

SUPPORTING INFORMATION

PET imaging of gastrin-releasing peptide receptor with a novel bombesin analogue

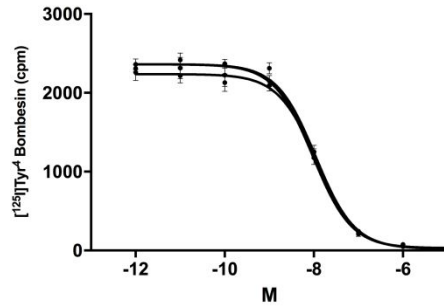
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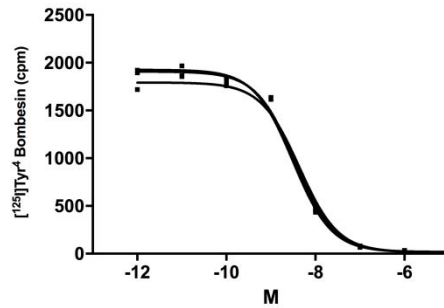
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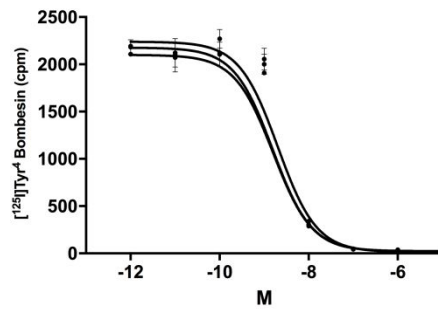
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	Ki
H3042 - set 1	1.155e-008
H3042 - set 2	1.096e-008
H3042 - set 3	9.489e-009

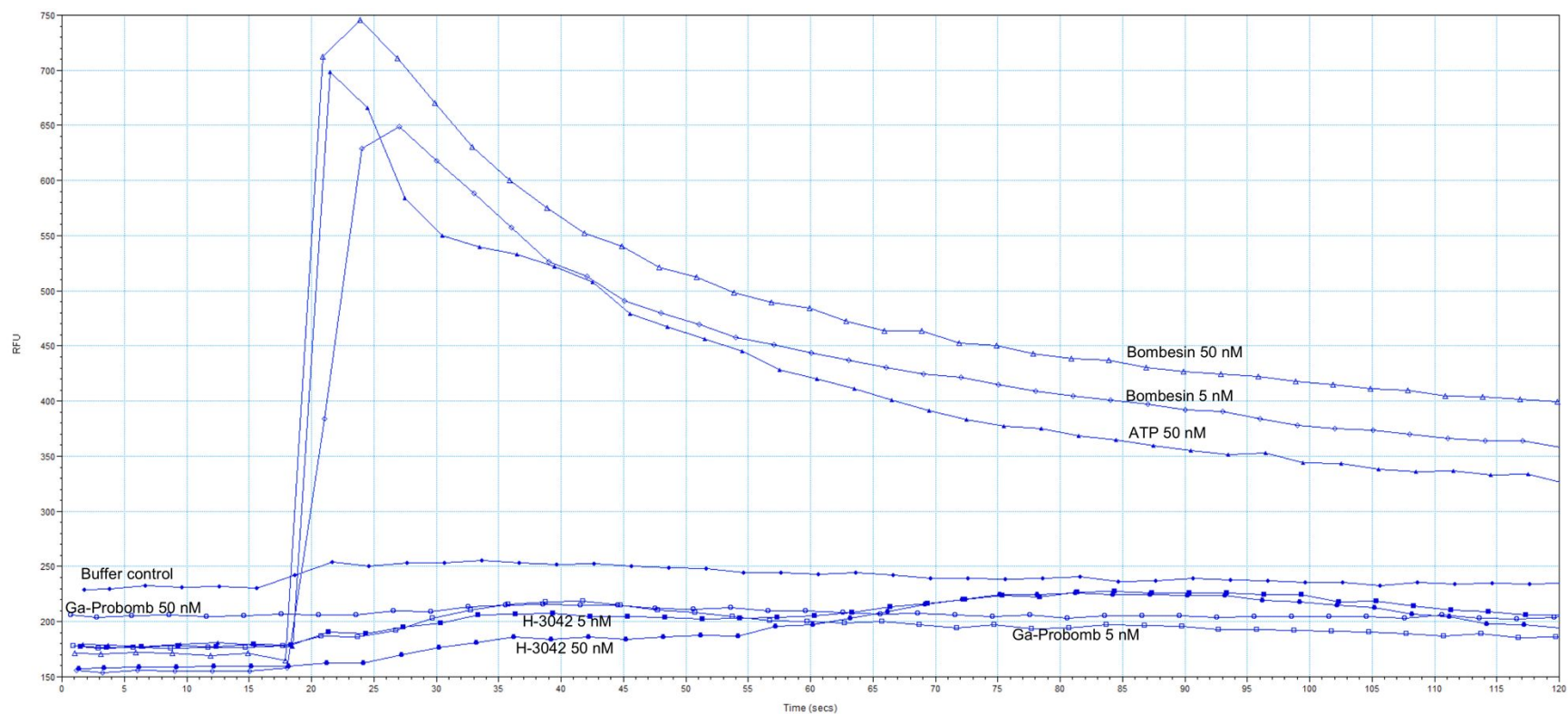


	Ki
Ga-ProBOMB1 - set 1	4.361e-009
Ga-ProBOMB1 - set 2	3.468e-009
Ga-ProBOMB1 - set 3	3.094e-009

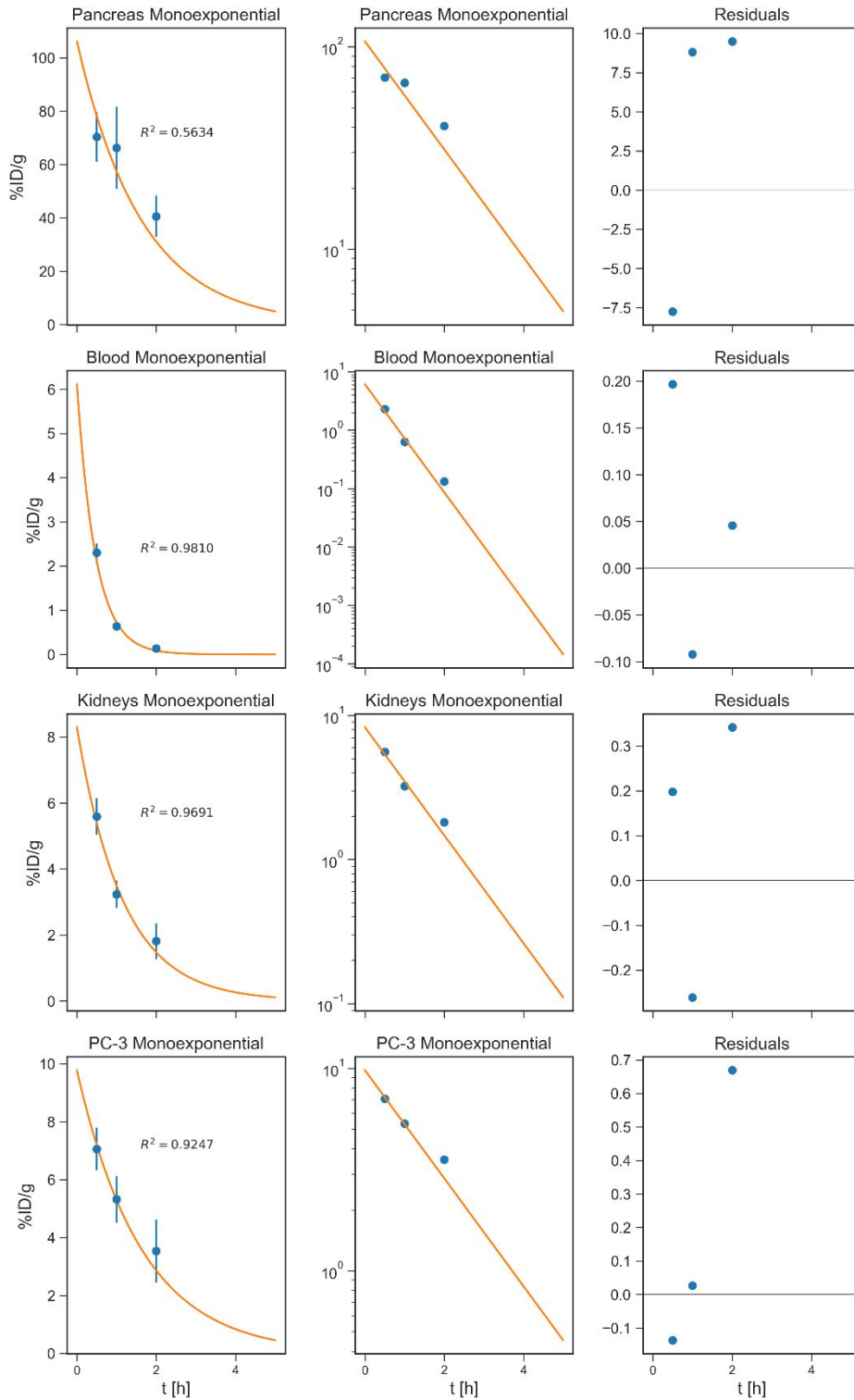


	Ki
Ga-NeoBOMB1 - set 1	1.551e-009
Ga-NeoBOMB1 - set 2	2.024e-009
Ga-NeoBOMB1 - set 3	1.59e-009

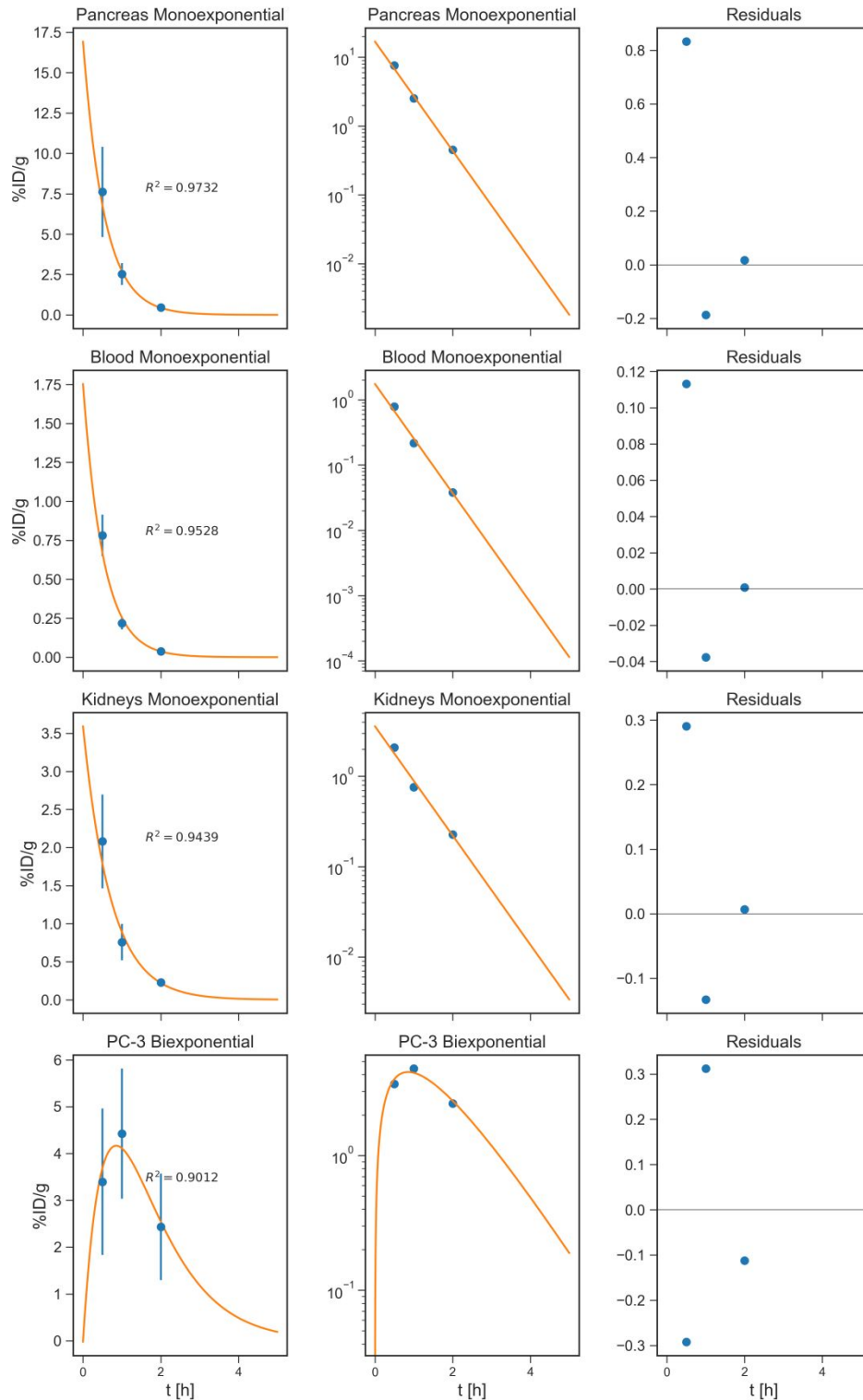
Supplemental Figure 1. Representative displacement curves of [¹²⁵I-Tyr⁴]Bombesin by [D-Phe⁶,Leu-NHET¹³,des-Met¹⁴]Bombesin(6-14) (H3042, top), Ga-ProBOMB1 (middle), and Ga-NeoBOMB1 (bottom).



Supplemental Figure 2. FLIPR Calcium 6 release assay in PC-3 cells. Cells were incubated with Ga-ProBOMB1, H-3042 ([D-Phe⁶,Leu-NHET¹³,des-Met¹⁴]Bombesin(6-14)], Bombesin, ATP, or PBS control. The y-axis is relative fluorescence unit (RFU) and the x-axis is time (sec).



Supplemental Figure 3. Uptake of the $[^{68}\text{Ga}]\text{Ga-NeoBOMB1}$ as a function of time for pancreas, blood, kidneys and PC-3 tumors. The total number of decays per unit injected dose is calculated by multiplying the area under the curve by the phantom organ mass. The y-axis is percentage injected dose per gram of tissue (%ID/g) and the x-axis is time (h).



Supplemental Figure 4. Uptake of the $[^{68}\text{Ga}]\text{Ga-ProBOMB1}$ as a function of time for pancreas, blood, kidneys and PC-3 tumors. The total number of decays per unit injected dose is calculated by multiplying the area under the curve by the phantom organ mass. The y-axis is percentage injected dose per gram of tissue (%ID/g) and the x-axis is time (h).

Supplemental Table 1. Biodistribution and tumor-to-organ contrasts of [⁶⁸Ga]Ga-NeoBOMB1 in PC-3 xenograft bearing mice at selected time-points.

Tissues	30 min			60 min			120 min		
	Mean	SD	n	Mean	SD	n	Mean	SD	n
Blood	3.13	0.28	5	1.17	0.12	5	0.45	0.10	8
Fat	0.20	0.03	5	0.17	0.04	5	0.17	0.02	8
Seminal glands	0.19	0.05	4	0.56	0.71	4	0.25	0.12	7
Testes	0.50	0.08	5	0.29	0.07	4	0.19	0.04	8
Intestine	10.61	0.86	5	11.26	2.52	5	10.99	1.66	8
Stomach	2.62	1.27	5	2.82	1.51	5	3.46	1.49	8
Spleen	3.64	3.96	5	3.35	2.89	5	2.52	3.30	7
Liver	10.16	1.61	5	5.14	1.28	5	2.76	0.17	7
Pancreas	95.73	12.67	5	122.54	28.40	5	138.70	26.75	8
Adrenals	15.24	12.35	5	16.11	8.28	5	19.03	7.78	8
Kidney	7.59	0.76	5	5.98	0.78	5	6.21	1.85	8
Lung	6.29	2.32	5	7.93	4.30	5	3.31	5.07	8
Heart	0.69	0.12	5	0.47	0.05	5	0.34	0.02	8
Muscle	0.45	0.11	5	0.27	0.09	5	0.40	0.13	7
Bone	0.67	0.23	5	1.01	0.43	5	1.13	0.21	8
Brain	0.07	0.01	5	0.07	0.03	5	0.08	0.03	8
PC-3 Tumor	9.60	0.99	5	9.83	1.48	5	12.07	3.72	8
Ratios									
Tumor-to-Blood	3.08	0.35	5	8.38	0.78	5	28.42	11.30	8
Tumor-to-Muscle	22.33	6.04	5	39.00	12.55	5	30.54	8.38	7
Tumor-to-Kidney	1.27	0.15	5	1.66	0.26	5	1.99	0.52	8
Tumor-to-Pancreas	0.10	0.01	5	0.08	0.03	5	0.09	0.02	8
Tumor-to-Liver	0.96	0.20	5	2.00	0.55	5	4.33	1.57	7

Supplemental Table 2. Biodistribution and tumor-to-organ contrasts of [⁶⁸Ga]Ga-ProBOMB1 in PC-3 xenograft bearing mice at selected time-points.

Tissues	30 min			60 min			120 min			60 min blocked		
	Mean	SD	n	Mean	SD	n	Mean	SD	n	Mean	SD	n
Blood	1.06	0.18	6	0.40	0.07	8	0.13	0.01	6	0.33	0.24	7
Fat	0.17	0.05	6	0.06	0.02	8	0.07	0.05	7	0.04	0.02	7
Seminal glands	0.17	0.05	6	1.08	1.82	8	0.43	0.74	6	0.47	0.72	7
Testes	0.31	0.06	6	0.11	0.04	8	0.09	0.03	7	0.07	0.03	7
Intestine	2.63	0.77	6	2.47	0.51	8	1.68	0.81	7	1.63	0.86	7
Stomach	0.53	0.17	6	0.41	0.18	8	0.18	0.06	7	0.10	0.04	7
Spleen	0.50	0.21	6	0.44	0.24	8	0.31	0.15	7	0.20	0.06	7
Liver	1.93	0.76	6	1.21	0.45	8	0.75	0.37	7	1.23	0.78	7
Pancreas	10.35	3.79	6	4.68	1.26	8	1.55	0.49	7	2.12	1.05	7
Adrenals	0.95	0.25	5	0.65	0.34	8	1.23	1.33	7	0.47	0.24	7
Kidney	2.83	0.84	6	1.40	0.44	8	0.78	0.16	7	1.22	0.43	7
Lung	0.54	0.09	6	0.35	0.12	7	0.25	0.09	6	0.19	0.02	6
Heart	0.27	0.04	6	0.14	0.05	8	0.11	0.06	7	0.08	0.01	6
Muscle	0.36	0.39	6	0.09	0.03	8	0.08	0.04	6	0.15	0.15	7
Bone	0.22	0.18	6	0.26	0.11	8	0.39	0.35	7	0.13	0.04	7
Brain	0.02	0.00	6	0.02	0.01	8	0.03	0.02	7	0.01	0.00	7
PC-3 Tumor	4.62	2.13	6	8.17	2.57	8	8.31	3.88	7	3.12	1.68	7
Ratios												
Tumor-to-Blood	4.43	2.13	6	20.63	6.79	8	66.57	40.07	6	11.93	7.25	7
Tumor-to-Muscle	26.08	25.00	6	105.66	57.74	8	159.62	169.58	6	36.76	29.11	7
Tumor-to-Kidney	1.82	1.09	6	6.25	2.33	8	11.20	6.72	7	2.51	0.75	7
Tumor-to-Pancreas	0.47	0.24	6	1.80	0.55	8	5.97	3.11	7	1.56	0.52	7
Tumor-to-Liver	2.91	2.21	6	7.33	2.97	8	13.26	5.72	7	2.69	0.67	7

*Mice received a co-injection of 100 µg of [D-Phe⁶,Leu-NHEt¹³,des-Met¹⁴]Bombesin(6-14).

Supplemental Table 3. Absorbed doses for different organs in mice calculated with OLINDA software.

Target Organ	[⁶⁸Ga]Ga-NeoBOMB1 Absorbed Dose [mGy/MBq]	[⁶⁸Ga]Ga-ProBOMB1 Absorbed Dose [mGy/MBq]
Brain	0.258	0.0678
Large intestine	3.240	0.7750
Small intestine	3.150	0.6960
Stomach wall	3.080	0.3700
Heart	1.060	0.2090
Kidneys	3.290	0.5150
Liver	2.480	0.3970
Lungs	1.060	0.1940
Pancreas	8.000	0.7250
Skeleton	2.790	0.9170
Spleen	3.030	0.3440
Testes	1.050	0.7950
Thyroid	0.455	0.1170
Urinary bladder	9.330	10.0000
Remainder of body	1.360	0.3720