

Supplementary

Increased Enzyme Loading in PICsomes via Controlling Membrane Permeability Improves Enzyme Prodrug Cancer Therapy Outcome

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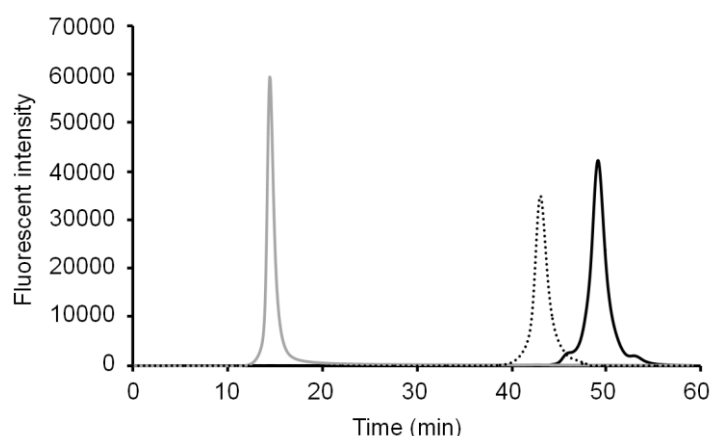


Figure S1. GPC chromatograms of Cy5-CD (dotted line), crosslinked Cy5-PICsome (gray line) and Cy5 (solid line).

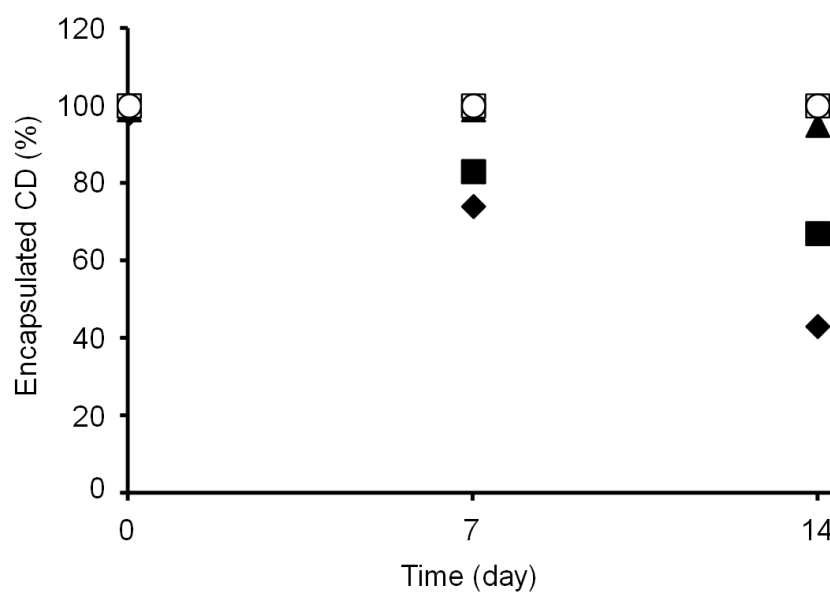


Figure S2. Cy5-CD leaking from the PICsome after the 2nd crosslinking. Second crosslinking was performed using a different concentration of EDC solutions (○: 50 mg/mL, □: 9.0 mg/mL, ▲: 5.0 mg/mL, ■: 3.0 mg/mL, and ◆: 1.0 mg/mL).

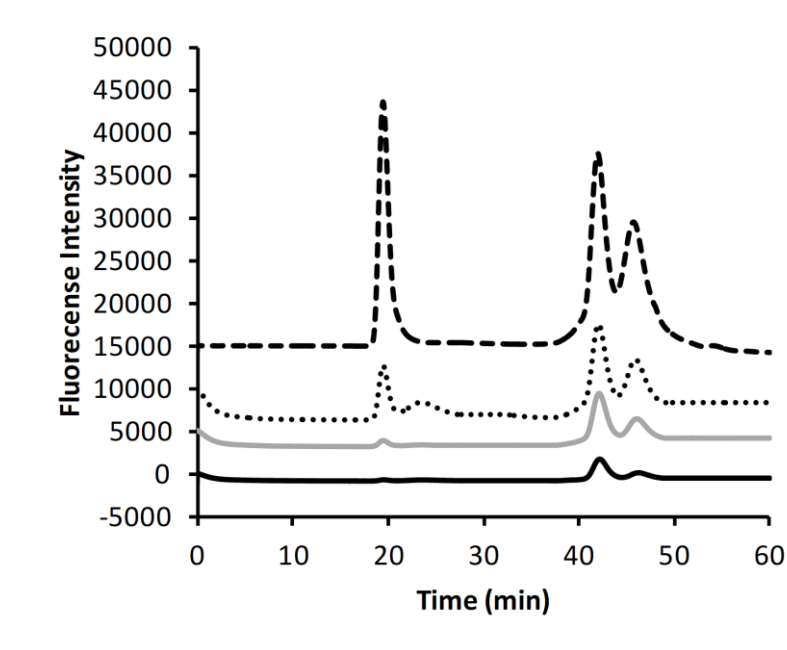


Figure S3. GPC chromatograms of the reaction mixture after the vortex-driven loading and 2nd crosslinking treatment obtained at various concentrations of Cy5-CD: 10 mg/mL (black dotted line), 5.0 mg/mL (gray dotted line), 2.5 mg/mL (gray solid line), and 1.0 mg/mL (black solid line).

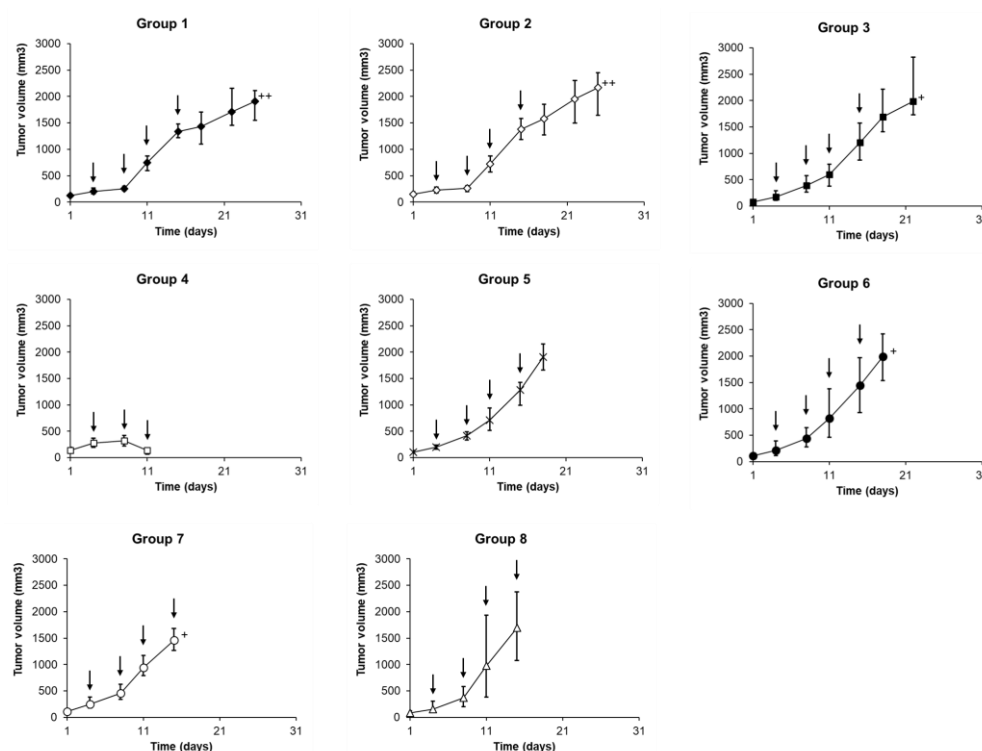


Figure S4. The tumor volume change in C26-bearing mice (n=5) treated with CD@PICsome and 10 mg/kg of 5-FC (◆, group 1), CD@PICsome and 80 mg/kg of 5-FC (◇, group 2), 10 mg/kg of 5-FU (■, group 3), 80 mg/kg of 5-FU (□, group 4) CD@PICsome alone (×, group 5), 10 mg/kg of 5-FC (●, group 6), 80 mg/kg of 5-FC (○, group 7) and 10 mM PBS (pH 6.8) (△, group 8). An arrow indicates administration day of 5-FU or 5-FC or 10 mM PBS (pH 6.8). ++: n=3, +: n=4.

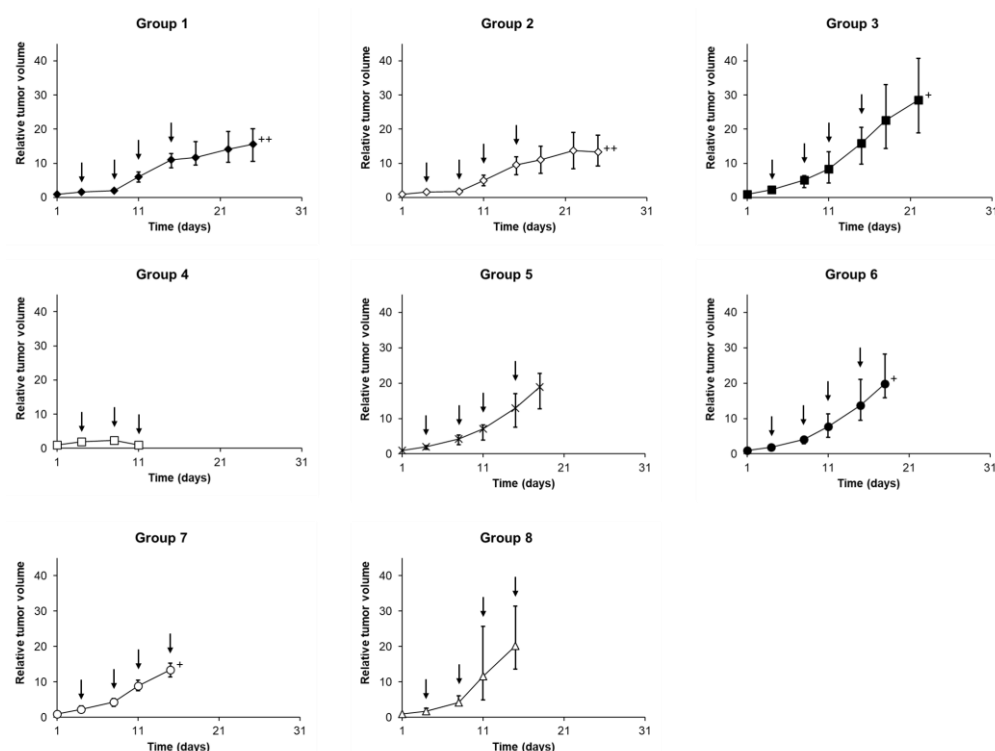


Figure S5. The relative tumor volume change in C26-bearing mice (n=5) treated with CD@PICsome and 10 mg/kg of 5-FU (◆, group 1), CD@PICsome and 80 mg/kg of 5-FU (◇, group 2), 10 mg/kg of 5-FU (■, group 3), 80 mg/kg of 5-FU (□, group 4) CD@PICsome alone (×, group 5), 10 mg/kg of 5-FU (●, group 6), 80 mg/kg of 5-FU (○, group 7) and 10 mM PBS (pH 6.8) (Δ, group 8). An arrow indicates administration day of 5-FU or 5-FU or 10 mM PBS (pH 6.8). ++: n=3, +: n=4.

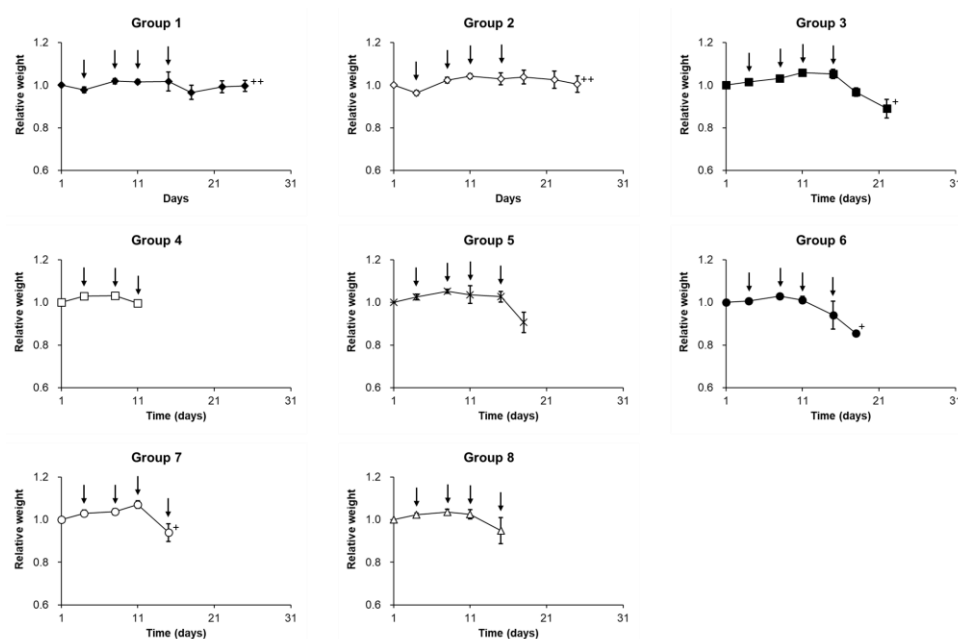


Figure S6. The weight change of mice (n=5) treated with CD@PICsome and 10 mg/kg of 5-FU (◆, group 1), CD@PICsome and 80 mg/kg of 5-FU (◇, group 2), 10 mg/kg of 5-FU (■, group 3), 80 mg/kg of 5-FU (□, group 4) CD@PICsome alone (×, group 5), 10 mg/kg of 5-FU (●, group 6), 80 mg/kg of 5-FU (○, group 7) and 10 mM PBS (pH 6.8) (Δ, group 8). An arrow indicates administration day of 5-FU or 5-FU or 10 mM PBS (pH 6.8). ++: n=3, +: n=4.