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.

<table>
<thead>
<tr>
<th>Material</th>
<th>Size (nm)</th>
<th>PI</th>
<th>Zeta potential (mV)</th>
</tr>
</thead>
<tbody>
<tr>
<td>CSOSA</td>
<td>91.2±0.93</td>
<td>0.32±0.03</td>
<td>16.9±0.40</td>
</tr>
<tr>
<td>C-P-CSOSA</td>
<td>100.4±23.1</td>
<td>0.45±0.09</td>
<td>23.7±0.95</td>
</tr>
</tbody>
</table>

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

Table S2. Characteristics of DOX-loaded micelles.

<table>
<thead>
<tr>
<th>Material</th>
<th>Size (nm)</th>
<th>PI</th>
<th>Zeta potential (mV)</th>
<th>EE</th>
<th>DL</th>
</tr>
</thead>
<tbody>
<tr>
<td>CSOSA/DOX</td>
<td>64.2±2.80</td>
<td>0.26±0.02</td>
<td>11.6±1.48</td>
<td>75.80</td>
<td>10.21</td>
</tr>
<tr>
<td>C-P-CSOSA/DOX</td>
<td>67.2±2.82</td>
<td>0.17±0.03</td>
<td>18.7±1.78</td>
<td>81.33</td>
<td>10.87</td>
</tr>
</tbody>
</table>

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 \textit{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 \textit{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.
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.