Supplementary Information

Sialic acid-targeted nanovectors with phenylboronic acid-grafted polyethylenimine robustly enhance siRNA-based cancer therapy

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Supporting information

Table S1 Sequences of siRNA and primers

Names	Sequences
PLK1 siRNA	5’-UGAAGAAGAUCACCCUCCUUAdTdT-3’ (sense)
	5’-UAAGGAGGGUGAUCUUCUUCAdTdT-3’(antisense)
negative control siRNA	5’-UUCUCCGAACGUGUCACGUTT-3’ (sense)
	5’-ACGUGACACGUUCGGAGAATT-3’ (antisense)
PLK1 primers	5’- AGCCTGAGGCCCGATACTACCTAC -3’ (forward)
	5’- ATTAGGAGTCCCACACAGGGTCTTC -3’ (reverse)
β-actin primers	5’-CGCGAGAAGATGACCCAGATC-3’ (forward)
	5’-CATGAGGTAGTCAGTCAGGTCCC-3’ (reverse)

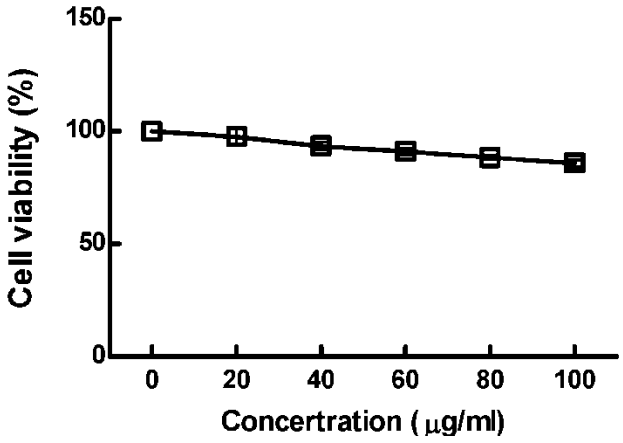

Figure S1. Cytotoxicity of free PBA in MCF-7 cells. MCF-7 cells were treated with 0-100 mg/ml of 3-aminophenylboronic acid hydrochloride for 24 h, and the cell viability was determined using MTT. Data shown are mean ± SE, n=4.

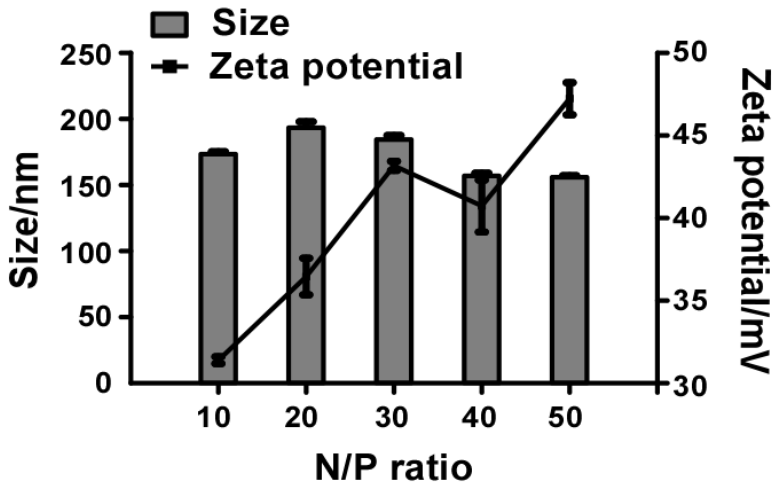

Figure S2. Sizes and zeta potentials of PEI-PBA/siRNA nanocomplexes.

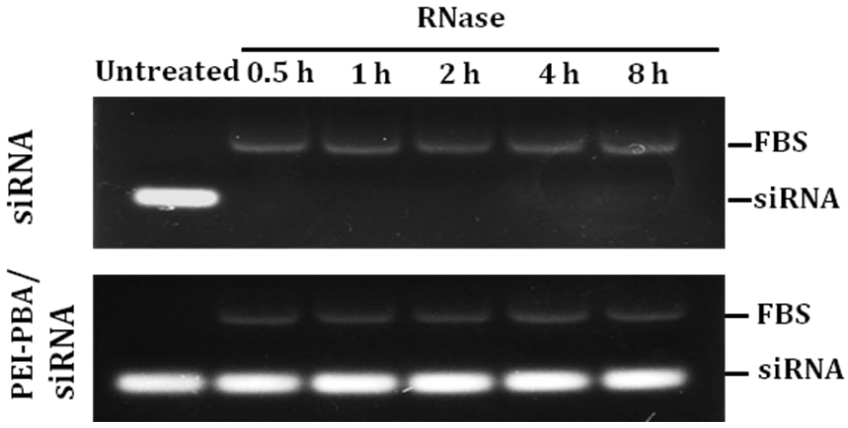

Figure S3. RNase protection assay of PEI-PBA/siRNA nanocomplexes in FBS/PBS (V/V=1:1).

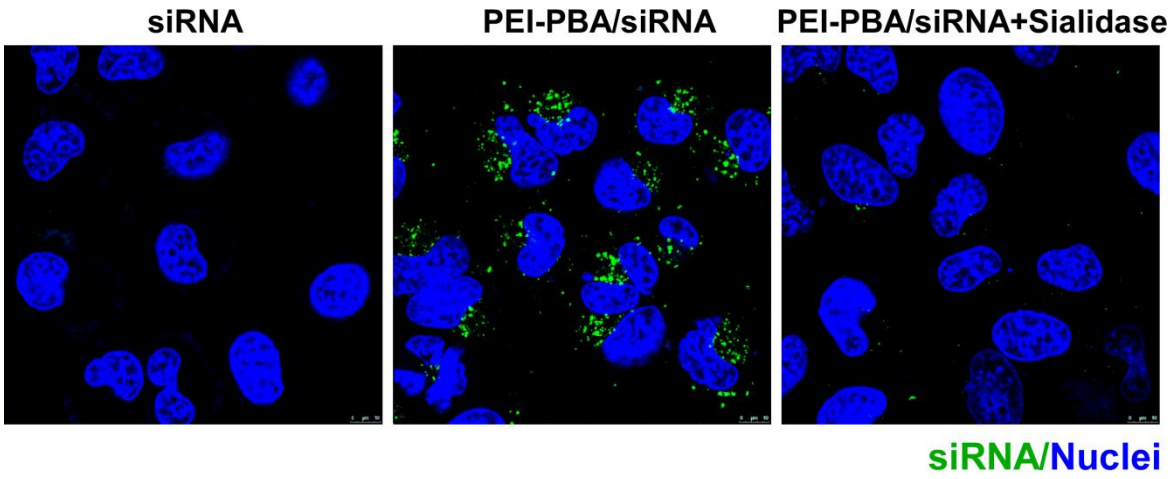

Figure S4. The uptake of siRNA by MCF-7 cells. MCF-7 cells were pretreated with or without sialidase (40 mU/ml) overnight, followed by incubation with FAM-siRNA (Green) or PEI-PBA/siRNA for additional 4 h. The cells were then labeled with Hoechst to identify nucleus, and the cellular uptake of siRNA was determined using confocal microscopy.

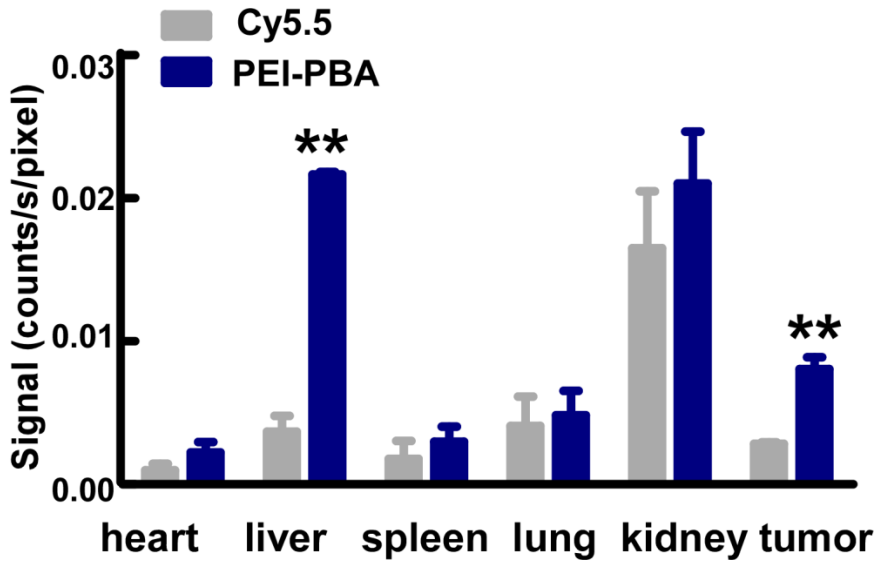

Figure S5. Bio-distribution of PEI-PBA/ siPLK1 in tumor-bearing mice. Tumor-bearing mice were i.v. injected with Cy5.5+ siRNA (Cy5.5) or Cy5.5-PEI- PBA/siRNA (PEI-PBA), and the fluorescent intensity of excised tumors and organs was measured at 48 h. Bars shown are mean ± SE. Differences between two groups were analyzed using student's t test. **: $p < 0.01$.

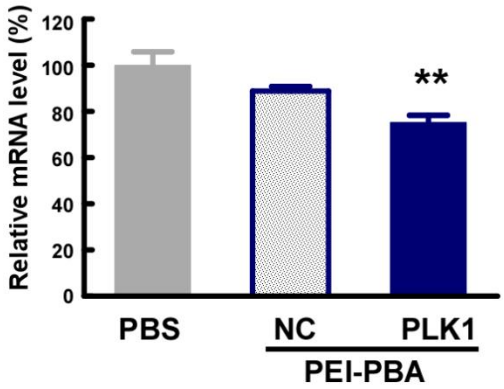

Figure S6. Expression of PLK1 mRNA in tumors. MCF-7 tumor-bearing mice were i.v. injected with PBS, PEI-PBA/PLK1 siRNA (PEI-PBA/siPLK1) or PEI-PBA/control siRNA (PEI-PBA/siNC) every two days for 6 dosages. The mRNA level of PLK1 in tumors was analyzed using quantitative RT-PCR. Bars shown are mean ± SE (n= 4-6), and differences between PBS and treated groups were analyzed by one-way ANOVA. **: $p < 0.01$.

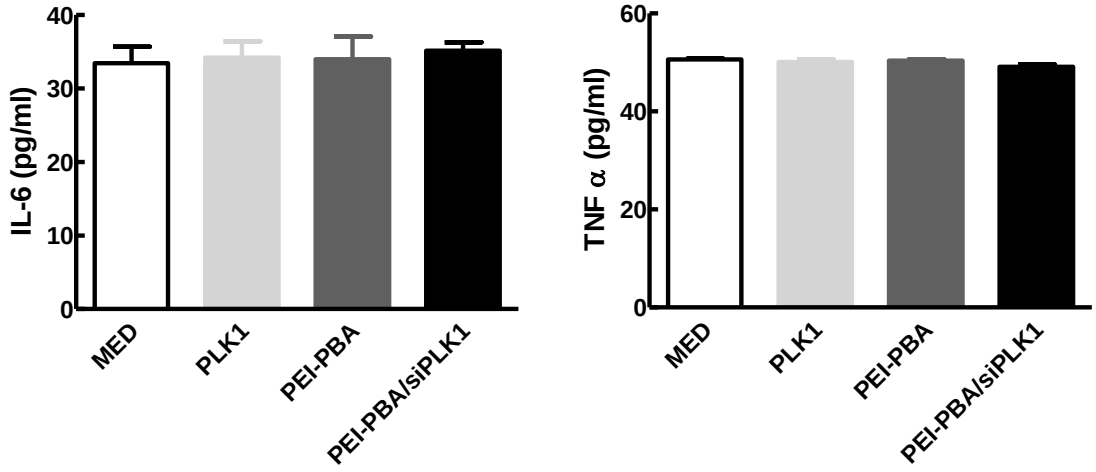

Figure S7. The effect of PEI-PBA/siPLK1 on pro-inflammatory cytokine production in mouse splenocytes. Spleens were removed from 6-wk-old BALB/c mice, and then gently pressed through a nylon mesh to generate single cell suspensions. Splenocytes were then incubated with PLK1 siRNA, PEI-PBA, or PEI-PBA/PLK1 siRNA (PEI-PBA/siPLK1) at 37 °C for 24 h. The cytokine levels in culture supernatants were determined by ELISA.