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Supporting Information

of

Multifunctional sharp pH-responsive nanoparticles for targeted drug delivery and effective breast cancer therapy

Yandan Yao,[a,b] Phei Er Saw,[a] Yan Nie,[a,b] Ping-Pui Wong,[a] Linjia Jiang,[a] Xiaojing Ye,[c] Jun Chen,[c] Tao Ding,[c] Liang Xu,[d] Herui Yao,[a,b] Hai Hu*[a,b] and Xiaoding Xu*[a]*

[a] Guangdong Provincial Key Laboratory of Malignant Tumor Epigenetics and Gene Regulation, Medical Research Center, Sun Yat-Sen Memorial Hospital, Sun Yat-Sen University, Guangzhou 510120, P. R. China

[b] Department of Oncology, Sun Yat-Sen Memorial Hospital, Sun Yat-Sen University, Guangzhou 510120, P. R. China

[c] Zhongshan School of Medicine, Sun Yat-Sen University, Guangzhou 510080, P. R. China

[d] School of Chemistry, Sun Yat-Sen University , Guangzhou 510275 , P. R. China.

Table S1. Feed compositions and characterizations of the PDPA polymers

No.	DPA-MA (mmol)	AET.HCl (mmol)	AIBN (mmol)	$M_{n,GPC}$ ($\times 10^{-4}$ Da) [a]	PDI [a]	pK_a [b]
PDPA1	20	1.2	0.08	1.26	1.45	6.32
PDPA2	20	0.8	0.08	1.62	1.53	6.28
PDPA3	20	0.4	0.08	1.98	1.68	6.25

[a] Number-averaged (M_n) and polydispersity index (PDI) were determined by GPC using THF as the eluent.

[b] Determined by acid-base titration.

Table S2. Size, zeta potential, and drug encapsulation efficiency (EE%) and loading level (LL%) of the NPs prepared from the PDPA polymers

No.	Polymer	Size (nm) [a]	Zeta potential (mv) [a]	EE% [b]	LL%[b]
NP1	PDPA1	168	-5.73	34.6	8.1
NP2	PDPA2	119	-8.21	43.5	12.8
NP3	PDPA3	80	-7.64	62.1	15.7

[a] Determined by dynamic light scattering (DLS).

[b] Determined by fluorescence spectroscopy.

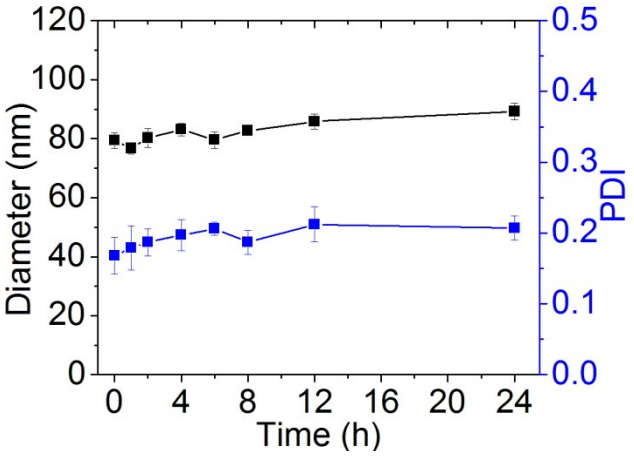

Fig. S1. Size change of the NP3 incubated in pH 7.4 solution containing 10% FBS for different times.

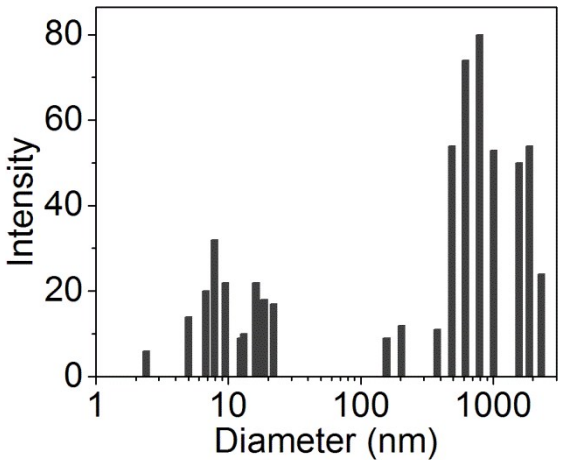

Fig. S2. Size distribution of the NP3 incubated in pH 6.0 solution for 3 min.

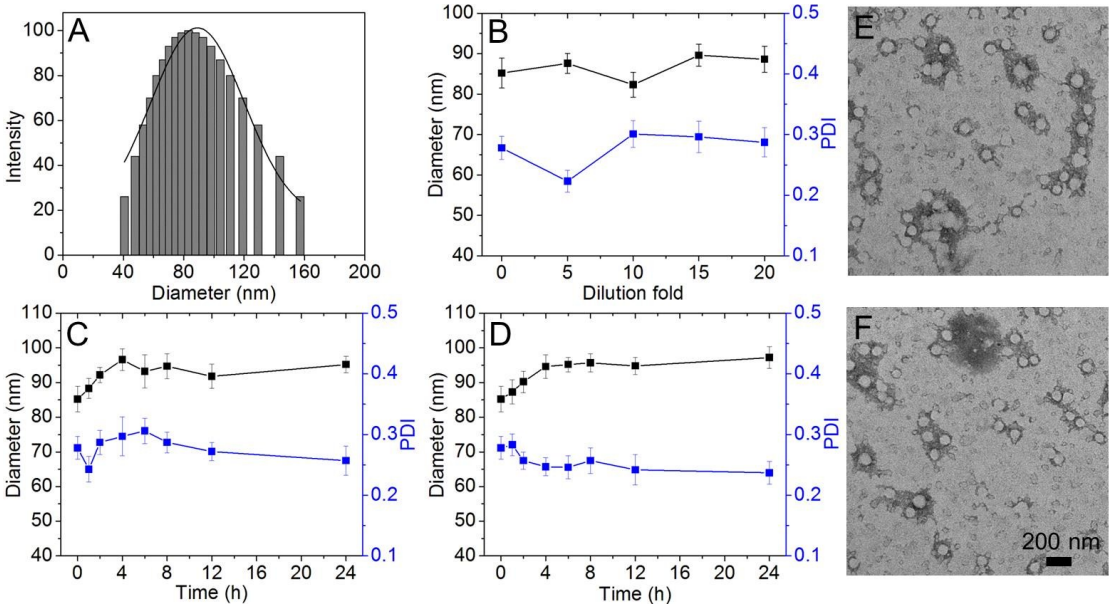

Fig. S3. (A) Size distribution of the iRGD-NPs in the PBS (pH 7.4) solution; (B) Size and polydispersity (PDI) of the iRGD-NPs diluted in the PBS (pH 7.4) solution; (C, D) Size and PDI of the iRGD-NPs incubated in the FBS-containing PBS solution at a pH of 7.4 (C) or 6.5 (D); (E, F) Morphology of the iRGD-NPs incubated in the FBS-containing PBS solution at a pH 7.4 (E) or 6.5 (F) for 24 h.

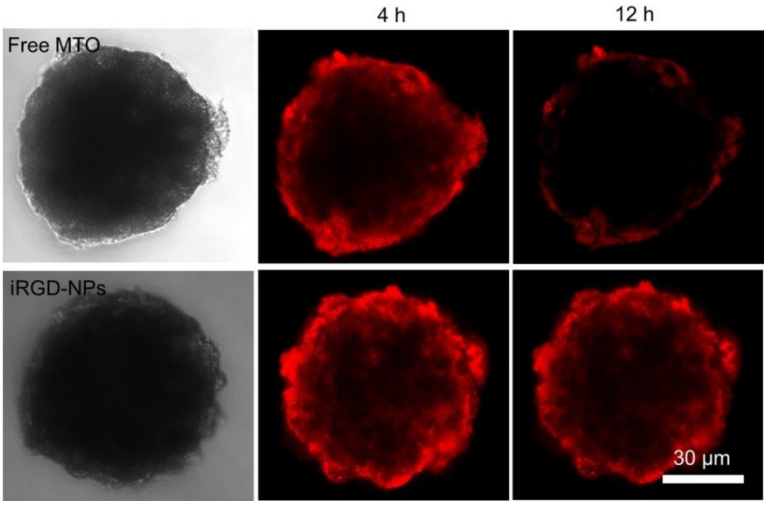

Fig S4. CLSM images of the 3D tumor spheroids incubated with free MTO or MTO-loaded iRGD-NPs for 4 and 12 h.

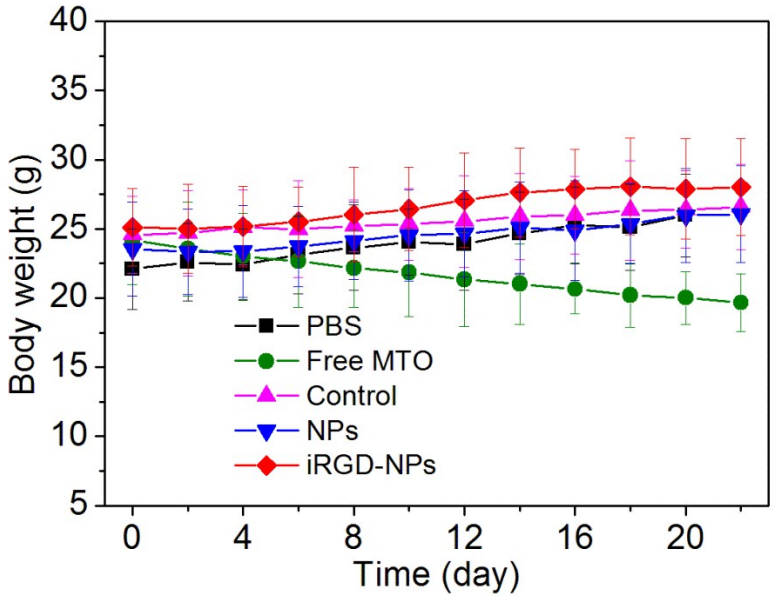

Fig. S5. Body weight of the MCF-7 xenograft tumor-bearing nude mice after systemic treatment by PBS, free MTO, and the MTO-loaded NP3 and iRGD-NP3. MTO-loaded PLGA NPs were used as control.

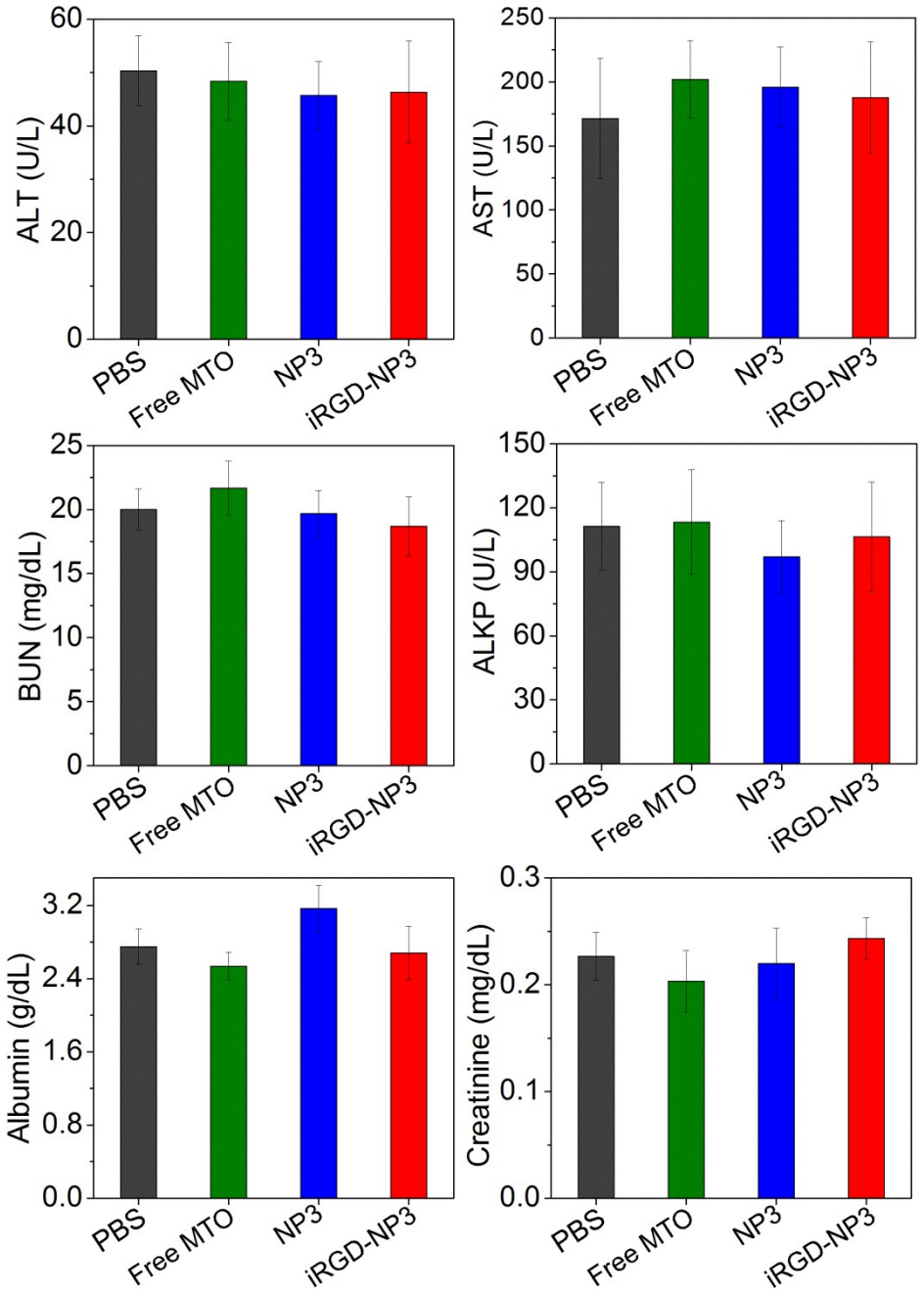

Fig. S6. Serum levels of alanine aminotransferase (ALT), aspartate aminotransferase (AST), blood urine nitrogen (BUN), alkaline phosphatase (ALKP), albumin, and creatinine after three consecutive injections of the PBS, free MTO, and the MTO-loaded NP3 and iRGD-NP3.