Electronic Supplementary Information (ESI)

Enhanced intracellular drug delivery of pH-sensitive doxorubicin/poly(ethyleneglycol)-*block*-poly(4-vinylbenzylphosphonate) nanoparticles in multi-drug resistant human epidermoid KB carcinoma cells

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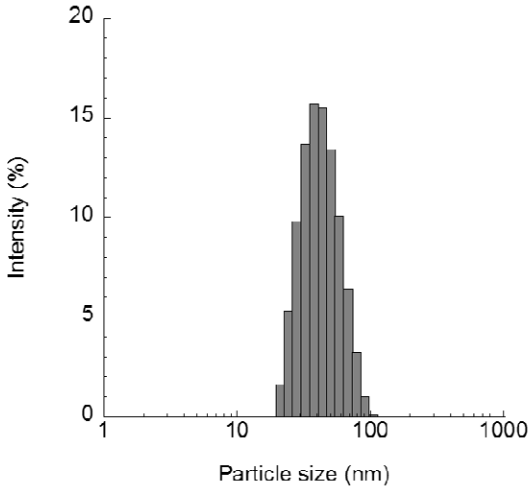

Fig. S1 Particle size distribution of DOX@PNP in phosphate buffer saline (10 mM phosphate buffer, pH7.4, 150 mM NaCl). DLS measurement: room temperature.

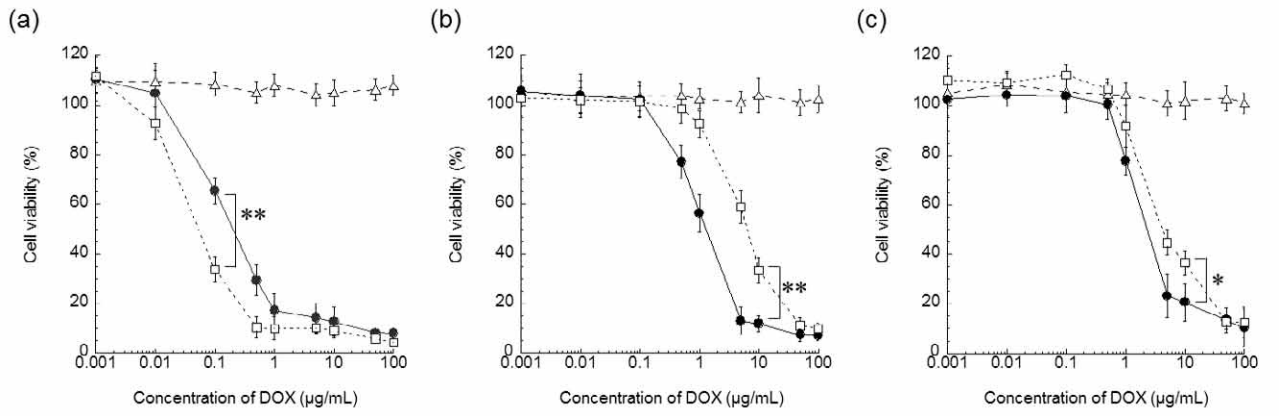

Fig. S2 The cytotoxicity of free DOX (open squares), DOX@PNP (closed circles), and empty PNP (open triangles) against (a) KB-3-1 cells, (b) KB-C-2 cells, and (c) KB/MRP cells at 48 h. The relative viabilities of the cells are expressed as a function of the DOX concentration. The data are presented as the mean ± SD (n = 5) (*$p < 0.05$, **$p < 0.01$).

Table. S1 IC_{50} values of free DOX and DOX@PNP against KB cell lines at 48 h.

	IC_{50} (μg/mL)		
	KB-3-1	KB-C-2	KB/MRP
Free DOX	0.07	9	4
DOX@PNP	0.2	1.5	1.8

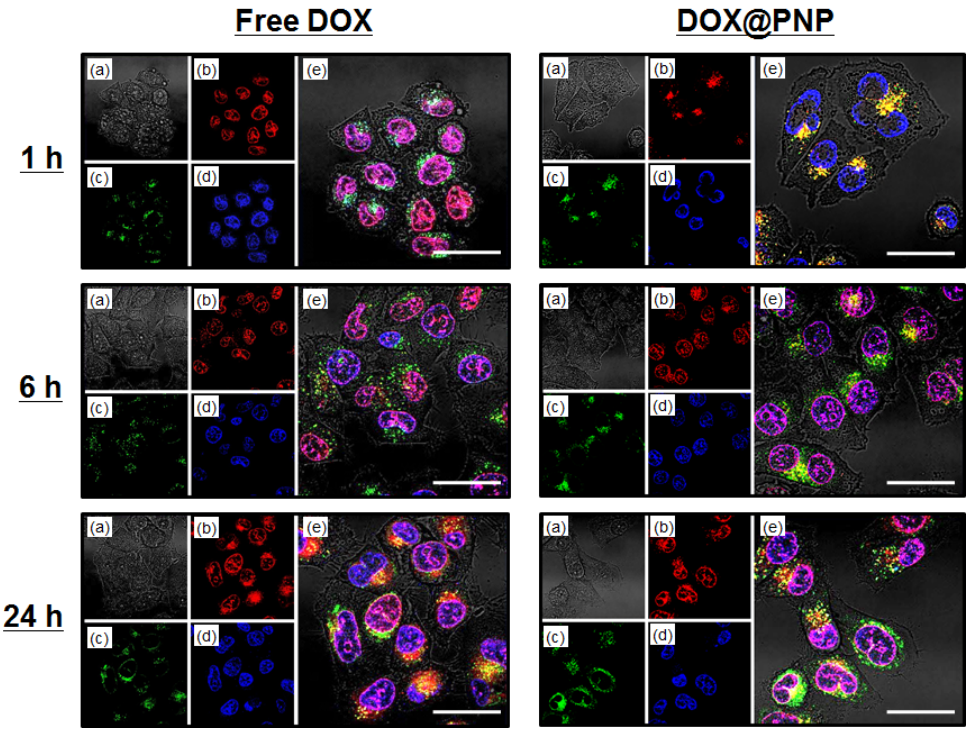

Fig. S3 Confocal fluorescence microscopy images of the KB-3-1 cells incubated with free DOX (left) and DOX@PNP (right). (a) Bright field, (b) DOX, (c) Lysotracker Green DND26, (d) Hoechst 33342, and (e) a merged image. DOX concentration = 10 μg/mL. Scale bar = 20 μm.

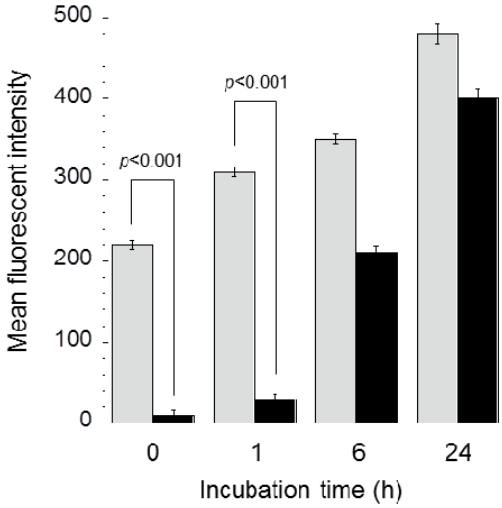

Fig. S4 Flow cytometry analysis of DOX uptake of KB-3-1 cells by comparison of the mean fluorescence intensity of free DOX (gray bar) and DOX@PNP (black bar). DOX concentration = 10 μg/mL.

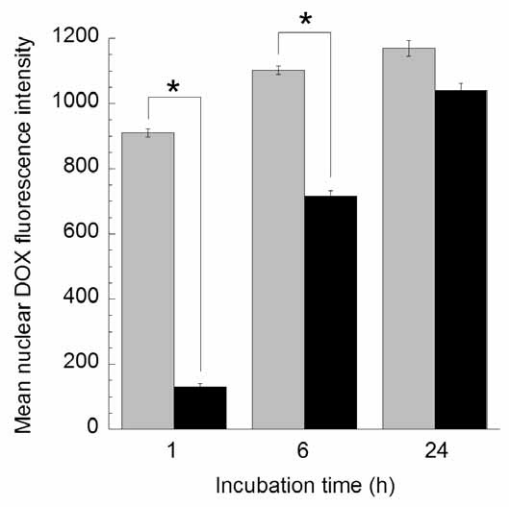

Fig. S5 Quantitative analysis results of the mean nuclear DOX fluorescence intensity in the KB-3-1 cells. (gray bar) free DOX and (black bar) DOX@PNP, respectively. DOX concentration = 10 μg/mL (*$p < 0.005$).

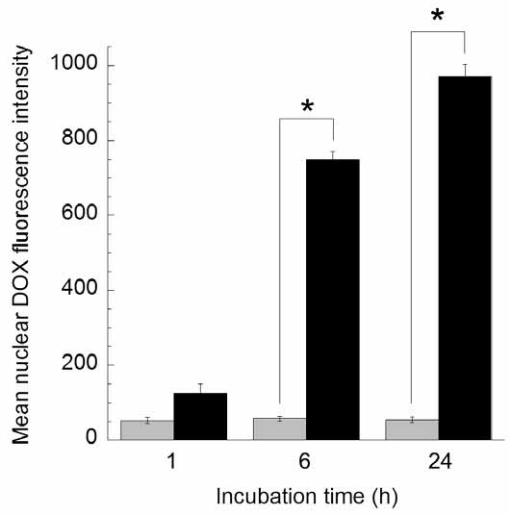

Fig. S6 Quantitative analysis results of the mean nuclear DOX fluorescence intensity in the KB-C-2 cells. (gray bar) free DOX and (black bar) DOX@PNP, respectively. DOX concentration = 10 μg/mL (*$p < 0.005$).

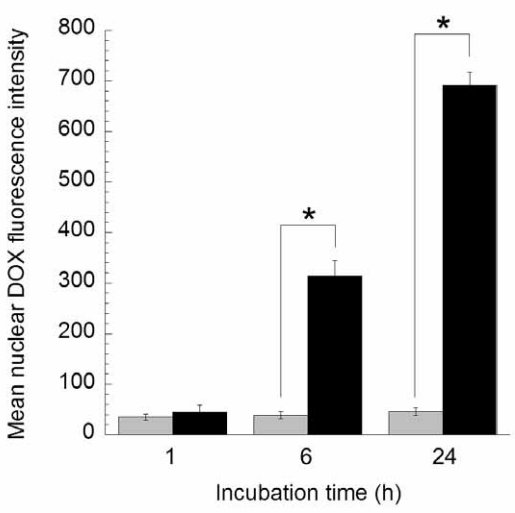

Fig. S7 Quantitative analysis results of the mean nuclear DOX fluorescence intensity in the KB/MRP cells. (gray bar) free DOX and (black bar) DOX@PNP, respectively. DOX concentration = 10 μg/mL (*$p < 0.005$).