Electronic Supplementary Material (ESI) for Journal of Materials Chemistry B.

Electronic Supplementary Information

Tunable self-assembly of Irinotecan-fatty acid prodrugs with increased cytotoxicity to cancer cells

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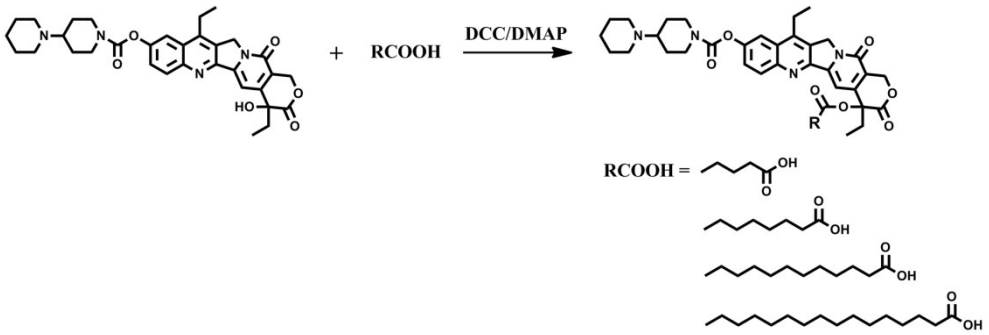

Figure S1. Synthesis of Irinotecan-fatty acid prodrugs by esterification in DCC/DMAP system.

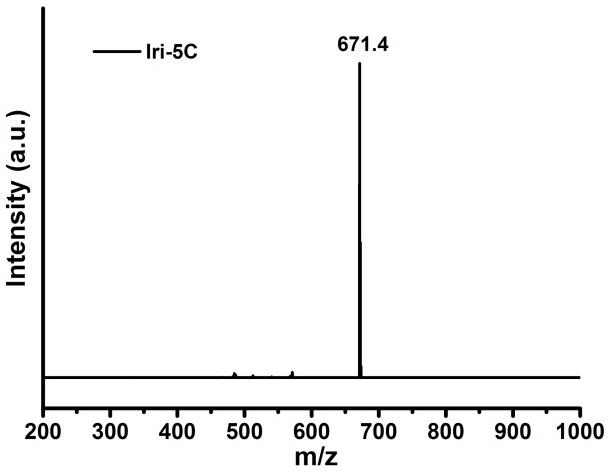

Figure S2. MALDI-TOF-MS analysis of Iri-5C.

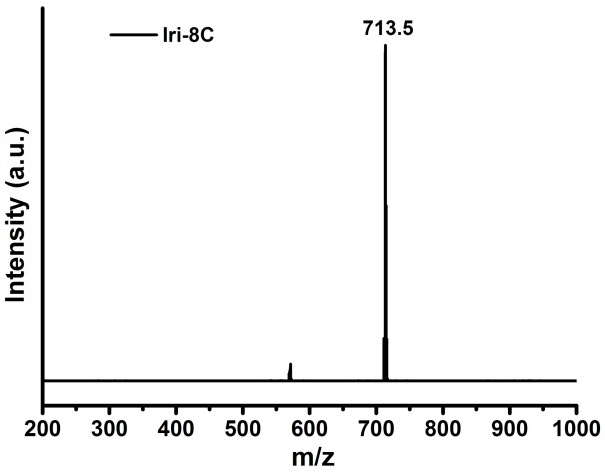

Figure S3. MALDI-TOF-MS analysis of Iri-8C.

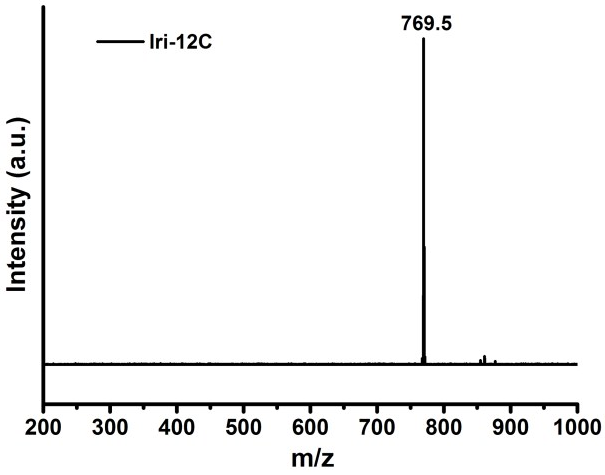

Figure S4. MALDI-TOF-MS analysis of Iri-12C.

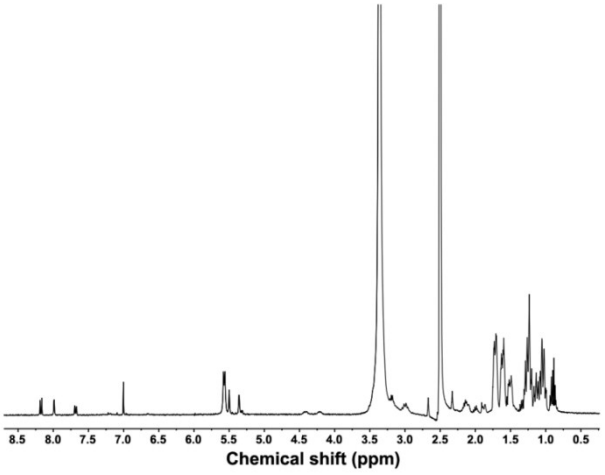

Figure S5. ^{1}H NMR spectrum of Iri-5C in DMSO-*d6*.

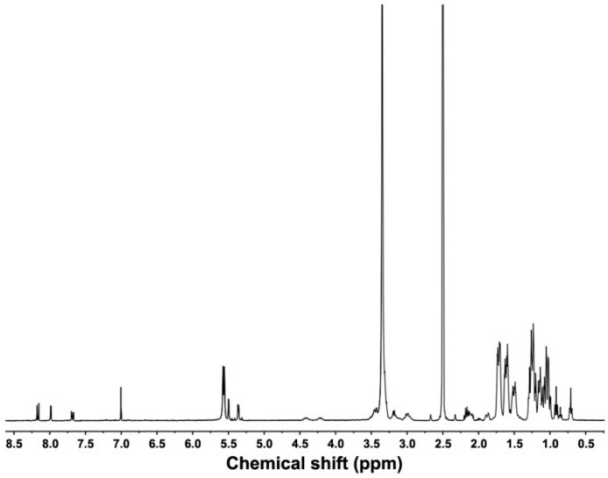

Figure S6. ^{1}H NMR spectrum of Iri-8C in DMSO-*d6*.

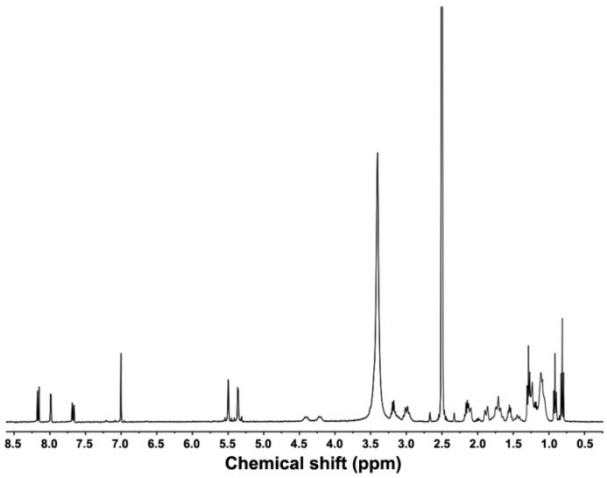

Figure S7. ^{1}H NMR spectrum of Iri-12C in DMSO-*d6*.

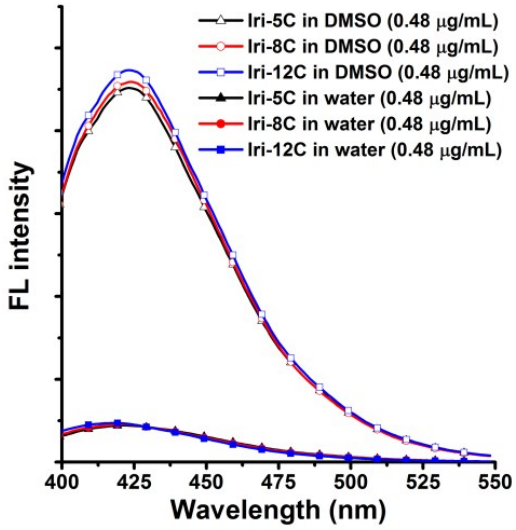

Figure S8. Fluorescence spectra of Irinotecan prodrugs in DMSO and Irinotecan-fatty acid prodrug nanoparticles (NPs) in water at the same concentration.

Table S1. Sizes and zeta potentials of Iri-5C NPs, Iri-8C NPs, Iri-12C NPs and Iri-16C NPs.

Prodrug	Length (μm)	Width (μm)	Zeta potential (mV)
Iri-5C NPs	3.30±0.72	0.54±0.21	28.33±5.59
Iri-8C NPs	3.69±0.54	0.18±0.08	29.43±5.31
Iri-12C NPs	0.50±0.09	0.35±0.06	23.57±5.89
Iri-16C NPs	0.35±0.08	0.32±0.09	9.43±0.67

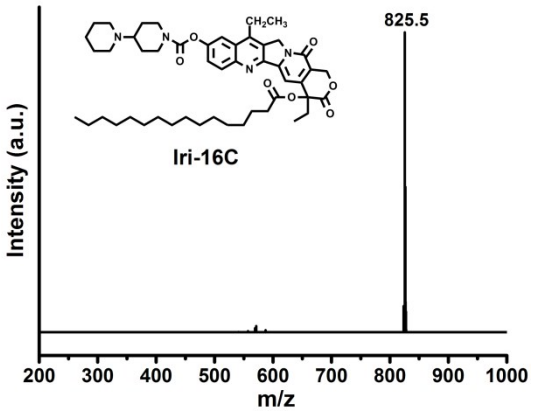

Figure S9. MALDI-TOF-MS analysis of Iri-16C.

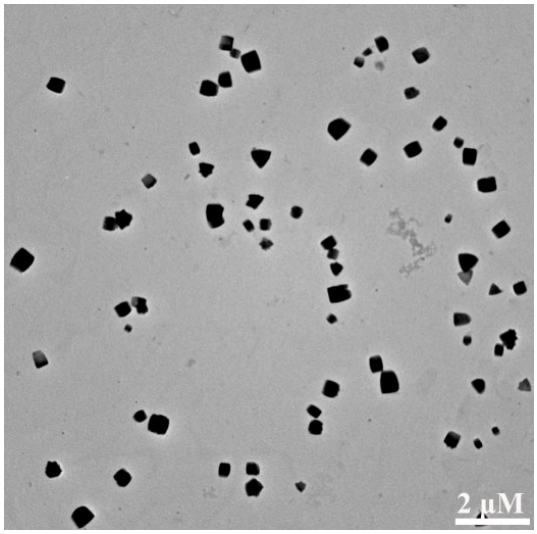

Figure S10. TEM images of Iri-16C NPs.

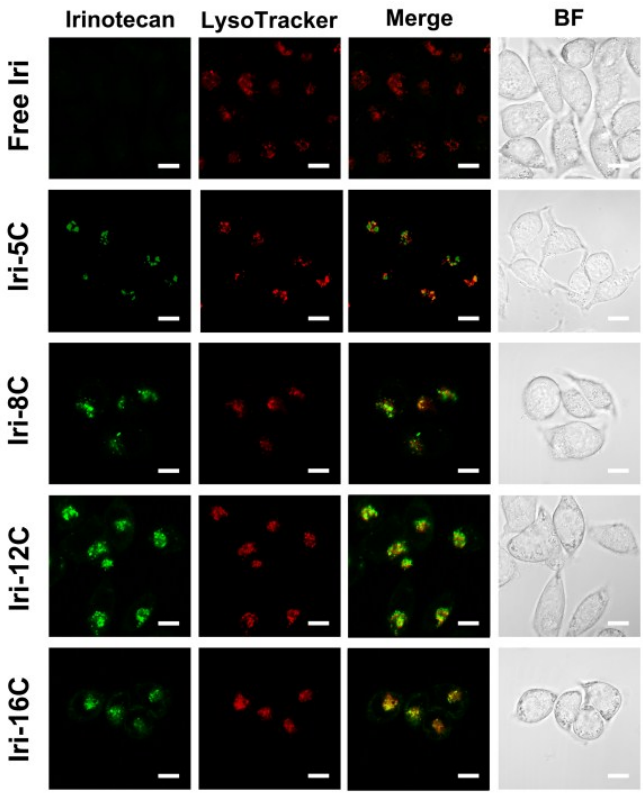

Figure S11. CLSM images of cells treated with free Irinotecan, Iri-5C NPs, Iri-8C NPs, Iri-12C NPs and Iri-16C NPs (λ_{ex} = 405 nm). Lysosomes were detected with LysoTracker® Deep Red (λ_{ex} = 633 nm). Cells from the colonic carcinoma cell line HCT-8 were incubated with free Irinotecan, Iri-5C NPs, Iri-8C NPs, Iri-12C NPs and Iri-16C NPs (6.0 µg/mL) for 4 h. Scale bars are 5 µm. BF, bright field images.

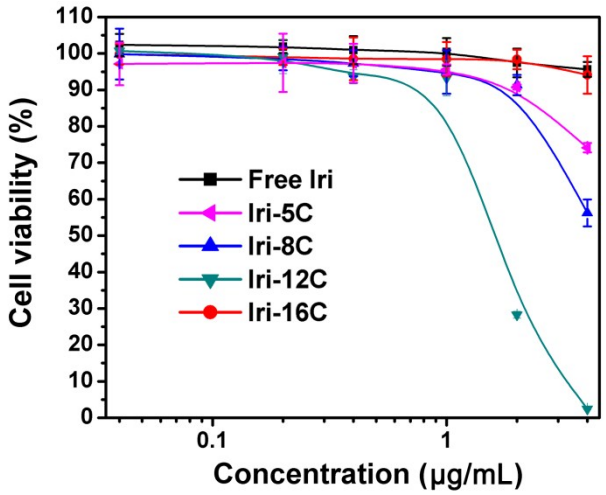

Figure S12. In vitro cytotoxicity of free Irinotecan, Iri-5C NPs, Iri-8C NPs, Iri-12C NPs and Iri-16C NPs to HCT-8 cancer cells determined by MTT assay. Cells were treated for 24 h.