

Figure S1. pαH vector map information.

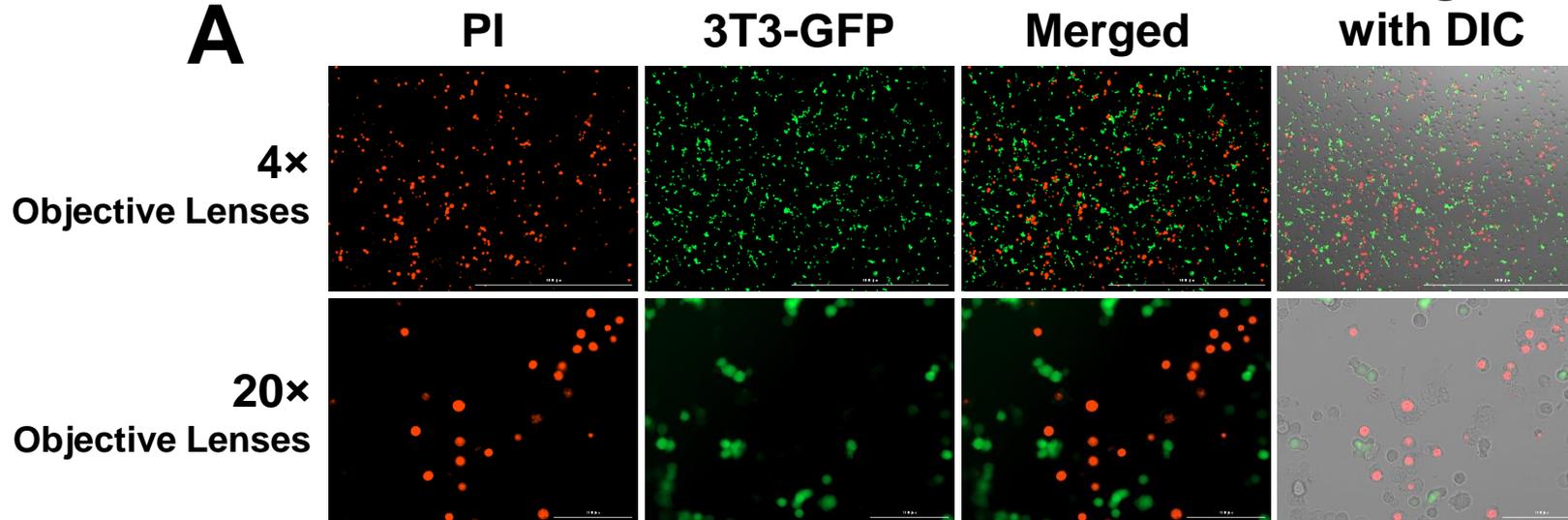
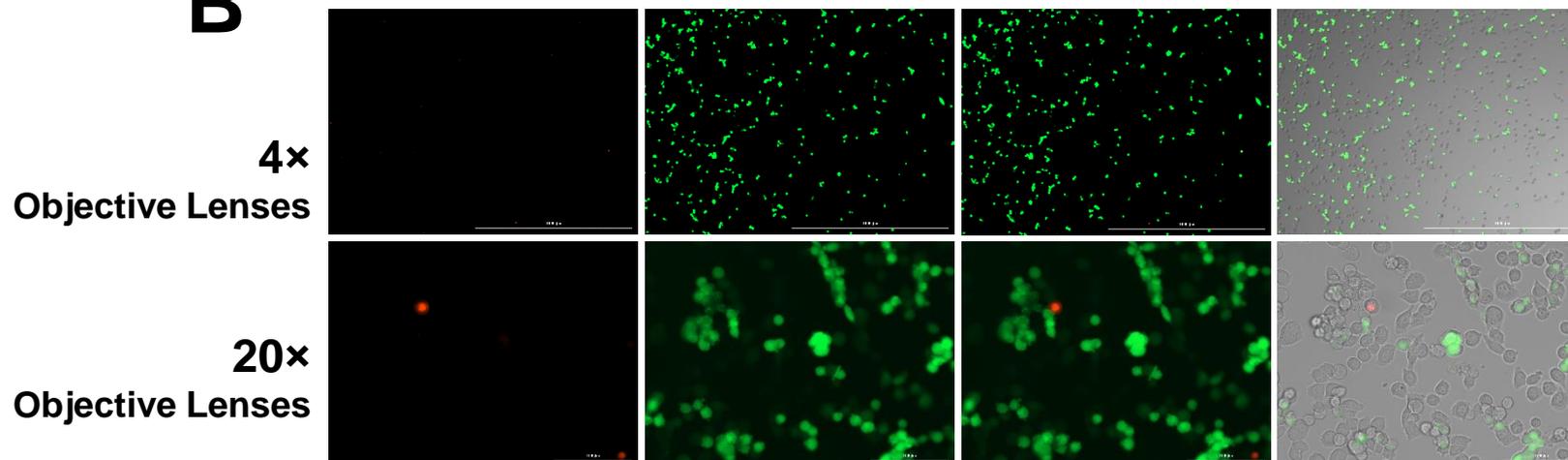
**A****B**

Figure S2. Phototoxicity of Fab-IR700 in co-culture of 3T3-GFP and 3T3-MDR1 cells. **(A)** mixed 3T3-GFP and 3T3-MDR1 cells were stained with PI after Fab-IR700 mediated PDT; **(B)** mixed cells were treated with PBS (control). Scale bar for 4X, 1000  $\mu\text{m}$ . Scale bar for 20X, 100  $\mu\text{m}$ .

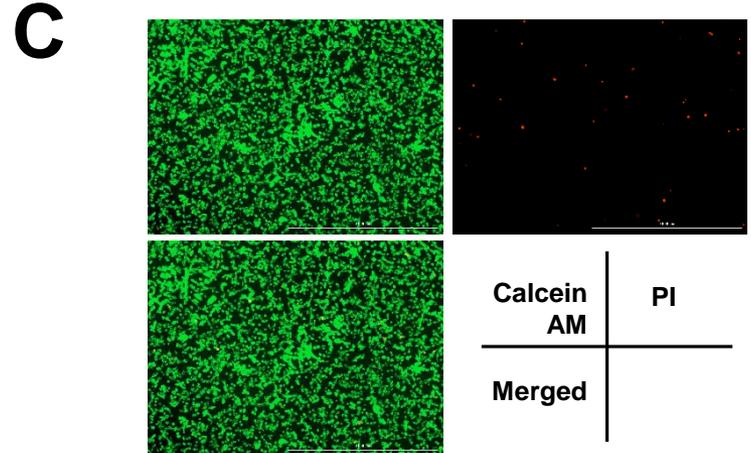
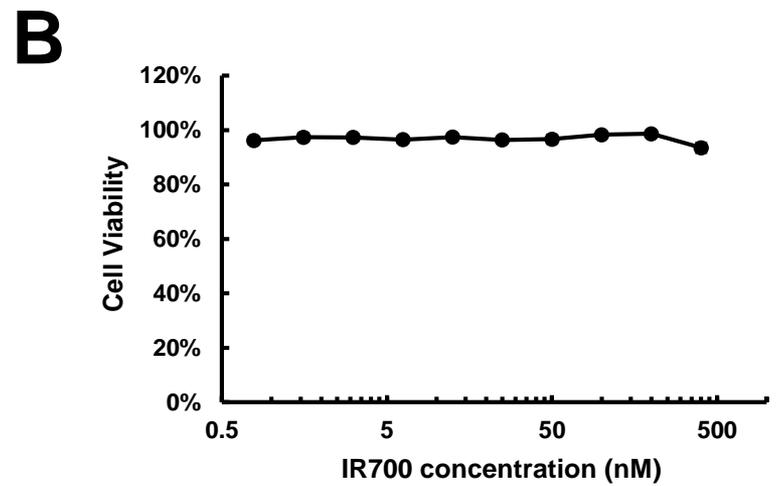
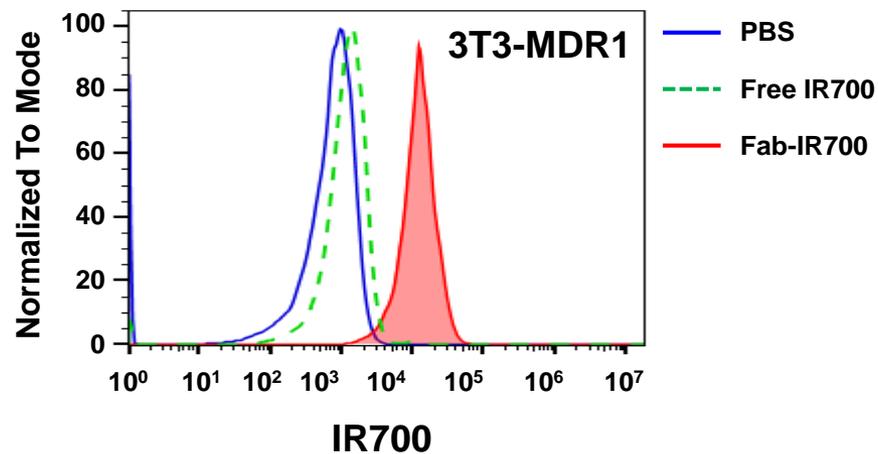
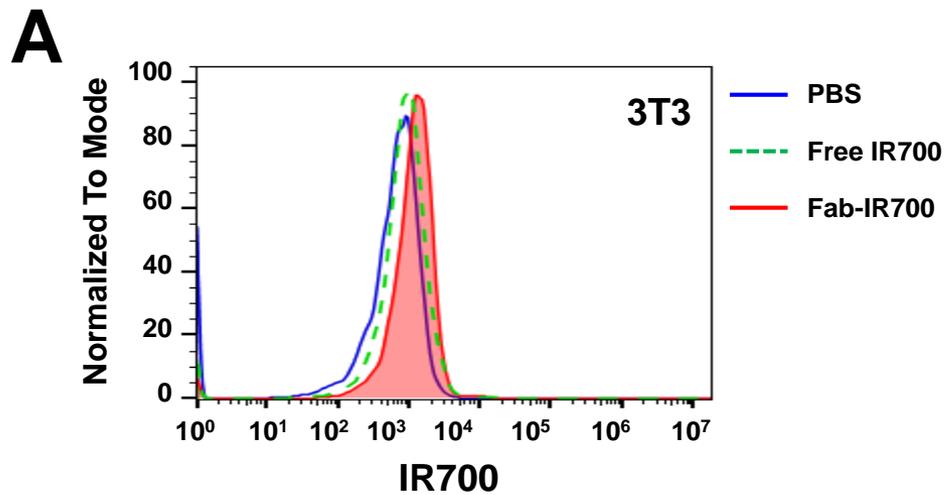


Figure S3. **(A)** Flow cytometry analysis of Pgp negative 3T3 (up) or Pgp-expressing 3T3-MDR1 (down) cells after treatment with free IR700 or Fab-IR700 at the same concentration of IR700 (120 nM) for 4 h. **(B)** Dose-dependent phototoxicity of free IR700 in 3T3-MDR1 cells. Data are means  $\pm$  SD ( $n = 3$ ). **(C)** Live/Dead staining of 3T3-MDR1 cells after treatment with Free IR700 (500 nM) followed by light irradiation. Scale bar, 1000  $\mu$ m.

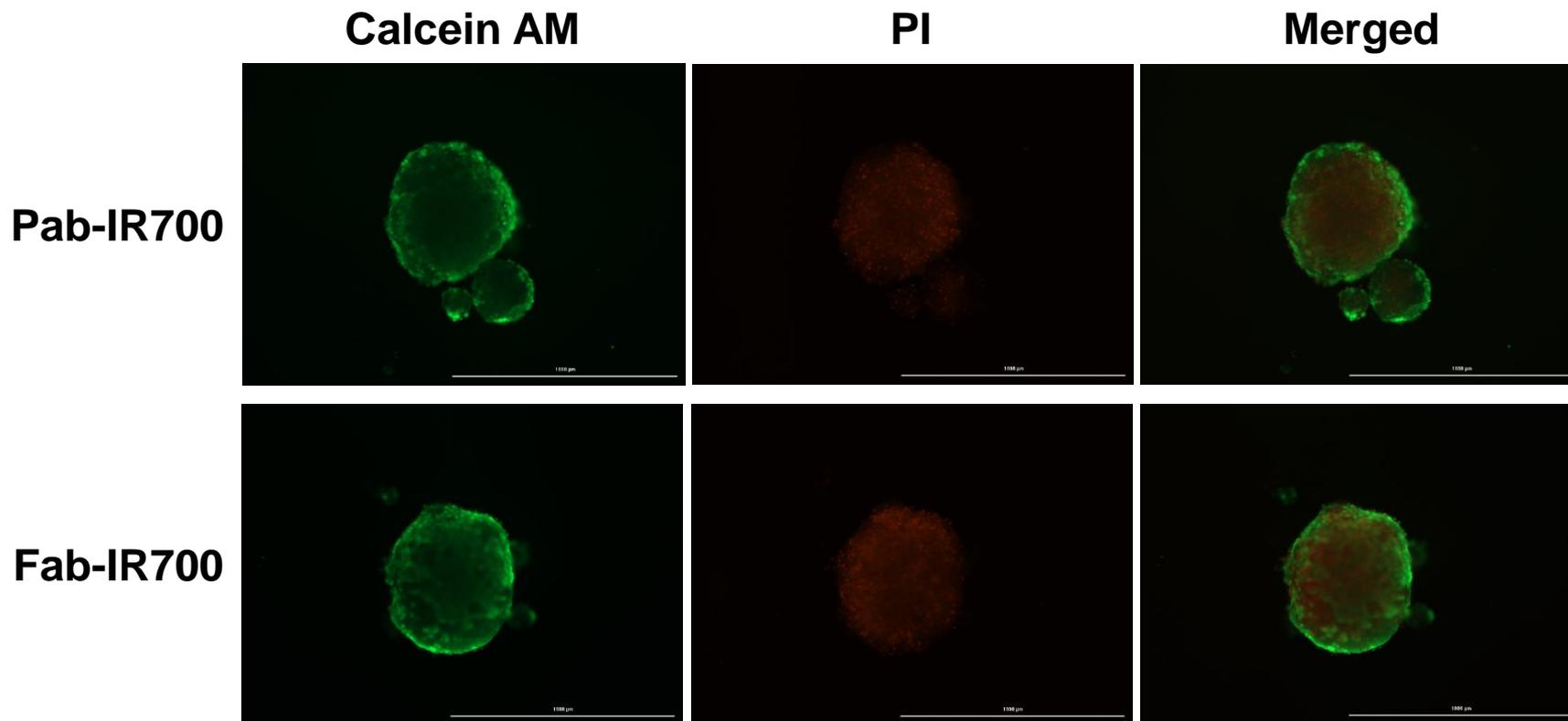


Figure S4. Phototoxicity of the Fab-IR700 conjugates in KB-3-1 tumor spheroids. PI staining in KB-3-1 spheroids after Pab-IR700 or Fab-IR700 mediated PDT. Spheroids were incubated with Pab-IR700 or Fab-IR700 for 24 hours followed by light irradiation. Scale bar, 1000  $\mu\text{m}$ .

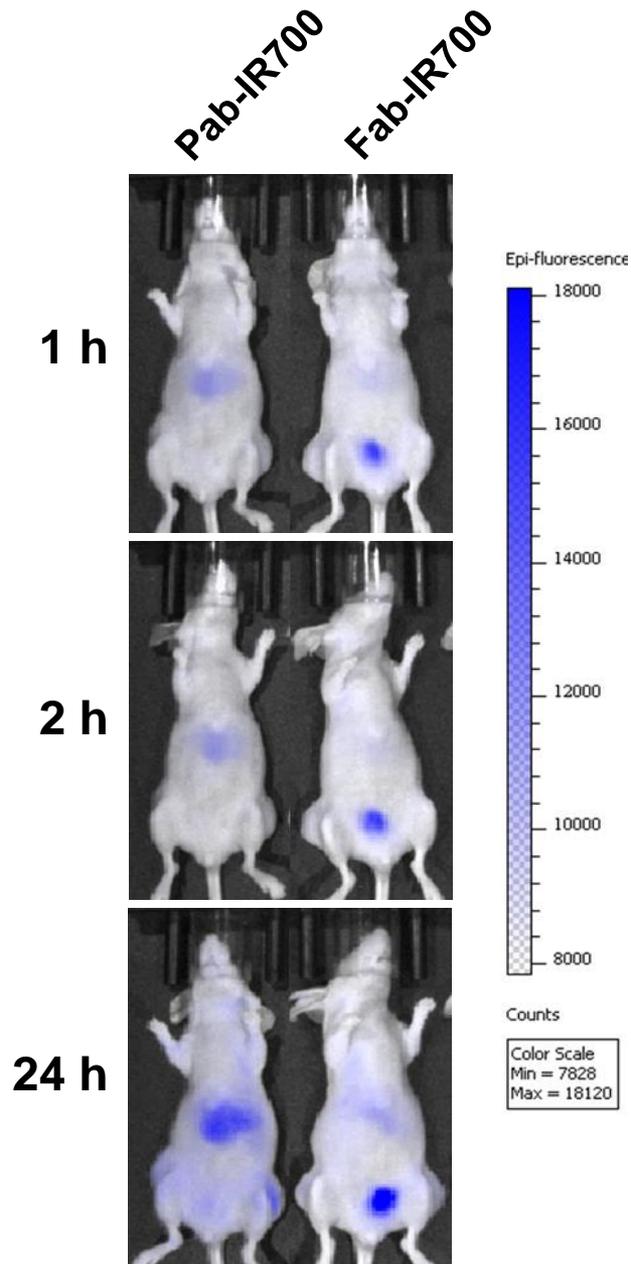


Fig. S5. Ventral view of IR700 distribution in a mouse xenograft model of chemoresistant tumors, give a view of liver and bladder accumulation for IR700.

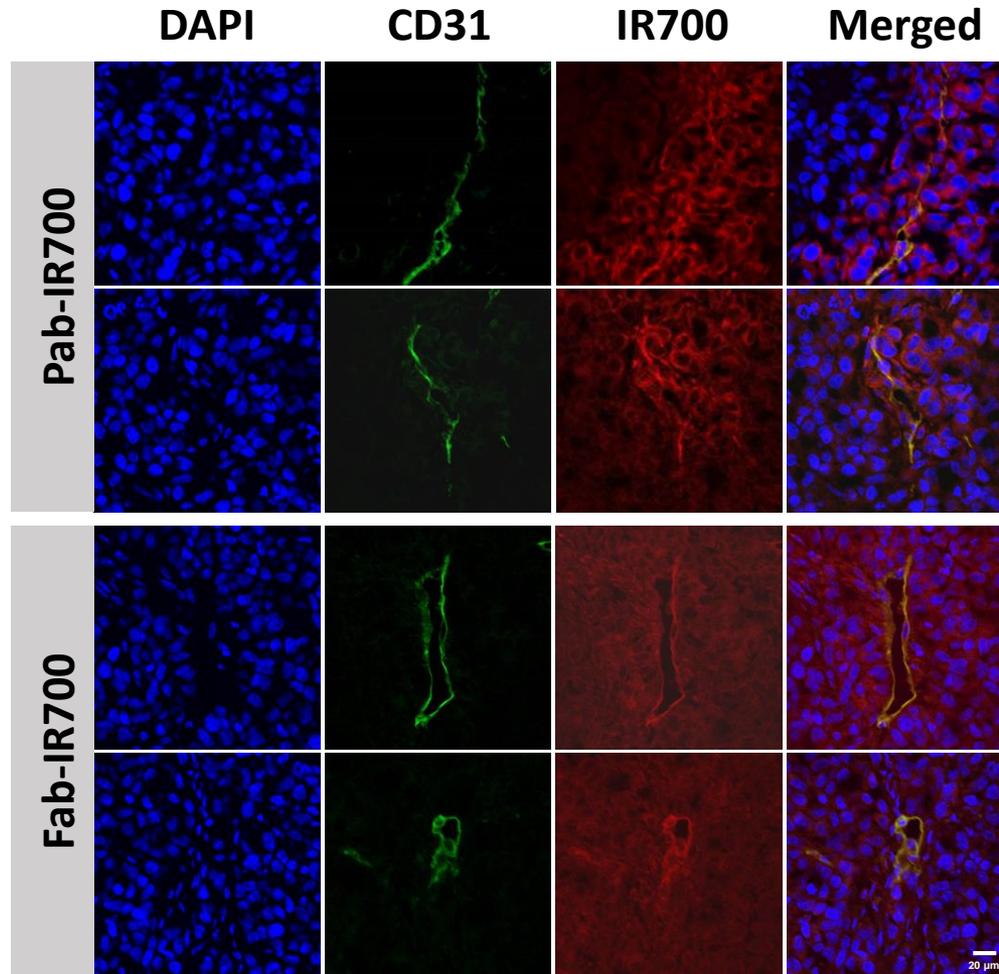


Figure S6. Confocal images of intracellular distribution of Fab-IR700 and Pab-IR700 in KB-8-5-11 tumors 24 h post injection. The scale bar is 20  $\mu\text{m}$ .

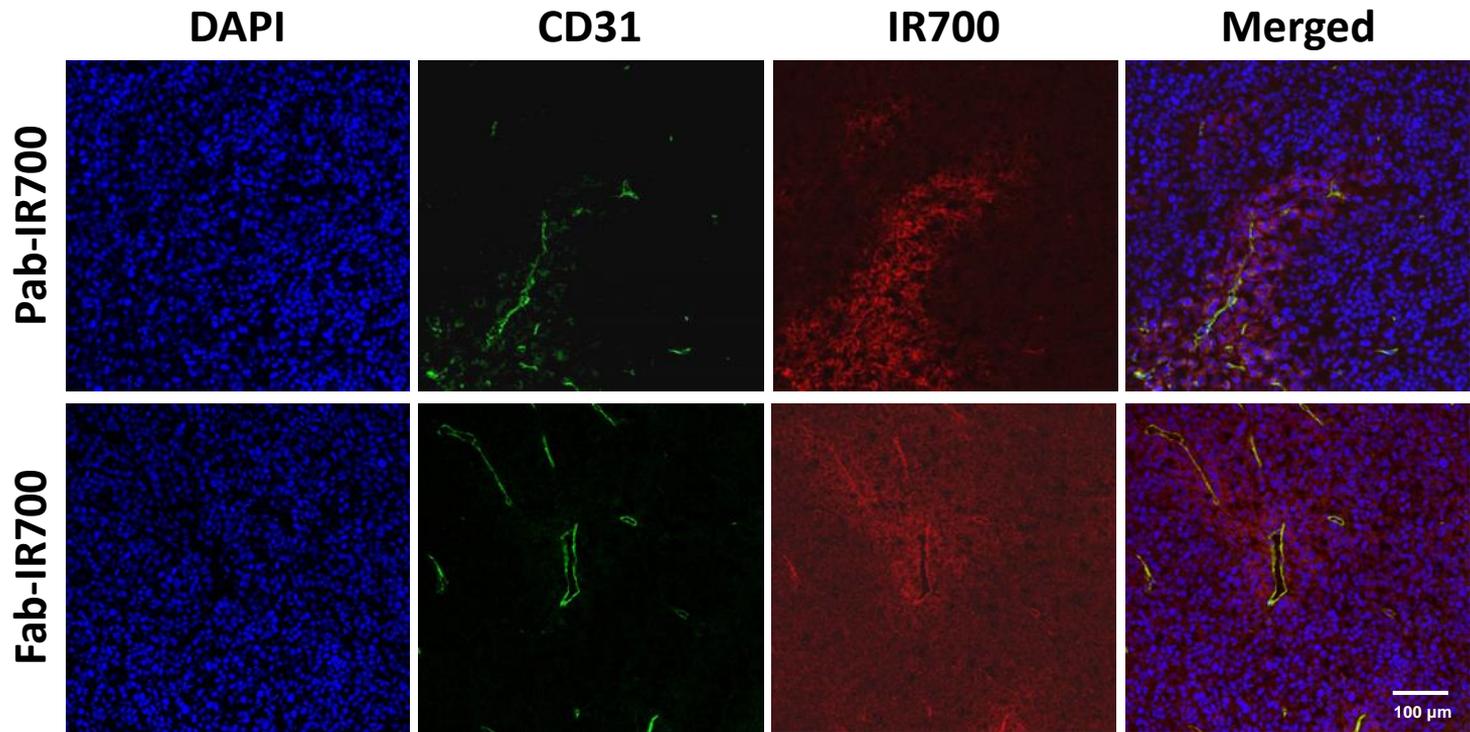


Figure S7. Confocal images of intratumoral distribution of Fab-IR700 and Pab-IR700 in KB-8-5-11 tumors 24 h post injection at a large scale view. Scale bar is 100  $\mu$ m.

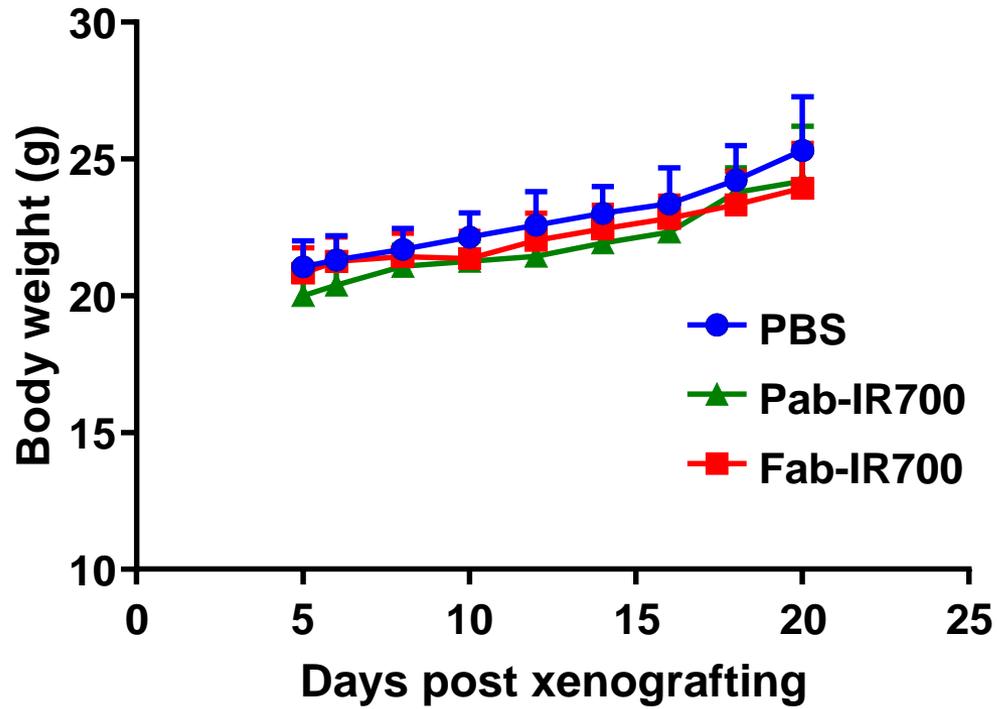


Fig. S8. Body weight of mice after targeted PDT. Data are means  $\pm$  SD, n=6.