

Supporting Information For:

Streptavidin in Antibody Pretargeting. 5. Chemical Modification of Recombinant Streptavidin for Labeling with the α -Particle Emitting Radionuclides ^{213}Bi and ^{211}At .

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Table S1: Distribution of Radioactivity for Co-injected [^{125}I]- and [^{213}Bi]-Labeled Succinylated rSAv, [^{125}I]6b and [^{213}Bi]6a, in BALB/c mice.

Table S2: Distribution of Radioactivity for Co-injected [^{125}I]- and [^{211}At]-Labeled Succinylated rSAv, [^{125}I]2b and [^{211}At]2b, in BALB/c mice.

Table S3: Distribution of Radioactivity for Co-injected [^{125}I]- and [^{211}At]-Labeled Succinylated rSAv, [^{125}I]6c and [^{211}At]6c, in BALB/c mice.

Table S4: Distribution of Radioactivity for Co-injected [^{125}I]- and [^{211}At]-Labeled Succinylated rSAv, [^{125}I]6d and [^{211}At]6d, in BALB/c mice.

Table S1: Distribution of Radioactivity for Co-injected [¹²⁵I]- and [²¹³Bi]-Labeled Succinylated rSAv, [¹²⁵I]6b and [²¹³Bi]6a, in BALB/c mice.^a

Tissues	<u>0.75 h</u> ^{b,c}		<u>1.5 h</u>		<u>3 h</u>	
	<u>I-125</u>	<u>Bi-213</u>	<u>I-125</u>	<u>Bi-213</u>	<u>I-125</u>	<u>Bi-213</u>
blood	25.39 ± 8.40	24.75 ± 8.23	22.04 ± 3.74	20.76 ± 3.69	20.97 ± 2.33	19.75 ± 2.27
muscle	0.52 ± 0.10	0.51 ± 0.08	0.60 ± 0.07	0.59 ± 0.11	0.72 ± 0.11	0.95 ± 0.27
lung	9.02 ± 1.55	8.64 ± 1.70	7.62 ± 1.14	7.48 ± 1.01	8.20 ± 1.61	8.06 ± 1.58
kidney	6.69 ± 1.95	8.08 ± 1.96	4.34 ± 0.89	5.67 ± 0.63	4.60 ± 0.72*	7.17 ± 0.61*
spleen	5.34 ± 0.64	5.37 ± 0.61	4.01 ± 0.24	4.08 ± 0.40	4.34 ± 0.61	4.85 ± 0.98
liver	5.03 ± 0.69	5.33 ± 0.68	4.43 ± 0.73	4.83 ± 1.03	5.30 ± 0.75	5.96 ± 0.84
intestine	1.90 ± 0.31	1.87 ± 0.27	1.77 ± 0.21	1.60 ± 0.21	2.51 ± 0.34	2.50 ± 0.41
neck	4.08 ± 0.81	3.82 ± 0.86	2.43 ± 1.43	2.32 ± 1.42	2.90 ± 1.18	2.94 ± 0.95
stomach	1.05 ± 0.24	0.98 ± 0.25	1.34 ± 0.21	1.20 ± 0.29	2.69 ± 2.26	2.49 ± 1.42
urine ^d	15.61 ± 5.26	22.91 ± 7.82	9.17 ± 2.42	12.04 ± 3.49	8.65 ± 4.74	9.73 ± 5.09

^aValues shown are % injected dose / gram ± standard deviation. ^bTime of sacrifice from injection of radiolabeled rSAv derivatives, [¹²⁵I]6b and [²¹³Bi]6a. ^cData were obtained for *n* = 5 mice at each time point; average animal weight, 32.36 ± 2.71 g; Injectate for each animal had 6 µCi/25 µg of [¹²⁵I]6b and 14 µCi/25 µg of [²¹³Bi]6a in approximately 100 µL of 0.9% sterile saline. ^dUrine was collected by syringe bladder tap after sacrifice. *Significant difference found in values by paired Student's t test, P<0.05.

Table S2: Distribution of Radioactivity for Co-injected [¹²⁵I]- and [²¹¹At]-Labeled Succinylated rSAv, [¹²⁵I]2b and [²¹¹At]2b, in BALB/c mice.^a

Tissues	<u>1 h</u> ^{b,c}		<u>4 h</u>		<u>24 h</u>	
	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>
blood	37.09 ± 3.63*	25.02 ± 2.57*	22.60 ± 1.40*	13.30 ± 1.40*	6.75 ± 1.64	6.48 ± 1.32
muscle	1.13 ± 0.16	1.07 ± 0.15	1.97 ± 0.34*	1.32 ± 0.25*	1.29 ± 0.19*	0.91 ± 0.27*
lung	13.13 ± 2.00	13.76 ± 2.03	11.43 ± 1.88	10.03 ± 1.08	4.55 ± 0.72	4.72 ± 1.19
kidney	11.18 ± 1.27	9.99 ± 1.10	7.07 ± 0.51*	5.58 ± 0.41*	3.29 ± 0.48	2.94 ± 0.48
spleen	6.56 ± 0.92*	12.82 ± 1.67*	5.03 ± 0.54*	7.97 ± 0.53*	4.69 ± 0.67	4.66 ± 0.80
liver	7.52 ± 1.28	8.16 ± 1.37	6.88 ± 0.48	6.81 ± 0.78	6.06 ± 0.72	6.89 ± 0.96
intestine	4.29 ± 0.52	4.81 ± 0.58	4.07 ± 0.73	4.31 ± 0.95	1.90 ± 0.28	1.79 ± 0.23
neck	6.14 ± 1.70*	8.54 ± 1.27*	7.59 ± 0.36*	11.31 ± 1.70*	6.78 ± 1.89	6.46 ± 2.33
stomach	2.78 ± 0.30*	4.34 ± 0.44*	4.78 ± 0.57*	8.53 ± 1.91*	4.21 ± 0.96	5.13 ± 1.92
urine ^d	6.98 ± 2.45	9.99 ± 4.30	11.78 ± 12.84	15.26 ± 19.50	26.85 ± 8.10	23.58 ± 9.82

^aValues shown are % injected dose / gram ± standard deviation. ^bTime of sacrifice from injection of radiolabeled succinylated-rSAv derivatives, [¹²⁵I]2b and [²¹¹At]2b. ^cData were obtained for *n* = 5 mice at each time point; average animal weight, 27.05 ± 1.61 g; Injectate for each animal had 7 µCi/25 µg of [¹²⁵I]2b and 3 µCi/25 µg of [²¹¹At]2b in approximately 100 µL of 0.9% sterile saline. ^dUrine was collected by syringe bladder tap after sacrifice. *Paired Student's *t* tests were run on all sets of data, asterisk denotes significant difference in values, *P*<0.05.

Table S3: Distribution of Radioactivity for Co-injected [¹²⁵I]- and [²¹¹At]-Labeled Succinylated rSAv, [¹²⁵I]6c and [²¹¹At]6c, in BALB/c mice.^a

Tissues	<u>1 h</u> ^{b,c}		<u>4 h</u>		<u>24 h</u>	
	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>
blood	41.51 ± 5.82	35.48 ± 4.85	28.07 ± 2.67*	22.24 ± 1.81*	8.13 ± 0.79	8.01 ± 0.72
muscle	1.04 ± 0.30	1.01 ± 0.32	1.96 ± 0.36*	1.48 ± 0.30*	1.49 ± 0.21	1.32 ± 0.33
lung	15.41 ± 1.86	15.76 ± 2.12	12.17 ± 1.49	10.94 ± 1.17	4.99 ± 0.53	5.51 ± 0.45
kidney	11.97 ± 1.74	11.76 ± 1.10	10.70 ± 0.74*	8.90 ± 0.64*	8.89 ± 0.57	7.94 ± 1.06
spleen	7.77 ± 1.85	10.08 ± 2.12	6.54 ± 0.72*	8.00 ± 1.02*	6.61 ± 0.47*	7.58 ± 0.46*
liver	8.99 ± 0.94	10.10 ± 1.16	9.59 ± 0.49	10.20 ± 0.71	8.60 ± 0.76*	10.93 ± 0.85*
intestine	3.99 ± 1.03	4.24 ± 1.16	5.10 ± 0.84	5.37 ± 1.05	3.18 ± 0.57	3.78 ± 0.71
neck	6.75 ± 1.00	6.20 ± 0.96	8.86 ± 0.79	8.05 ± 1.06	8.78 ± 2.75	9.07 ± 1.70
stomach	2.83 ± 0.34*	2.31 ± 0.35*	5.35 ± 1.09	4.62 ± 1.48	3.94 ± 0.60*	5.19 ± 0.85*
urine ^d	5.41 ± 0.82*	3.82 ± 0.79*	20.27 ± 9.72	15.25 ± 7.85	13.78 ± 4.17	9.66 ± 3.28

^aValues shown are % injected dose / gram ± standard deviation. ^bTime of sacrifice from injection of radiolabeled succinylated-rSAv derivatives, [¹²⁵I]6c and succ[²¹¹At]6c. ^cData were obtained for *n* = 5 mice at each time point; average animal weight, 26.94 ± 1.75 g; Injectate for each animal had 8 μCi/25 μg of [¹²⁵I]6c and 7 μCi/25 μg of [²¹¹At]6c in approximately 100 μL of 0.9% sterile saline. ^dUrine was collected by syringe bladder tap after sacrifice. *Paired Student's *t* tests were run on all sets of data, asterisk denotes significant difference in values, *P*<0.05.

Table S4: Distribution of Radioactivity for Co-injected [¹²⁵I]- and [²¹¹At]-Labeled Succinylated rSAv, [¹²⁵I]6d and [²¹¹At]6d, in BALB/c mice.^a

Tissues	<u>1 h</u> ^{b,c}		<u>4 h</u>		<u>24 h</u>	
	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>	<u>I-125</u>	<u>At-211</u>
blood	19.60 ± 3.35	17.77 ± 2.39	9.88 ± 0.67	9.30 ± 0.50	1.77 ± 0.22	1.73 ± 0.14
muscle	0.55 ± 0.10	0.57 ± 0.11	0.70 ± 0.07	0.68 ± 0.10	0.69 ± 0.18	0.64 ± 0.11
lung	5.76 ± 1.11	6.39 ± 1.36	4.71 ± 1.98	4.73 ± 1.67	1.97 ± 0.23	2.02 ± 0.29
kidney	4.59 ± 2.09	5.98 ± 0.69	3.38 ± 0.75	3.94 ± 0.75	1.58 ± 0.46	1.66 ± 0.55
spleen	3.75 ± 2.12	2.76 ± 1.88	2.22 ± 0.22*	2.74 ± 0.28*	3.58 ± 1.17	3.48 ± 1.03
liver	7.14 ± 0.63	2.21 ± 0.53	9.07 ± 0.41	9.41 ± 0.34	9.73 ± 1.71	8.77 ± 1.55
intestine	1.51 ± 0.54	1.80 ± 0.47	2.34 ± 1.10	2.11 ± 0.91	0.69 ± 0.20	0.69 ± 0.19
neck	2.61 ± 1.00	2.58 ± 1.16	2.99 ± 0.61	2.74 ± 1.36	2.97 ± 1.14	3.08 ± 1.25
stomach	1.34 ± 0.36	1.19 ± 0.35	2.08 ± 0.47	1.68 ± 0.52	1.70 ± 0.27	1.74 ± 0.34
urine ^d	9.13 ± e.37	7.79 ± 2.99	5.35 ± 0.98	3.53 ± 1.41	0.58 ± 1.02	0.41 ± 0.83

^aValues shown are % injected dose / gram ± standard deviation. ^bTime of sacrifice from injection of radiolabeled succinylated-rSAv derivatives, [¹²⁵I]6d and [²¹¹At]6d. ^cData were obtained for *n* = 4 mice at the 1 h time point and *n* = 5 mice at the 4 and 24 time points; average animal weight, 30.76 ± 2.34 g; Injectate for each animal had 8 μCi/25 μg of [¹²⁵I]6d and 8 μCi/25 μg of [²¹¹At]6d in approximately 100 μL of 0.9% sterile saline.

^dUrine was collected by syringe bladder tap after sacrifice. *Paired Student's *t* tests were run on all sets of data, asterisk denotes significant difference in values, *P*<0.05.