

Supplemental Table 1. Biodistribution results (%IA/g±SD, n=3-6) of ¹⁷⁷Lu-OPS201 and ¹⁷⁷Lu-DOTA-TATE in nude mice bearing HEK-hsstr2 tumor xenografts, injected with 10 pmol of each radiotracer.

¹⁷⁷Lu-OPS201					
Organs	1h	4h	24h	72h	168h
Blood	0.29±0.03	0.10±0.02	0.03±0.01	0.01±0.00	0.00±0.00
Heart	0.94±0.16	0.61±0.09	0.13±0.03	0.07±0.01	0.03±0.02
Lung	11.5±2.10	6.59±3.41	3.01±0.77	1.32±1.15	0.44±0.32
Liver	3.25±1.73	1.45±0.33	0.58±0.06	0.32±0.06	0.23±0.07
Pancreas	49.3±0.83	47.0±4.20	20.0±6.21	5.53±1.21	2.06±0.25
Spleen	1.51±0.34	1.39±0.69	0.49±0.08	0.32±0.09	0.22±0.02
Stomach	50.0±8.32	49.8±8.19	19.2±2.49	9.13±2.14	4.73±0.93
Intestine	9.73±2.07	9.46±2.24	4.13±1.31	1.72±0.82	0.48±0.30
Adrenal	8.39±1.30	8.16±1.72	5.65±1.73	2.98±0.97	1.19±0.20
Kidney	7.03±0.73	5.88±0.85	4.67±0.58	2.18±0.26	0.78±0.06
Muscle	0.15±0.01	0.10±0.03	0.03±0.01	0.02±0.01	0.01±0.00
Femur	1.25±0.34	1.24±0.92	0.79±0.50	0.73±0.42	0.29±0.23
Salivary gland	3.80±2.13	2.32±0.47	0.55±0.17	0.25±0.13	0.15±0.06
Tumor	13.8±4.91	23.9±4.76	19.4±1.89	11.7±2.15	2.44±1.17
¹⁷⁷Lu-DOTA-TATE					
Organs	1h	4h	24h	72h	168h
Blood	0.30±0.03	0.07±0.01	0.02±0.00	0.00±0.00	0.00±0.00
Heart	0.56±0.15	0.29±0.06	0.08±0.02	0.07±0.02	0.04±0.01
Lung	6.35±3.92	6.54±3.49	3.51±1.47	2.90±1.47	0.77±0.22
Liver	0.37±0.08	0.26±0.04	0.13±0.03	0.09±0.02	0.07±0.00
Pancreas	25.7±3.56	10.3±1.66	2.25±0.36	0.96±0.20	0.51±0.10
Spleen	0.80±0.10	0.76±0.18	0.30±0.07	0.26±0.06	0.12±0.03
Stomach	20.2±2.76	12.2±2.23	6.13±1.20	3.23±0.60	1.85±0.85
Intestine	6.04±1.76	5.80±1.20	2.02±0.20	1.81±0.35	0.82±0.31
Adrenal	7.15±1.16	9.27±2.49	3.32±0.28	3.42±0.78	2.04±0.76
Kidney	4.17±0.52	5.10±0.94	2.19±0.34	0.96±0.14	0.43±0.03
Muscle	0.46±0.53	0.03±0.01	0.04±0.03	0.00±0.00	0.00±0.00
Femur	0.74±0.10	1.55±0.36	0.28±0.08	0.61±0.14	0.47±0.06
Salivary gland	1.41±0.50	0.68±0.40	0.14±0.01	0.04±0.01	0.03±0.01
Tumor	12.9±2.67	17.8±4.35	6.55±0.51	3.66±0.54	0.86±0.11

Supplemental Table 2. Non-specific uptake of ^{177}Lu -OPS201 and ^{177}Lu -DOTA-TATE in nude mice bearing HEK-hsstr2 tumor xenografts, 2-5 min pre-injected with 2,000-fold excess (20 nmol) of OPS201 and DOTA-TATE. The biodistribution results (%IA/g \pm SD, n=3) refer to 4h p.i. of the corresponding radiotracer.

Organs	^{177}Lu-OPS201	^{177}Lu-DOTA-TATE
Blood	0.02 \pm 0.01	0.04 \pm 0.00
Heart	0.05 \pm 0.01	0.02 \pm 0.00
Lung	0.22 \pm 0.06	0.16 \pm 0.06
Liver	0.31 \pm 0.04	0.09 \pm 0.02
Pancreas	0.23 \pm 0.10	0.23 \pm 0.08
Spleen	0.14 \pm 0.03	0.07 \pm 0.02
Stomach	0.25 \pm 0.02	0.34 \pm 0.07
Intestine	0.19 \pm 0.03	0.13 \pm 0.03
Adrenal	0.42 \pm 0.40	0.51 \pm 0.30
Kidney	7.27 \pm 2.16	5.63 \pm 0.88
Muscle	0.08 \pm 0.03	0.02 \pm 0.01
Femur	0.14 \pm 0.03	0.02 \pm 0.00
Salivary gland	0.10 \pm 0.01	0.04 \pm 0.01
Tumor	5.67 \pm 2.03	4.68 \pm 1.50

Supplemental Table 3. Tumor-to-non tumor ratios of different injected amounts of $^{177}\text{Lu-OPS201}$ and $^{177}\text{Lu-DOTA-TATE}$ at 4h p.i. in nude mice bearing HEK-hsstr2 tumor xenografts.

$^{177}\text{Lu-OPS201}$			
Ratios	10 pmol	200 pmol	2000 pmol
Tumor:Blood	229±22.8	854±126	1150±443
Tumor:Liver	16.3±4.93	52.1±6.69	80.0±7.71
Tumor:Pancreas	1.18±0.49	2.03±0.81	17.5±8.07
Tumor:Intestine	2.88±0.81	12.2±3.16	57.6±26.4
Tumor:Kidney	3.93±0.46	3.26±0.31	3.17±0.19
Tumor:Bone marrow	24.9±16.0	97.4±24.8	150±49.9
Tumor:Spleen	16.4±6.44	101±7.69	157±21.2
$^{177}\text{Lu-DOTA-TATE}$			
Ratios	10 pmol	200 pmol	2000 pmol
Tumor:Blood	255±50.5	325±153	446±136
Tumor:Liver	70.5±19.4	100±24.0	176±70.0
Tumor:Pancreas	1.73±0.27	5.55±2.81	26.6±9.12
Tumor:Intestine	3.10±0.58	8.13±2.45	43.7±17.7
Tumor:Kidney	3.54±0.75	3.46±1.59	2.94±0.91
Tumor:Bone marrow	12.5±5.58	48.7±24.6	163±36.7
Tumor:Spleen	24.6±8.46	92.6±15.8	206±63.4

Supplemental Table 4. Biodistribution results (%IA/g±SD, n=6) of ¹⁷⁷Lu-OPS201 and ¹⁷⁷Lu-DOTA-TATE in nude mice bearing HEK-hsstr2 tumor xenografts, injected with 200 pmol of each radiotracer.

¹⁷⁷ Lu-OPS201				
Organs	4h	24h	72h	168h
Blood	0.03±0.01	0.01±0.00	0.00±0.00	0.00±0.00
Heart	0.11±0.03	0.04±0.00	0.02±0.00	0.02±0.00
Lung	1.34±0.95	0.65±0.11	0.24±0.13	0.15±0.04
Liver	0.47±0.15	0.20±0.02	0.14±0.01	0.10±0.01
Pancreas	10.7±2.80	2.73±0.46	0.78±0.20	0.47±0.18
Spleen	0.25±0.05	0.12±0.02	0.09±0.01	0.09±0.02
Stomach	7.55±1.45	2.84±0.50	1.35±0.19	0.99±0.20
Intestine	1.96±0.38	0.44±0.47	0.55±0.06	0.19±0.09
Adrenal	1.63±0.64	0.47±0.11	0.53±0.12	0.15±0.06
Kidney	6.43±1.60	4.08±0.88	1.65±0.55	0.91±0.18
Muscle	0.03±0.01	0.04±0.02	0.01±0.01	0.01±0.00
Femur	0.31±0.15	0.12±0.04	0.06±0.04	0.03±0.03
Salivary gland	0.36±0.21	0.07±0.01	0.04±0.01	0.03±0.06
Tumor	24.9±6.24	21.7±8.91	7.17±1.77	1.73±0.06
¹⁷⁷ Lu-DOTA-TATE				
Organs	4h	24h	72h	168h
Blood	0.03±0.02	0.01±0.00	0.00±0.00	0.00±0.00
Heart	0.06±0.02	0.04±0.01	0.02±0.01	0.02±0.01
Lung	1.58±0.90	1.08±0.35	0.70±0.33	0.28±0.09
Liver	0.13±0.03	0.07±0.01	0.04±0.01	0.03±0.00
Pancreas	3.48±1.77	1.07±0.05	0.32±0.06	0.16±0.04
Spleen	0.14±0.03	0.11±0.03	0.05±0.01	0.04±0.01
Stomach	4.07±1.05	3.09±0.38	1.30±0.31	0.78±0.08
Intestine	1.59±0.30	0.46±0.06	0.82±0.12	0.32±0.07
Adrenal	2.32±1.31	1.74±0.30	1.11±0.61	0.57±0.11
Kidney	4.02±1.18	2.63±0.50	0.87±0.11	0.35±0.12
Muscle	0.02±0.01	0.02±0.01	0.01±0.01	0.01±0.01
Femur	0.23±0.18	0.09±0.08	0.03±0.04	0.19±0.11
Salivary gland	0.19±0.16	0.06±0.02	0.02±0.01	0.01±0.00
Tumor	12.0±2.16	14.0±0.88	3.96±0.26	0.70±0.19