Supporting Information

Synthetic Lipo-Polylysine with Anti-Cancer Activity

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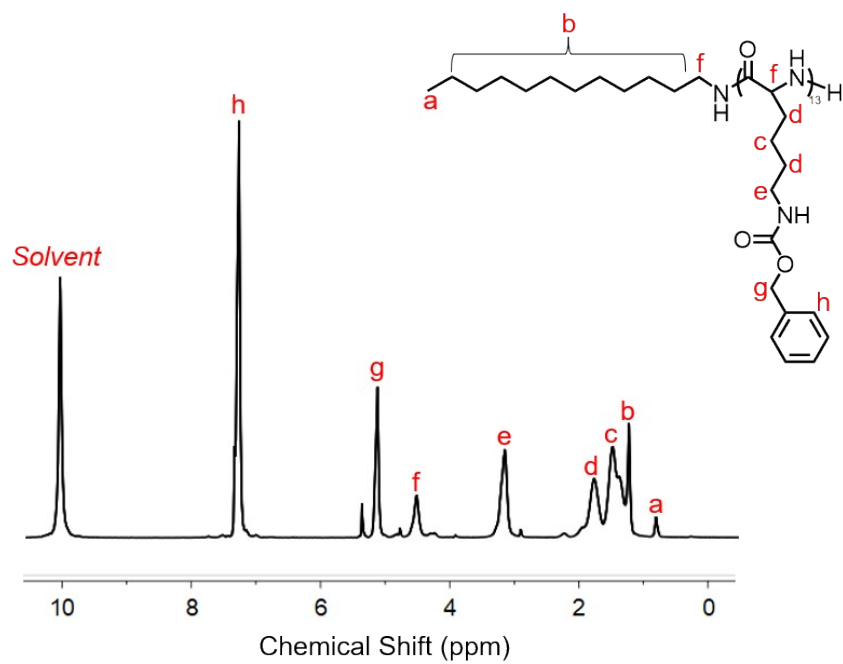E-mail addresses: peng.zhang@ciac.ac.cn (P. Zhang); xiaocs@ciac.ac.cn (C. Xiao)
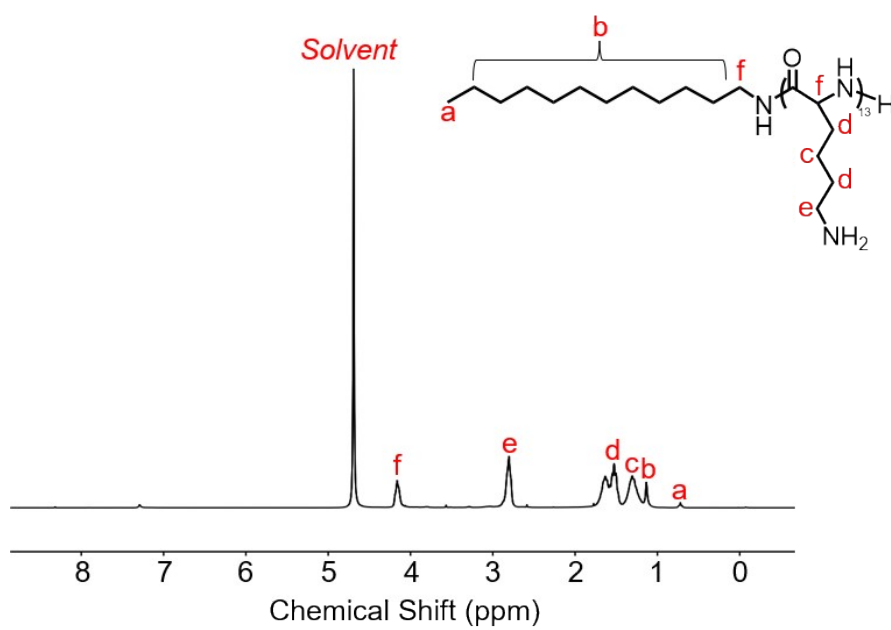
Fig. S1. ^{1}H NMR spectrum of C_{12}-PZLL$_{13}$ in CF$_3$COOD.

Fig. S2. ^{1}H NMR spectrum of C_{12}-PLL$_{13}$ in D_2O.
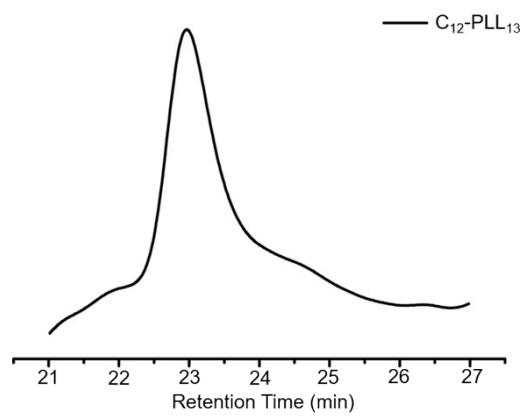
Fig. S3. Gel permeation chromatography analysis of C_{12}-PLL_{13}.

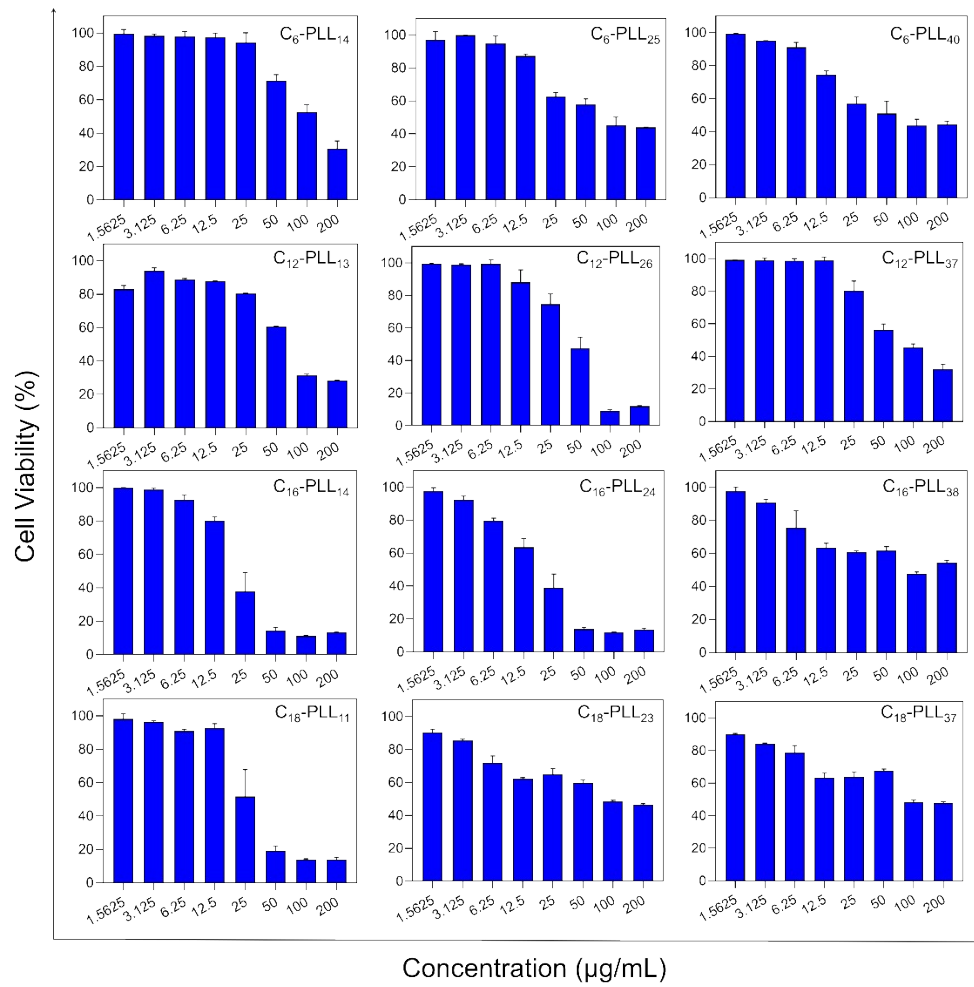**Fig. S4.** Viability of HUVEC cells after incubation with different concentrations of C_m-PLL$_n$ for 24 h. Data are shown as mean ± SD (n = 3).
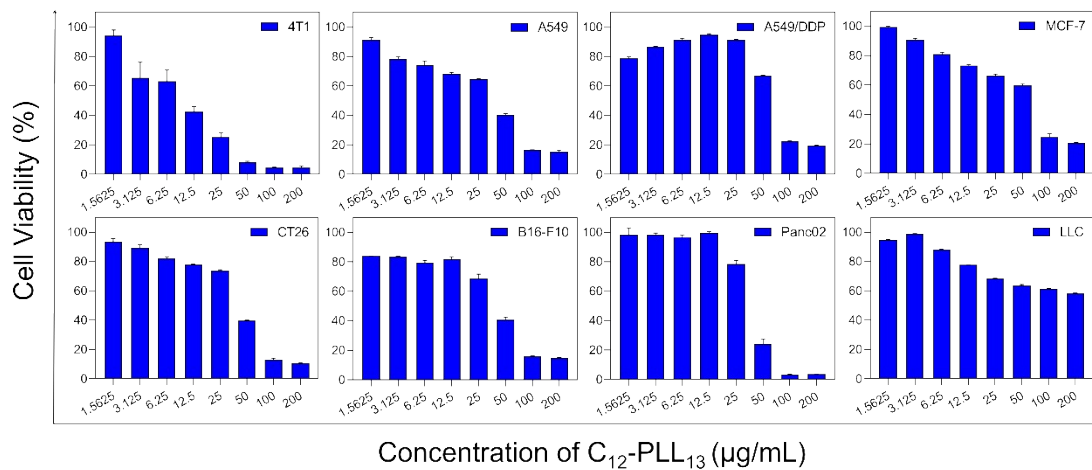
Fig. S5. Viability of 4T1, A549, A549/DDP, MCF-7, CT26, B16-F10, Panc02, and LLC cells after incubation with different concentrations of C_{12}-PLL_{13} for 24 h. Data are shown as mean ± SD (n = 3).
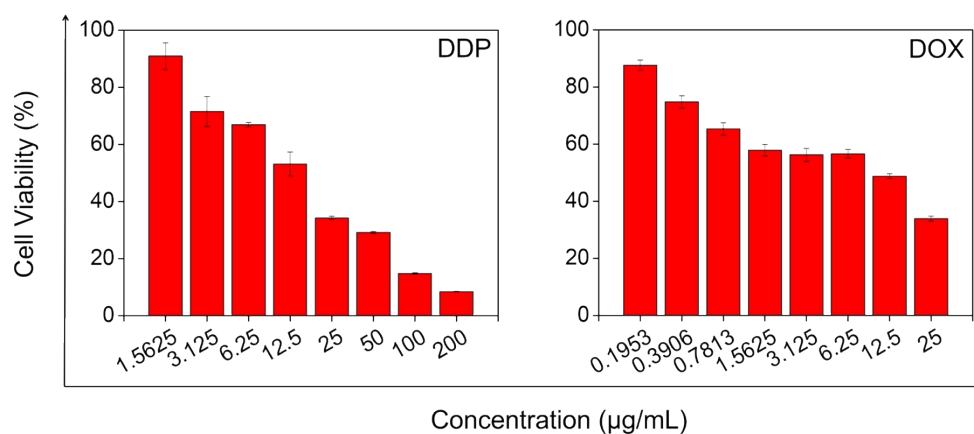
Fig. S6. Viability of C26 cells after incubation with different concentrations of cisplatin (DDP), doxorubicin (DOX) for 24 h. Data are shown as mean ± SD (n = 3).
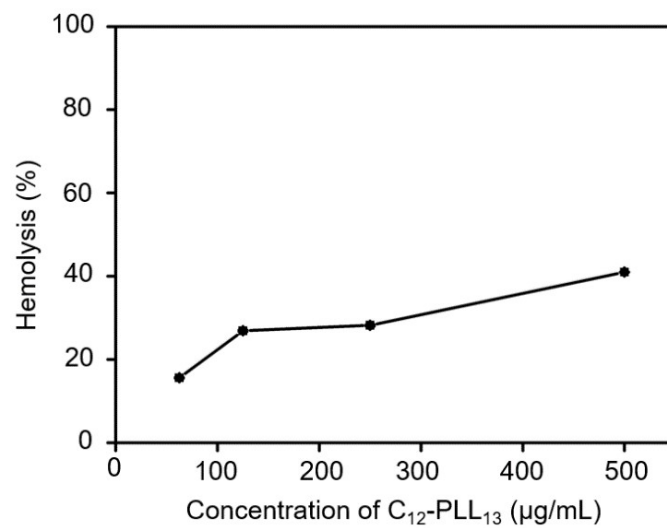
Fig. S7. Hemolysis ratio of RBCs treated with C_{12}-PLL_{13} at different concentrations. Data are shown as mean ± SD (n = 3).
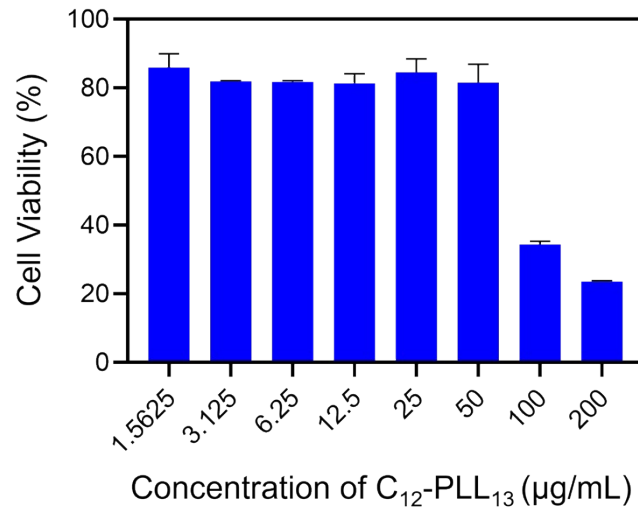
Fig. S8. Viability of L929 cells after incubation with different concentrations of C_{12}-PLL_{13} for 24 h. Data are shown as mean ± SD (n = 3).
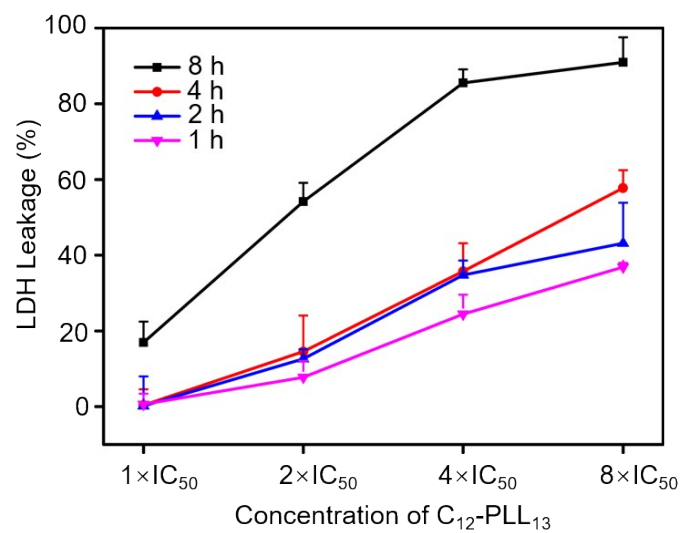
Fig. S9. Relative LDH release from the C26 cells treated with C_{12}-PLL_{13} at different concentrations for 1, 2, 4 or 8 h. Data are shown as mean ± SD (n = 3).
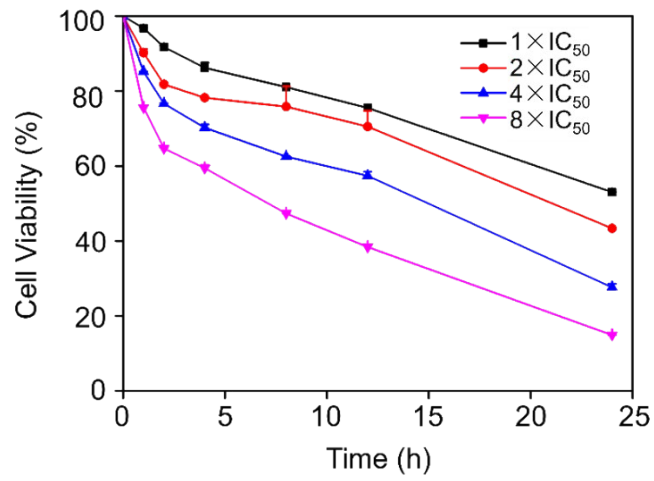
Fig. S10. Tumor cell killing kinetics of different concentrations of C_{12}-PLL_{13} against C26 cells. Data are shown as mean ± SD (n = 3).
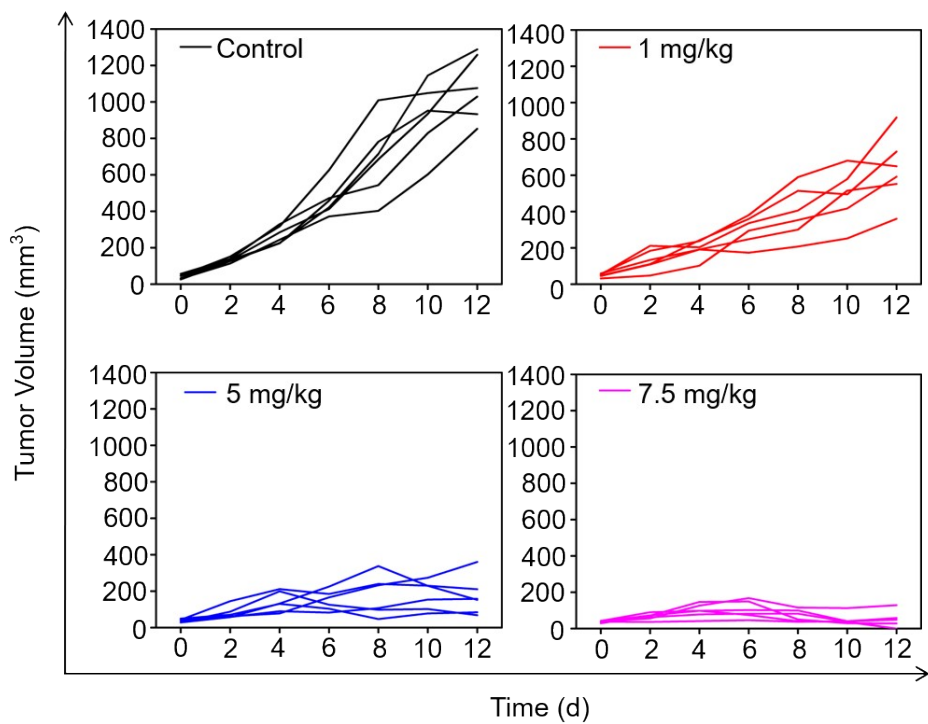
Fig. S11. Tumor growth curve of each C26-tumor-bearing mice after treatment with PBS or C_{12}-PLL_{13} at concentrations of 1 mg/kg, 5 mg/kg and 7.5 mg/kg, respectively.
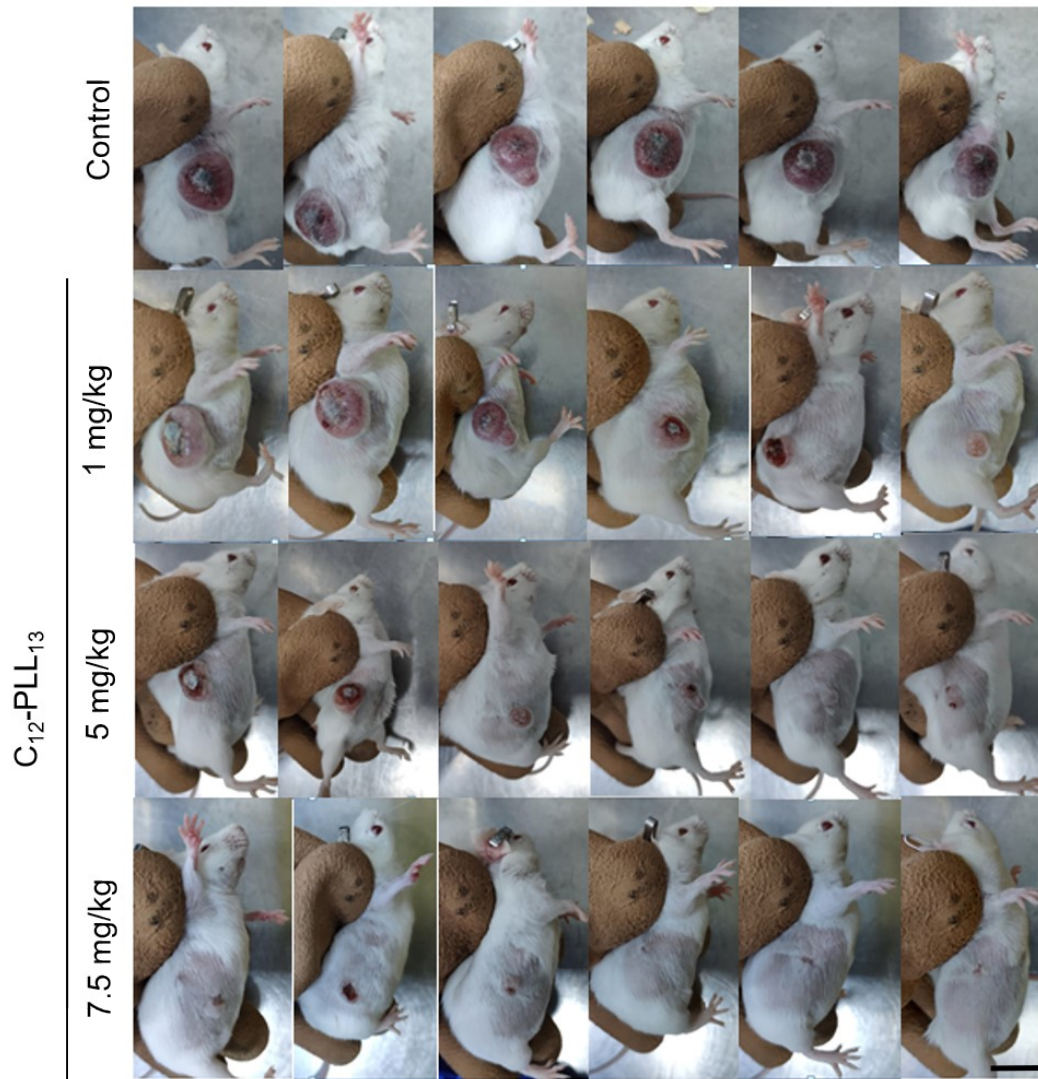
Fig. S12. *In vivo* tumor images of C26-tumor-bearing mice after treatment with PBS or different concentrations of C_{12}-PLL_{13} on day 12. Scale bar = 1 cm.

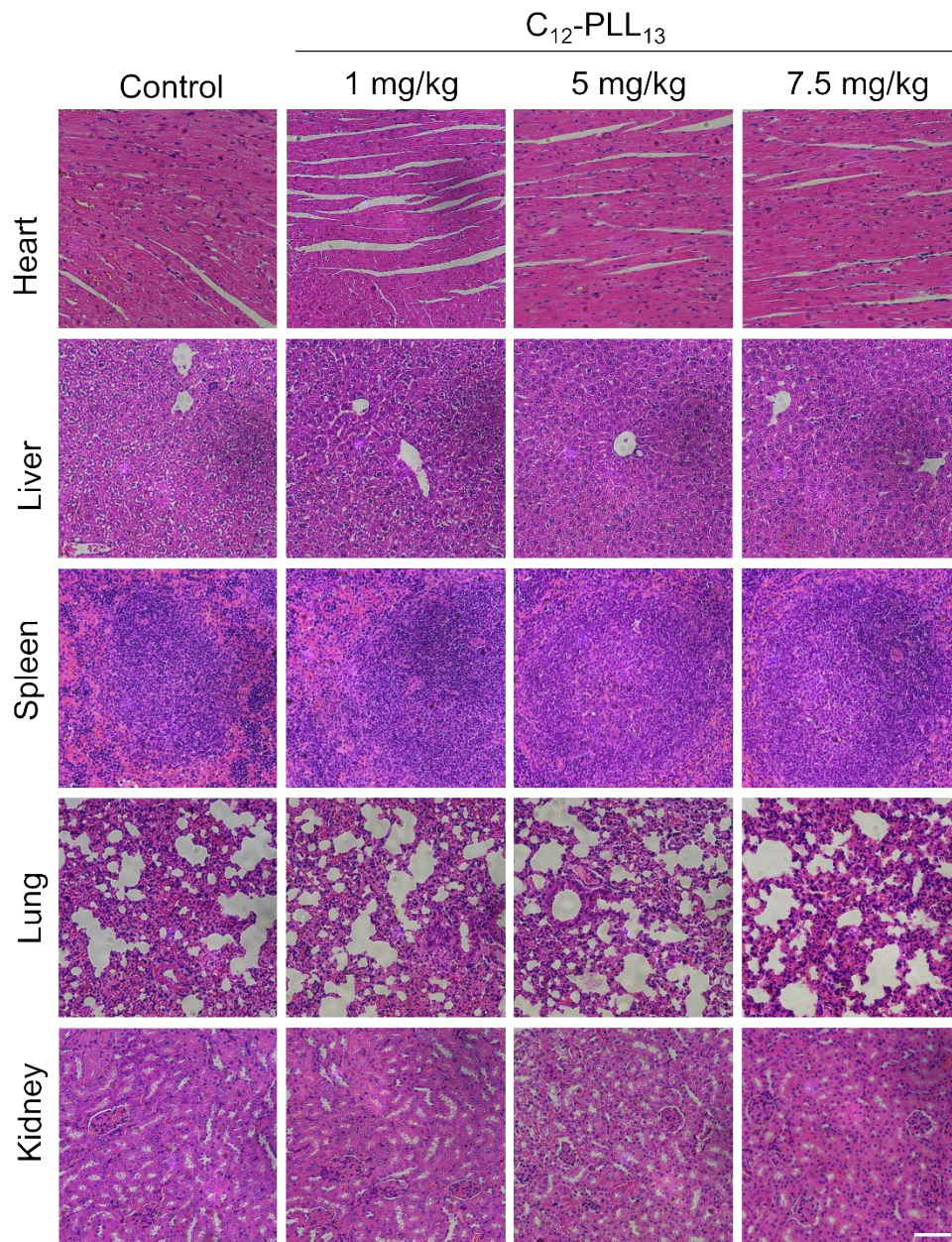**Fig. S13.** H&E staining analysis of main organs (heart, liver, spleen, lung, and kidney) from the C26-tumor-bearing mice after PBS or C_{12}-PLL_{13} treatment. Scale bar = 50 μm.

Table S1. The IC_{50} values of C_{12}-PLL_{13} against various cancer cell lines for 24 h. The unit was set as "μg/mL".

Cell lines	4T1	A549	A549/DDP	MCF-7	CT26	B16-F10	Panc02	LLC
IC_{50} (μg/mL)	10	25	73	45	29	28	32	＞200

Table S2. The IC_{50} values of DDP, DOX, and C_{12}-PLL_{13} against C26 cell line for 24 h. The molecular weights of DDP, DOX·HCl, and C_{12}-PLL_{13} were 300, 580, and 2057 g/mol, respectively. The unit was set as "μM".

Drugs	DDP	DOX	C_{12}-PLL_{13}
IC_{50} (μM)	47	12	5