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

Supporting Information

Redox-responsive amphiphilic camptothecin prodrug nanoparticles for targeted liver tumor therapy

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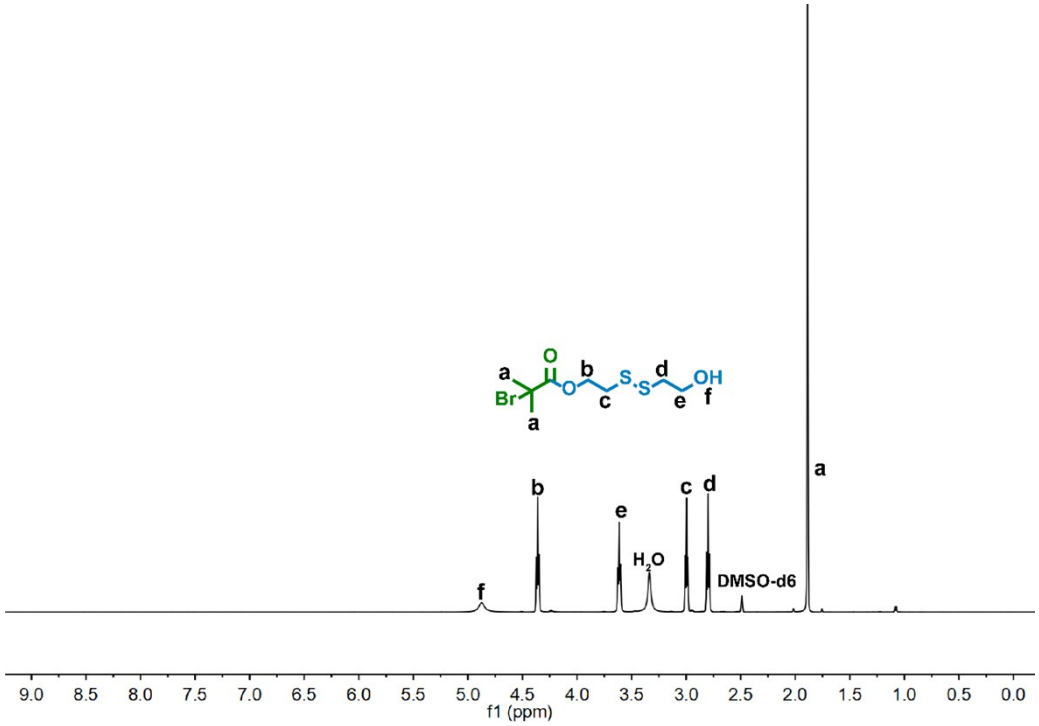
a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b
c
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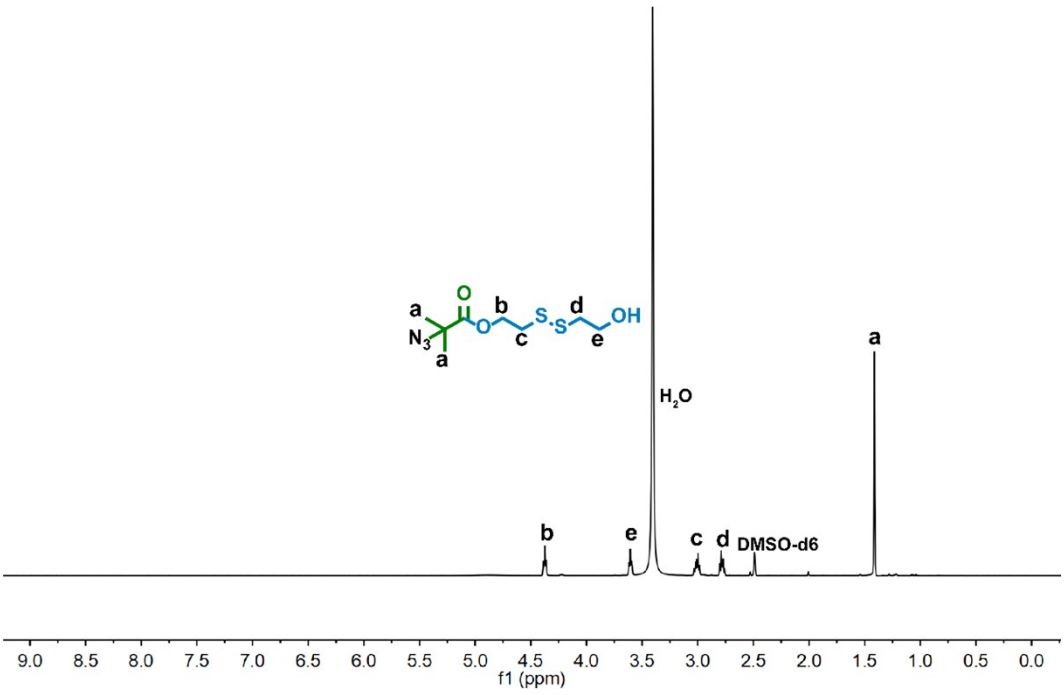
DMSO-d6
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

b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c
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OH
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H2O
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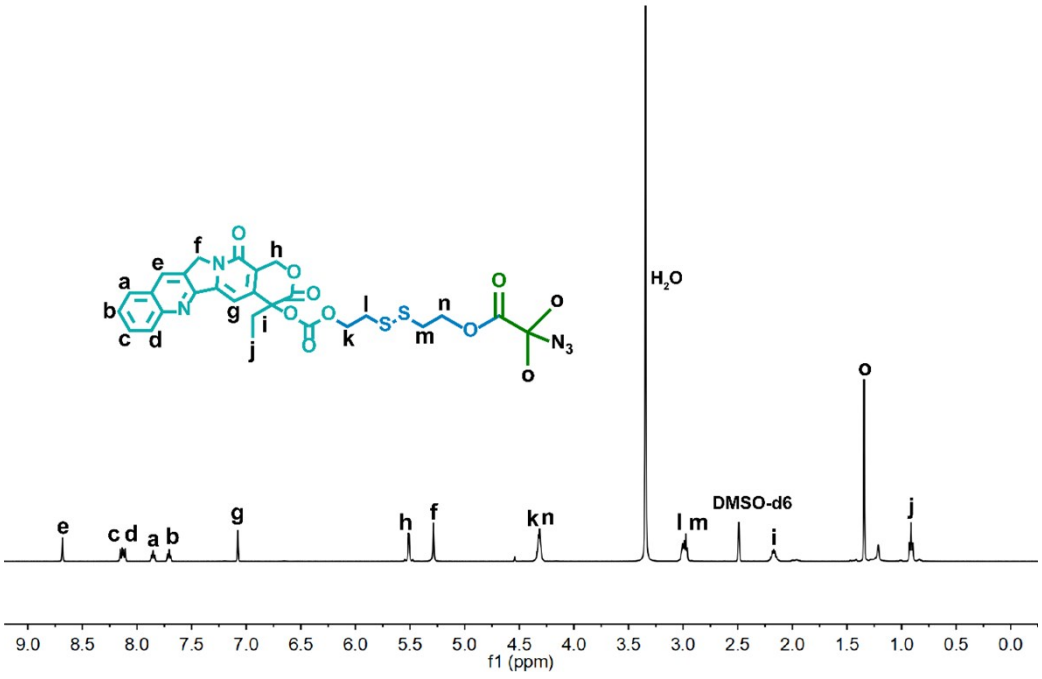
e
c
d
DMSO-d6
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

c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g
h
i
j
k
l
m
n
o
N_3
H_2O
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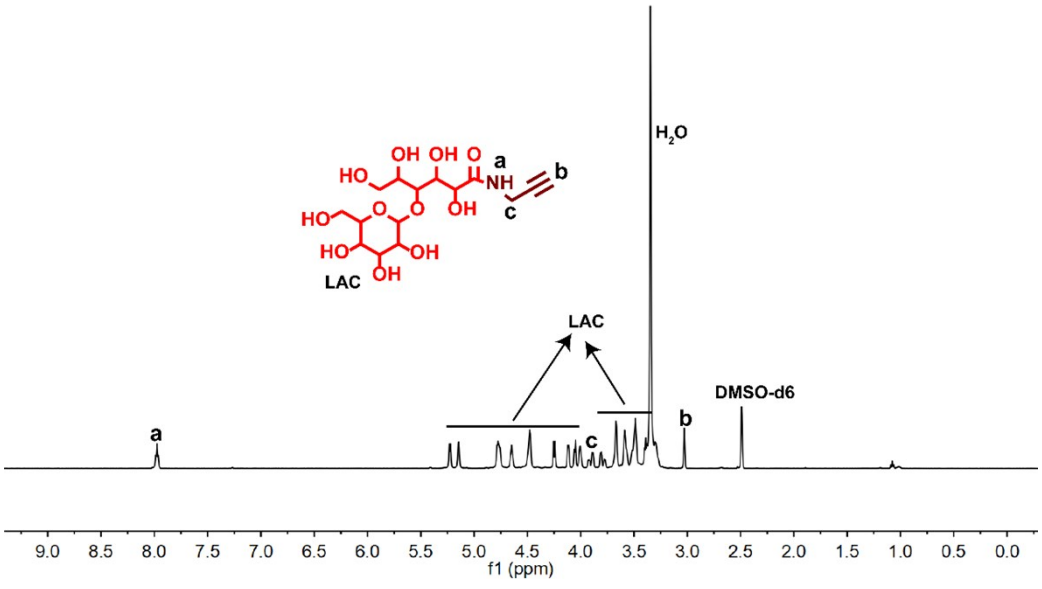
c d
a b
g
h
f
k n
l m
i
o
j
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

d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O
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NH
b
c
LAC
H_2O
LAC
DMSO-d6
a
c
b
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f1 (ppm)

e

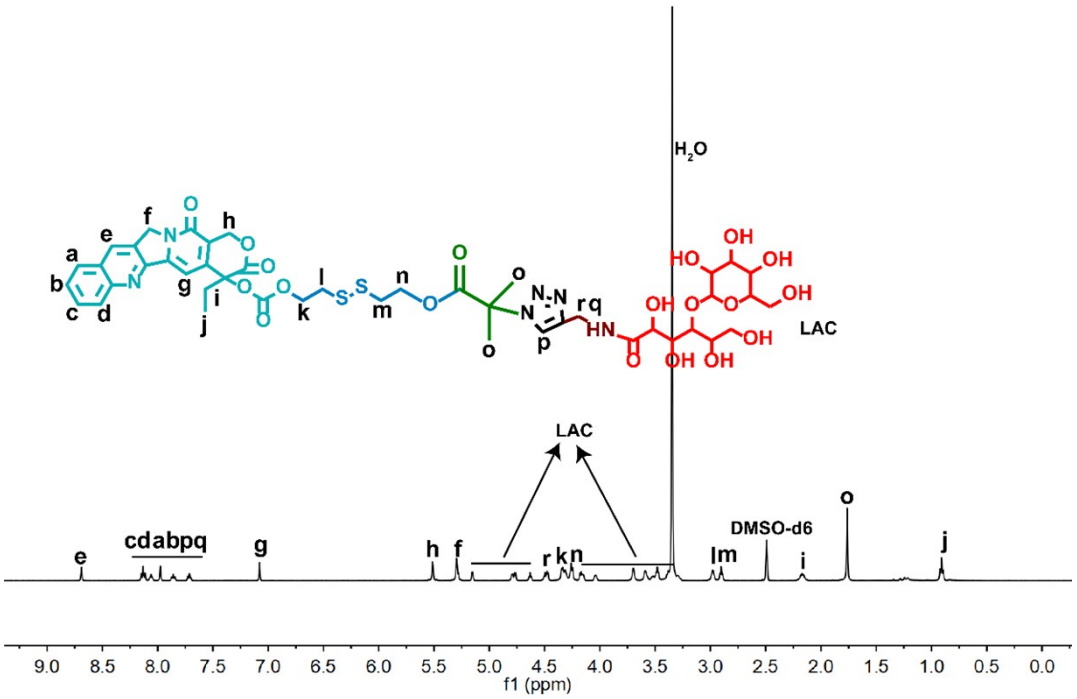
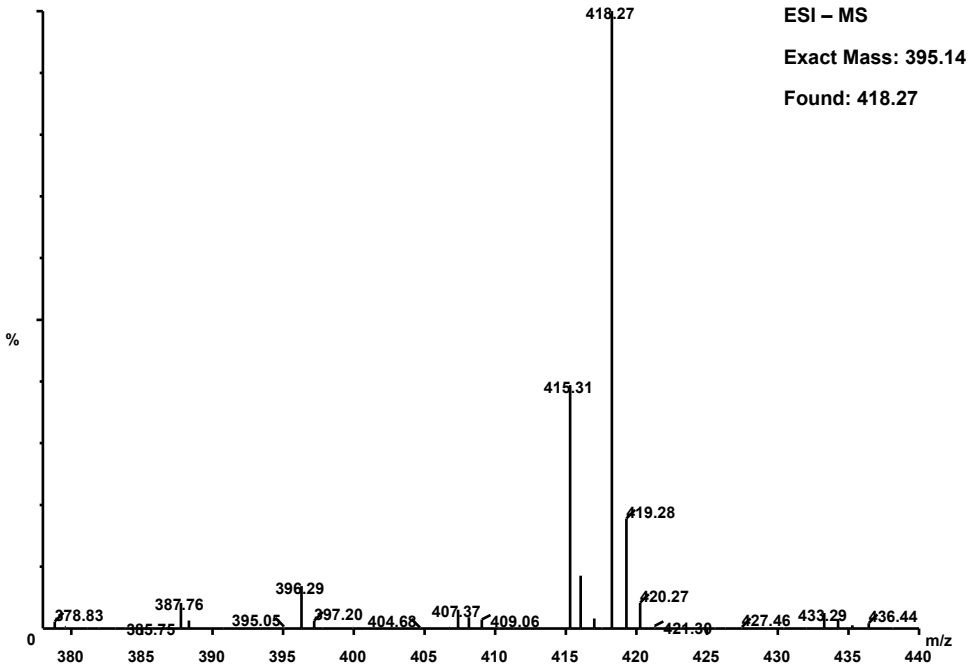


Fig. S1 Characterization of CPT-S-S-LA prodrug: ^{1}HNMR spectra (500 MHz) of relevant chemical compounds from the synthetic process of CPT-S-S-LA amphiphilic small molecule prodrug, including (a) OH-S-S-Br, (b) OH-S-S-N_3, (c) CPT-S-S-N_3, (d) PA-Lactose, and (e) CPT-S-S-LA, respectively.

a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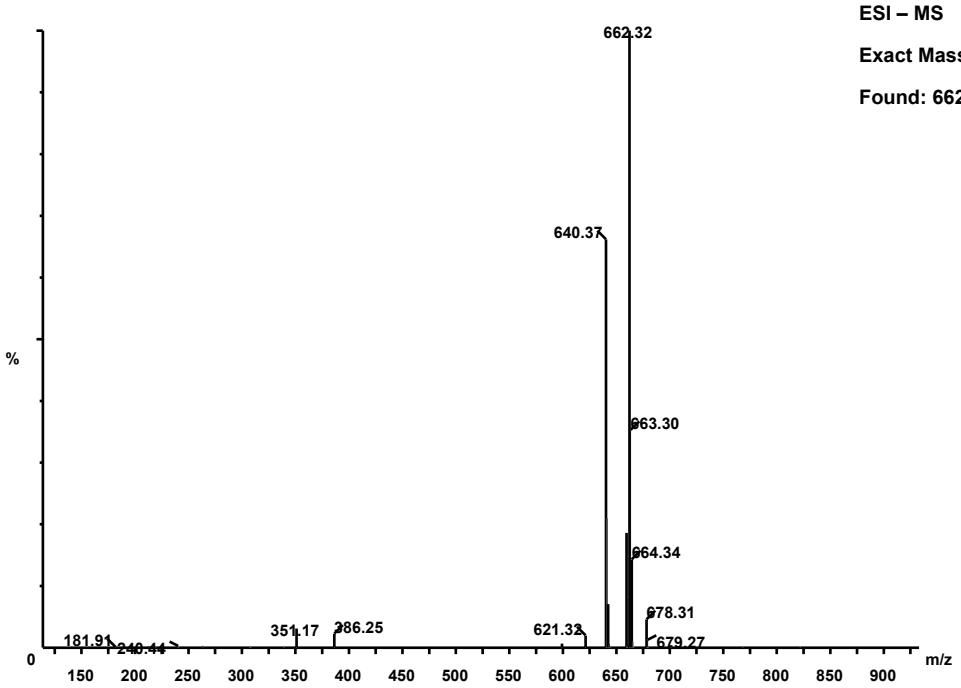
397.20
404.68
407.37
409.06
421.30
427.46
433.29
436.44
%
0
m/z
380
385
390
395
400
405
410
415
420
425
430
435
440

b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386.25
621.33
%
0
m/z
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200
250
300
350
400
450
500
550
600
650
700
750
800
850
900

c

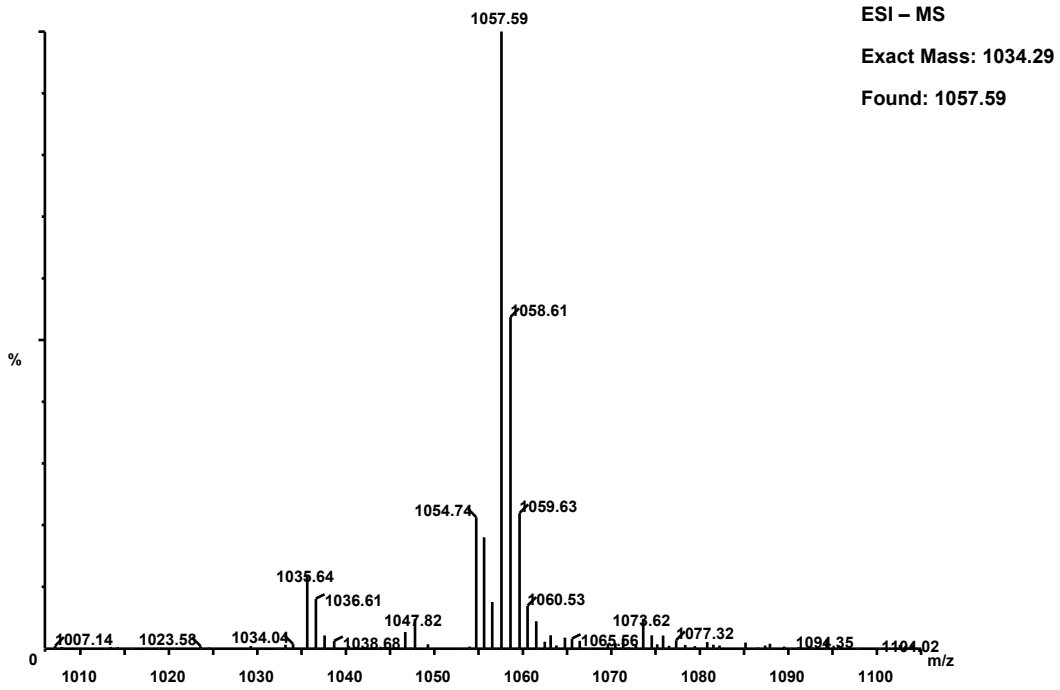

Fig. S2 Characterization of CPT-S-S-LA prodrugs: ESI-MS spectra of relevant chemical compounds from the synthetic process of CPT-S-S-LA amphiphilic small molecule prodrug, including (a) PA-Lactose, (b) CPT-S-S-N_3, and (c) CPT-S-S-LA, respectively.

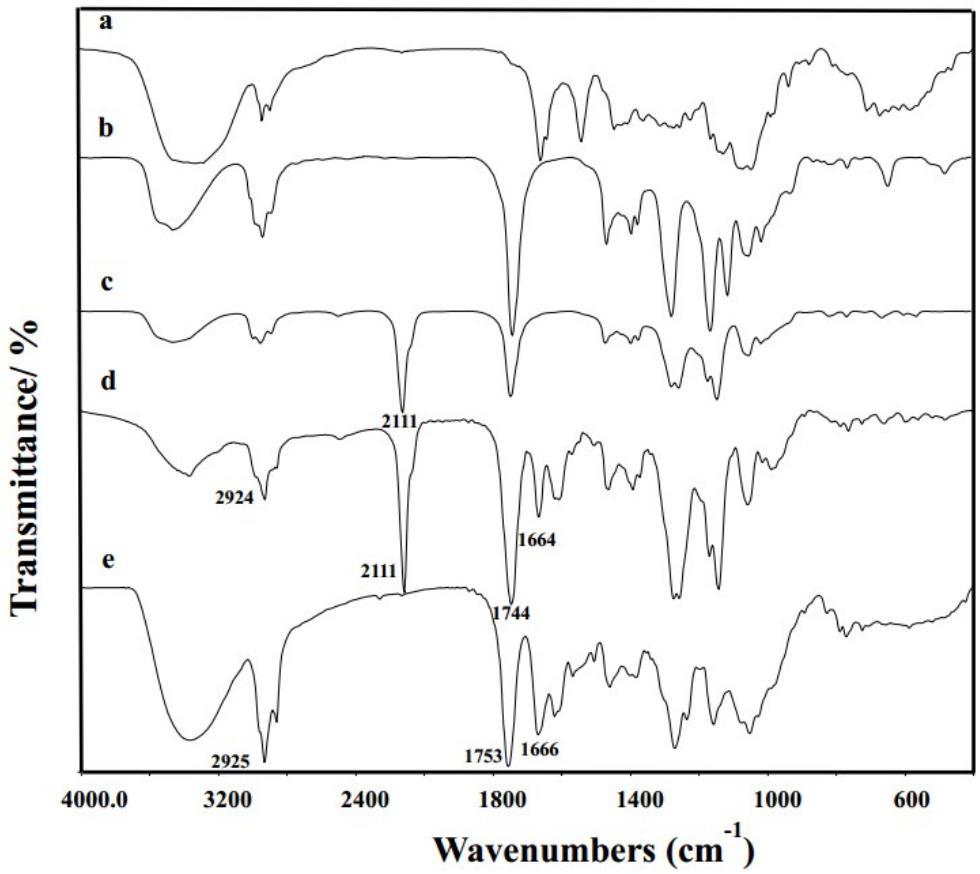

Fig. S3 FTIR spectra of (a) PA-Lactose, (b) OH-S-S-Br, (c) OH-S-S-N_3, (d) CPT-S-S-N_3, and (e) CPT-S-S-LA, respectively.

FTIR spectra was recorded to confirm the successful synthesis process. As shown in Fig. S3, compared with the (b) OH-S-S-Br, a new peak appeared in (c) OH-S-S-N_3 at 2111 cm^{-1}, which was attributed to azide conjugation. After reaction with CPT, a new peak at 1664 cm^{-1} was observed, which was ascribed to the carbonyl of lactam in CPT, indicating that CPT-S-S-N_3 was successfully obtained. Eventually, after the conjugation of PA-Lactose to CPT-S-S-N_3 *via* click reaction, the azide peaks in 2111 cm^{-1} completely disappeared, due to the fact that they were consumed in the reaction. It suggests that CPT-S-S-LA was successfully synthesized.

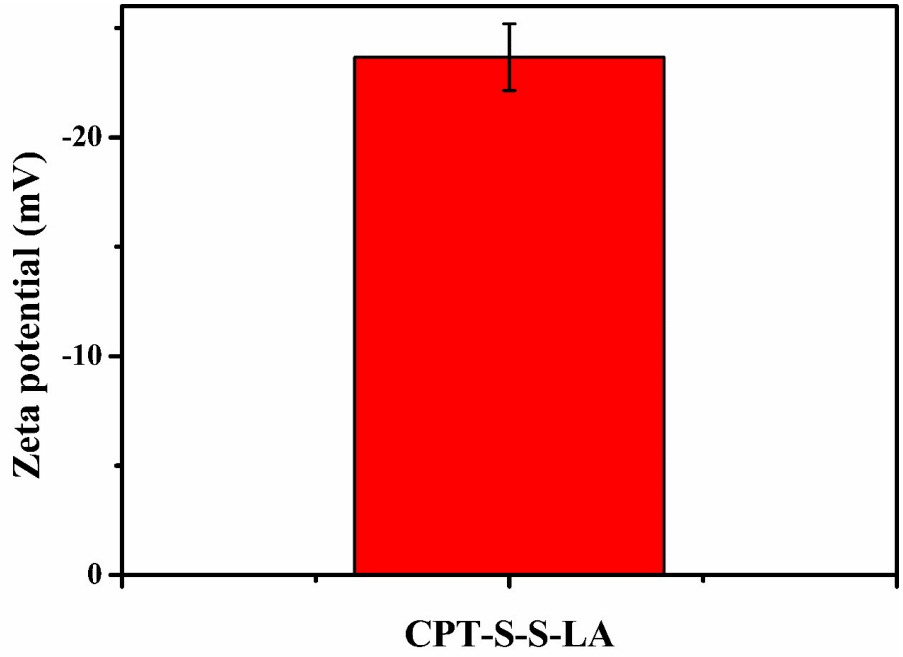

Fig. S4 Zeta potential of CPT-S-S-LA nanoparticles (n = 3).

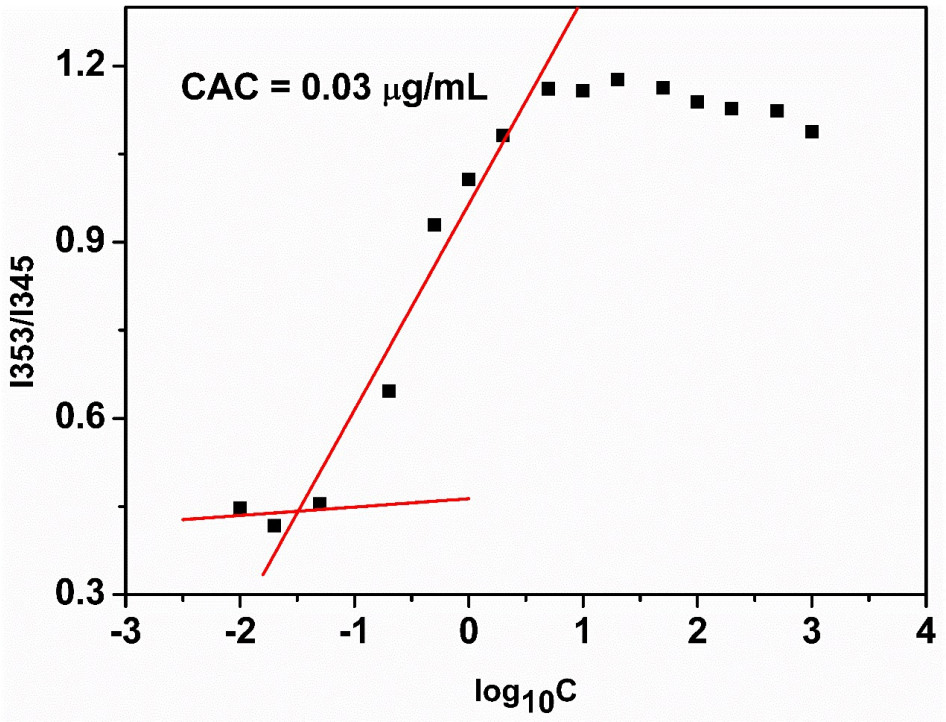

Fig. S5 Plot of I353/I345 versus $\log_{10}C$ of CPT-S-S-LA conjugate.

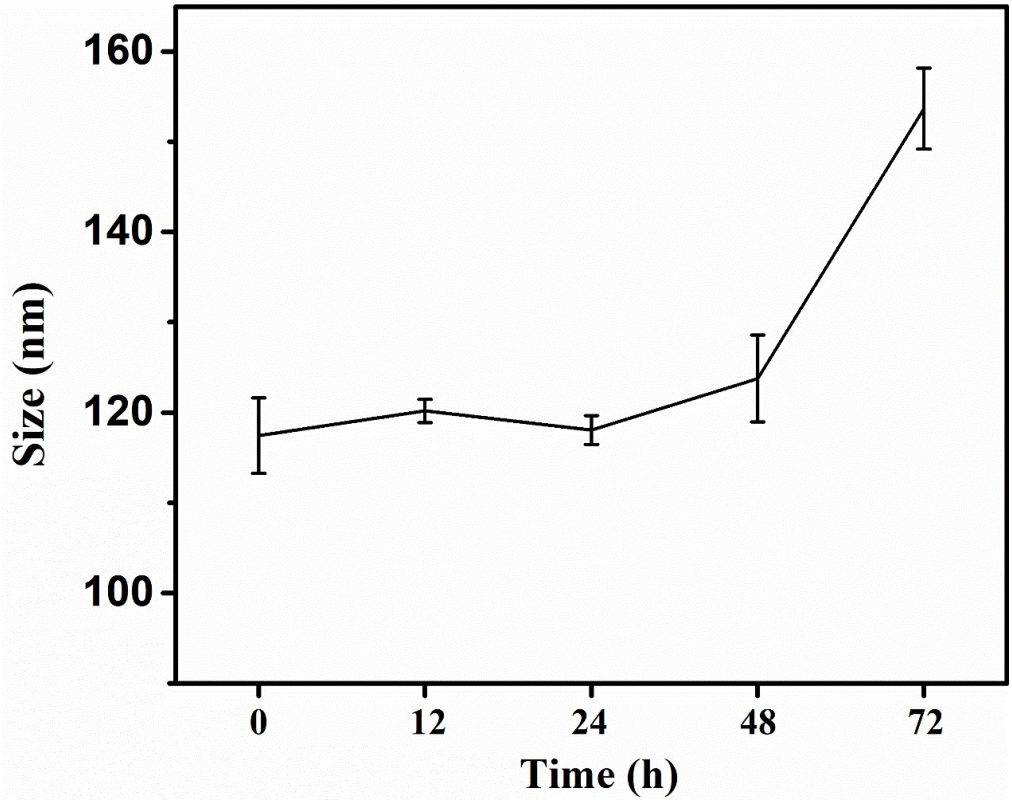

Fig. S6 Size changes of CPT-S-S-LA nanoparticles in PBS containing 10% FBS (n = 3).

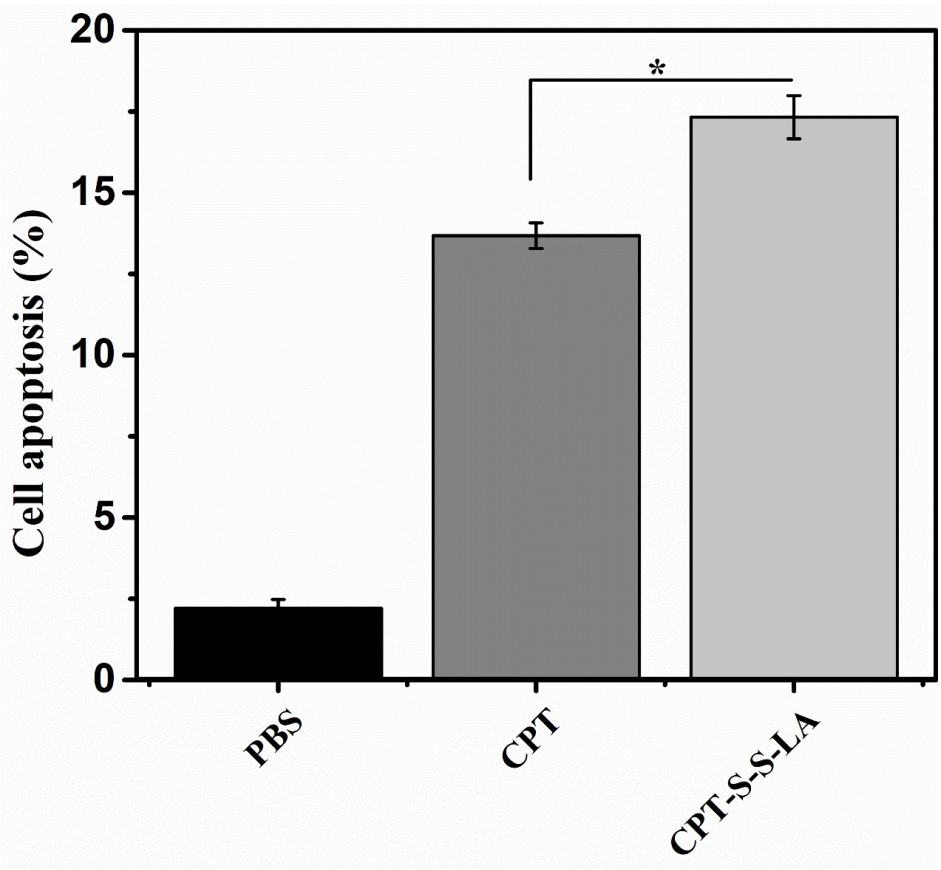

Fig. S7 FCM quantitative analysis of cell apoptosis in HepG2 cell with various treatment (n = 3, *p < 0.05).

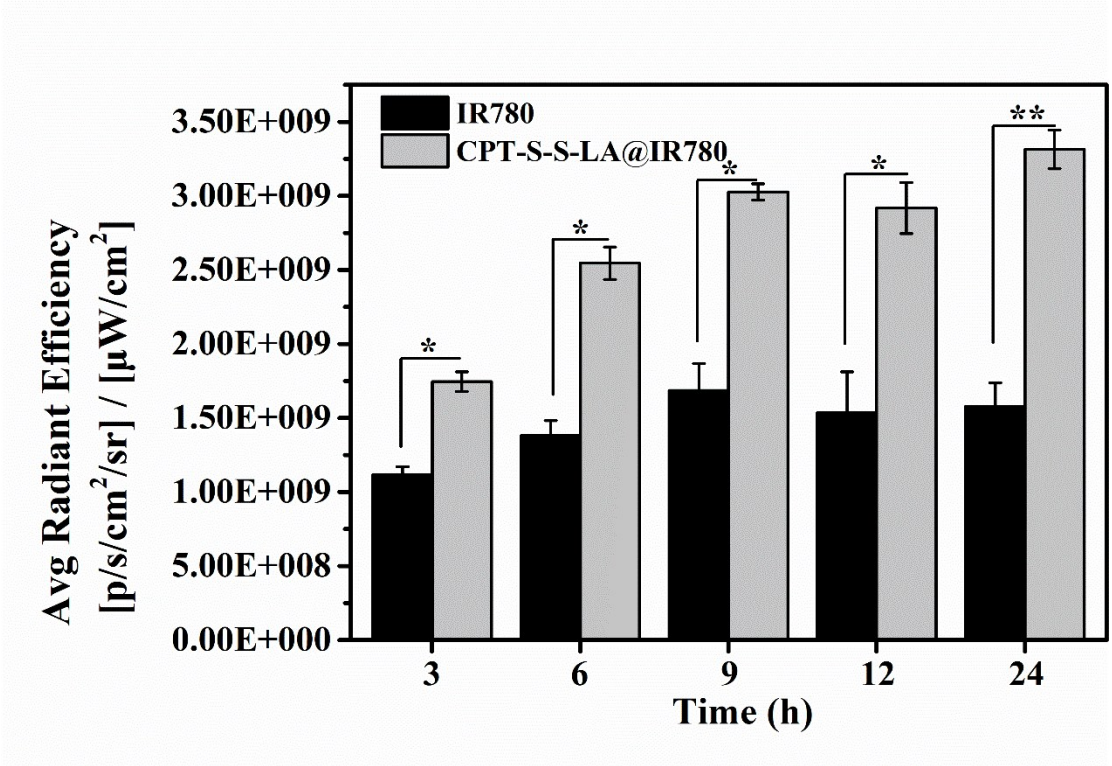

Fig. S8 The quantitative analysis of the fluorescent intensity of free IR780 (IR780) and IR780 loaded CPT-S-S-LA nanoparticles in HepG2 bearing mice at tumor sites at different time intervals (n = 3, *$p < 0.05$, **$p < 0.01$).

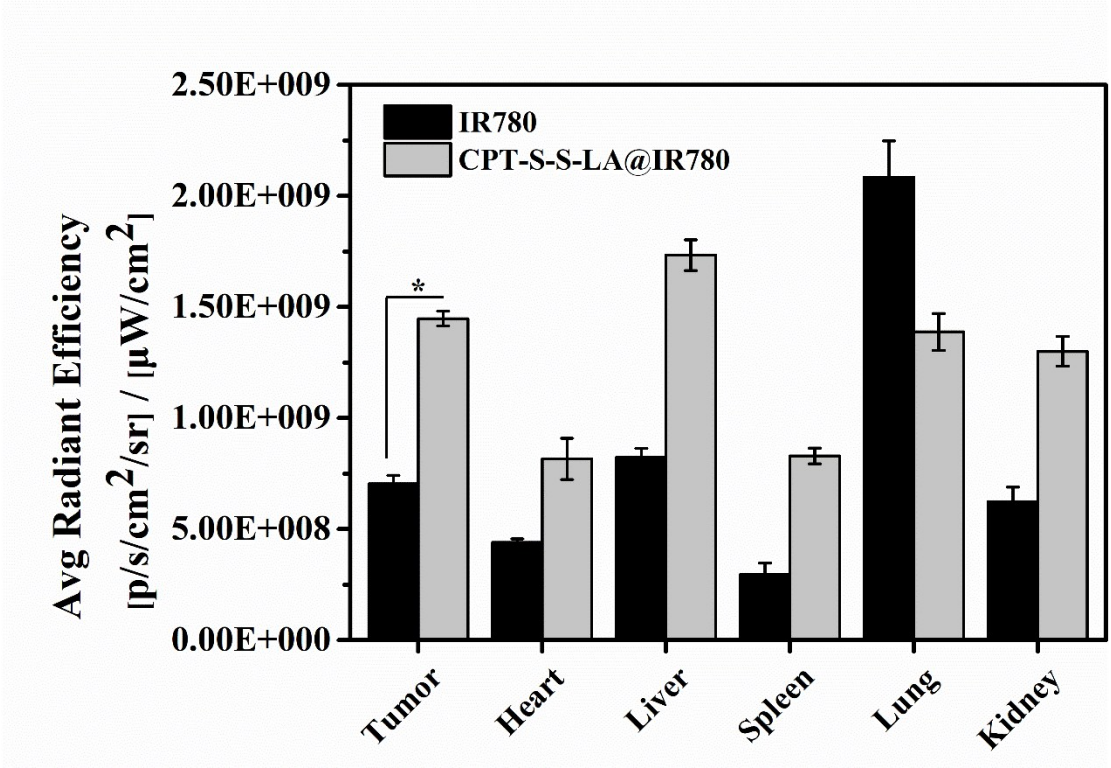

Fig. S9 The quantitative analysis of the fluorescent intensity of IR780 and CPT-S-S-LA@IR780 in HepG2 bearing mice in different organs (n = 3, *p < 0.05).

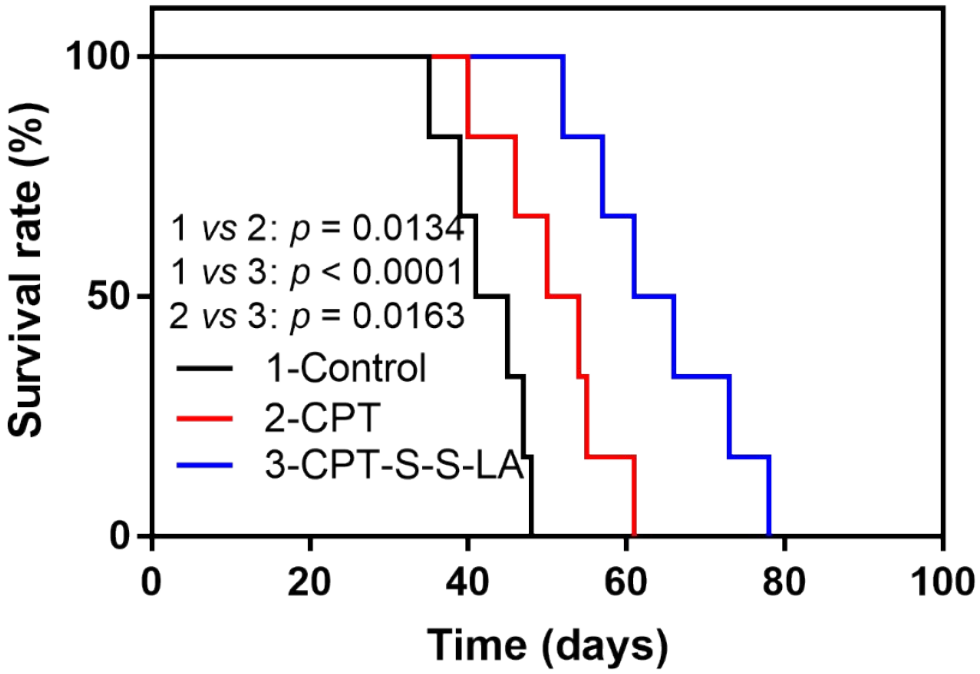

Fig. S10 Survival curves of the tumor-bearing nude mice (n = 6).

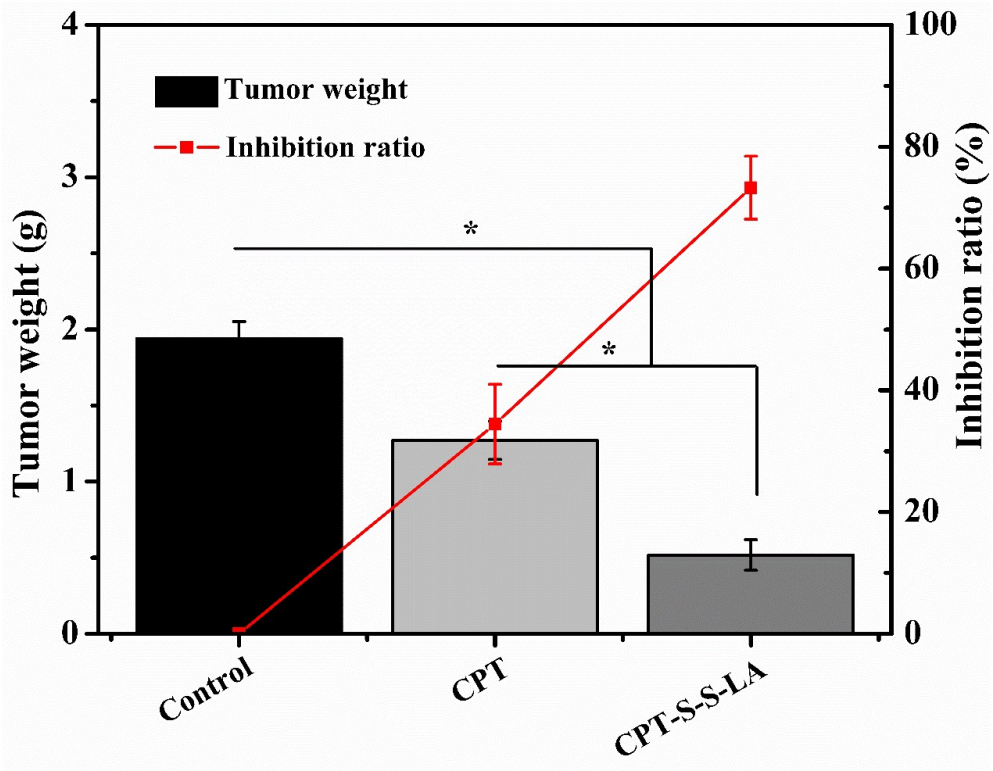

Fig. S11 Tumor inhibition ratio and mean weight of *ex vivo* tumors after different treatment for 21 days (n = 6, *$p < 0.05$).

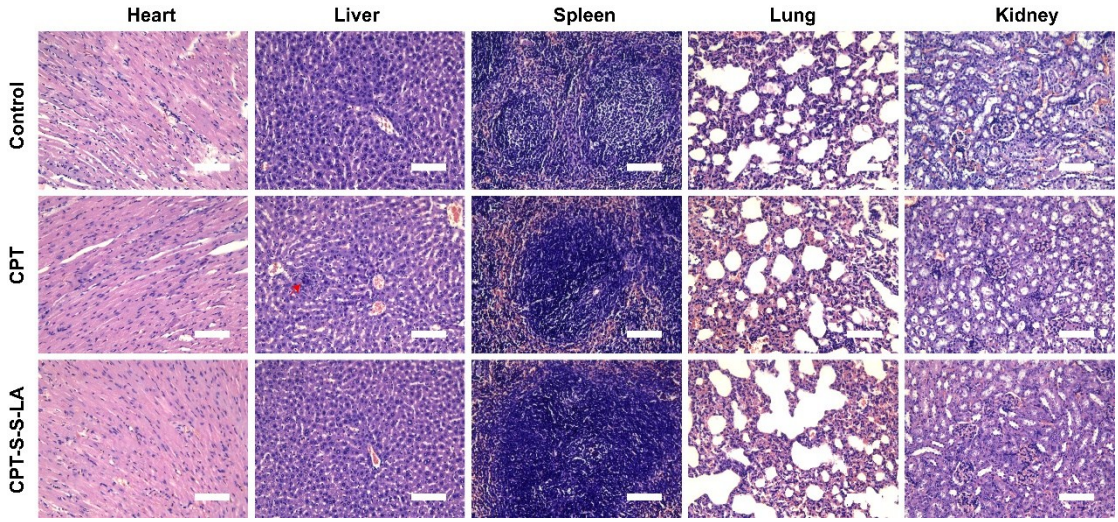

Fig. S12 Representative H&E images of the major organs of HepG2-beaing mice with various treatments. Red arrow points to the site of hepatic necrosis. Scale bar: 100 μm.