Supporting Information

Preloading with the unlabeled CA19.9 targeted human monoclonal antibody leads to improved PET imaging with ⁸⁹Zr-5B1

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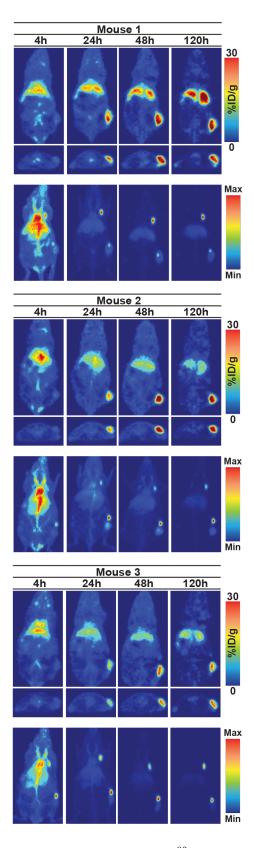


Figure S1. Serial PET images of three mice injected with ⁸⁹Zr-5B1 without preloading of unlabeled 5B1. Tomographic slices (top panels) and maximum intensity projections (bottom panels) are shown for images acquired between 4h and 120h post injection.

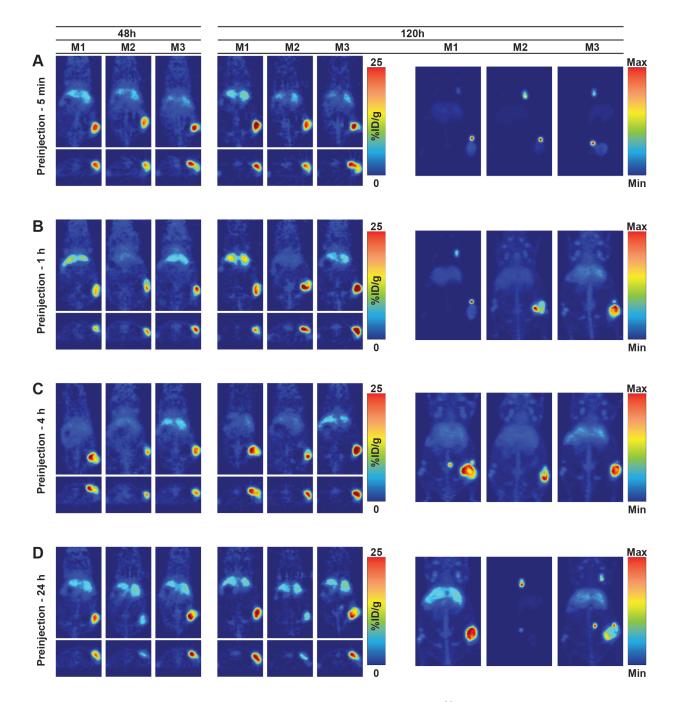


Figure S2. PET images of mice (n = 3 per group) that were administered ⁸⁹Zr-5B1 after preloading with unlabeled 5B1 at 5min (**B**), 1h (**C**), 4h (**D**), or 24h (D) prior to injection or the tracer. Tomographic slices obtained at 48h and 120h post injection as well as maximum intensity projections from 120h are shown.

Table S1. Activity concentrations (%ID/g) and tumor to tissue ratios of mice (n = 4-5 per group) from a biodistribution study in which mice received ⁸⁹Zr-5B1 without preloading or with preloading of unlabeled 5B1 5m to 24h prior to tracer administration.

Organ	⁸⁹ Zr-5B1 uptake (%ID/g)					Tumor to tissue ratios				
	No cold	5m	1h	4h	24h	No cold	5m	1h	4h	24h
Blood	3.3 ± 1.3	3.8 ± 1.3	4.9 ± 1.8	4.7 ± 1.4	2.0 ± 0.8	7.9 ± 3.3	8.3 ± 3.2	7.4 ± 3.9	9.0 ± 4.2	10.9 ± 6.4
Tumor	25.8 ± 4.4	31.5 ± 5.8	36.1 ± 13.2	42.2 ± 14.9	22.2 ± 9.6					
Heart	1.5 ± 0.4	1.3 ± 0.4	1.7 ± 0.6	1.7 ± 0.4	0.8 ± 0.2	17.8 ± 5.3	24.3 ± 9.5	20.8 ± 10.3	25.2 ± 10.9	28.3 ± 14.2
Lungs	2.5 ± 0.8	2.6 ± 0.7	3.2 ± 1.0	3.2 ± 0.9	1.6 ± 0.5	10.5 ± 3.7	12.1 ± 4.1	11.3 ± 5.5	13.2 ± 5.9	14.1 ± 7.5
Liver	17.7 ± 6.0	8.8 ± 2.7	10.3 ± 5.8	6.7 ± 1.3	11.7 ± 3.2	1.5 ± 0.6	3.6 ± 1.3	3.5 ± 2.4	6.3 ± 2.6	1.9 ± 1.0
Spleen	14.5 ± 5.6	6.2 ± 3.0	7.0 ± 2.3	5.4 ± 1.2	7.2 ± 0.9	1.8 ± 0.8	5.1 ± 2.6	5.2 ± 2.5	7.9 ± 3.3	3.1 ± 1.4
Pancreas	0.7 ± 0.2	0.7 ± 0.1	0.8 ± 0.2	0.9 ± 0.2	0.4 ± 0.1	35.5 ± 13.5	46.6 ± 12.5	42.5 ± 19.1	48.7 ± 19.6	51.9 ± 24.1
Stomach	0.6 ± 0.2	0.5 ± 0.2	0.4 ± 0.1	0.4 ± 0.2	0.2 ± 0.1	42.4 ± 15.0	59.2 ± 21	80.3 ± 37.7	97.7 ± 59.9	112 ± 49
Small Intestine	0.5 ± 0.1	0.6 ± 0.2	0.7 ± 0.2	0.5 ± 0.1	0.3 ± 0.1	49.9 ± 13.0	48.5 ± 18.6	51.9 ± 22.8	88.0 ± 39.0	76.5 ± 34.7
Large Intestine	0.5 ± 0.2	0.5 ± 0.1	0.6 ± 0.1	0.7 ± 0.2	0.3 ± 0.1	49.1 ± 18.7	66.5 ± 18.1	64.8 ± 28.3	63.7 ± 28.8	72.8 ± 32.1
Kidneys	3.4 ± 1.1	3.2 ± 0.7	3.3 ± 0.5	3.6 ± 0.7	2.4 ± 0.3	7.6 ± 2.8	9.8 ± 2.8	11.0 ± 4.4	11.9 ± 4.8	9.2 ± 4.2
Muscle	2.9 ± 0.5	5.0 ± 0.6	5.3 ± 2.8	4.7 ± 0.7	4.1 ± 1.0	9.0 ± 2.3	6.3 ± 1.4	6.8 ± 4.4	8.9 ± 3.4	5.4 ± 2.7
Bone	1.4 ± 0.9	0.5 ± 0.1	0.5 ± 0.2	0.5 ± 0.1	0.7 ± 0.9	9.0 ± 6.0	67.7 ± 16.7	66.1 ± 33.2	85.6 ± 34.8	31.8 ± 43.0

Table S2. Tumor to tissue ratios from a biodistribution study in which mice (n = 4-5 per group) received ⁸⁹Zr-5B1 4h after preloading with various amounts (40-250 μ g) of unlabeled 5B1.

0		<u>100</u>	<u>40 µg</u>	<u>250 µg</u>		
Organ	24h	48h	72h	120h	120h	120h
Blood	1.9 ± 0.4	3.2 ± 0.9	3.9 ± 1.7	9.8 ± 5.5	9.3 ± 3.9	9.8 ± 5.1
Heart	6.7 ± 2	11.2 ± 2.8	13.9 ± 4.9	30.3 ± 15.7	26.5 ± 10	30.1 ± 14.6
Lungs	3.2 ± 1.3	6.7 ± 2.1	8.6 ± 3.7	16.7 ± 7.7	14.8 ± 5.6	13.1 ± 7.1
Liver	3.4 ± 0.9	4.1 ± 1.3	3 ± 1.7	3.1 ± 1.5	2.7 ± 1.3	4.2 ± 2.2
Spleen	4.7 ± 1.8	6.6 ± 2.3	7.5 ± 2.9	5.6 ± 2.6	3.8 ± 2.7	7.2 ± 3.4
Pancreas	22.6 ± 4.9	34.5 ± 7.6	34.1 ± 11.9	68.3 ± 30.1	66.1 ± 21.1	66.3 ± 31.3
Stomach	36.1 ± 9.8	42.3 ± 14	38.9 ± 15.7	100.3 ± 45.7	67.6 ± 29.7	83.4 ± 40.2
Small Intestine	21.1 ± 4.4	34.6 ± 13	33.1 ± 17.2	69.2 ± 34.9	61.1 ± 20	69.4 ± 35.9
Large Intestine	33.9 ± 9.1	51.7 ± 13.2	37.7 ± 15.8	88.5 ± 32.1	76.7 ± 24.7	81.1 ± 41.9
Kidneys	3.4 ± 1.9	7 ± 1.4	6 ± 2.4	10.8 ± 4	10.5 ± 2.9	11.6 ± 5.7
Muscle	27.4 ± 6	44 ± 12.1	42.2 ± 14.9	108.5 ± 56.1	70.7 ± 26.4	90.2 ± 44.2
Bone	6.1 ± 1.6	7 ± 1.8	6.1 ± 3.3	6.7 ± 2	6.3 ± 1.7	6.6 ± 3.1