

Supporting information

α -amylase- and redox- responsive nanoparticles for tumor targeted drug
delivery

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¹H NMR (400 MHz, CDCl₃): δ 8.50 (1H, d, 2-pyridyl), 7.68 (2H, m, 2-pyridyl), 7.17 (1H, ddd, 2-pyridyl), 3.09 (2H, t, -CH₂-), 2.83 (2H, t, -CH₂-) ppm.

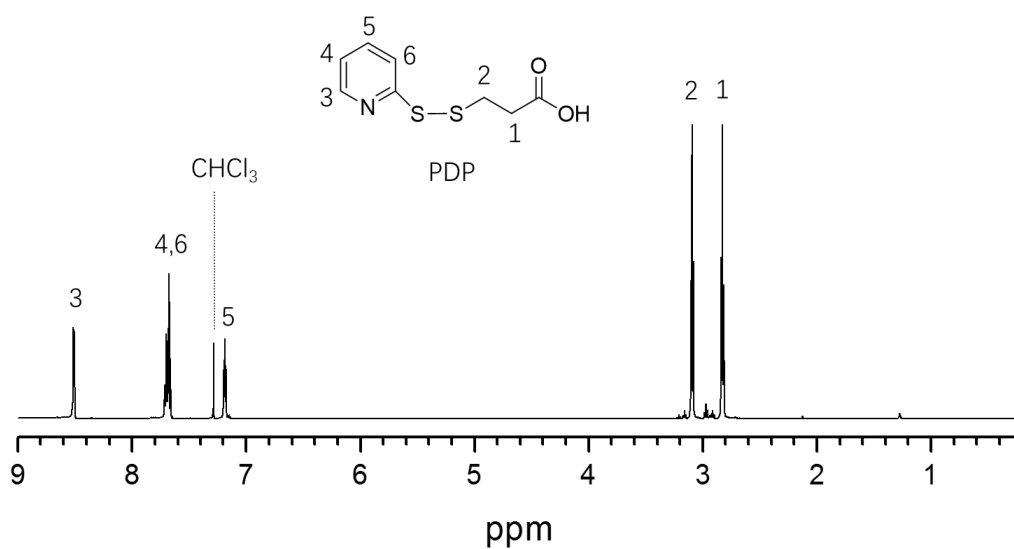


Fig. S1. ^1H NMR spectrum of PDP.

^1H NMR(400 MHz, CDCl_3): δ 8.49 (1H, d, 2-pyridyl), 8.16 (2H, d, aromatic), 7.80 (2H, d, aromatic), 7.70 (2H, m, 2-pyridyl), 7.32-7.66 (11H, m, aromatic), 7.15 (1H, ddd, 2-pyridyl), 6.30 (1H, s, 10), 6.25 (1H, m, 13), 5.98 (1H, dd, 3'), 5.70 (1H, d, 2), 5.57 (1H, d, 2'), 4.99 (1H, bd, 5), 4.46 (1H, m, 7), 4.34 (1H, d, 20a), 4.22 (1H, d, 20b), 3.81 (1H, d, 3), 3.03 (2H, t, $-\text{CH}_2-$), 2.91 (2H, t, $-\text{CH}_2-$), 2.58 (1H, m, 6a), 2.48 (3H, s, 4-OAc), 2.25 (3H, s, 10-OAc), 1.92 (3H, s, 18), 1.70 (3H, s, 19), 1.25 (3H, s, 16), 1.15 (3H, s, 17) ppm.

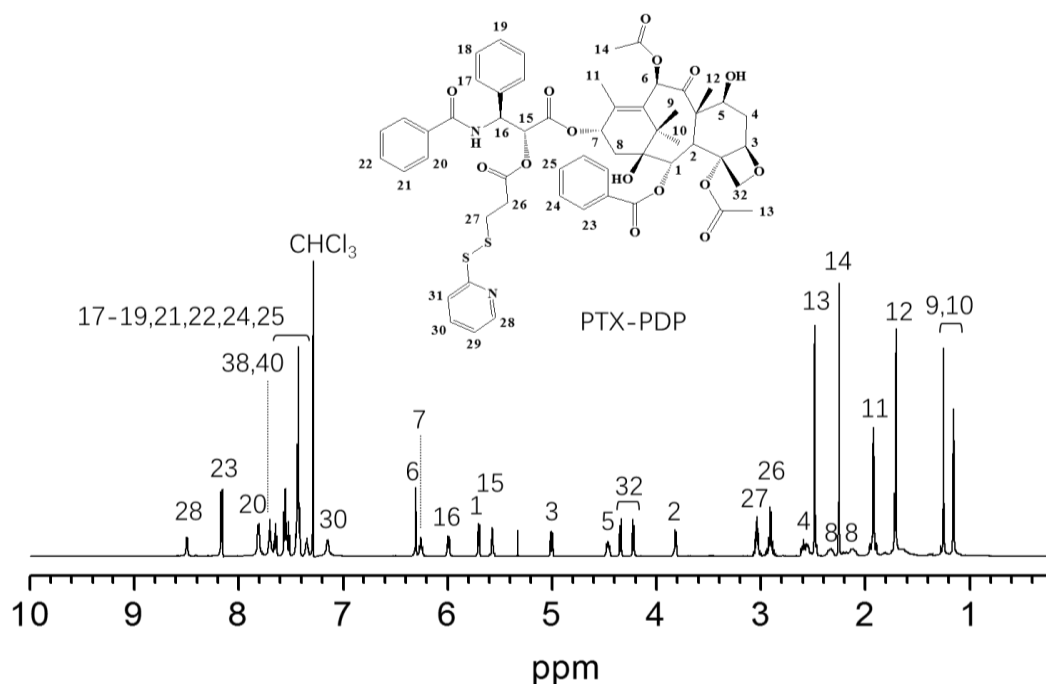


Fig. S2. ^1H NMR spectrum of PTX-PDP.

^1H -NMR (400 MHz, CDCl_3): δ 8.16 (2H, d, aromatic), 7.77 (2H, d, aromatic), 7.32-7.66 (11H, m, aromatic), 6.91 (1H, d, N-H), 6.32 (1H, s, 10), 6.29 (1H, m, 13), 6.02 (1H, dd, 3'), 5.71 (1H, d, 2), 5.56 (1H, d, 2'), 5.00 (1H, bd, 5), 4.47 (1H, m, 7), 4.34 (1H, d, 20a), 4.22 (1H, d, 20b), 3.84 (1H, d, 3), 2.96 (2H, t, - CH_2 -), 2.76 (2H, t, - CH_2 -), 2.60 (1H, m, 6a), 2.49 (3H, s, 4-OAc), 2.26 (3H, s, 10-OAc), 1.97 (3H, s, 18), 1.71 (3H, s, 19), 1.26 (3H, s, 16), 1.16 (3H, s, 17) ppm.

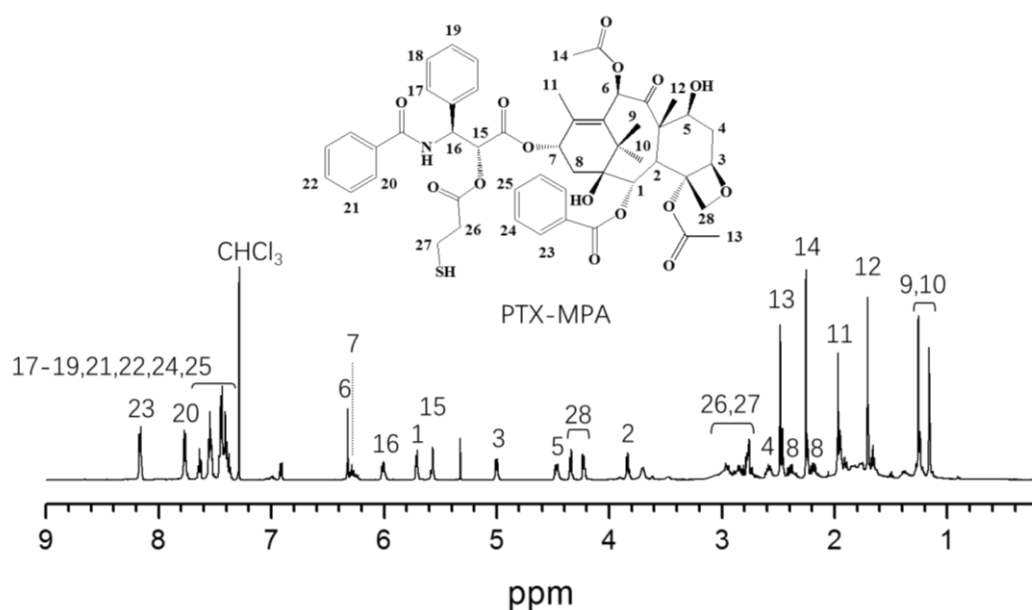


Fig. S3. ^1H NMR spectrum of PTX-MPA.

Compared to HES, the appearance of the peak 3-6 and 1-2 in the ^1H NMR spectrum of HES-PDP is ascribed to the protons of pyridyl and methylene of PDP. In FI-IR spectrum, the appearance of the characteristic band at 1724 cm^{-1} is related to the C=O stretch vibration of ester bond, indicating successful conjugating PDP onto HES by ester bond.

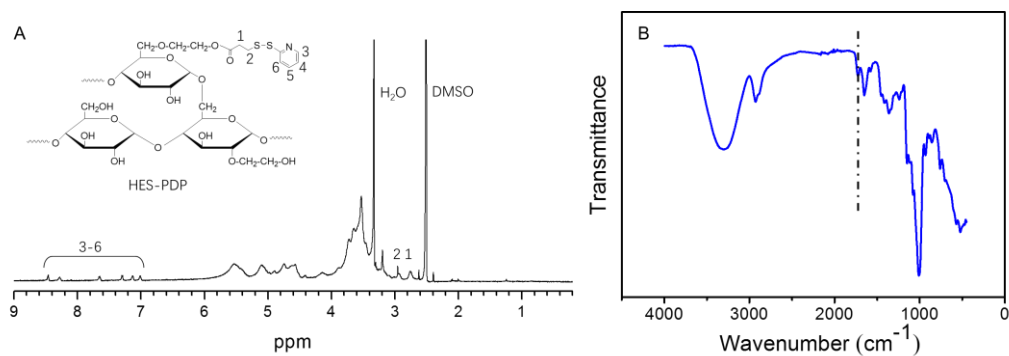


Fig. S4. ^1H NMR spectrum (A) and FT-IR spectrum (B) of HES-PDP.

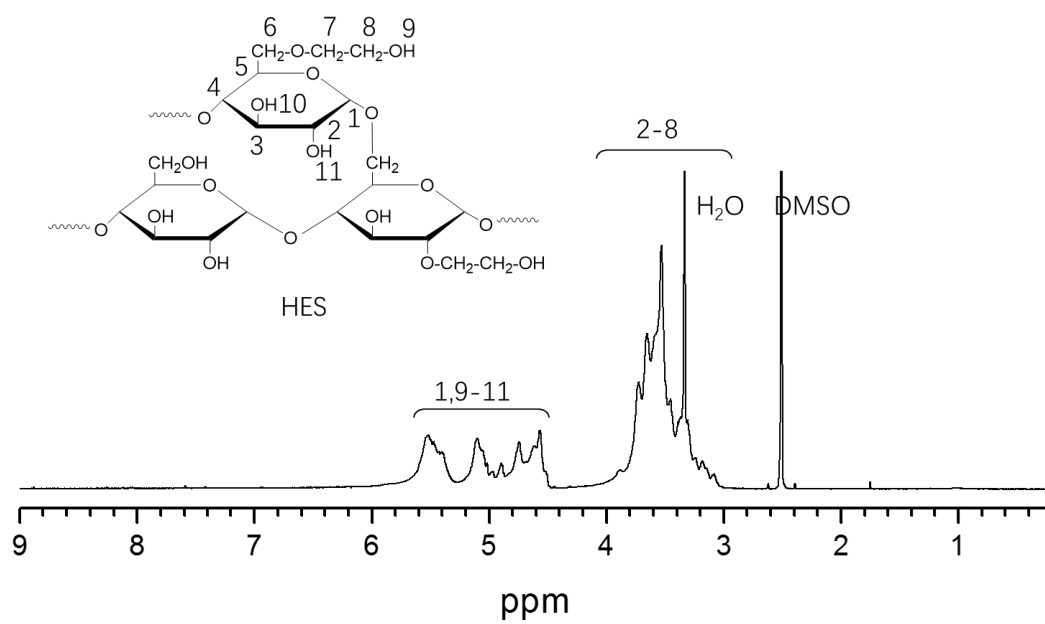


Fig. S5. ^1H NMR spectrum of HES.

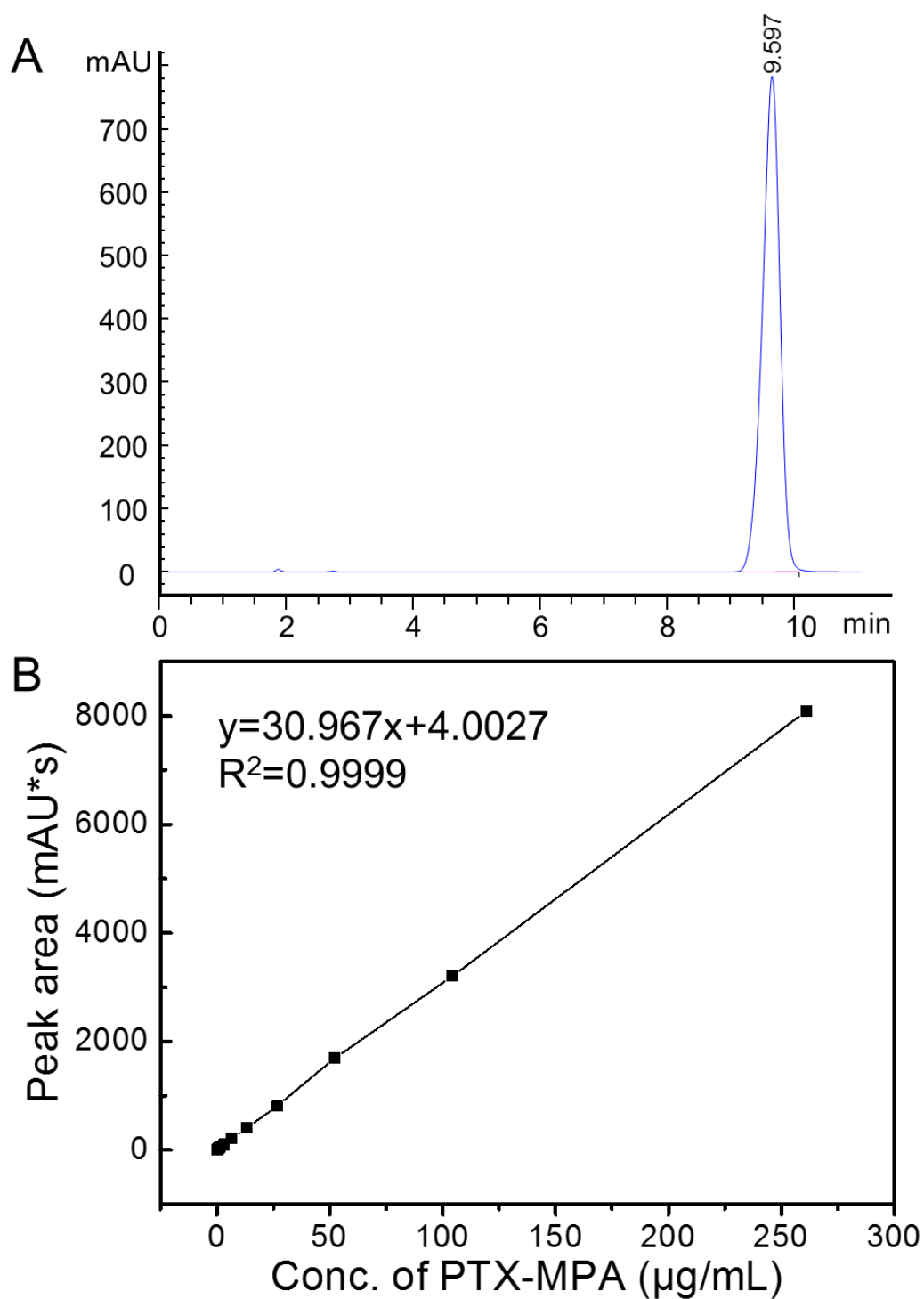


Fig. S6. Determination of PTX-MPA. (A) HPLC spectrum of PTX-MPA. (B) Standard calibration curve obtained with different concentration of PTX-MPA (0 - 250 μg/mL).

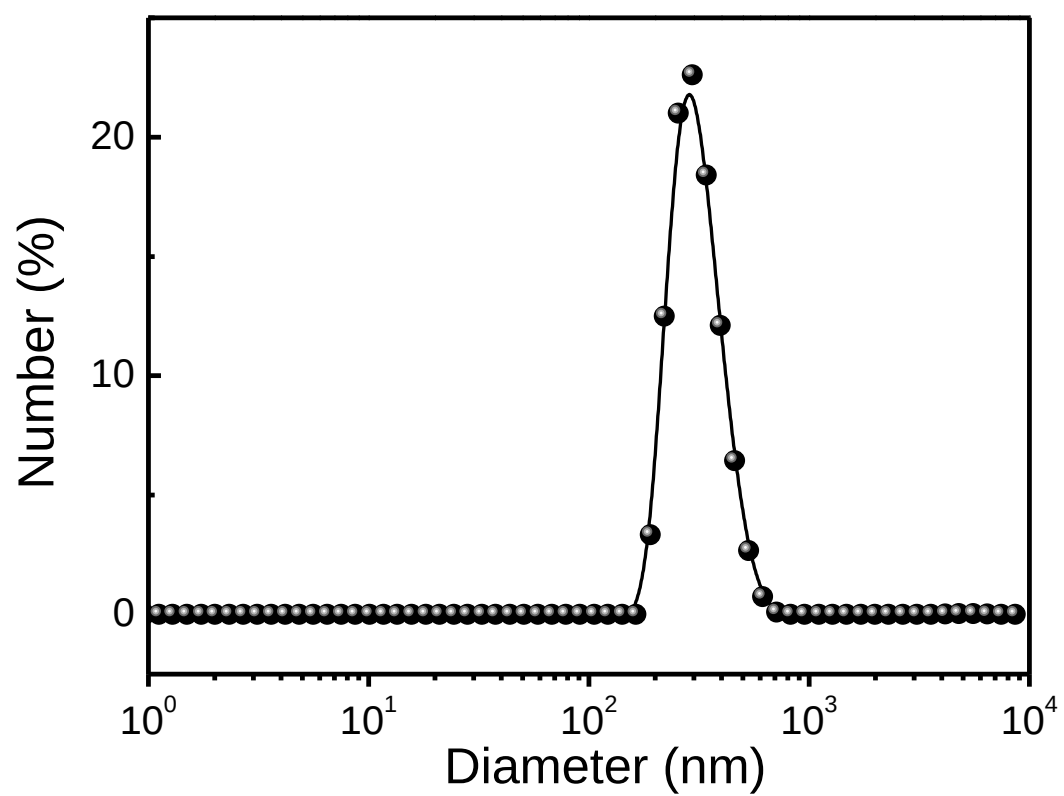


Fig. S7. Size distribution of self-assembled HES-SS-PTX NPs that determined by DLS.

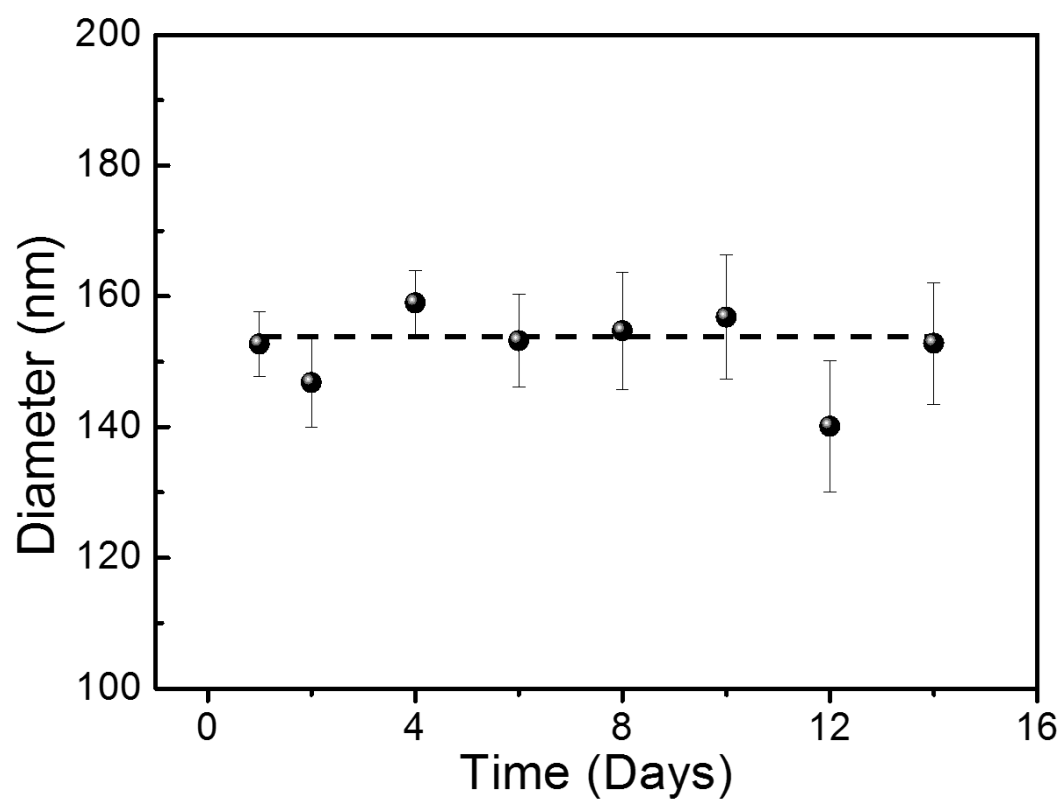


Fig. S8. Stability of HES-SS-PTX NPs. Size variation of HES-SS-PTX NPs in 14 days. The dash line is for eye guiding.

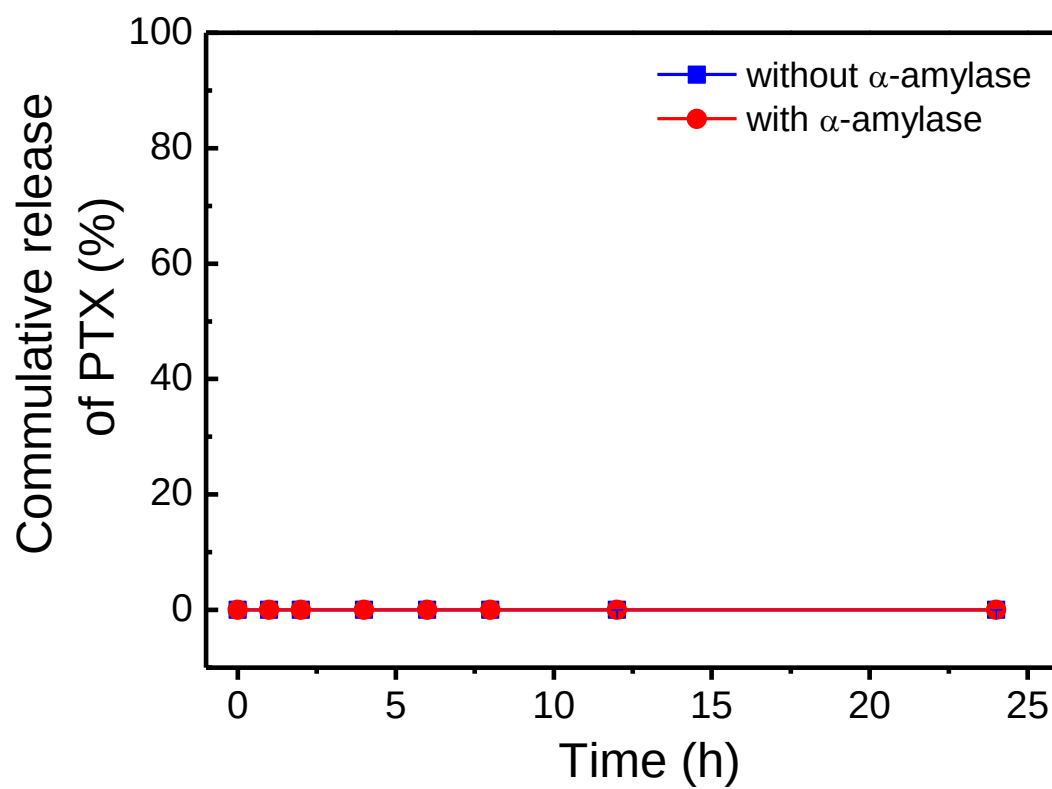


Fig. S9. Cumulative release of PTX from HES-SS-PTX NPs, incubated with/without 100 U/L α -amylase.

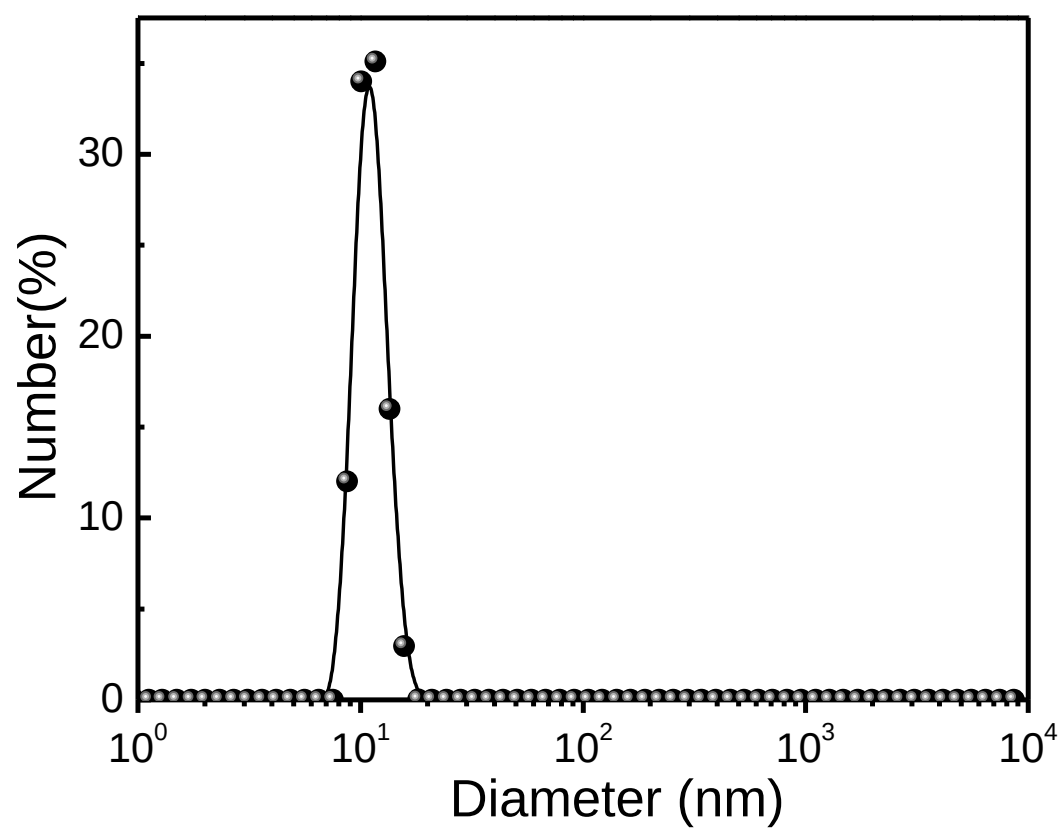


Fig. S10. Size distribution of HES_{130/0.4}, as determined by DLS.

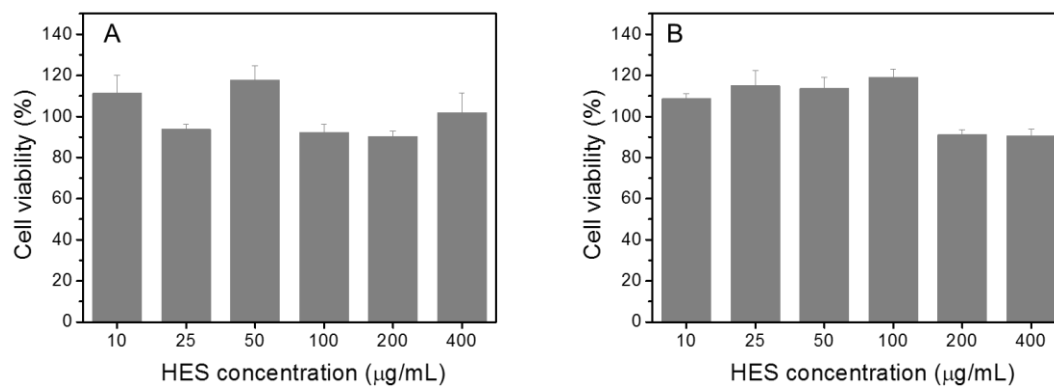


Fig. S11. Antitumor efficacy of polymer. (A) Cell viability of 4T1 cells treated with HES_{130/0.4} for 24 h. (B) Cell viability of 4T1 cells treated with HES_{130/0.4} for 48 h. (Data represent the mean $\pm$ SD, n=3)

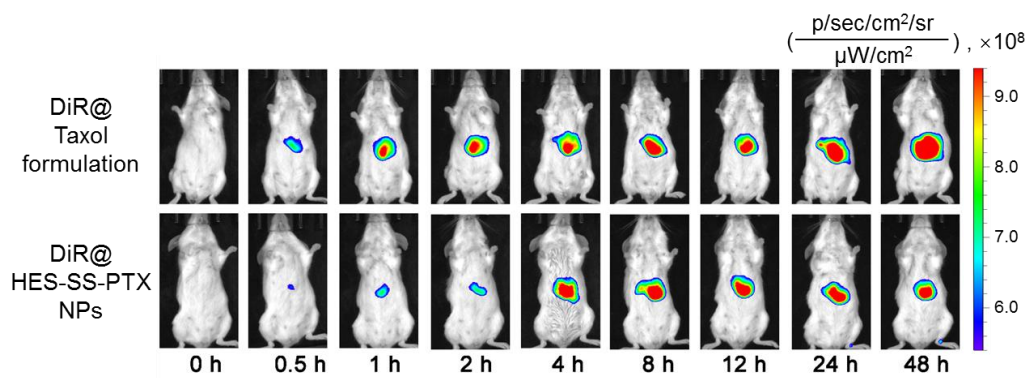


Fig. S12. *In vivo* fluorescent images of 4T1-bearing mice at different time points after i.v. injection of DiR@Taxol formulation or DiR@HES-SS-PTX NPs.

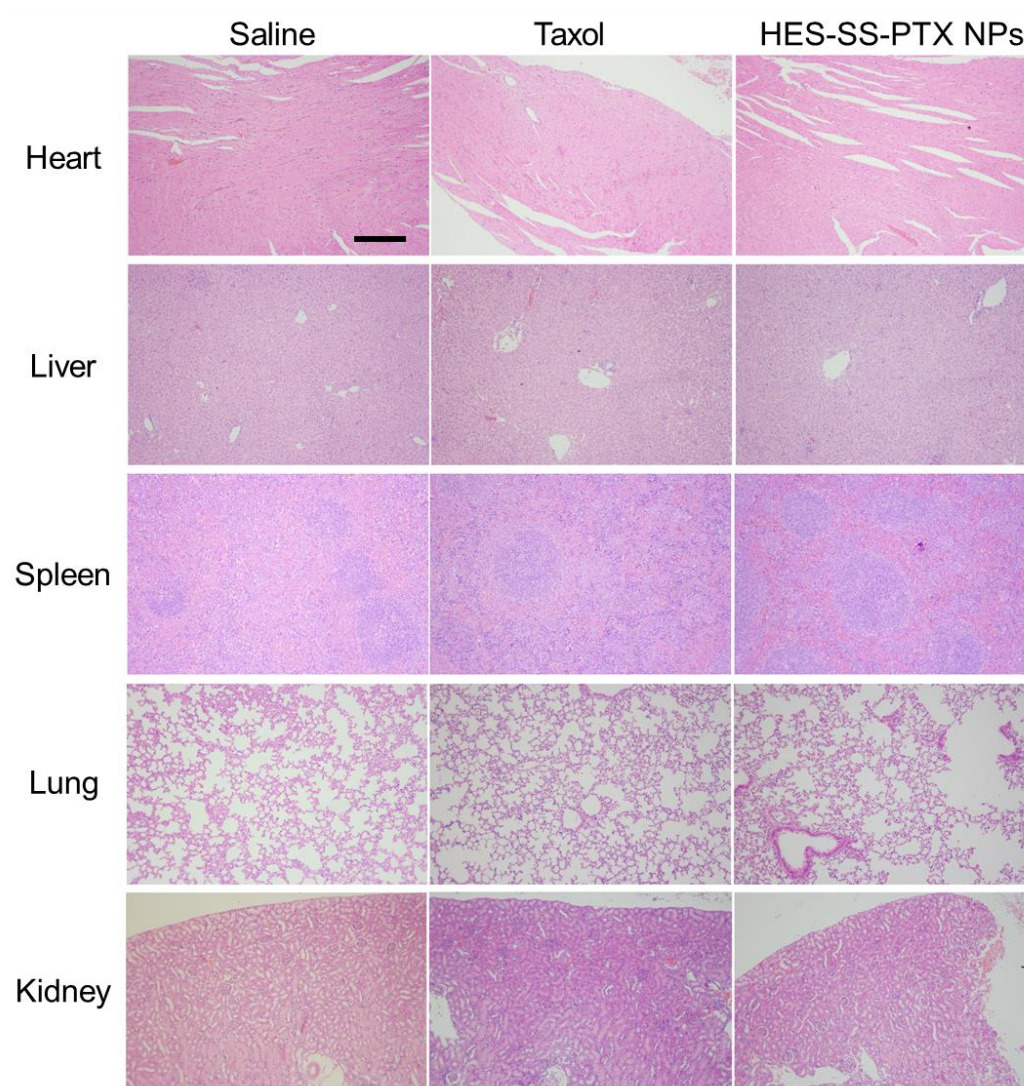


Fig. S13. Histological analysis of major tissues. H&E stained sections of major tissues (heart, liver, spleen, lung and kidney) from various groups. Scale bar is 100 μm and applied for all images.

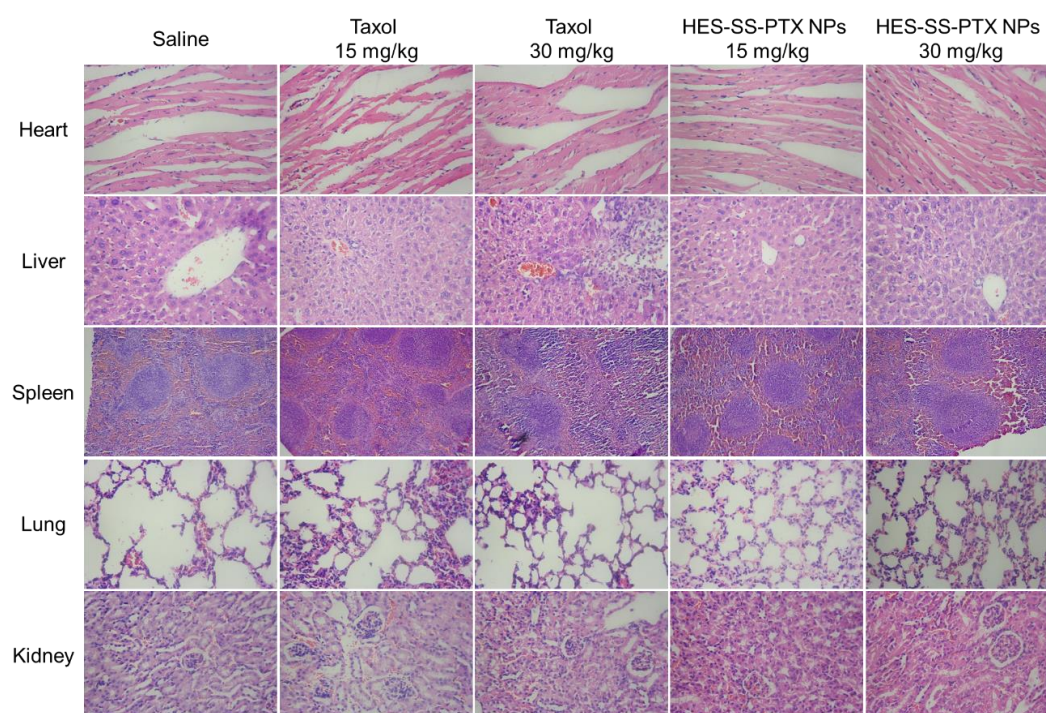


Fig. S14. Histological analysis of major tissues. H&E stained sections of major tissues (heart, liver, spleen, lung and kidney) from various groups.