

Figure S1. (A) ^{64}Cu labeling conditions for NOTA-RGD-bombesin (BBN) and DOTA-RGD-BBN. Five nmol of NOTA-RGD-BBN or DOTA-RGD-BBN was labeled with 37 MBq (1 mCi) of ^{64}Cu at room temperature or at 42 °C for 15 min, 30 min, 1 h, and 2 h. The labeling yields were then detected by radio-HPLC. (B) Inhibition of ^{125}I -c(RGDyK) binding to integrin $\alpha_v\beta_3$ on U87MG cells by c(RGDyK) (RGD), DOTA-RGD-BBN, and NOTA-RGD-BBN ($n = 3$, mean \pm SD). (C) Inhibition of ^{125}I -[Tyr⁴]-BBN binding to GRPR on PC-3 cells by Aca-BBN (7-14) (BBN), DOTA-RGD-BBN, and NOTA-RGD-BBN ($n = 3$, mean \pm SD). (D) Cell uptake comparison of ^{64}Cu -NOTA-RGD-BBN and ^{64}Cu -DOTA-RGD-BBN on PC-3 tumor cells. Data are expressed as percent added dose (%AD) (means \pm SD, $n=3$). (E) Cell activity-retention of ^{64}Cu -NOTA-RGD-BBN, ^{64}Cu -NOTA-RGD, and ^{64}Cu -NOTA-BBN in the efflux study. Data were expressed as percent added dose (%AD) (means \pm SD, $n=3$).

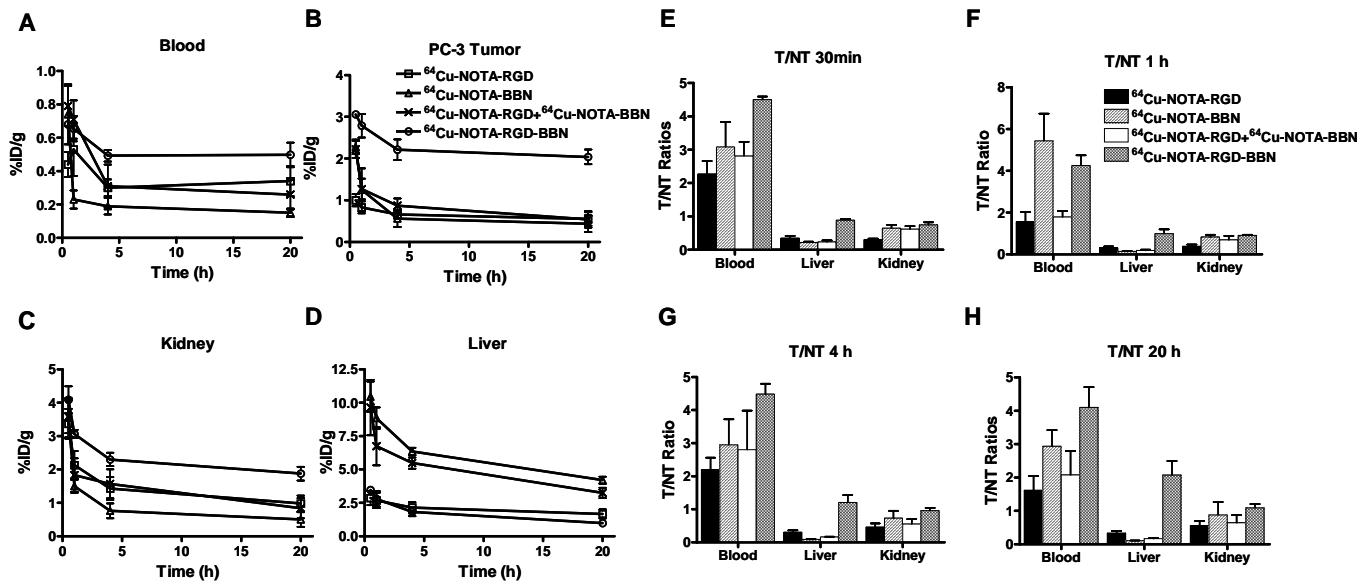


Figure S2. (A-D) Comparison between the quantified uptake of ^{64}Cu -NOTA-RGD, ^{64}Cu -NOTA-BBN, ^{64}Cu -NOTA-RGD-BBN, or ^{64}Cu -NOTA-RGD + ^{64}Cu -NOTA-BBN in blood (A), PC-3 tumor (B), kidneys (C), and liver (D) ($n = 4/\text{group}$, mean \pm SD). (E-H) Comparison of tumor to blood, liver, and kidney ratio of ^{64}Cu -NOTA-RGD, ^{64}Cu -NOTA-BBN, ^{64}Cu -NOTA-RGD-BBN, and ^{64}Cu -NOTA-RGD + ^{64}Cu -NOTA-BBN at 30 min (E), 1 h (F), 4 h (G), and 20 h (H) after injection of $\sim 5.5 \text{ MBq}$ ($150 \mu\text{Ci}$) tracer in PC-3 tumor-bearing mice ($n = 4/\text{group}$, mean \pm SD).

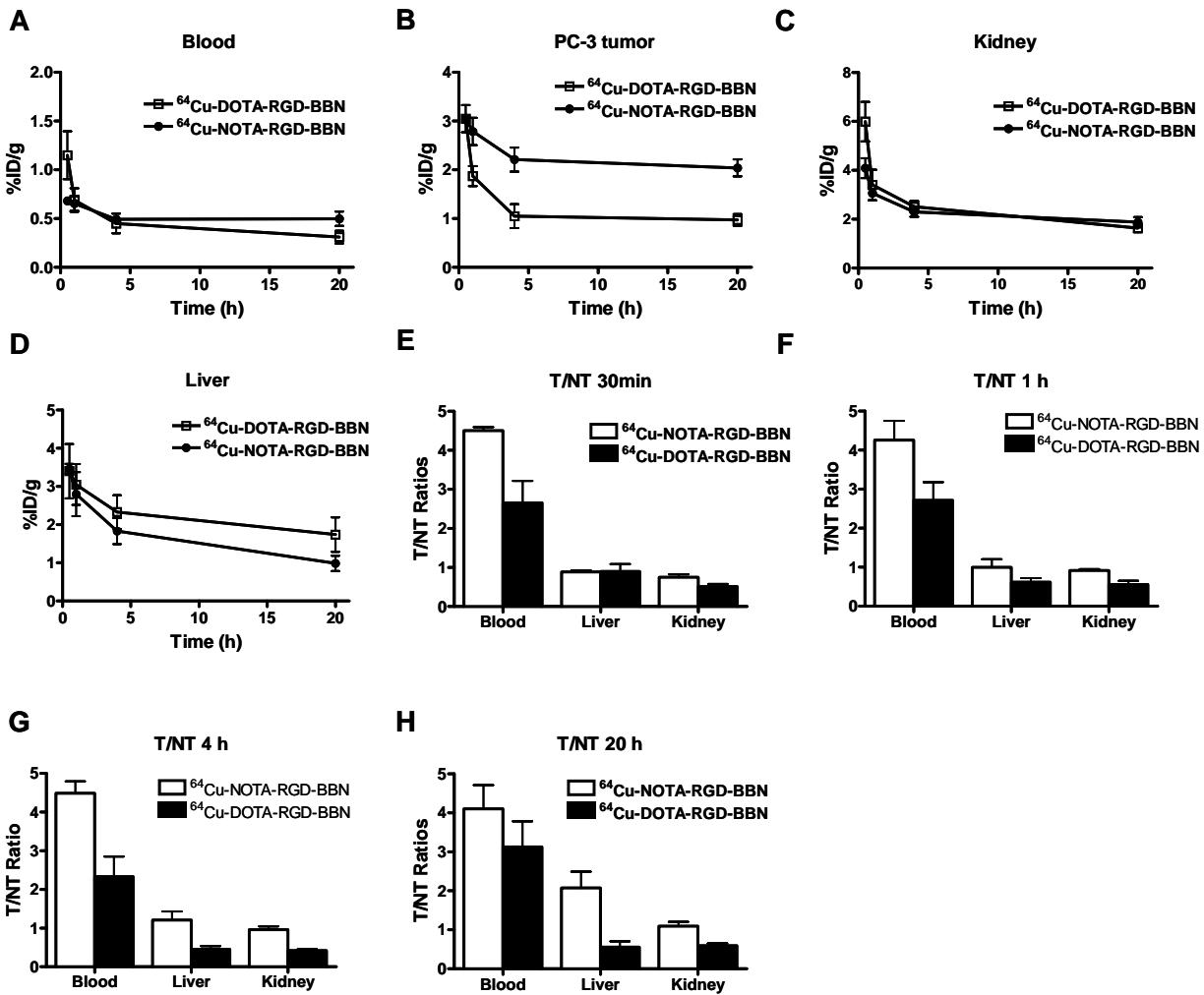


Figure S3. (A-D) Comparison between the quantified uptake of ^{64}Cu -NOTA-RGD-BBN and ^{64}Cu -DOTA-RGD-BBN in the blood (A), PC-3 tumor (B), kidneys (C), and liver (D) ($n = 4/\text{group}$, mean \pm SD). (E-H) Comparison of tumor to blood, liver, and kidney ratio of ^{64}Cu -NOTA-RGD-BBN and ^{64}Cu -DOTA-RGD-BBN at 30 min (E), 1 h (F), 4 h (G), and 20 h (H) after injection of $\sim 5.5 \text{ MBq}$ ($150 \mu\text{Ci}$) tracer in PC-3 tumor-bearing mice ($n = 4/\text{group}$, mean \pm SD).