

## Supplementary Information

### In Vivo Programming of Tumor Mitochondria-Specific Doxorubicin

#### Delivery by Cationic Glycolipid Polymer for Enhanced Antitumor Activity

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**Table S1.** Sizes and zeta potentials of different materials.

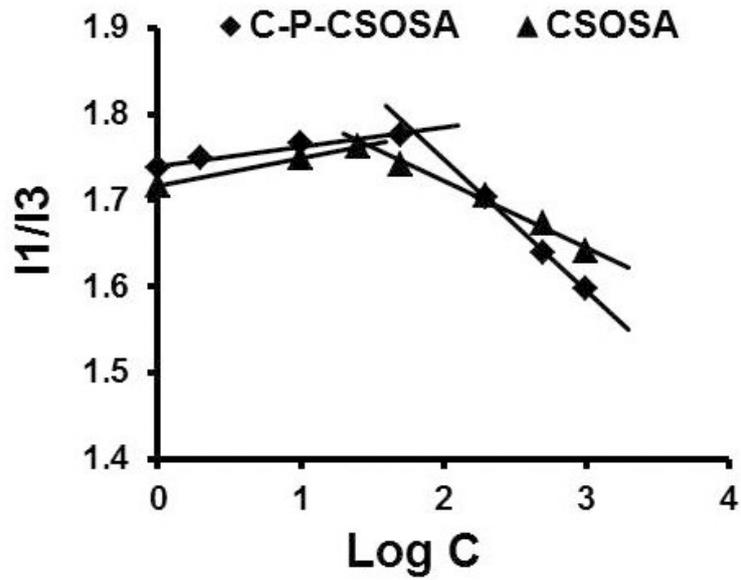
Material	Size (nm)	PI	Zeta potential (mV)
CSOSA	91.2±0.93	0.32±0.03	16.9±0.40
C-P-CSOSA	100.4±23.1	0.45±0.09	23.7±0.95

Data represent the mean ± standard deviation (n = 3). PI: polydispersity index.

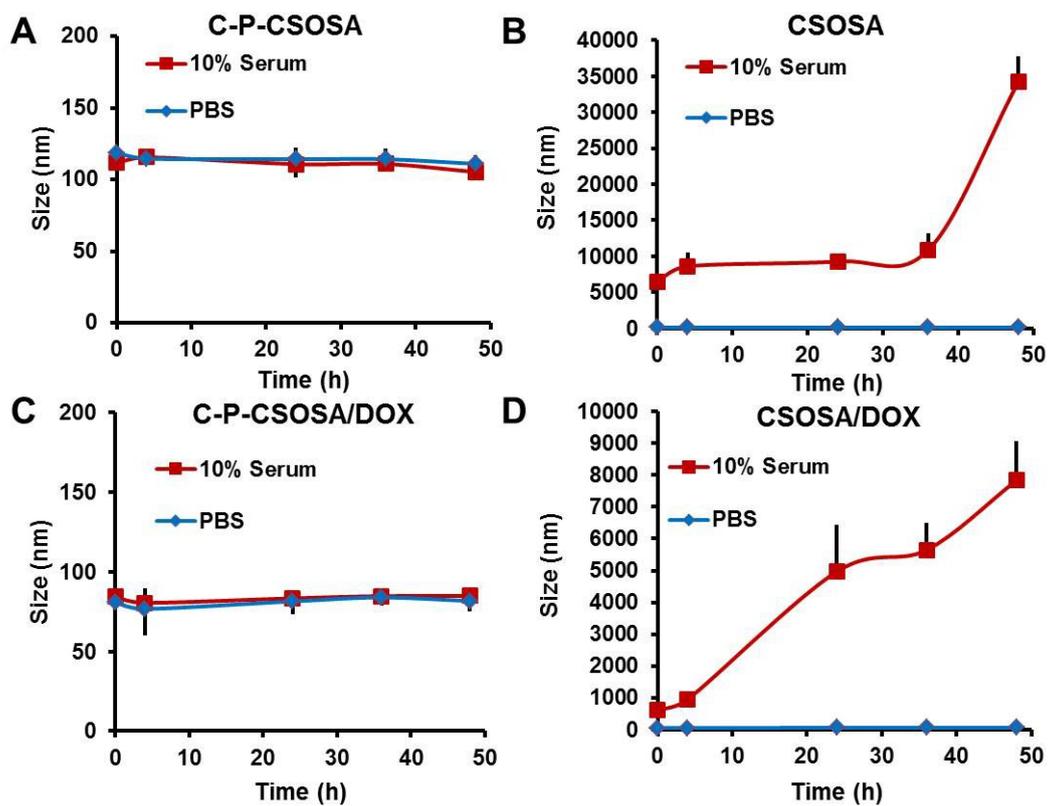
**Table S2.** Characteristics of DOX-loaded micelles.

Material	Size (nm)	PI	Zeta potential (mV)	EE (%)	DL (%)
CSOSA/DOX	64.2±2.80	0.26±0.02	11.6±1.48	75.80	10.21
C-P-CSOSA/DOX	67.2±2.82	0.17±0.03	18.7±1.78	81.33	10.87

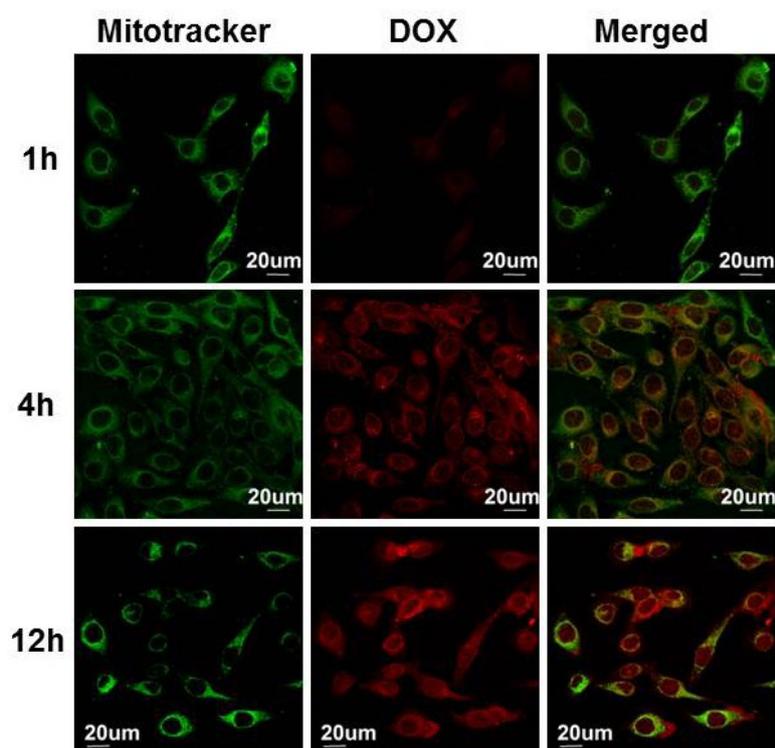
Data represent the mean ± standard deviation (n = 3). PI: polydispersity index.



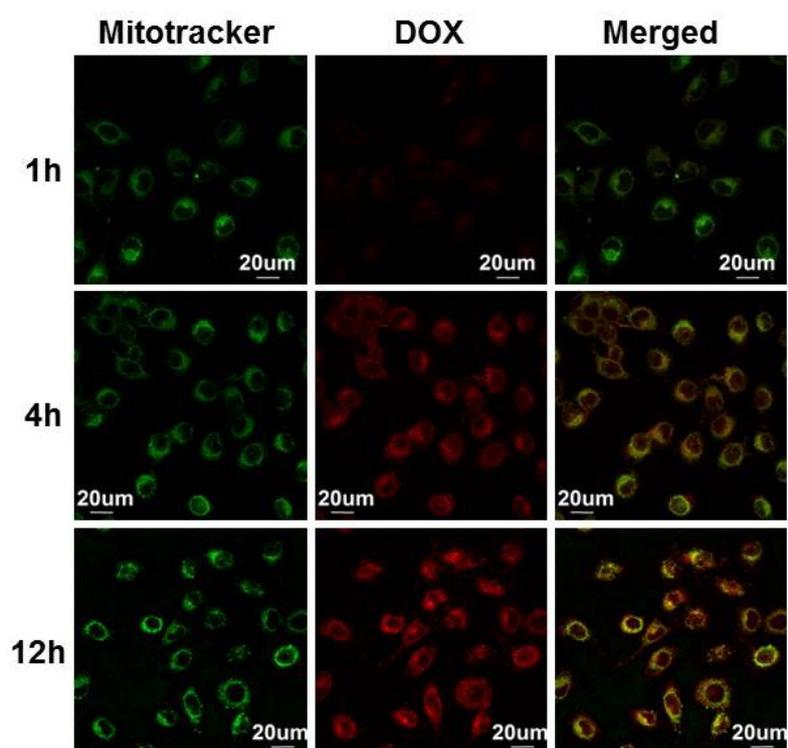
**Figure S1.** CMC values of CSOSA and C-P-CSOSA micelles.



**Figure S2.** The size change of blank micelles and DOX-loaded micelles during 48 h incubation within PBS and serum (10%, v/v).

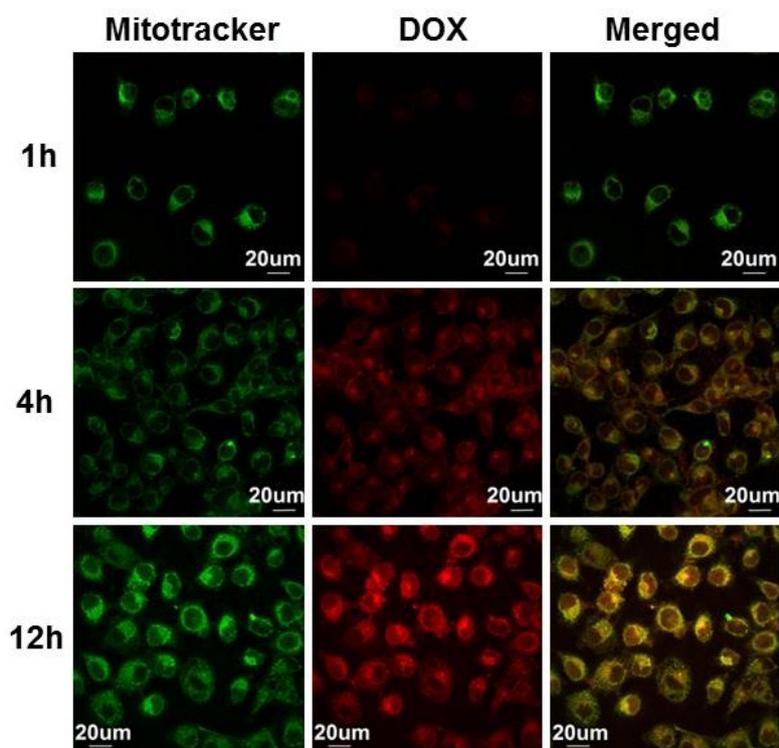


**Figure S3. The cellular internalization and co-localization into mitochondria *in vitro*.** MCF-7 cells were treated with free DOX for 1, 4 and 12 h. Yellow spots in the merged pictures denoted the co-localization of DOX within mitochondrial compartments.

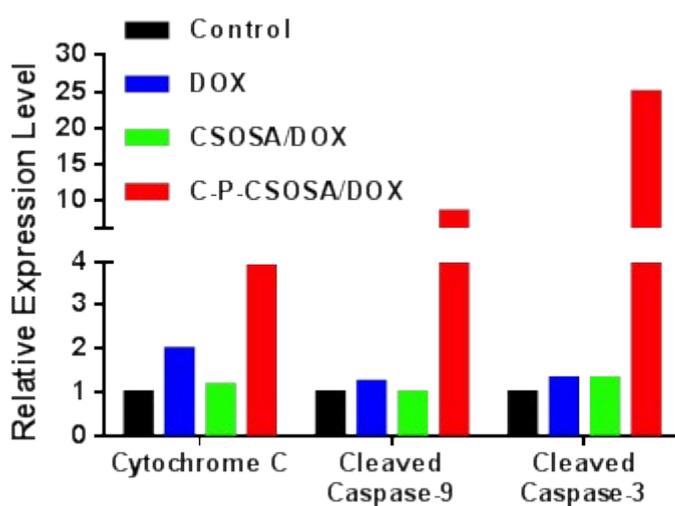


**Figure S4. The cellular internalization and co-localization into mitochondria *in vitro*.** MCF-7 cells were treated with CSOSA/DOX micelles for 1, 4 and 12 h. Yellow spots in the

merged pictures denoted the co-localization of the micelles within mitochondrial compartments.

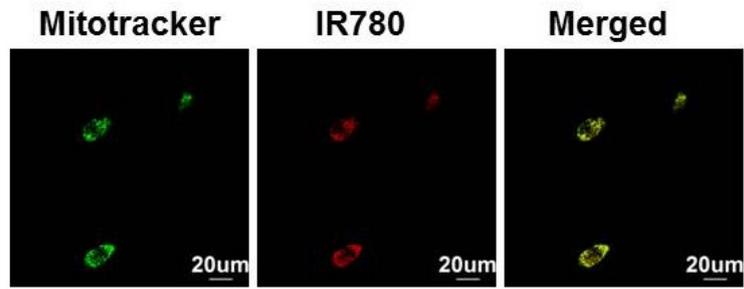


**Figure S5. The cellular internalization and co-localization into mitochondria *in vitro*.** MCF-7 cells were treated with C-P-CSOSA/DOX micelles for 1, 4 and 12 h. Yellow spots in the merged pictures denoted the co-localization of the micelles within mitochondrial compartments.



**Figure S6. Semi-quantitative analysis of the expression levels of apoptosis proteins according to Figure 5C.** Expression of apoptosis related proteins in MCF-7 cells treated with different drug formulations

(Control, DOX, CSOSA/DOX, C-P-CSOSA/DOX) by western blot.



**Figure S7.** The mitochondrial co-localization of IR780 on MCF-7 cells *in vitro*. MCF-7 cells were incubated with IR780 for 12 h. Yellow spots in the merged pictures denoted the co-localization of IR780 within mitochondrial compartments.