Electronic Supplementary Material (ESI) for Nanoscale.

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Supplementary Information

A novel α-enolase-targeted drug delivery system for high-efficacy prostate cancer therapy[1]

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1. Immunofluorescence analysis

The dissected tumours were first fixed within 4% paraformaldehyde over 48h, and then using 15% and 30% sucrose solution to dehydrate overnight, respectively. The frozen sections of tumours were cut into 8-μm-thick, adhered on coated slides, then fixed with 4% paraformaldehyde for 15 min and permeabilized with 0.5% trixon-100 for 30 min at room temperature. After washing with PBS, the sections were immersed into 2% bovine serum albumin for 1 h to block nonspecific antibody binding. Next, stained with primary anti-α-enolase antibody (dilution ratio 1:50) at 4°C overnight. The sections were further stained with corresponding Alexa Fluor®647 conjugated secondary antibody (dilution ratio 1:200) at room temperature for 1 h. Finally, the slides were immersed in Hoechst 33258 for 10 min to stain the nuclei. The section images were taken using a confocal microscope (Nikon N-SIM, Japan).

Table S1. Median survival time of PC-3 bearing mice treated with saline and various doxorubicin formulations (n = 10)

Group	Median (day)	Standard derivation	Increased survival time
Saline	40.0	2.9	-
Dox	40.5	4.3	1.25%
PEG-lipo-Dox	46.0 [a]	7.5	15.0%
pHCT74-lipo-Dox	52.5 [a,b,c]	7.4	31.3%

[a] Compared to saline group, $p < 0.05$.

[b] Compared to Dox group, $p < 0.05$.

[c] Compared to PEG-lipo-Dox group, $p < 0.05$.

Figures

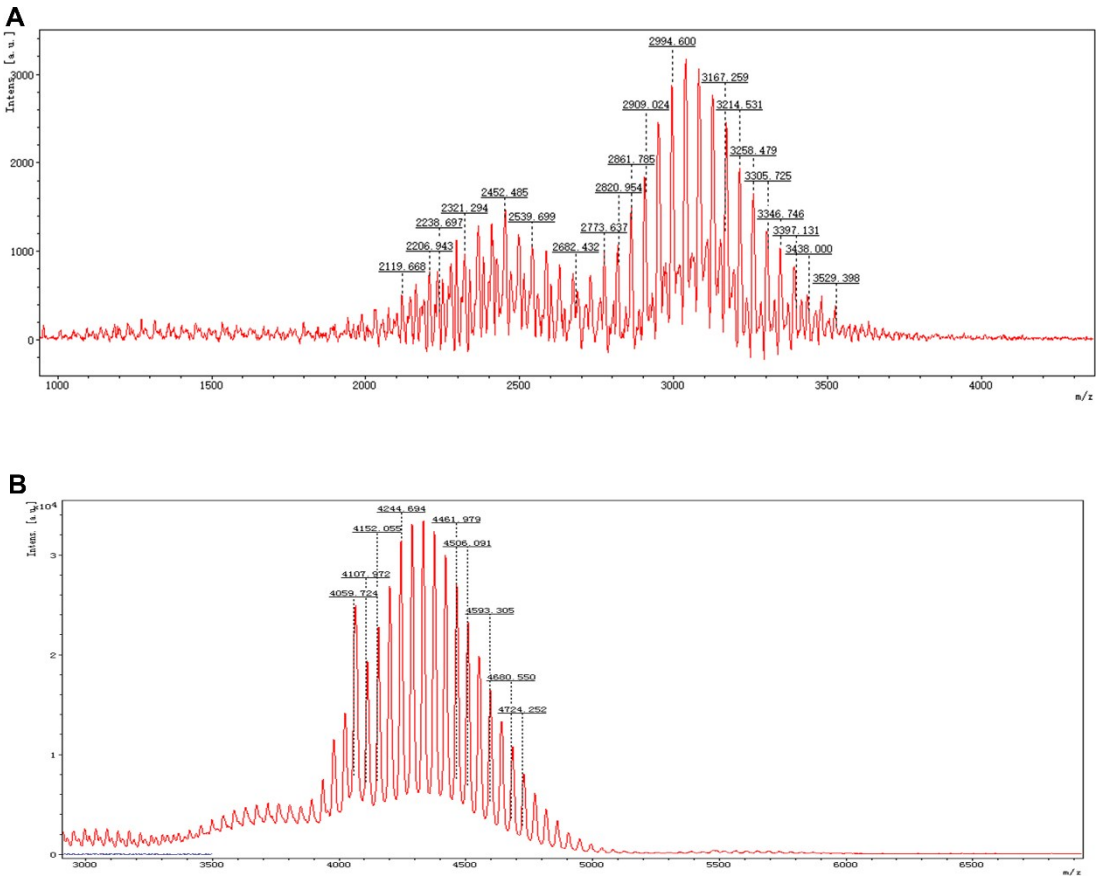

Fig. S1 The MALDI-TOF mass spectrum (A) DSPE-PEG_{2000}-NHS. **(B)** DSPE-PEG_{2000}-pHCT74.

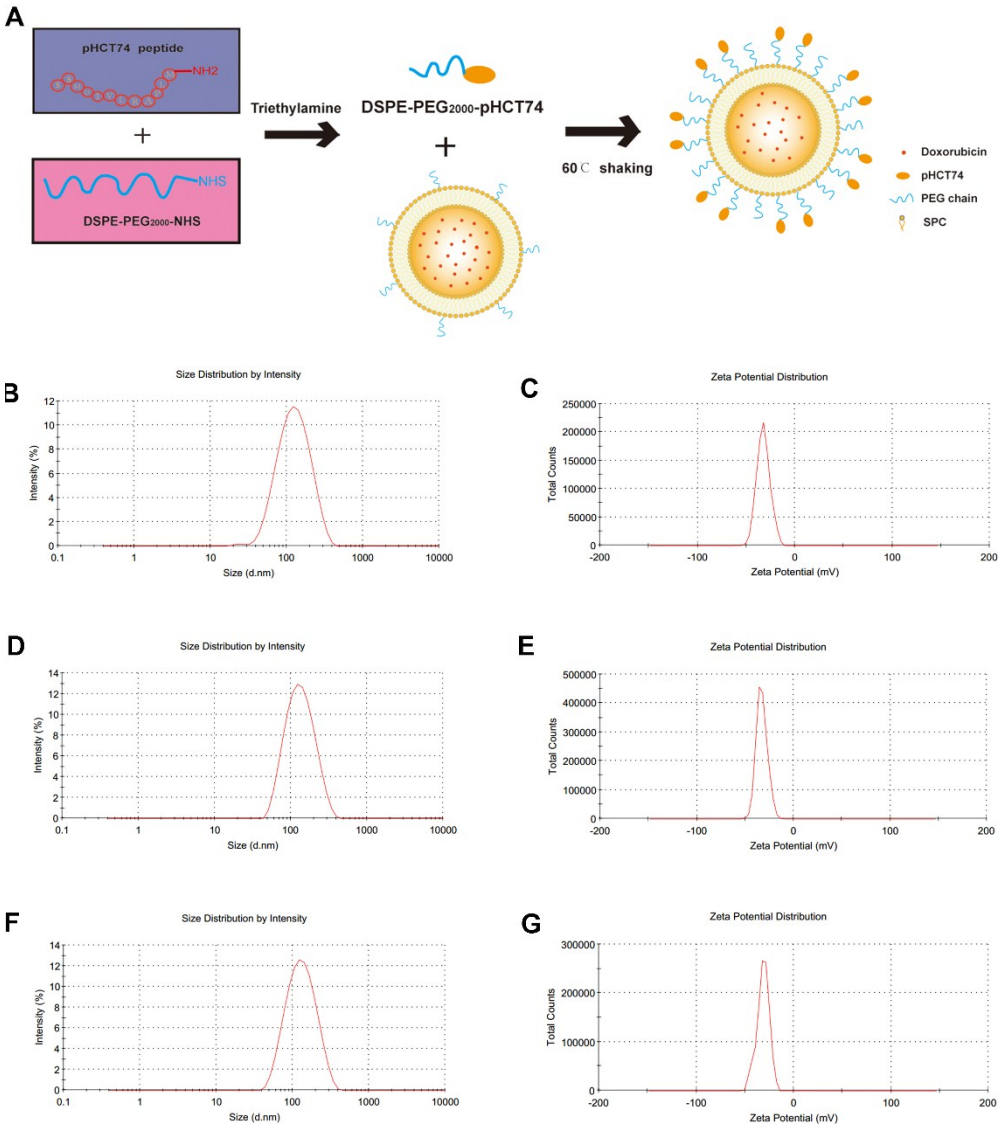

Fig. S2 Preparation and characterization of liposomes (A) Preparation schematic of pHCT74-Lipo-Dox. **(B)** Size and **(C)** Zeta potential distribution of PEG-lipo-Dox. **(D)** Size and **(E)** Zeta potential distribution of PEG-lipo-DiD. **(F)** Size and **(G)** Zeta potential distribution of pHCT74-lipo-DiD.

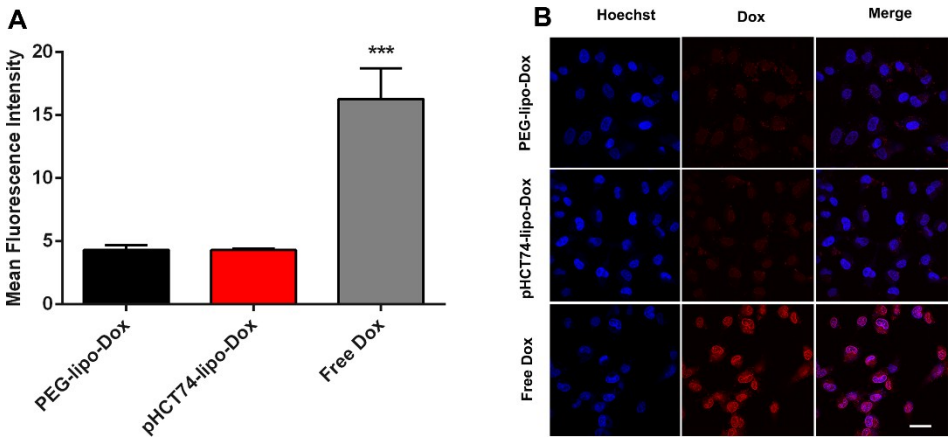

Fig. S3 Cellular uptake of pHCT74-Lipo-Dox (A) RWPE-1 cellular uptake of doxorubicin measured by flow cytometer (mean ± SD, *n* = 3). **(B)** Confocal images of RWPE-1cellular uptake of liposomes. Bar indicates 30 μm.

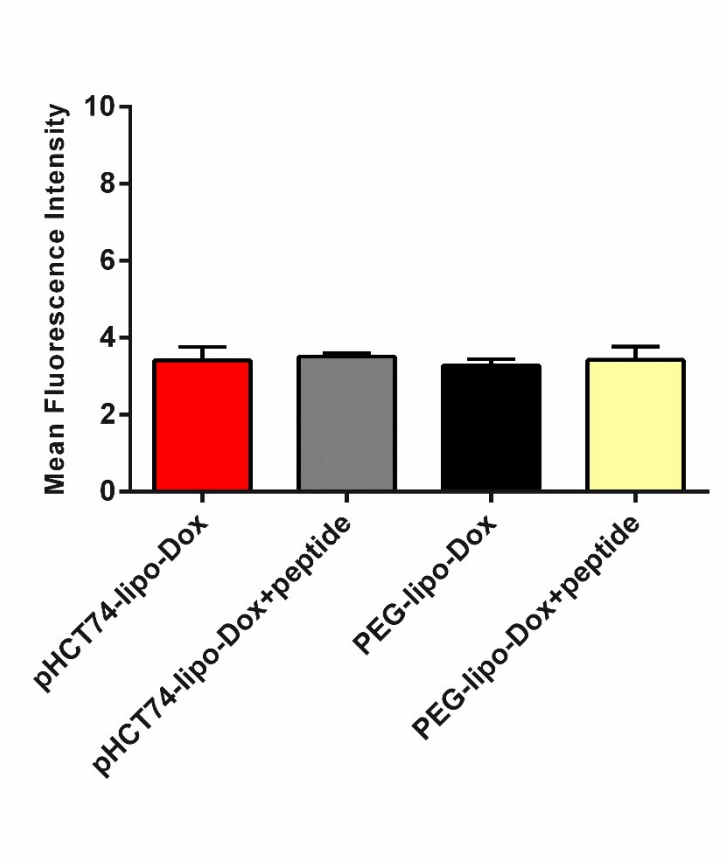

Fig. S4 The comparative uptake on RWPE-1 cells. The competitive inhibition of free peptide on doxorubicin uptake by preincubation with 1 mg/mL of free pHCT74 peptide for 1 h before RWPE-1 cells were exposed to the corresponding liposomes.