

Supplementary Material

Searching for a Paradigm Shift in Auger-Electron Cancer Therapy with Tumor-Specific Radiopeptides Targeting the Mitochondria and/or the Cell Nucleus

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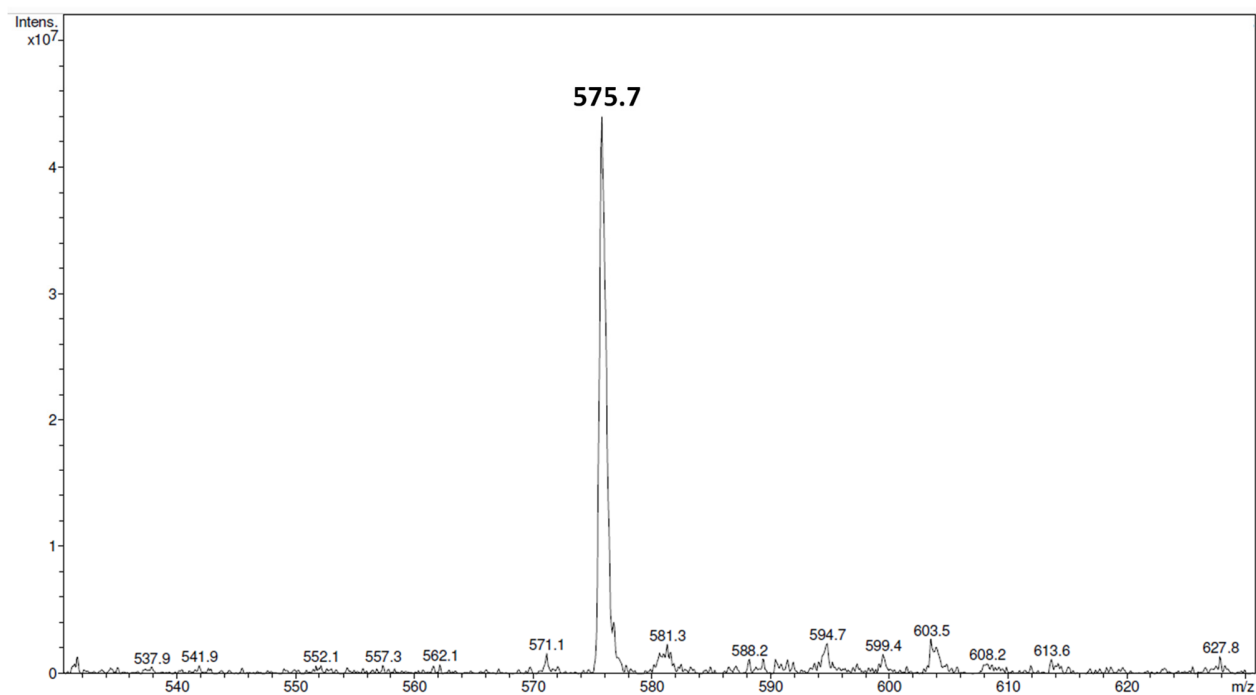
FIGURE S 1. _____ ERROR! BOOKMARK NOT DEFINED.

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FIGURE S 3. _____ 3

FIGURE S 4. _____ 4

A)



B)

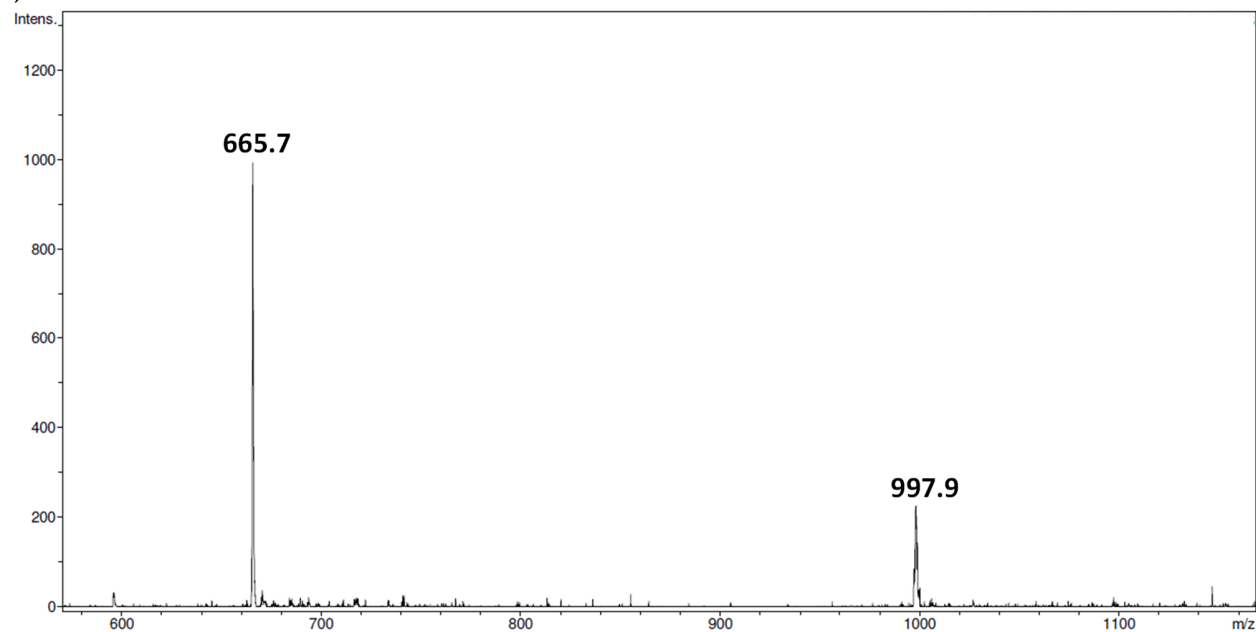


Figure S1. A) ESI-MS spectrum of compound **AO-Pz-BBN** (m/z calcd for $[C_{84}H_{123}N_{24}O_{14}S + 2H]^{3+}$: 575.65; found $[M + 2H]^{3+}$ 575.7); B) ESI-MS spectrum of compound **Re-AO-BBN** (m/z calcd for $[C_{87}H_{123}N_{24}O_{17}SRe + H]^{3+}$ = 665.29; found $[M+H]^{3+}$ 665.7), and $[C_{87}H_{123}N_{24}O_{17}SRe]^{2+}$ = 997.44; found $[M]^{2+}$ 997.9).

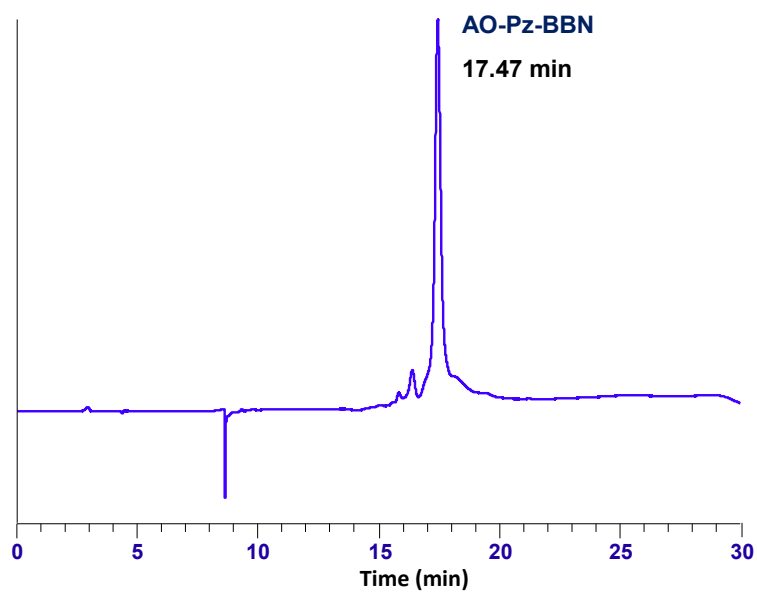


Figure S2. HPLC chromatogram (method II) of **AO-Pz-BBN** (detection at $\lambda=254$ nm).

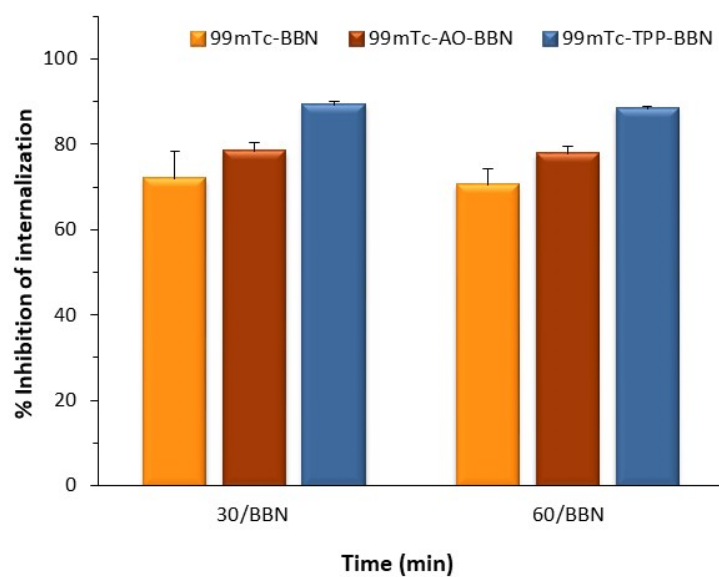


Figure S3. GRP receptor-blocking study. Inhibition of internalization of ^{99m}Tc -BBN, ^{99m}Tc -AO-BBN and ^{99m}Tc -TPP-BBN by coincubation with [Tyr⁴]-BBN (0.25 $\mu\text{g}/0.5$ mL/well) in PC3 cells, at 37 °C. Results were calculated from independent biological replicates (mean \pm SEM, n = 4).

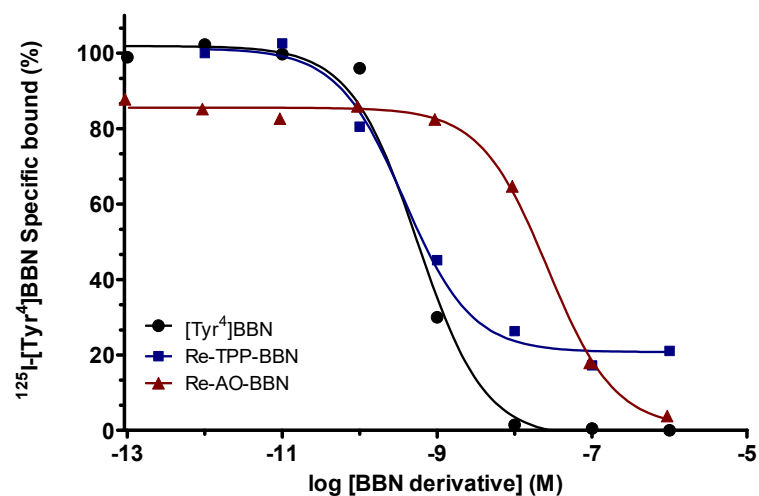


Figure S4. Competitive binding curves for BBN derivatives. *In vitro* inhibition of ^{125}I -[Tyr⁴]-BBN binding to GRPR on PC3 prostate cell line by [Tyr⁴]-BBN peptide ($\text{IC}_{50} = 0.56 \pm 0.16 \text{ nM}$), **Re-AO-BBN** ($\text{IC}_{50} = 26.5 \pm 6.8 \text{ nM}$) and **Re-TPP-BBN** ($\text{IC}_{50} = 0.38 \pm 0.14 \text{ nM}$). Results expressed as percent of binding were calculated from independent replicates (mean \pm SEM, $n = 3$).