Supporting Information

Anti-EGFR lipid micellar nanoparticles co-encapsulating quantum dots and paclitaxel for tumor-targeted theranosis

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Table S1. Physicochemical properties of immuno-PTX-QDMs and aptamo-PTX-QDMs

	Size[a)] (nm)	PDI[a)]	Zeta-potential[a)] (mV)	QD encapsulation efficiency[b)] (%)	PTX encapsulation efficiency[b)] (%)	QD loading contents[c)] (%)	PTX loading contents[c)] (%)
QDMs	40.19 ± 2.71[d)]	0.188 ± 0.023[d)]	-2.59 ± 1.10[d)]	94.18 ± 1.94[d)]	-	18.84 ± 0.39[d)]	-
PTX-QDMs	40.46 ± 3.44	0.233 ± 0.032	-2.52 ± 0.54	94.57 ± 4.37	98.59 ± 2.05[d)]	10.28 ± 0.48	2.14 ± 0.04[d)]
Immuno-PTX-QDMs	42.77 ± 2.05	0.260 ± 0.024	-1.61 ± 0.67	91.22 ± 2.89	94.87 ± 3.87	9.92 ± 0.31	2.06 ± 0.08
Aptamo-PTX-QDMs	41.47 ± 3.90	0.240 ± 0.019	-3.15 ± 1.02	92.10 ± 2.40	96.34 ± 1.11	10.01 ± 0.26	2.09 ± 0.02

[a)]Size, PDI (polydipersity index) and Zeta-potential were measured three times with a particle analyzer.

[b)]QDs and PTX encapsulation efficiency were estimated by three independent experiments.

[c)]QDs and PTX loading contents were estimated using an equation as (weight of QD or PTX / weight of QDM or PTX-QDM) × 100

[d)]Size (nm), average size ± S.D.; PDI, average PDI ± S.D.; Zeta-potential (mV), average zeta-potential ± S.D.; QD/PTX encapsulation efficiency (%), average QD/PTX encapsulation efficiency ± S.D.; QD/PTX loading contents (%), average QD/PTX loading contents ± S.D.

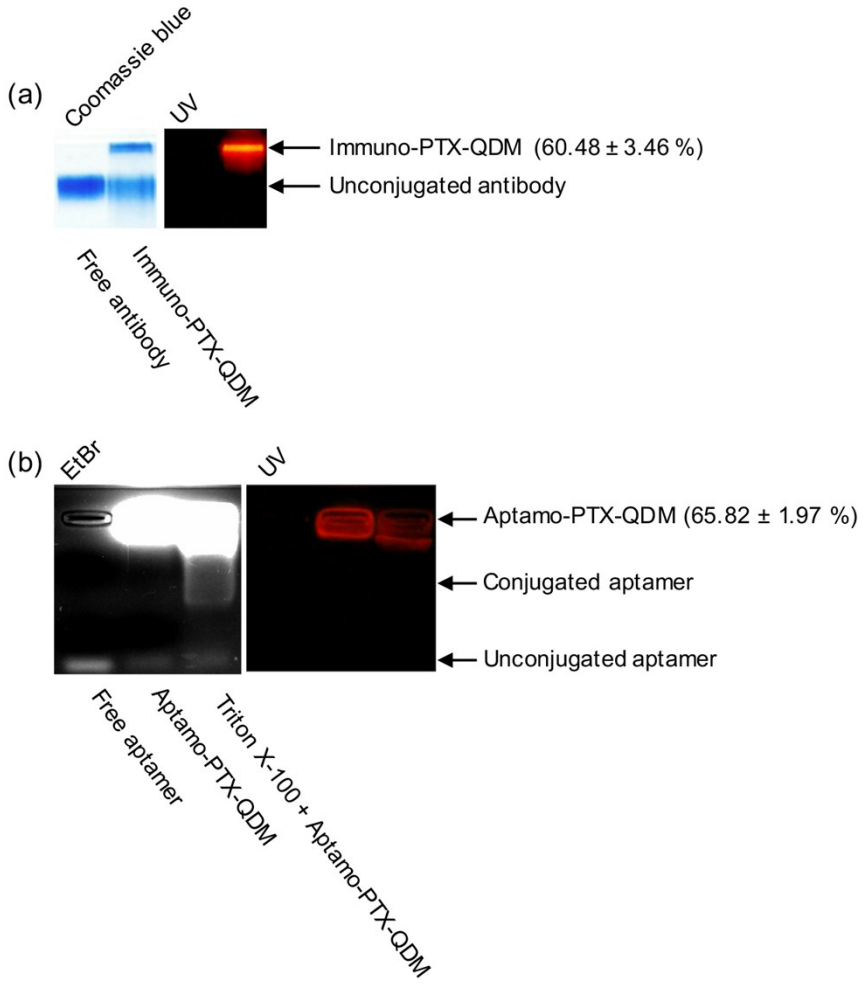

Figure S1. Coupling of anti-EGFR antibodies or anti-EGFR aptamers to PTX-QDMs. (a) Conjugation of anti-EGFR antibodies to PTX-QDMs was verified by 10% SDS-PAGE. (b) Conjugation of anti-EGFR aptamers to PTX-QDMs was verified by 1.5% agarose gel electrophoresis. The retardation of QDs encapsulated in the immuno-micelle and aptamo-micelle formulations was examined under UV illumination. Conjugation yields were shown in parenthesis.

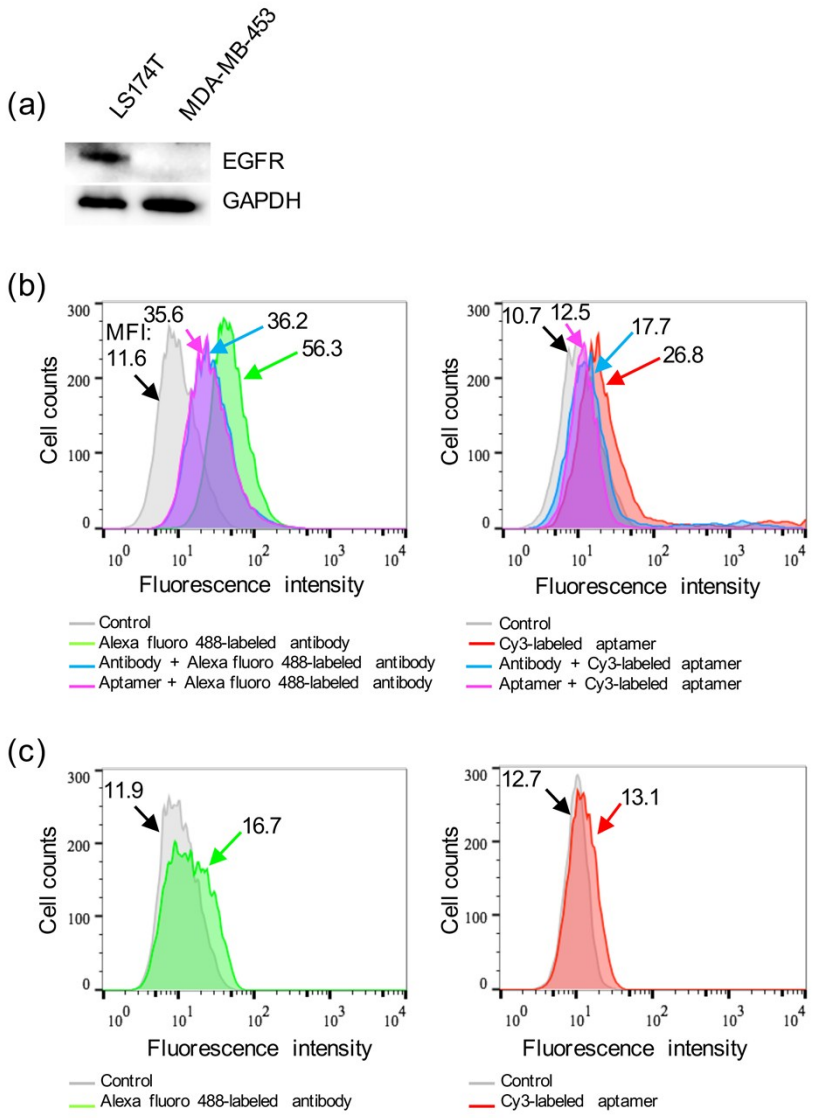

Figure S2. EGFR-specific binding of anti-EGFR antibodies and anti-EGFR aptamers. (a) EGFR expression levels in LS174T and MDA-MB-453 cells were verified by Western blotting. GAPDH was used as the internal control. (b) LS174T cells were treated with Alexa fluoro 488-labeled anti-EGFR antibodies (50 nM) or Cy3-labeled aptamers (50 nM) at 4℃ for 1 h. The cancer cells were pretreated with a 10-fold molar excess of unlabeled anti-EGFR antibodies or anti-EGFR aptamers and then treated with the labeled forms. (c) MDA-MB-453 cells were also treated with the labeled antibodies or aptamers. Cells were examined by flow cytometry. MFI, mean fluorescence intensity.

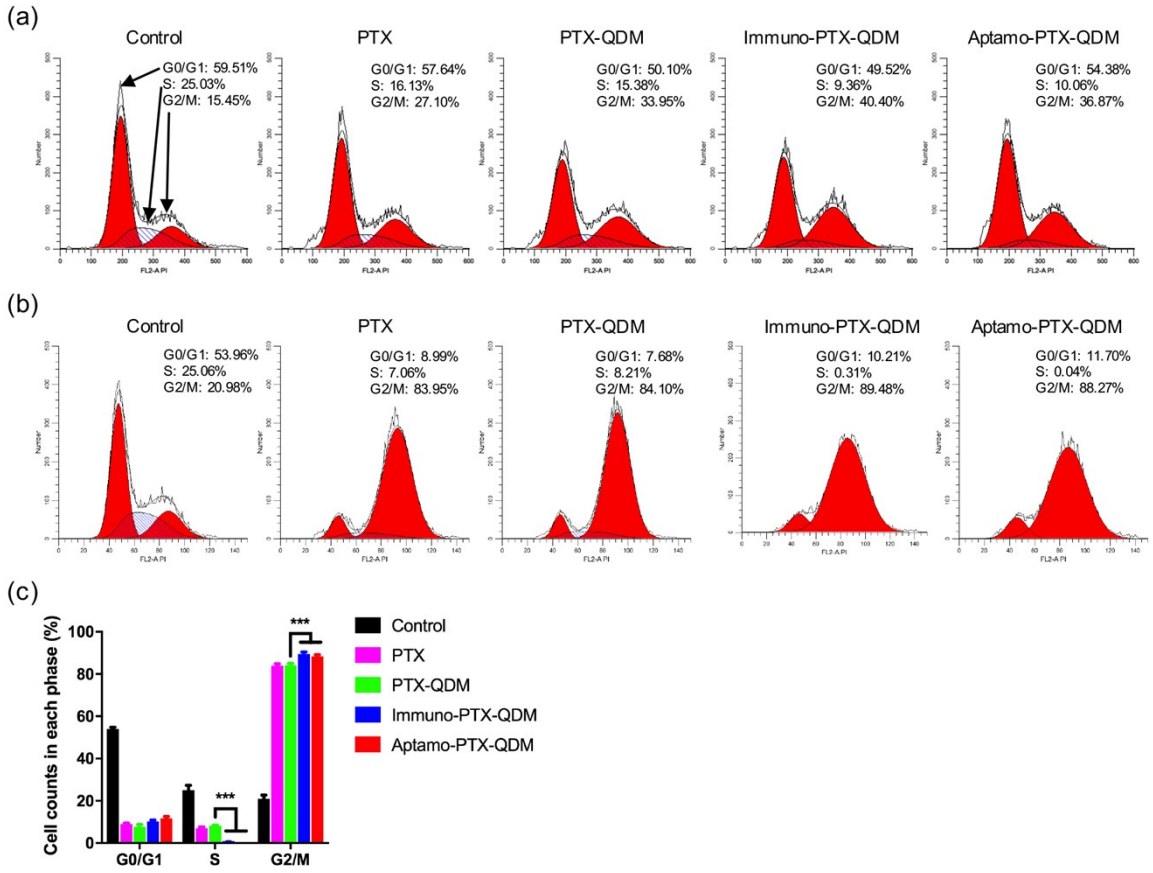

Figure S3. Cell cycle arrest at the G2/M stage induced by immuno-PTX-QDMs and aptamo-PTX-QDMs. LS174T cells were treated with (a) a low (20 ng/mL PTX) and (b, c) a high (200 ng/mL PTX) concentration of either immuno-PTX-QDMs or aptamo-PTX-QDMs at 37℃ for 24 h. After PI staining, (a, b) the cell cycle was analyzed by flow cytometry and (c) the cell population in each phase was quantified. Each value represents the mean ± S.D. for three separate experiments. ***, $p < 0.001$ between experimental groups.

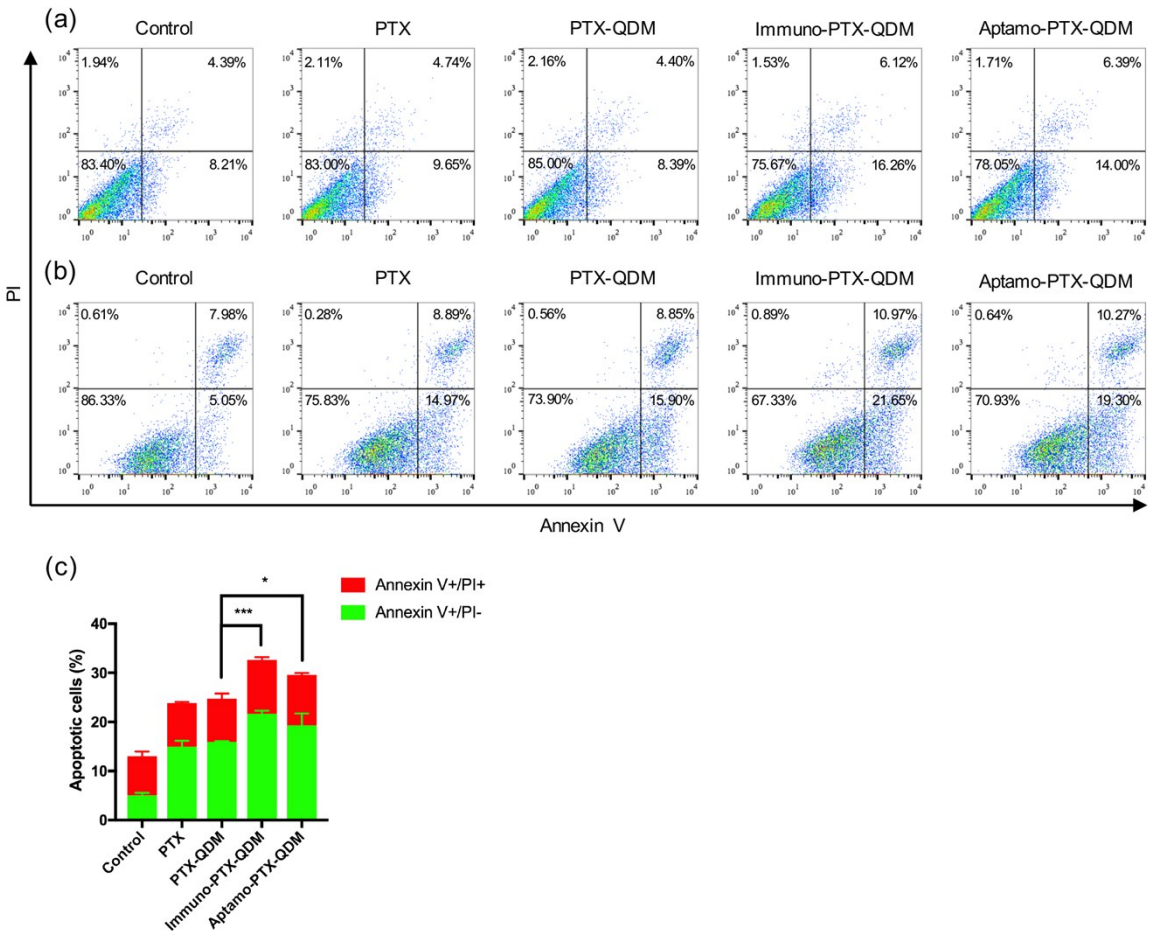

Figure S4. Apoptosis induced by immuno-PTX-QDMs and aptamo-PTX-QDMs. LS174T cells were treated with (a) a low (20 ng PTX/mL) or (b, c) a high (200 ng PTX/mL) concentration of either immuno-PTX-QDMs or aptamo-PTX-QDMs at 37℃ for 24 h. After Annexin Ⅴ/PI staining, (a, b) the apoptotic cell populations were analyzed by flow cytometry dot-plots and (c) quantified. Each value represents the mean ± S.D. for three separate experiments. *, $p < 0.05$ and ***, $p < 0.001$ between experimental groups.