Supplementary data

Blood clearance

Mice were injected with 3.7MBq of ^{64}Cu-BaMalSar-exendin-4 or ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$. Blood samples were collected from the mouse tails at 1 min, 15 min, 60 min, and 120 min. The samples were weighted and the radioactivity was measured with a r-counter (Wallach Wizard, PerkinElmer). %ID/g values were calculated. ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$ cleared slightly slower than ^{64}Cu-BaMalSar-exendin-4.

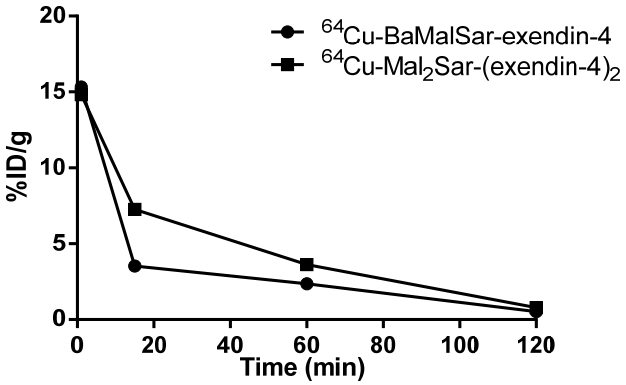

Figure S1. Blood clearance curves for ^{64}Cu-BaMalSar-exendin-4 and ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$.

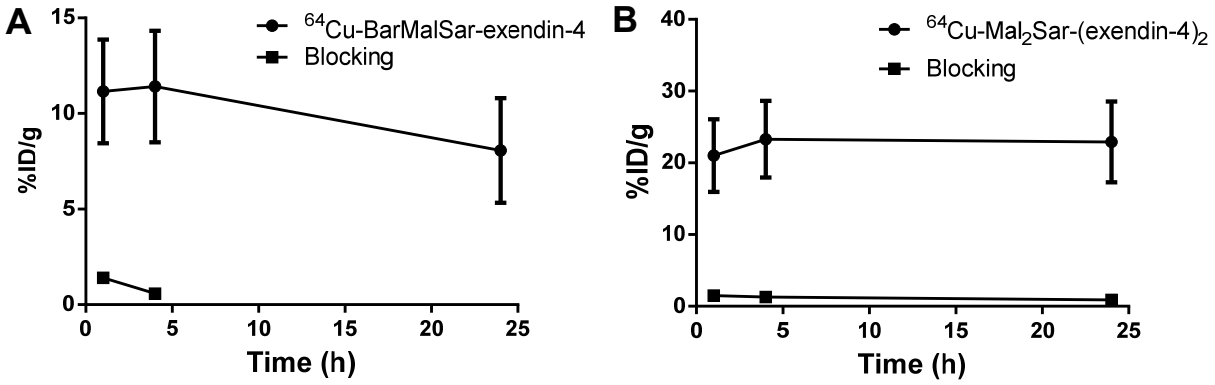

Figure S2. Time activity curves of the tumor uptake with or without co-injection with non-radiolabeled exendin-4: (A) ^{64}Cu-BaMalSar-exendin-4 and (B) ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$.

***In vivo* metabolic stability**

The *in vivo* metabolic stability of ^{64}Cu-BaMalSar-exendin-4 and ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$ was evaluated in NOD/SCID mice. Thirty minutes after the intravenous injection of 7.4 MBq of ^{64}Cu-BaMalSar-exendin-4 and ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$, the mice were sacrificed. Urine was collected and diluted with 1 mL PBS, then analyzed by HPLC.

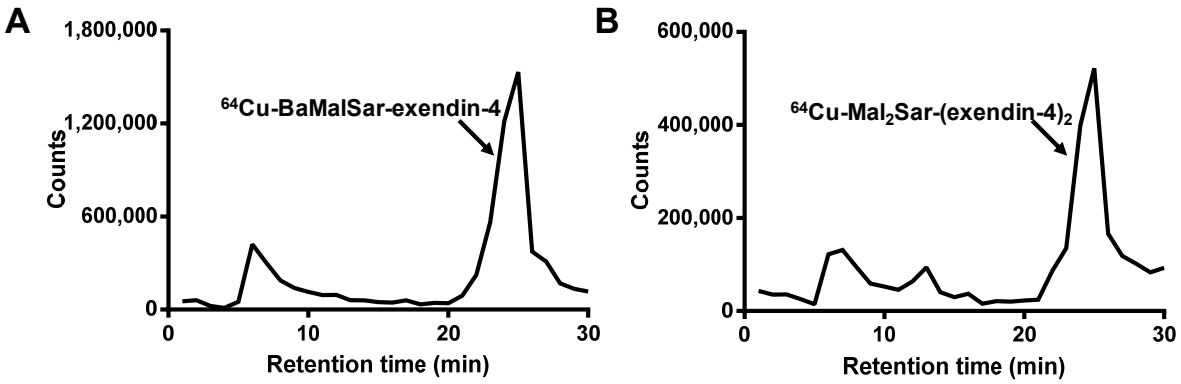

Figure S3. Metabolic stability in urine. (A) ^{64}Cu-BaMalSar-exendin-4 and (B) ^{64}Cu-Mal$_2$Sar-(exendin-4)$_2$.