

Targeted delivery of a guanidine-pendant Pt(IV)-backboned poly-prodrug by an anisamide-functionalized polypeptide

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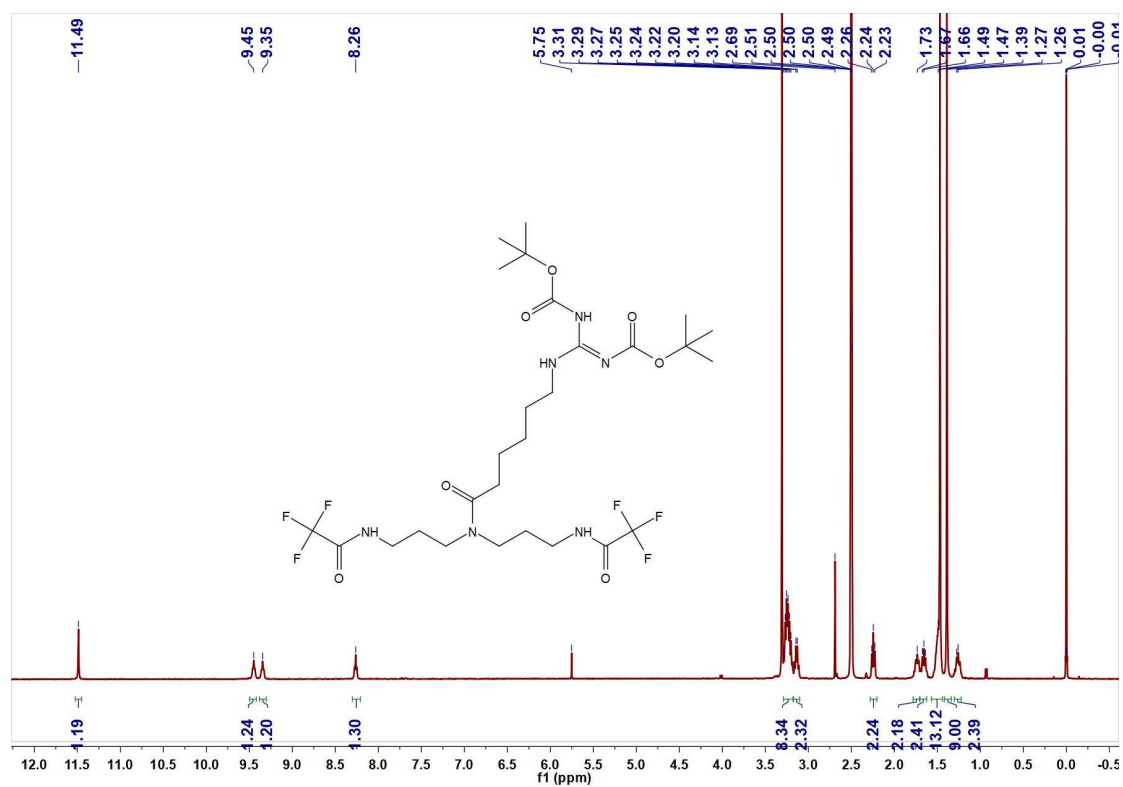


Fig. S3 ¹H NMR spectrum of Gu-2 in DMSO-*d*₆.

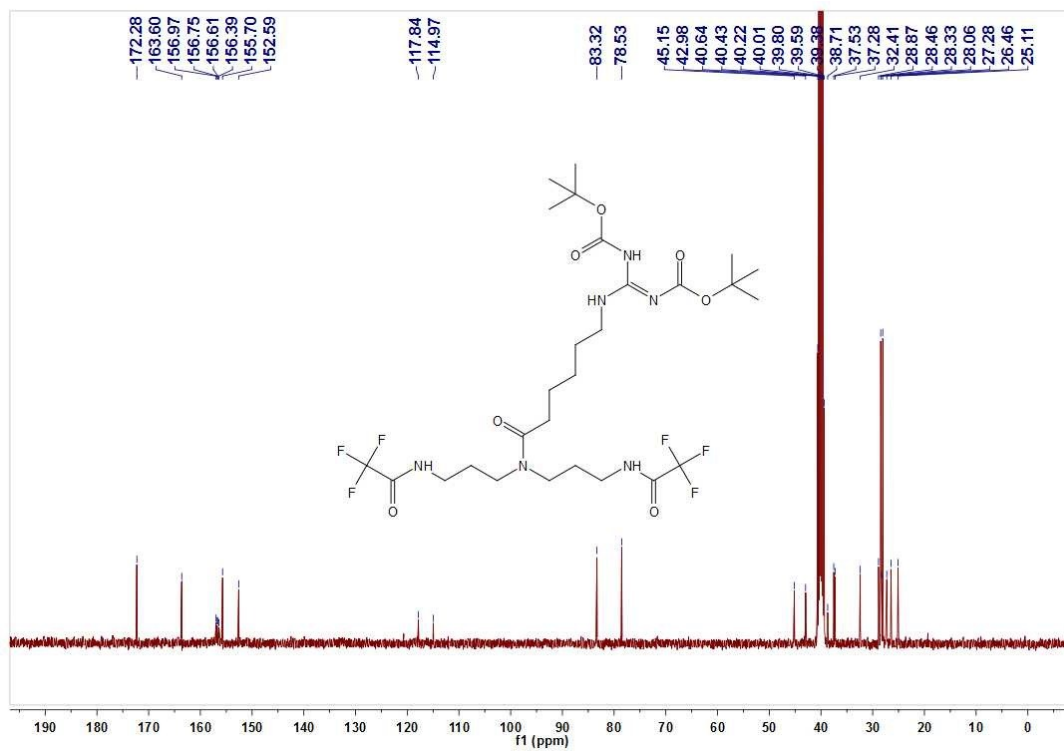


Fig. S4 ¹³C NMR spectrum of Gu-2 in DMSO-*d*₆.

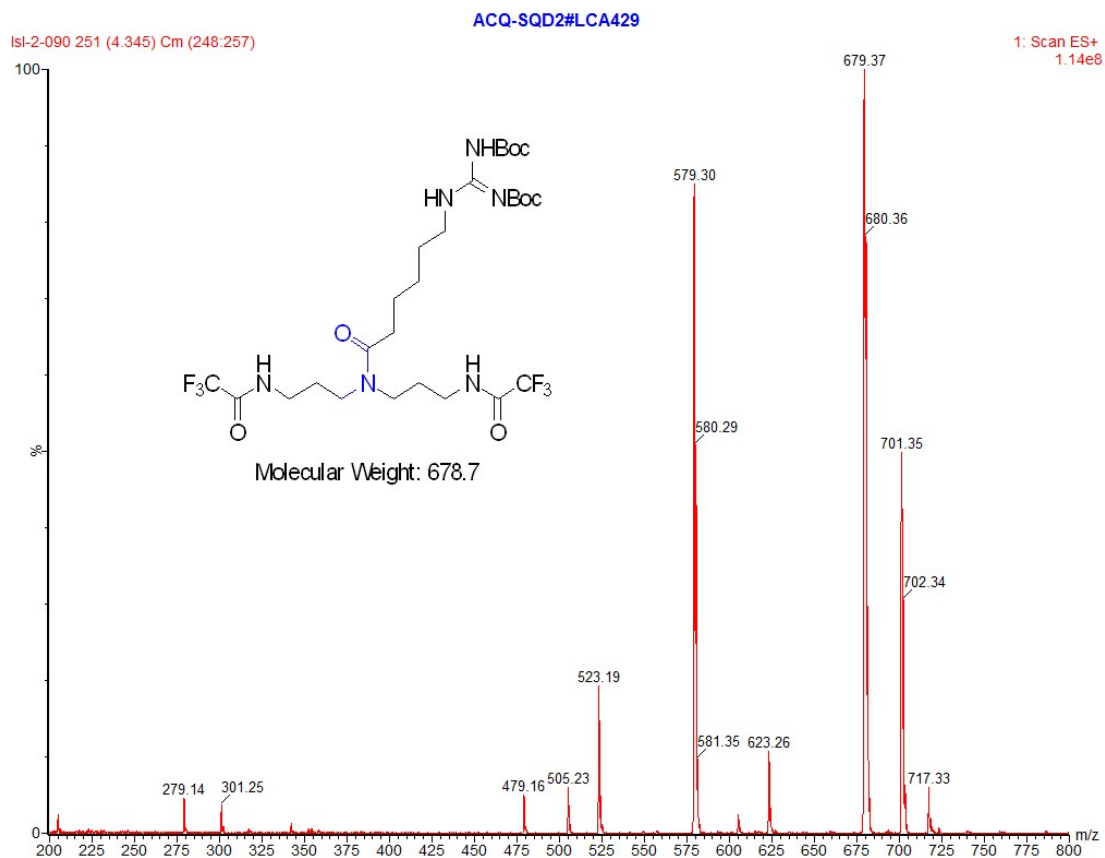


Fig. S5. MS spectrum of Gu-2.

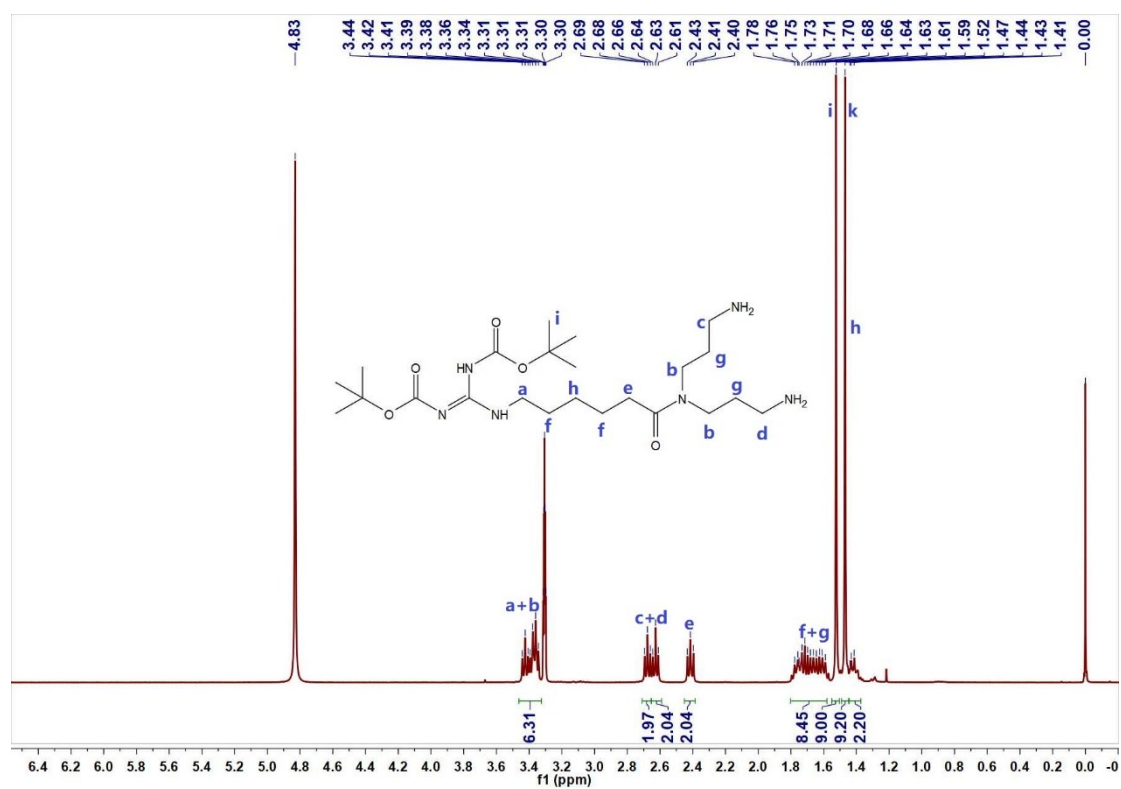


Fig. S6 ^1H NMR spectrum of Gu-3 in CD_3OD .

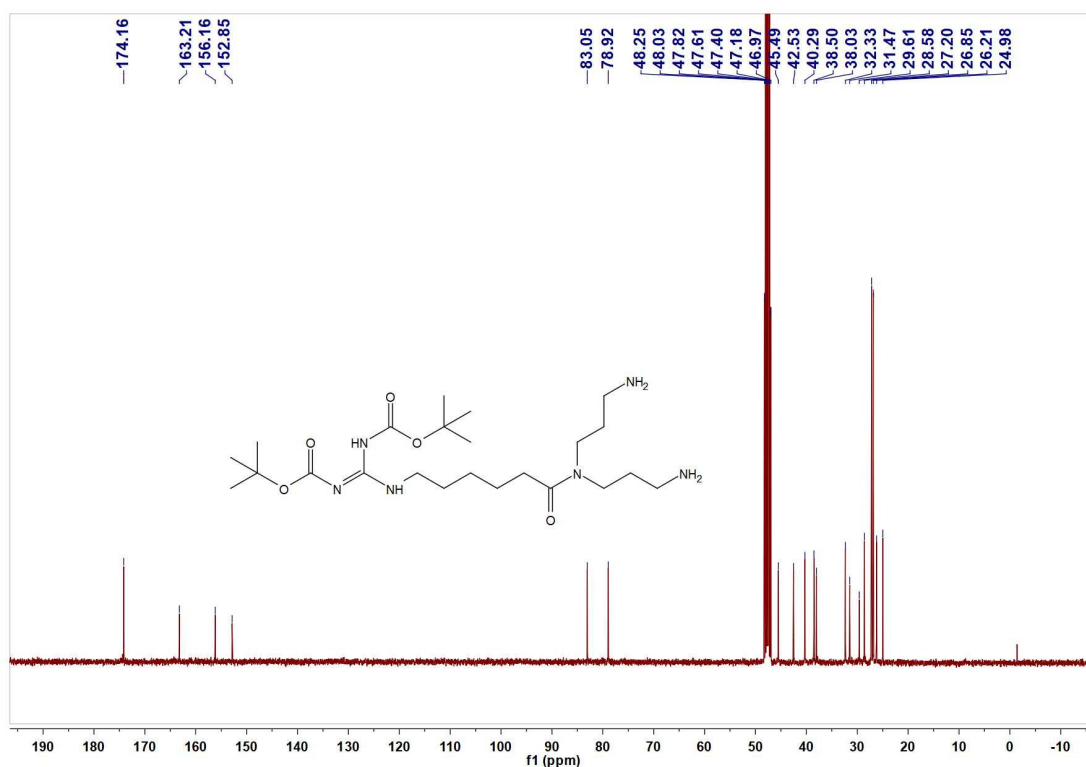


Fig. S7 ¹³C NMR spectrum of Gu-3 in CD₃OD.

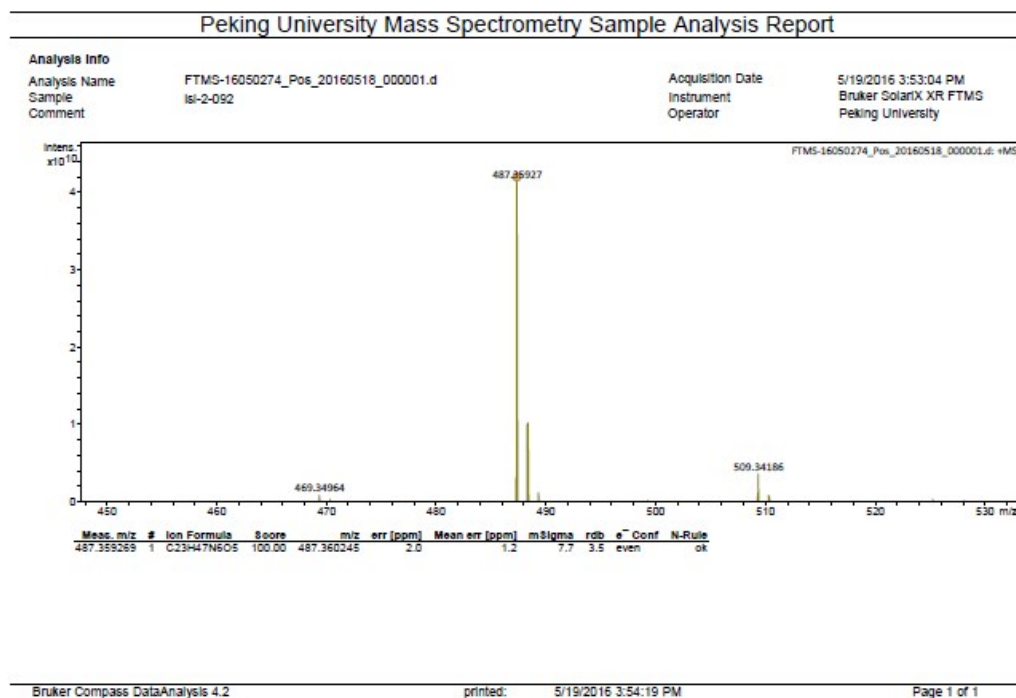


Fig. S8 HR-ESI-MS spectrum of Gu-3.

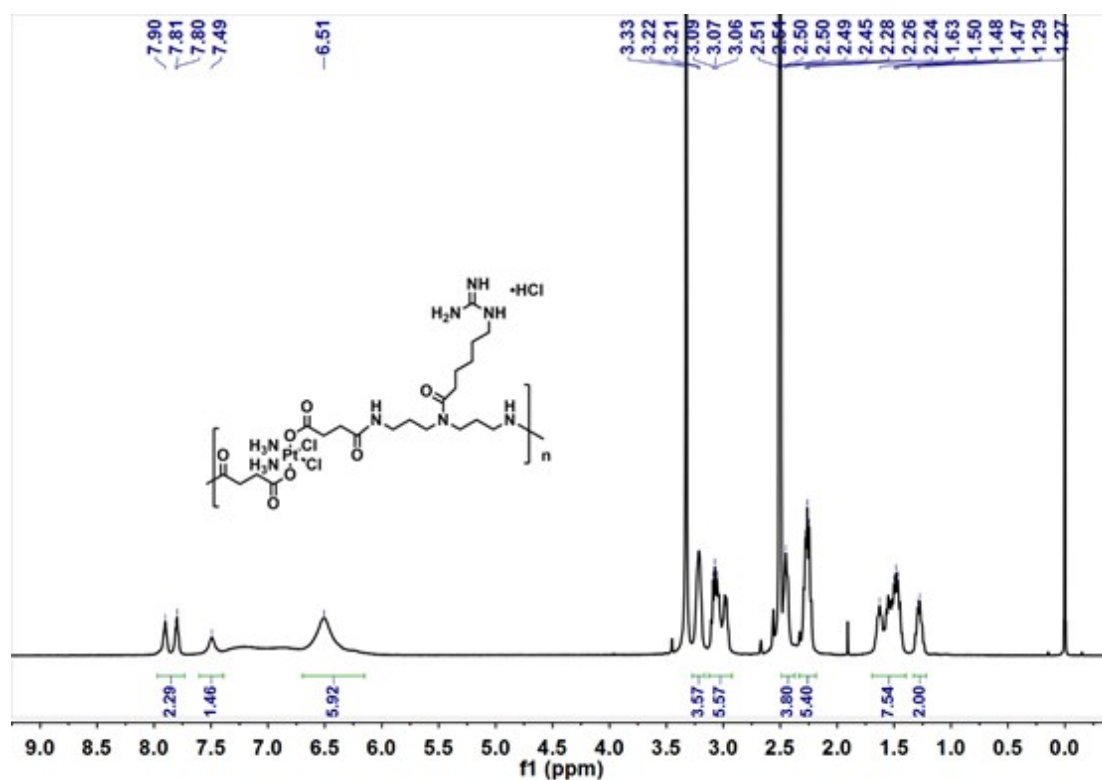


Fig. S9 ^1H NMR spectrum of P(DSP-Gu) in $\text{DMSO}-d_6$.

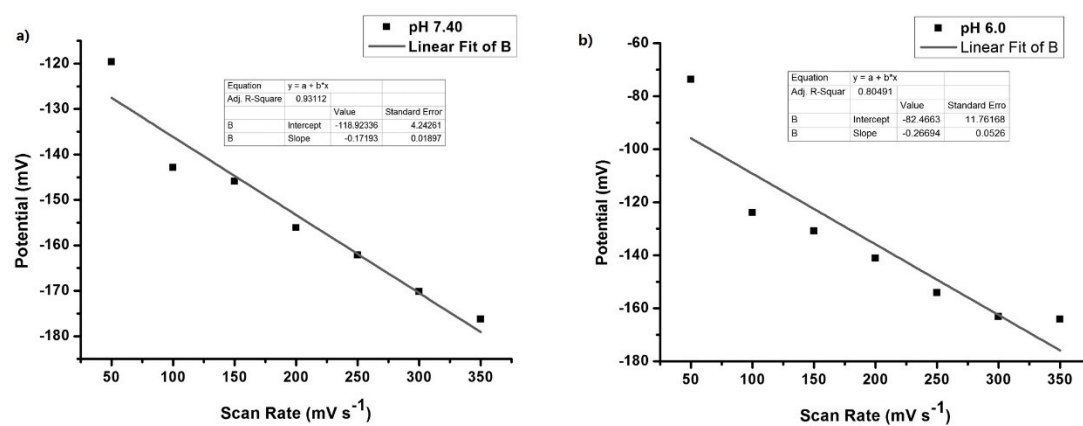


Fig. S10 Plot of reduction peak potential maxima of P(DSP-Gu) at pH a) 7.4 and b) 6.0 as a function of scan rate.



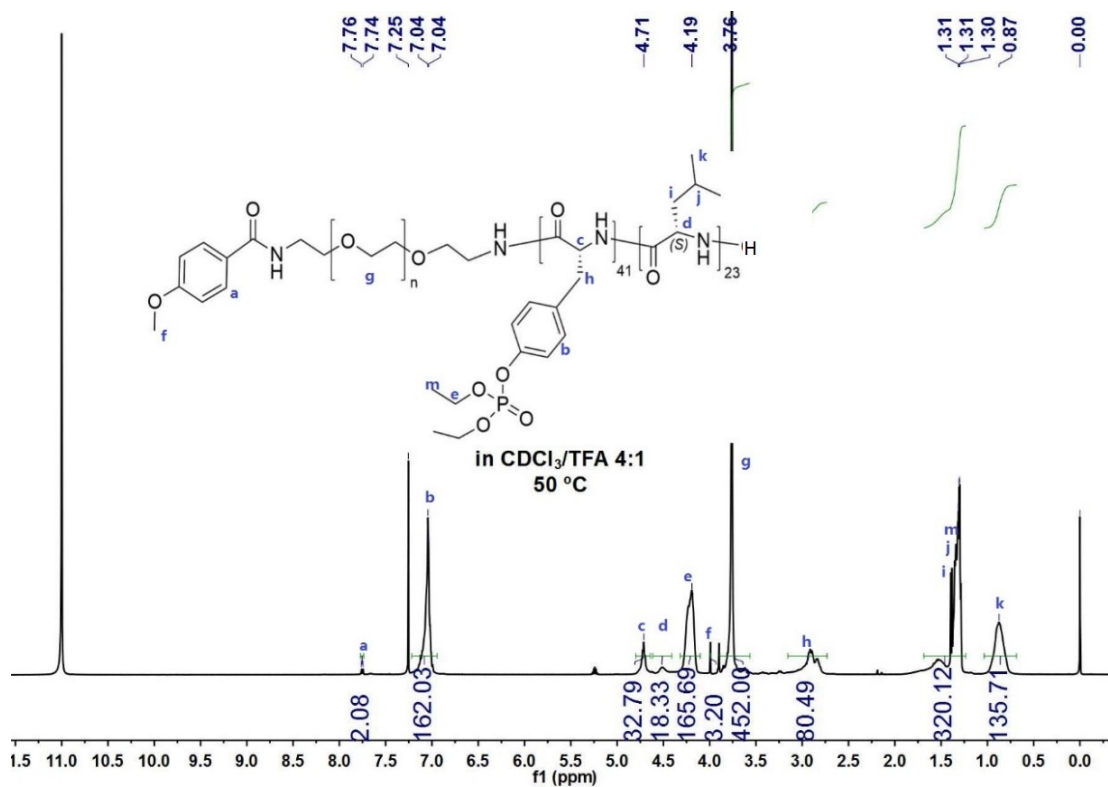


Fig. S13 ¹H NMR of A-4 in CDCl₃/TFA (v/v) = 4:1 at 50 °C.

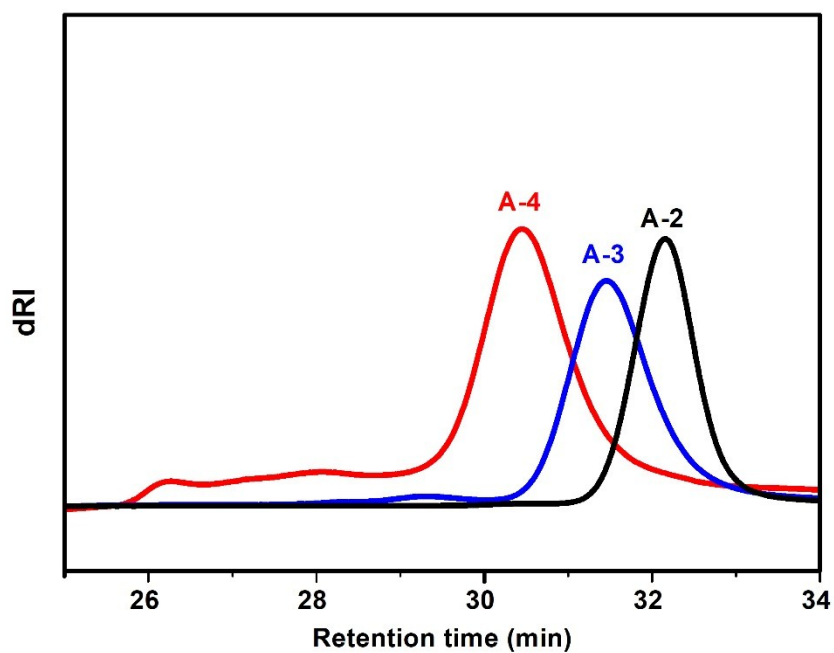


Fig. S14 GPC curves of A-2, A-3, A-4 determined in DMF at a flow rate of 1.0 mL/min at 50°C.

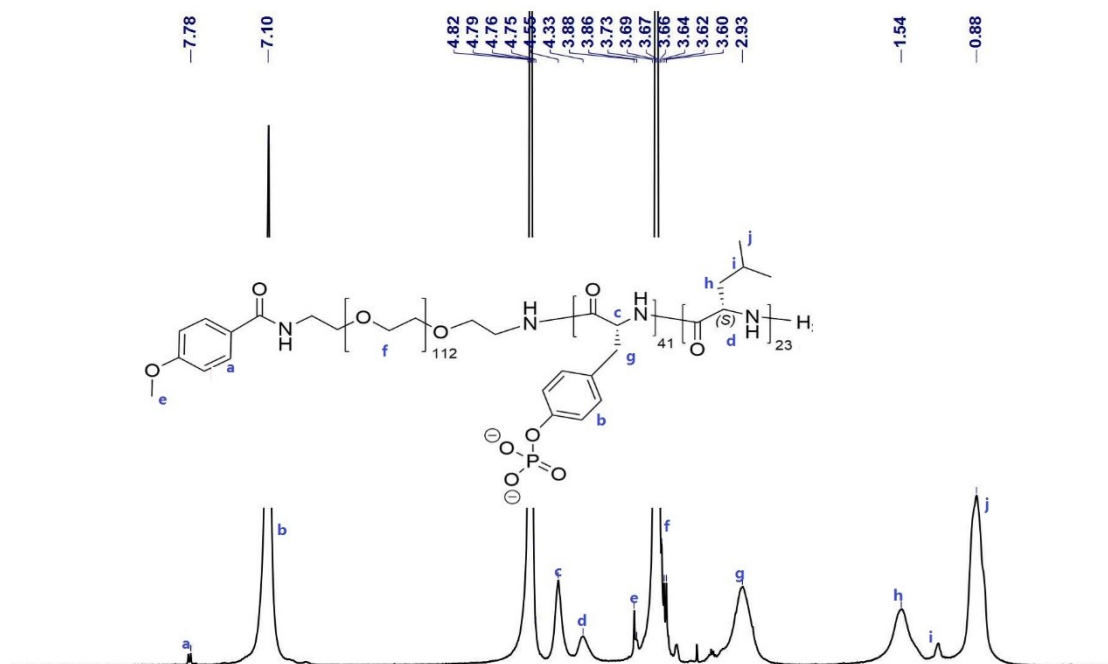


Fig. S15 1H NMR of AA-PEG-PpY-PLeu in D_2O .

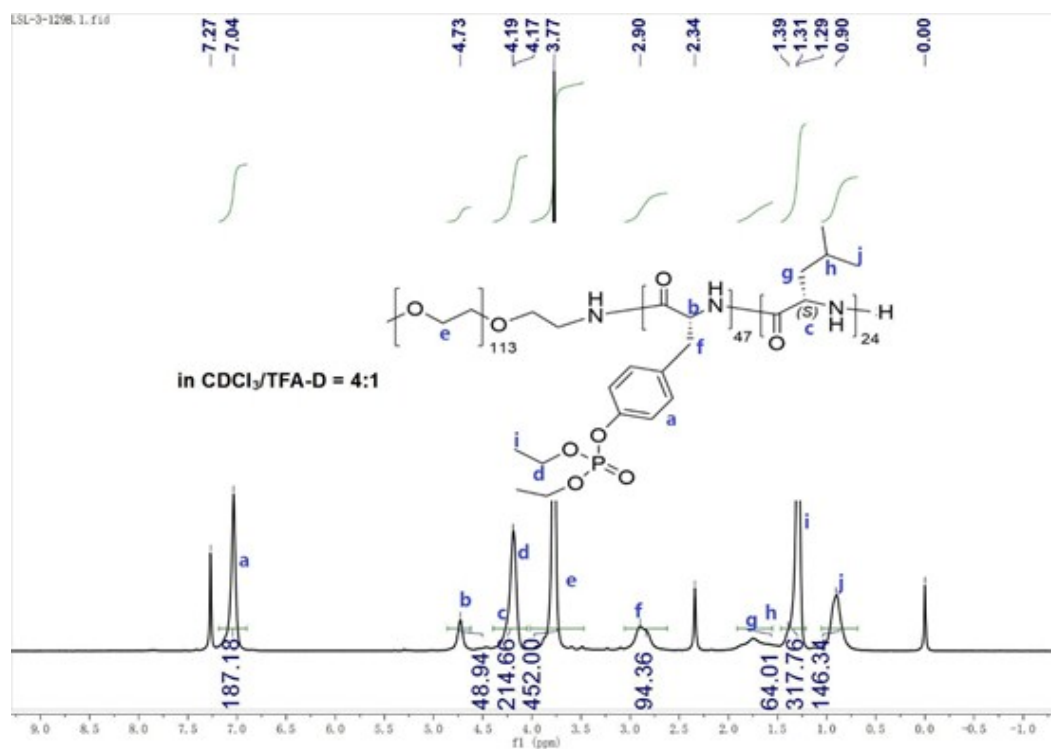


Fig. S16 1H NMR spectrum of mPEG-3 in $CDCl_3/TFA-D$ (v/v)= 4:1.

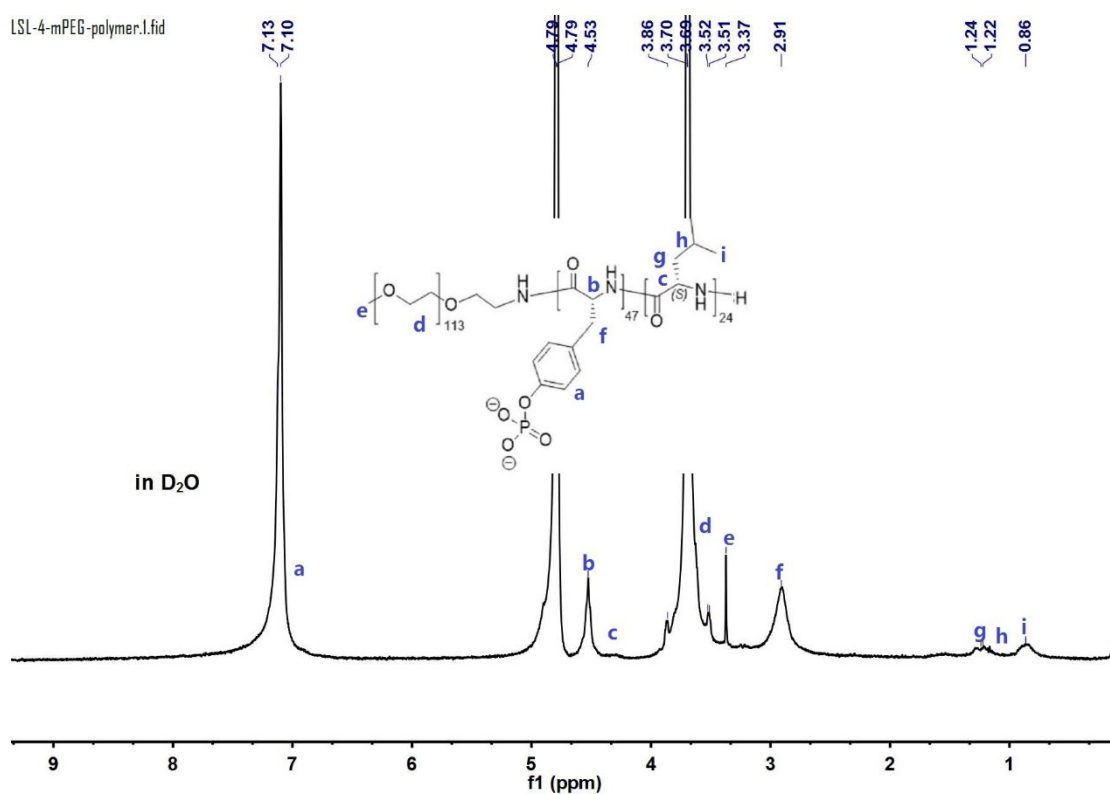


Fig. S17 ¹H NMR spectrum of mPEG-PpY-PLeu in D₂O.

Table S1 Size, zeta potential, and polydispersity index of different Tg-PICs at various P/Gu ratios.

	AA-PEG-PpY-PLeu	Tg-PIC-1:1	Tg-PIC-2:3	Tg-PIC-1:2
Eff.Diam. ^a (nm)	230.0	89.7	87.6	95.0
PDI ^b	0.369	0.091	0.090	0.078
Zeta potential (mV)	-19.58	-17.50	-14.00	-6.26

[a] Mean hydrodynamic diameters at 25 °C. [b] Polydispersity index determined by dynamic light scattering.

Table S2 Size, zeta potential, and polydispersity index of different NT-PICs at various P/Gu ratios.

	mPEG-PpY-PLeu	NT-PIC-1:1	NT-PIC-2:3	NT-PIC-1:2
Eff.Diam. ^a (nm)	265.0	119.0	155.8	135.7
PDI ^b	0.325	0.201	0.115	0.161
Zeta potential (mV)	-26.02	-10.25	-4.71	-3.16

[a] Mean hydrodynamic diameters at 25 °C. [b] Polydispersity index determined by dynamic light scattering.

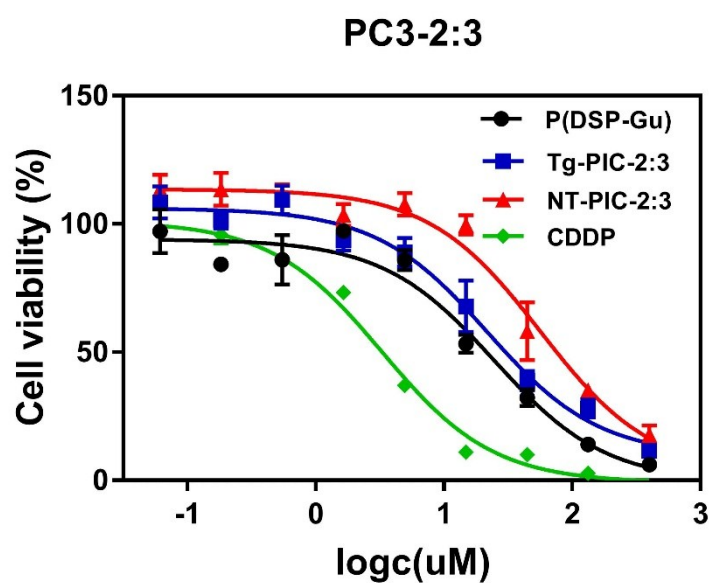


Fig. S18 The concentration-dependent cytotoxicity of P(DSP-Gu), Tg-PIC-2:3, and NT-PIC-2:3 to PC3 cells; determined by Cell Titer Blue assays.

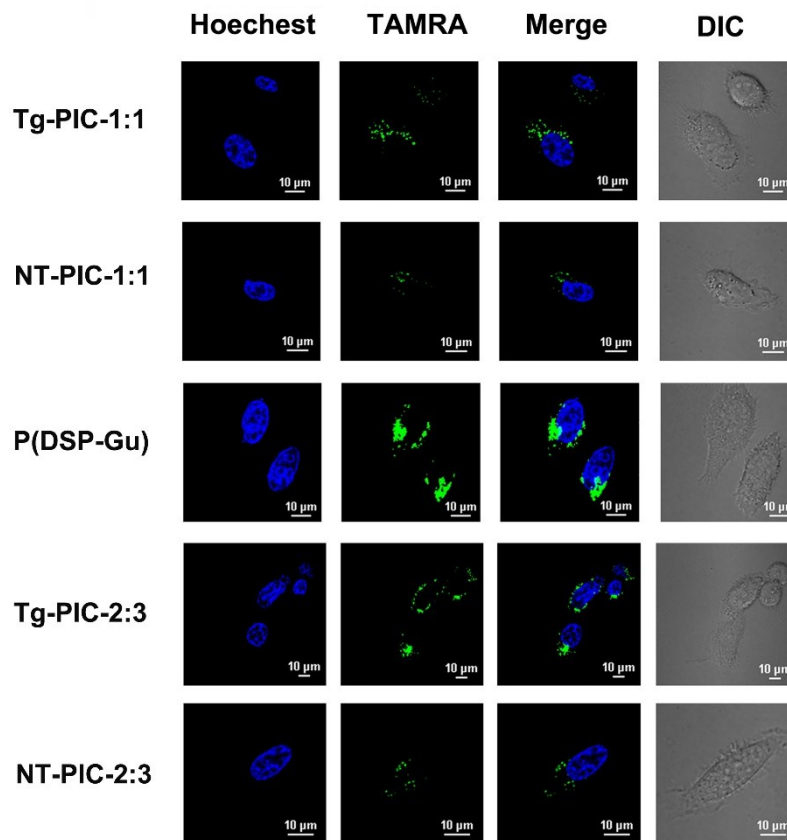


Fig. S19 CLSM images of PC3 cells following 4 h incubation with various formulations.

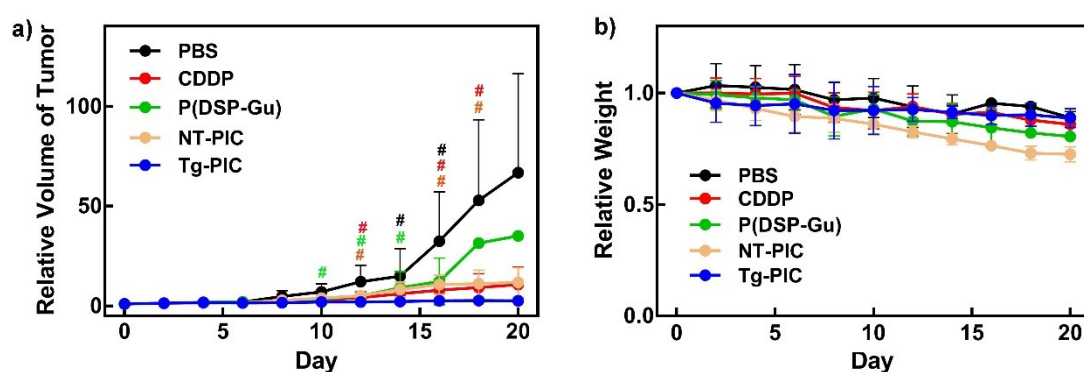


Fig. S20 Relative tumor volume (a) and body weight (b) of mice receiving PBS, CDDP, P(DSP-Gu), NT-PIC, or Tg-PIC (P/Gu = 2/3). Mice bearing PC3 tumors ($n = 5$) of 50 mm³ were i.v. treated with each therapy every other day at 2.0 mg Pt/Kg dose. Treatments stopped on day 14. Each # represents a deceased mouse during the experiment on the day it appears; the color of the # indicates the treatment, namely, red, green, and yellow represents CDDP, P(DSP-Gu), and NT-PIC group, respectively. Data

were expressed as means $\pm$ SD.