## SUPPORTING INFORMATION

## <sup>64</sup>Cu-Labeled of Inhibitors of Prostate Specific Membrane Antigen for PET Imaging of Prostate Cancer

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Figure S1. Structure of ZJ43

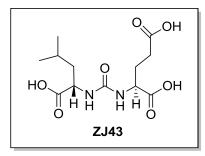
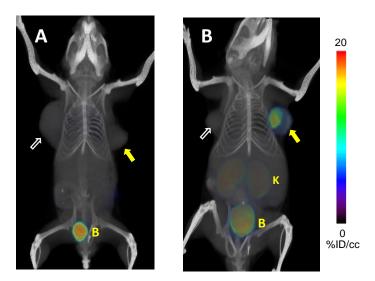
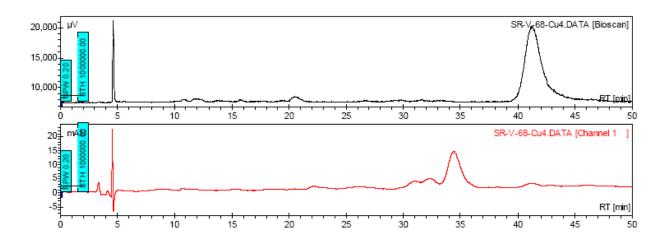


Figure S2.

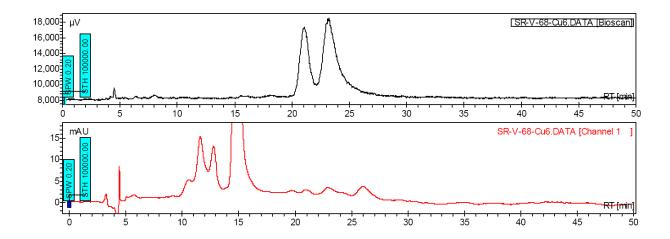


**Figure S2.** PET-CT images of PSMA+ PIP and PSMA- flu tumor-bearing male SCID mice using ~200  $\mu$ Ci of [ $^{64}$ Cu]**6B** per mouse. Images obtained with (left, A) and without (right, B) blockade of PSMA using the potent, selective PSMA inhibitor, ZJ43, as the blocking agent (50 mg/kg). Reduction of radiopharmaceutical uptake in both the tumor and kidneys (another PSMA+ site) upon co-treatment with ZJ43 provides a further check on PSMA-specific binding. Images were acquired after 30 min post injection. All images are normalized.

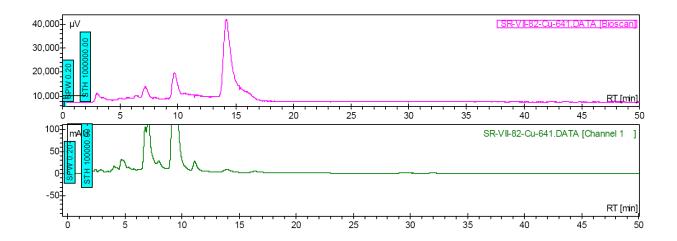
**Figure S3**. Preparative HPLC chromatograms for [ $^{64}$ Cu]**3**; radio-HPLC peak (top) and uv peak at 34 min is for unchelated **3** at  $\lambda$ = 220 nm (bottom).



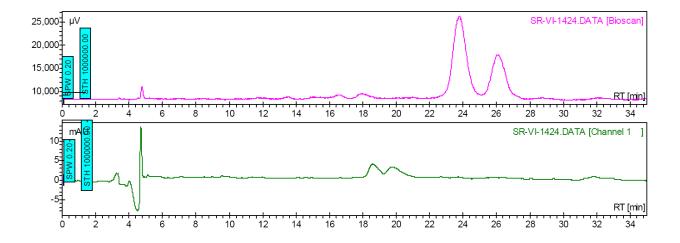
**Figure S4**. Preparative HPLC chromatograms for [ $^{64}$ Cu]**4**; radio-HPLC peak (top) and uv peak at 15 min for unchelated **4**;  $\lambda = 220$  nm (bottom), expanded to show purity of the radiolabeled product.



**Figure S5**. Preparative HPLC chromatograms for  $[^{64}\text{Cu}]$ 5; radio-HPLC peak (top) and uv peak at 10 min for unchelated 5,  $\lambda = 220$  nM (bottom), expanded to show purity of the radiolabeled product.



**Figure S6**. Preparative HPLC chromatograms for [ $^{64}$ Cu]**6**; radio-HPLC peak (top) and uv peak at 18-21 min for unchelated **6**,  $\lambda = 220$  nM (bottom).



**Figure S6**. Preparative HPLC chromatograms for [ $^{64}$ Cu]**7**; radio-HPLC peak (top) and uv peak at 10.4 min for unchelated **7**,  $\lambda = 220$  nM (bottom).

