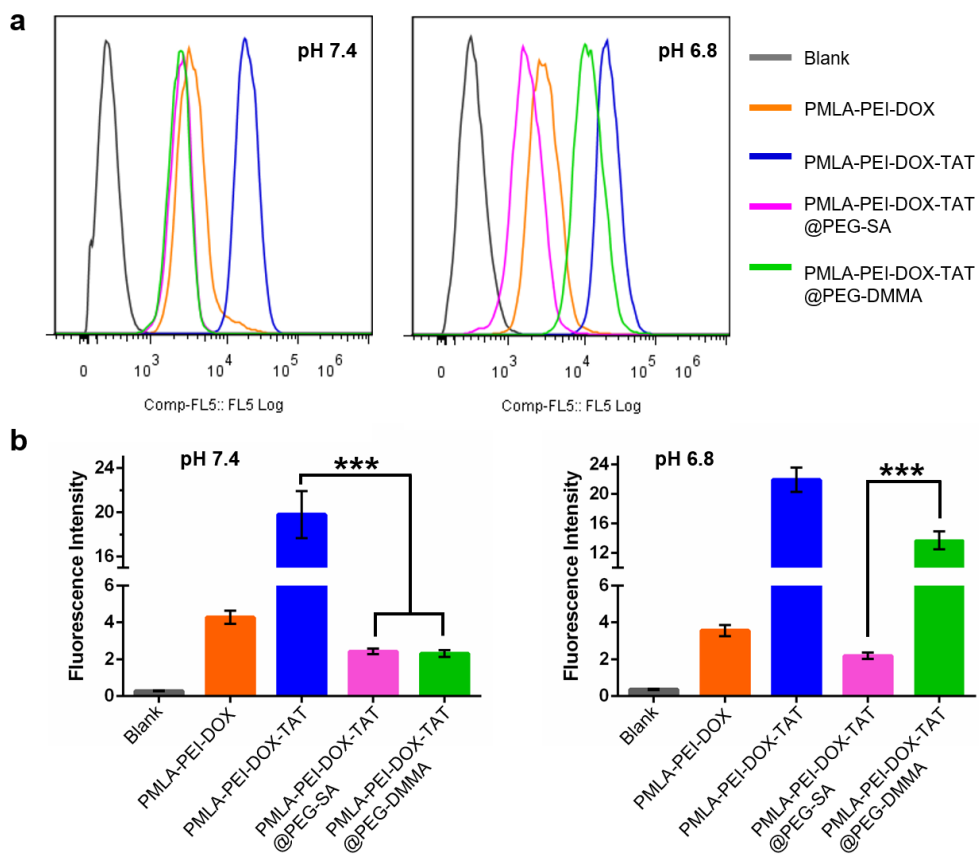


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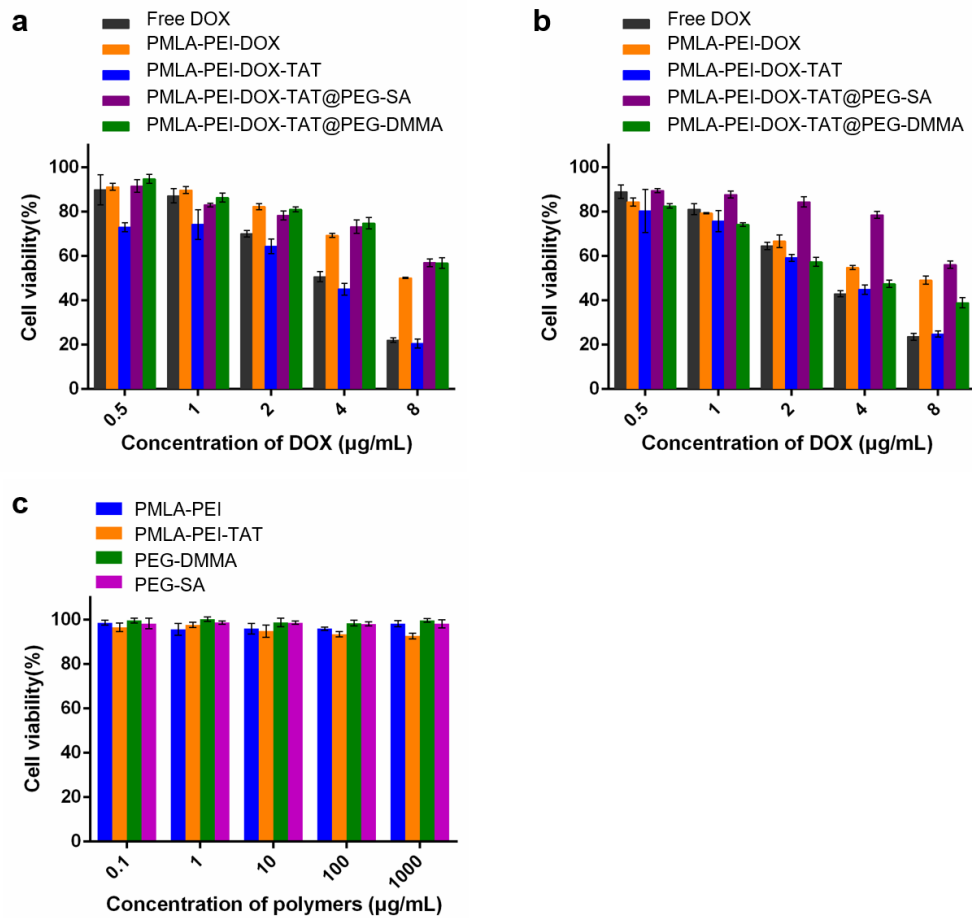


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	Size (nm)	PDI ^a	Zeta potential (mV)	DOX content (wt.%)
PMLA-PEI-DOX	108.3	0.195	+10.47	17.71
PMLA-PEI-DOX-TAT	95.0	0.067	+23.51	16.82
PMLA-PEI-DOX-TAT@PEG-SA	126.1	0.185	-16.04	-
PMLA-PEI-DOX-TAT@PEG-DMMA	123.0	0.194	-16.33	-

^a PDI: Polydispersity Index.

Table S2. Summary of IC₅₀ value of Free DOX, PMLA-PEI-DOX, PMLA-PEI-DOX-TAT, PMLA-PEI-DOX-TAT@PEG-SA and PMLA-PEI-DOX-TAT@PEG-DMMA against A549 cells.

	IC ₅₀ (pH 7.4)	IC ₅₀ (pH 6.8)
Free DOX	2.530 µg/mL	2.396 µg/mL
PMLA-PEI-DOX	6.112 µg/mL	6.055 µg/mL
PMLA-PEI-DOX-TAT	1.287 µg/mL	1.228 µg/mL
PMLA-PEI-DOX-TAT@PEG-SA	32.58 µg/mL	27.36 µg/mL
PMLA-PEI-DOX-TAT@PEG-DMMA	21.28 µg/mL	2.744 µg/mL

Table S3. Summary of IC₅₀ value of Free DOX, PMLA-PEI-DOX, PMLA-PEI-DOX-TAT, PMLA-PEI-DOX-TAT@PEG-SA and PMLA-PEI-DOX-TAT@PEG-DMMA against MHCC97-H cells.

	IC ₅₀ (pH 7.4)	IC ₅₀ (pH 6.8)
Free DOX	7.410 µg/mL	6.344 µg/mL
PMLA-PEI-DOX	16.87 µg/mL	12.97 µg/mL
PMLA-PEI-DOX-TAT	5.605 µg/mL	5.822 µg/mL
PMLA-PEI-DOX-TAT@PEG-SA	26.84 µg/mL	25.59 µg/mL
PMLA-PEI-DOX-TAT@PEG-DMMA	23.97 µg/mL	7.436 µg/mL