

Intraocular siRNA Delivery Mediated by Penetratin Derivative to Silence Orthotopic Retinoblastoma Gene

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Table S1. Cellular uptake inhibitors and their function mechanisms

Inhibitor	Final concentration	Mechanism of action
Filipin	20 $\mu\text{g}/\text{mL}$	Bind to cholesterol on the cell membrane and inhibit endocytosis
M β -CD	300 $\mu\text{g}/\text{mL}$	Deplete cholesterol and suppress endocytosis
Genistein	20 $\mu\text{g}/\text{mL}$	Inhibit lipid raft/caveolae mediated endocytosis
Colchicine	20 $\mu\text{g}/\text{mL}$	Inhibit pinocytosis by binding to tubulin to prevent microtubule polymerization
Dynasore	20 $\mu\text{g}/\text{mL}$	Inhibit dynamic proteins in clathrin-mediated endocytosis

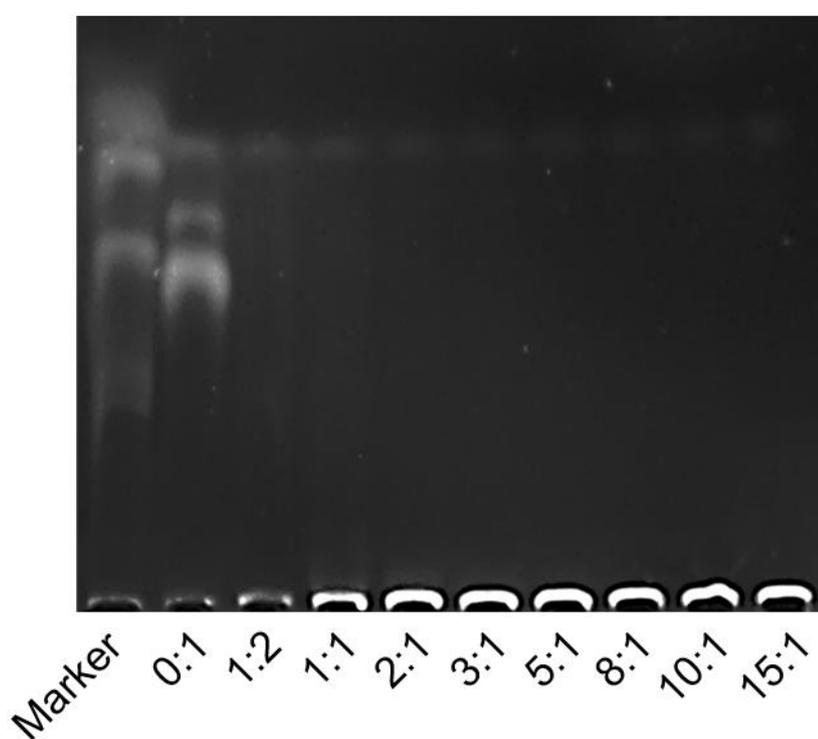


Figure S1. Polyacrylamide gel electrophoresis of primary polyplexes siRNA/PAMAM. The ratio is N/P of 3rd generation PAMAM to siRNA.

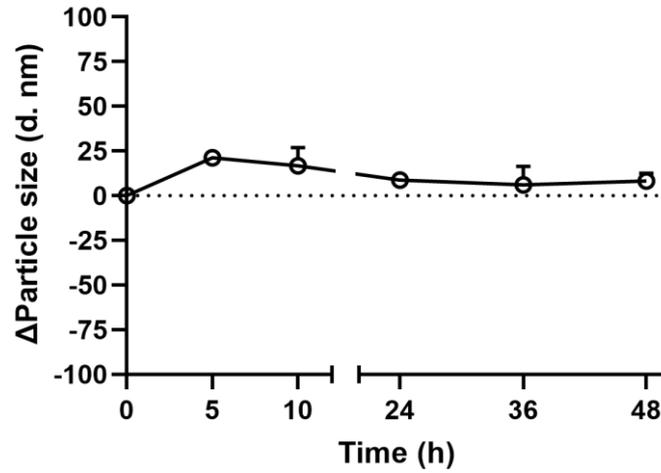


Figure S2. Time-dependent particle size variation of siRNA/PA/89WP incubated in 10 mM PBS at 4 °C ($n=3$).

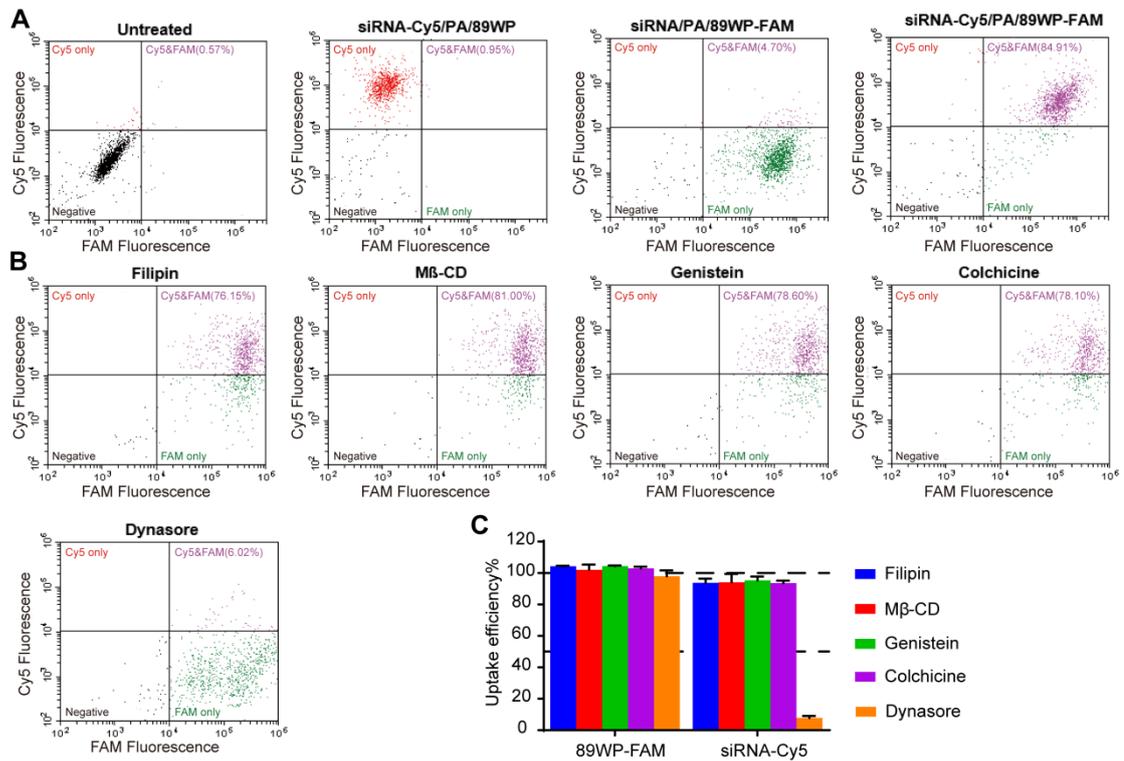


Figure S3. Cellular uptake pathway of the siRNA polyplexes in WERI-Rb-1 cells. (A) Flow cytometry diagrams of WERI-Rb-1 cells treated with polyplexes siRNA-Cy5/PA/89WP, siRNA/PA/89WP-FAM, and siRNA-Cy5/PA/89WP-FAM, respectively. (B) Flow cytometry diagrams of WERI-Rb-1 cells treated with polyplex siRNA-Cy5/PA/89WP-FAM in the presence of various cellular uptake inhibitors. (C) Effects of the inhibitors on uptake efficiency of siRNA-Cy5/PA/89WP-FAM by WERI-Rb-1 cells ($n=3$).

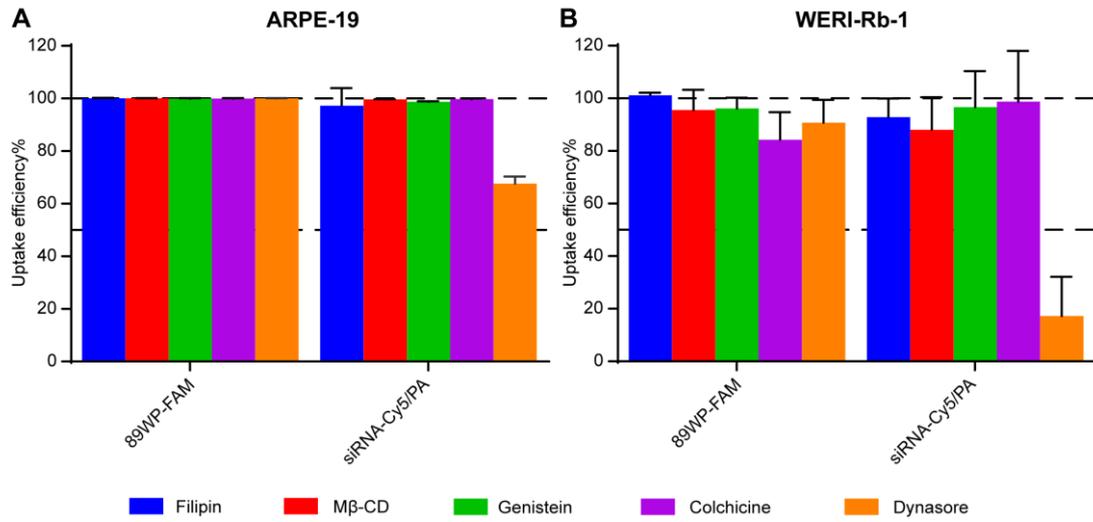


Figure S4. Effects of various inhibitors on uptake efficiency of single fluorescence-labeled peptide (89WP-FAM) and primary polyplex (siRNA-Cy5/PA) in ARPE-19 (A) and WERI-Rb-1 (B) cells ($n=3$).