**Supplementary Material**

**A picture containing indoor, table, wall, sitting

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**Figure S1** Images of i-Ex and FRi-ExNC water solutions after storing at room temperature for different time periods. The suspension of FRi-ExNC (iEx: 0.5 mg/ml) remained clear until day 7, but i-Ex alone aggregated, became turbid and precipitated, as shown by arrows.

**A screenshot of a cell phone

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**Figure S2** Viability of HeLa cells treated with i-ExNC and FRi-ExNC for 24 h with/without 10 µm free folate (mean ± s.e.m., n = 5), \*P<0.05 (Student’s t-test). Stronger cytotoxicity of FRi-ExNC without the addition of folate indicates its favorable tumor-targeting ability towards folic acid receptor-expressing cancer cells.



**Figure S3** Fluorescence microscopy imaging of HeLa cells treated with FRi-ExNC (labeled with Nile Red) for 6 h. The internalization of FRi-ExNC was inhibited by co-incubation with a free folate, indicating the successful modification of FRi-ExNC and the folic acid receptor-targeting effect. The nucleus was stained with Hoechst.

A screenshot of a video game

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**Figure S4** (A) Immunostaining of HeLa cells showing a decrease in the number of Ki-67-positive cells following i-ExNC and FRi-ExNC treatments. The nucleus was stained with Hoechst. (B) Quantitation from at least 200 cells is shown on the right (mean ± s.e.m., n = 3), \*\*P<0.01, \*\*\*P<0.001 (Student’s t-test). FRi-ExNC group showing the largest reduction. (C) TUNEL assay and (D) immunostaining for cleaved-caspase 3 in control and nanocomplex-treated HeLa cells show that FRi-ExNC induced a greater apoptosis than i-ExNC. Cells were treated with an equivalent dose of i-Ex (10 µg ml−1) for 24 h.