

Supplementary Material

Therapeutic Radiopharmaceuticals Targeting Integrin $\alpha\beta6$

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Table S1: Biodistribution data (% injected dose per gram tissue) of approx. 2 MBq of the ^{177}Lu -labeled c(avb6)-peptide conjugates in H2009 tumor xenografted SCID mice, 90 min p.i. (all data expressed as mean \pm SD).

	c(avb6)-Trz-DOTPI	c(avb6)-Trz-DOTAGA	c(avb6)-Trz-DOTA	c(avb6)-DOTAGA	c(avb6)-DOTA
<i>n</i>	3	5	3	4	5
Blood	0.03 \pm 0.00	0.11 \pm 0.03	0.11 \pm 0.02	0.10 \pm 0.09	0.07 \pm 0.04
Heart	0.02 \pm 0.00	0.07 \pm 0.02	0.09 \pm 0.01	0.07 \pm 0.03	0.08 \pm 0.02
Lung	0.20 \pm 0.06	0.27 \pm 0.06	0.37 \pm 0.06	0.33 \pm 0.11	0.28 \pm 0.10
Liver	0.07 \pm 0.01	0.24 \pm 0.11	0.35 \pm 0.04	0.17 \pm 0.02	0.21 \pm 0.07
Spleen	0.08 \pm 0.02	0.17 \pm 0.06	0.11 \pm 0.04	0.14 \pm 0.02	0.12 \pm 0.02
Pancreas	0.02 \pm 0.00	0.05 \pm 0.01	0.06 \pm 0.02	0.05 \pm 0.02	0.06 \pm 0.03
Stomach	0.25 \pm 0.02	0.54 \pm 0.05	0.74 \pm 0.07	0.67 \pm 0.17	0.68 \pm 0.16
Small int.	0.17 \pm 0.02	0.25 \pm 0.03	0.56 \pm 0.38	0.36 \pm 0.10	0.35 \pm 0.07
Large int.	0.17 \pm 0.01	0.26 \pm 0.07	0.36 \pm 0.03	0.43 \pm 0.14	0.45 \pm 0.12
Kidneys	13.67 \pm 3.94	4.66 \pm 1.12	3.36 \pm 0.37	5.39 \pm 0.63	4.23 \pm 0.59
Adrenals	0.02 \pm 0.03	0.12 \pm 0.06	0.00 \pm 0.00	0.10 \pm 0.04	0.10 \pm 0.05
Muscle	0.04 \pm 0.04	0.04 \pm 0.01	0.04 \pm 0.00	0.05 \pm 0.02	0.05 \pm 0.01
Eye	0.06 \pm 0.01	0.15 \pm 0.03	0.13 \pm 0.01	0.11 \pm 0.02	0.14 \pm 0.05
Tumor	0.18 \pm 0.02	0.74 \pm 0.15	0.50 \pm 0.38	0.73 \pm 0.28	0.64 \pm 0.26

Table S2: Tumor-to-organ/tissue ratios for biodistribution data shown in Table S1 (all data expressed as mean \pm SD).

	c(avb6)-Trz-DOTPI	c(avb6)-Trz-DOTAGA	c(avb6)-Trz-DOTA	c(avb6)-DOTAGA	c(avb6)-DOTA
Blood	5.1 \pm 0.4	7.0 \pm 1.6	4.4 \pm 2.8	10.4 \pm 5.7	9.6 \pm 3.3
Heart	8.2 \pm 2.6	10.5 \pm 2.6	5.6 \pm 3.9	11.6 \pm 4.1	8.2 \pm 1.4
Lung	1.0 \pm 0.4	2.8 \pm 0.2	1.3 \pm 0.8	2.5 \pm 1.5	2.5 \pm 1.2
Liver	2.6 \pm 0.6	3.5 \pm 1.1	1.4 \pm 0.9	4.3 \pm 1.5	3.0 \pm 0.6
Spleen	2.2 \pm 0.4	4.6 \pm 1.1	5.3 \pm 5.3	5.6 \pm 2.7	5.2 \pm 1.6
Pancreas	10.6 \pm 1.9	15.5 \pm 2.6	8.1 \pm 4.1	16.7 \pm 4.9	12.9 \pm 5.6
Stomach	0.7 \pm 0.0	1.4 \pm 0.2	0.6 \pm 0.4	1.1 \pm 0.4	0.9 \pm 0.3
Small int.	1.1 \pm 0.0	3.0 \pm 0.7	1.4 \pm 1.6	2.1 \pm 0.8	1.9 \pm 0.7
Large int.	1.0 \pm 0.2	3.0 \pm 0.9	1.3 \pm 0.9	2.0 \pm 1.1	1.5 \pm 0.6
Kidneys	0.0 \pm 0.0	0.2 \pm 0.0	0.2 \pm 0.1	0.1 \pm 0.0	0.1 \pm 0.0
Adrenals	n.d.	9.5 \pm 8.0	n.d.	7.6 \pm 1.8	8.1 \pm 4.5
Muscle	8.6 \pm 8.6	17.0 \pm 2.5	11.9 \pm 8.9	15.5 \pm 4.7	11.5 \pm 2.2
Eye	3.0 \pm 0.2	5.0 \pm 1.4	3.9 \pm 2.8	7.1 \pm 2.7	4.6 \pm 0.7