SUPPLEMENTARY MATERIALS

Structural Optimization of Platinum Drugs to Improve the Drug-Loading and Antitumor Efficacy of PLGA Nanoparticles

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Table S1. PASS predicted activity of Kpt1.

Pa	*Pi*	*Activity*
0,97	0	Antineoplastic (solid tumors)
0,96	0	Antineoplastic
0,91	0	Antineoplastic (pancreatic cancer)
0,89	0	Antineoplastic (ovarian cancer)
0,88	0	Antineoplastic (brain cancer)
0,88	0	Prostate cancer treatment
0,85	0	Antineoplastic (breast cancer)
0,84	0	Antineoplastic (lung cancer)
0,82	0	Antineoplastic (renal cancer)
0,75	0	Antineoplastic (lymphocytic leukemia)
0,72	0	Antineoplastic (cervical cancer)

Table S2. PASS predicted activity of Kpt2.

Pa	*Pi*	*Activity*
0,98	0	Antineoplastic (solid tumors)
0,96	0	Antineoplastic
0,96	0	Antineoplastic (small cell lung cancer)
0,95	0	Antineoplastic (non-Hodgkin's lymphoma)
0,94	0	Antineoplastic (ovarian cancer)
0,93	0	Antineoplastic (non-small cell lung cancer)
0,93	0	Antineoplastic (pancreatic cancer)
0,93	0	Antineoplastic (melanoma)
0,91	0	Prostate cancer treatment
0,89	0	Antineoplastic (brain cancer)
0,88	0	Antineoplastic (lung cancer)
0,87	0	Antineoplastic (breast cancer)
0,84	0	Antineoplastic (renal cancer)
0,78	0	Antineoplastic (lymphocytic leukemia)
0,72	0	Antineoplastic (cervical cancer)

Table S3. PASS predicted activity of Kpt3.

Pa	*Pi*	*Activity*
0,97	0	Antineoplastic
0,96	0	Antineoplastic (solid tumors)
0,94	0	Antineoplastic (non-Hodgkin's lymphoma)
0,94	0	Antineoplastic (small cell lung cancer)
0,91	0	Antineoplastic (melanoma)
0,91	0	Antineoplastic (non-small cell lung cancer)
0,89	0	Antineoplastic (pancreatic cancer)
0,88	0	Prostate cancer treatment
0,88	0	Antineoplastic (ovarian cancer)
0,87	0	Antineoplastic (brain cancer)
0,86	0	Antineoplastic (breast cancer)
0,86	0	Antineoplastic (lung cancer)
0,81	0	Antineoplastic (renal cancer)
0,76	0	Antineoplastic (lymphocytic leukemia)
0,73	0	Antineoplastic (cervical cancer)

Table S4. PASS predicted activity of Kpt4.

Pa	*Pi*	*Activity*
0,97	0	Antineoplastic
0,96	0	Antineoplastic (solid tumors)
0,94	0	Antineoplastic (non-Hodgkin's lymphoma)
0,94	0	Antineoplastic (small cell lung cancer)
0,91	0	Antineoplastic (melanoma)
0,91	0	Antineoplastic (non-small cell lung cancer)
0,89	0	Antineoplastic (pancreatic cancer)
0,88	0	Prostate cancer treatment
0,88	0	Antineoplastic (ovarian cancer)
0,87	0	Antineoplastic (brain cancer)
0,86	0	Antineoplastic (breast cancer)
0,86	0	Antineoplastic (lung cancer)
0,81	0	Antineoplastic (renal cancer)
0,76	0	Antineoplastic (lymphocytic leukemia)
0,73	0	Antineoplastic (cervical cancer)

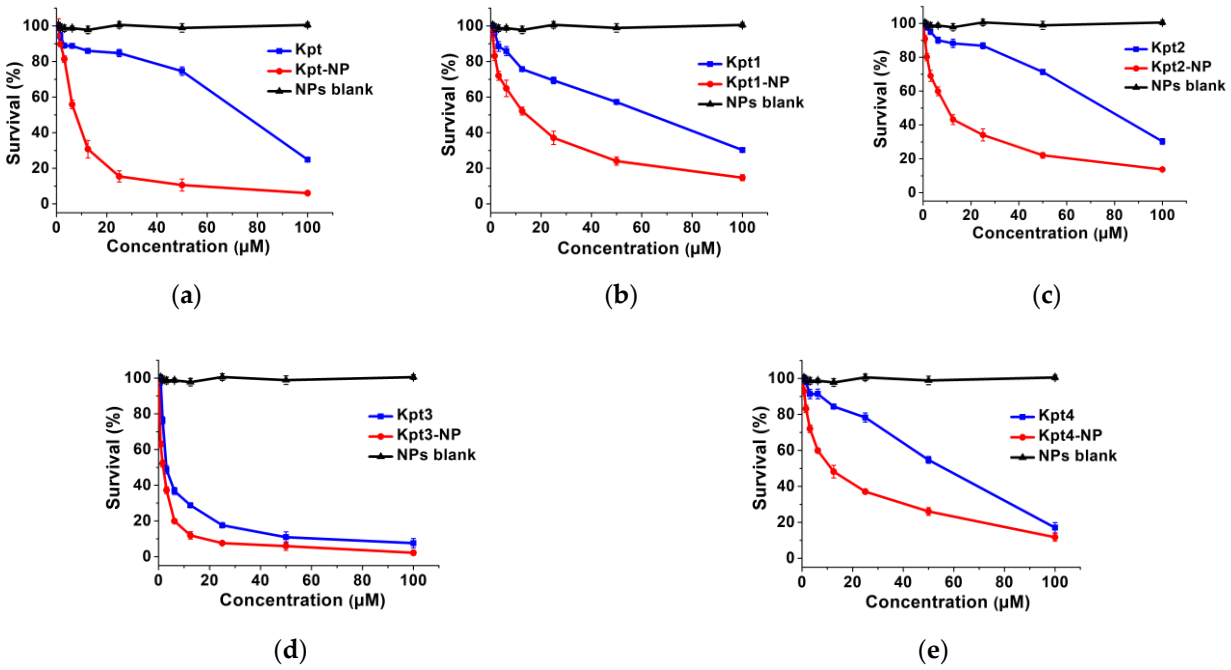

Figure S1. Survival of H69 cells after incubation during 72 h with: (a) Kpt and Kpt-NP; (b) Kpt1 and Kpt1-NP; (c) Kpt2 and Kpt2-NP; (d) Kpt3 and Kpt3-NP; (e) Kpt4 and Kpt4-NP. Each point shows mean ± SD (n=3).

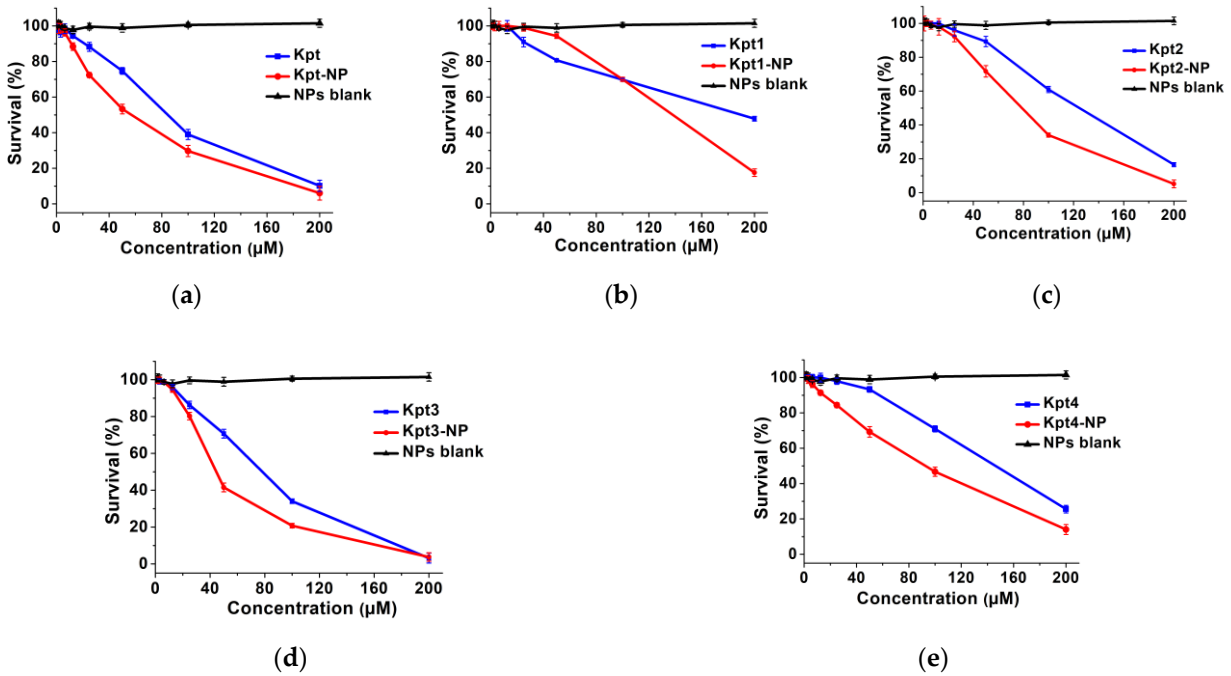

Figure S2. Survival of MCF-7 cells after incubation during 72 h with: (a) Kpt and Kpt-NP; (b) Kpt1 and Kpt1-NP; (c) Kpt2 and Kpt2-NP; (d) Kpt3 and Kpt3-NP; (e) Kpt4 and Kpt4-NP. Each point shows mean ± SD (n=3).