

Supporting Information

Enhanced efficacy of chemotherapeutic drugs against colorectal cancer using ligand-decorated self-breakable agents

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FSB/38 micelles	
No. of particles/ml	1.7*10 ⁸
No. of Polymers/micelle	13086
No. of SN38s/micelle	61711

Table S1. Number of FSB/38 micelles per milliliter, copolymers per FSB/38 micelle, and SN38s per FSB/38 micelle. Total particles were determined using Malvern NanoSight300, which utilizes Nanoparticle Tracking Analysis (NTA) to characterize nanoparticles.

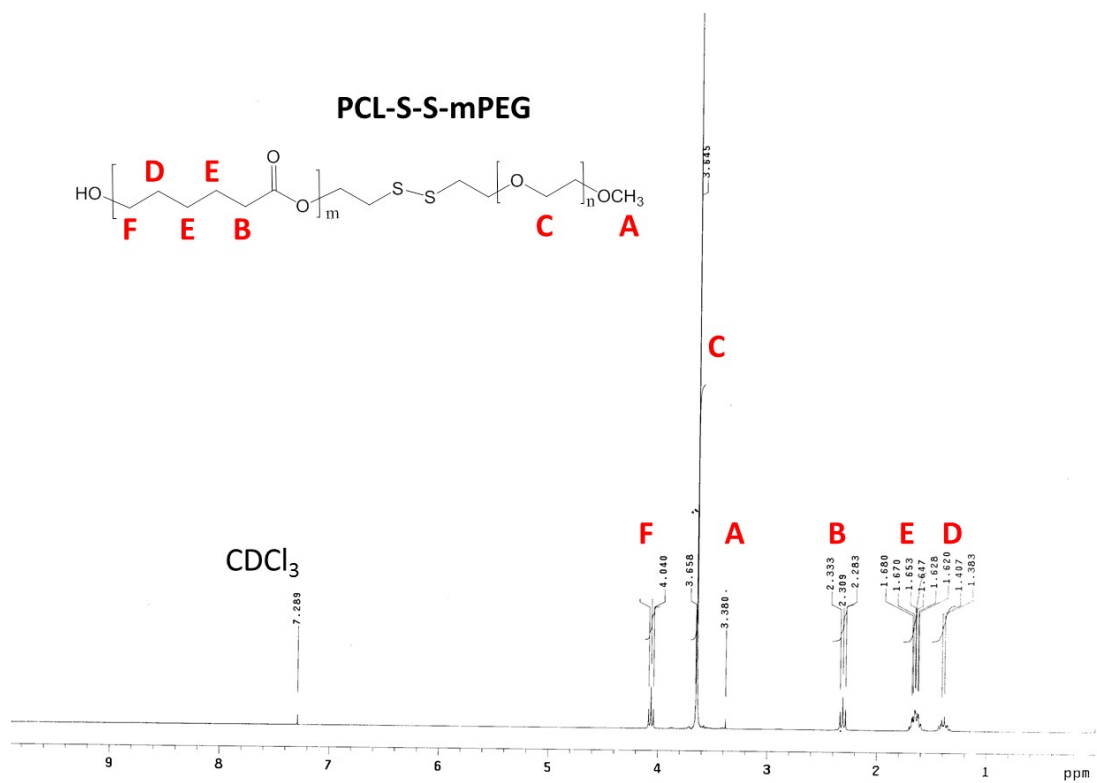


Fig. S1. ¹H-NMR spectra of copolymer, mPEG-S-S-PCL

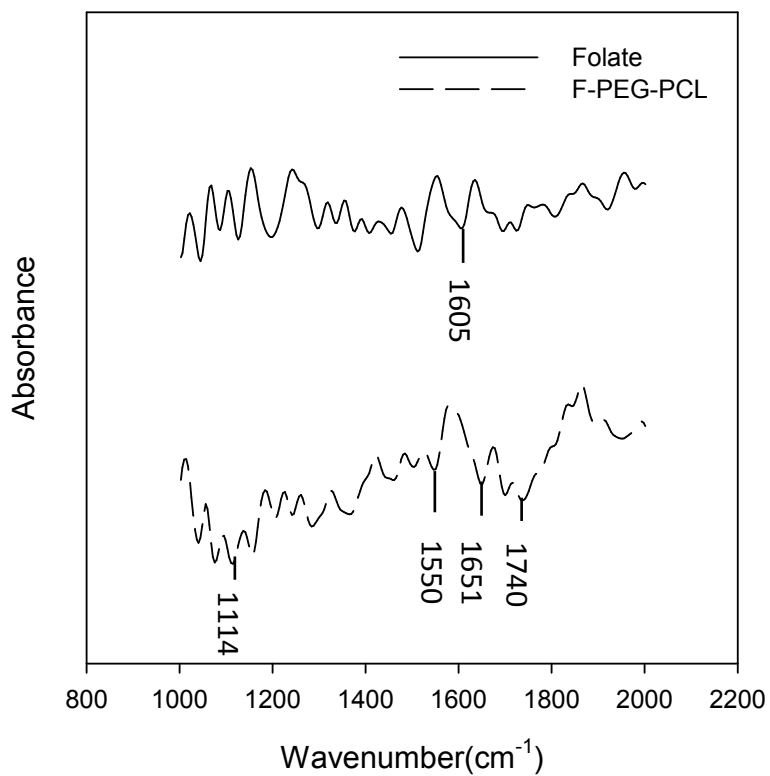


Fig. S2. FT-IR spectra of folate-PEG-PCL and folate

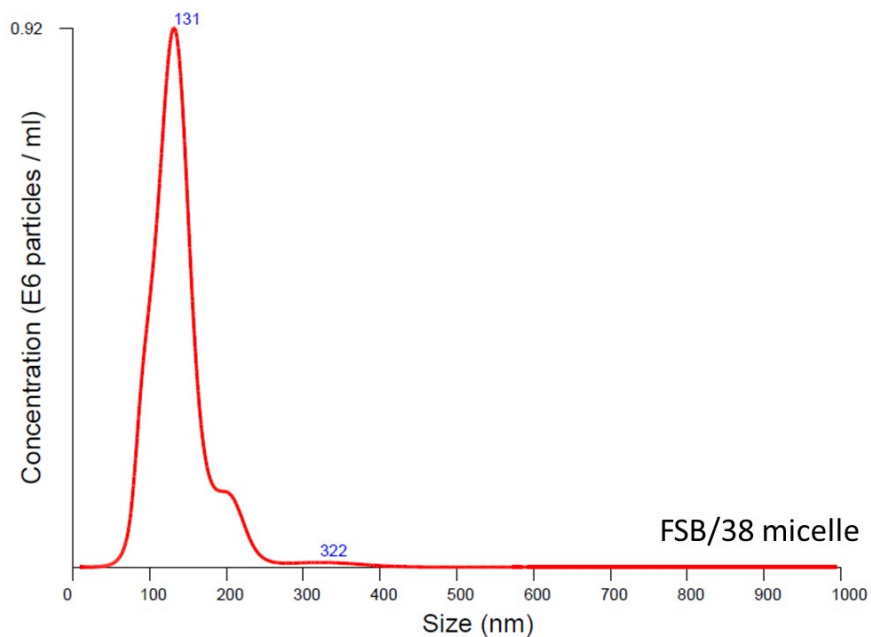


Fig. S3. Size distribution of FSB/38 micelles. The size distribution of FSB/38 micelles was determined by NTA, which was consistent with that determined by dynamic light scattering.

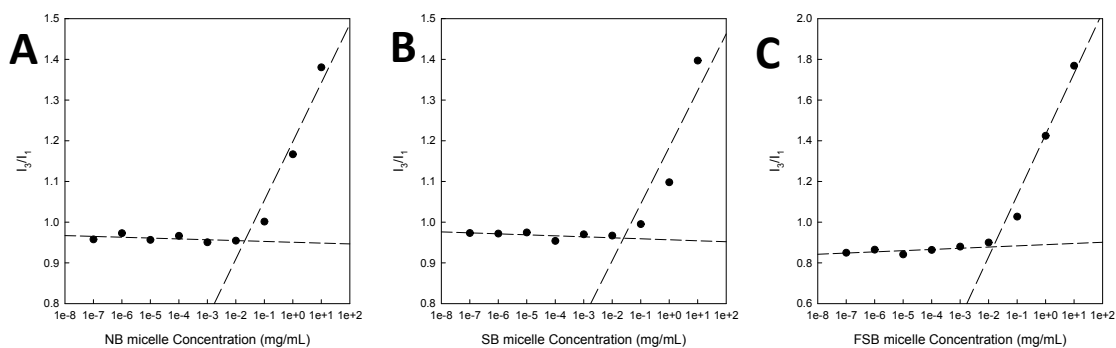


Fig. S4. Critical micelle concentration of SB micelles (A), NB micelles (B), and FSB micelles (C)

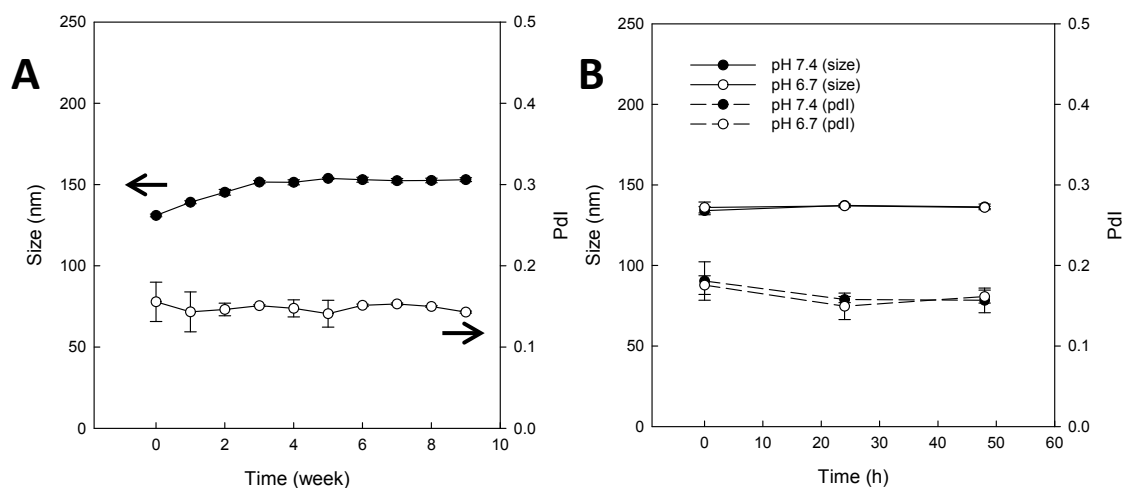


Fig. S5. Long-term stability of FSB/38 micelles (A). Stability of FSB/38 micelles in the environments at pH 6.7 or pH 7.4 (B). There was no significant change in FSB/38 micelles over 60 days. In addition, FSB/38 micelles were stable in a low-pH environment for 48 h.

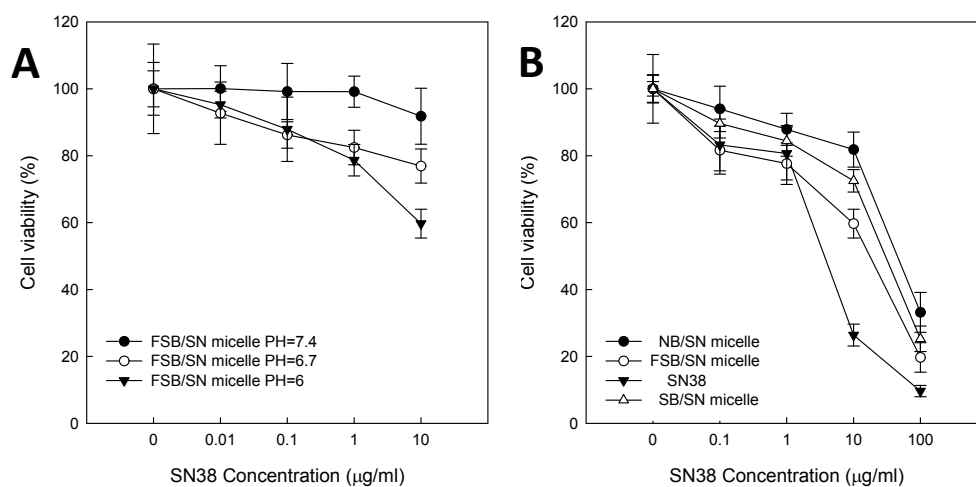


Fig.S6. Cell viability of FSB/38 micelles was evaluated in media with different pH values, pH = 6, 6.7, and 7.4 (A). The highest cytotoxicity resulting from FSB/38 micelles was achieved in the medium at pH 6. Cell viability of SN38, NB/38 micelles, SB/38 micelles, and FSB/38 micelles (B). FSB/38 micelles achieved the highest efficiency in killing cancer cells among the drug-loaded micelles.

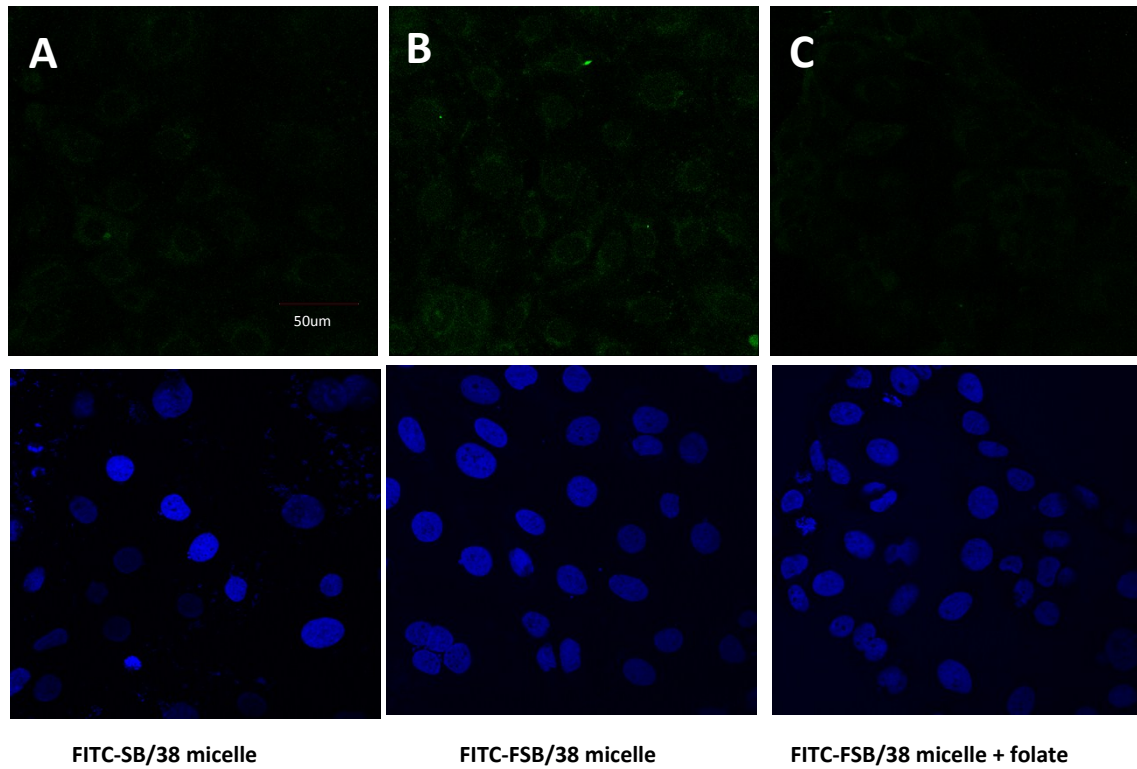


Fig.S7. Cellular binding. Confocal images of FITC-SB/38 micelles (A), FITC-FSB/38 micelles (B), and FITC-FSB/38 micelles incubated with competition agent, folate, for 1 h at 4° C (C). Stronger fluorescence intensity was observed in FITC-FSB/38 micelles.

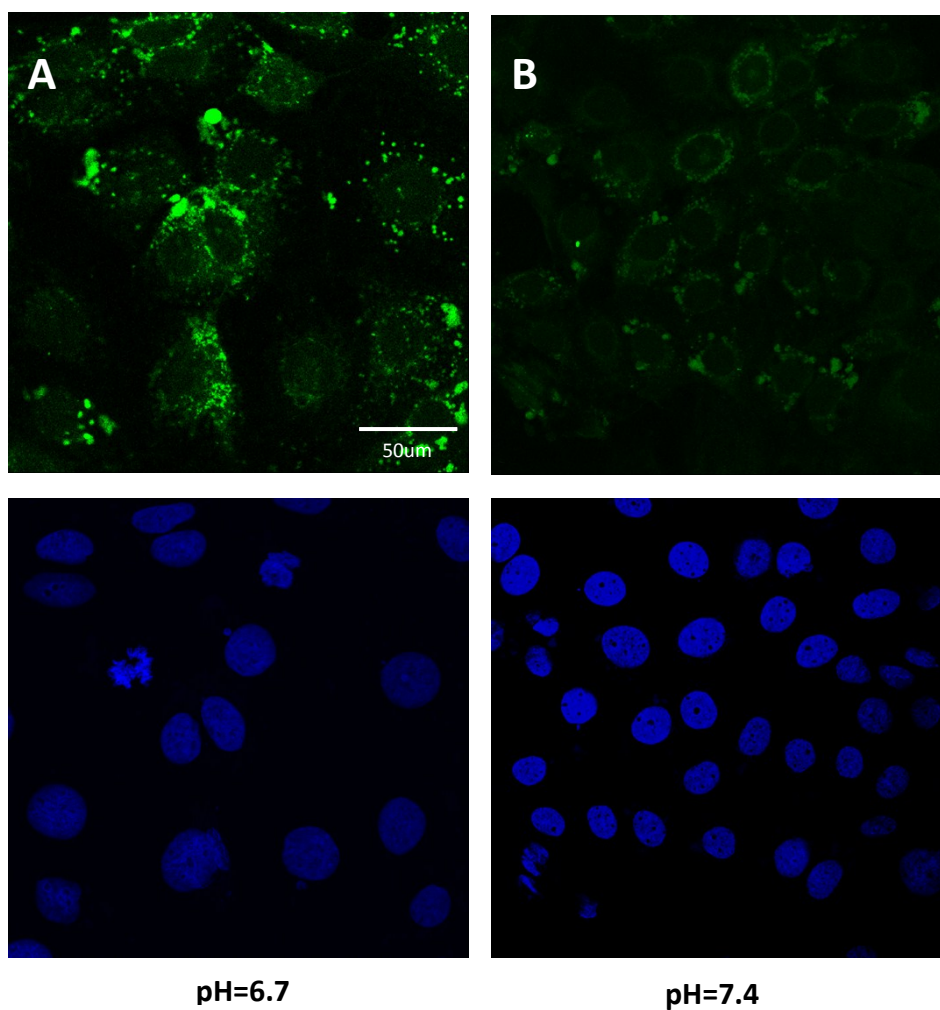


Fig. S8. Cellular uptake. Confocal images of FITC-FSB/38 micelles incubated for 6 h in the media at different pH values, pH 6.7 (A) and 7.4 (B). High fluorescence intensity was observed in the medium at pH 6.7.

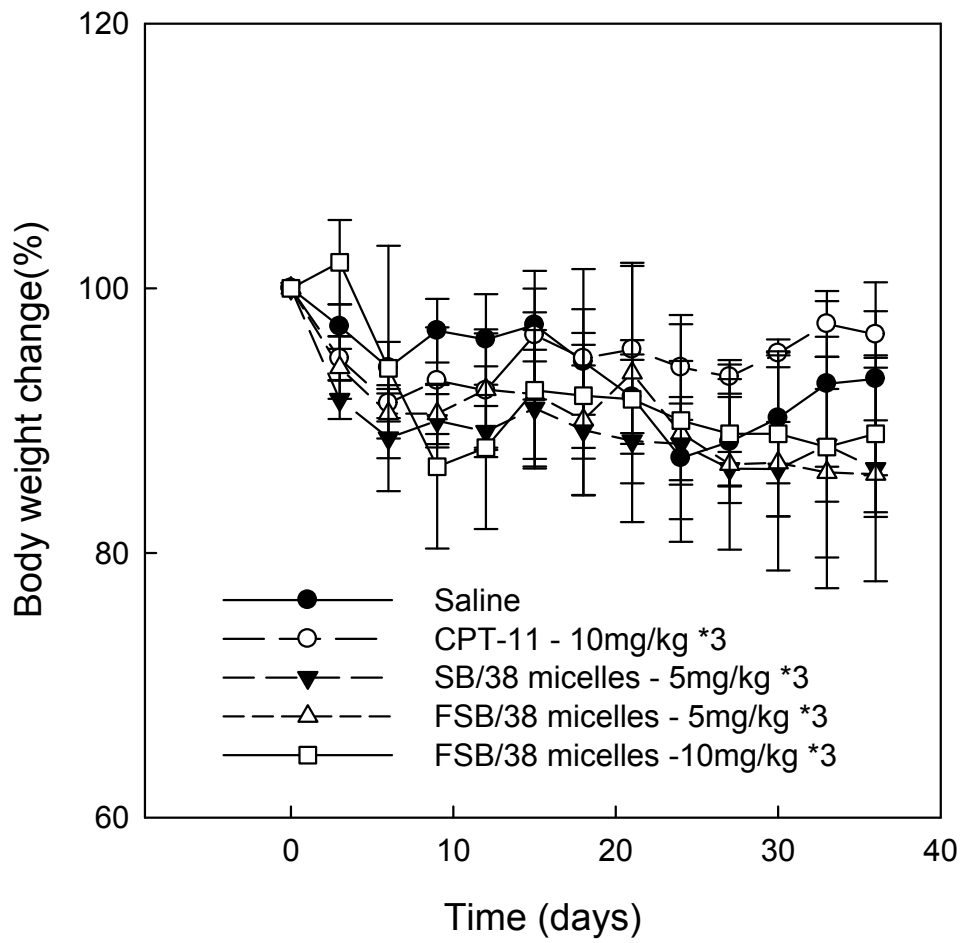


Fig. S9. Body weight change of mice. There was no significant change in body weight.