

Supporting Information For:

Reagents for astatination of biomolecules. 6. Intact antibody conjugates formed by reaction with lysine amines have lower kidney concentrations than conjugates of the same antibody formed by reaction with reduced disulfide bonds.

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Table S1: Tissue distribution of [¹²³I]CA12.10C12 conjugated with **4** through reduced disulfides and [¹²⁵I]/[²¹¹At]CA12.10C12 conjugated with **10** through lysine amines in dogs.

Figure S1: Blood clearance curves for [¹²³I]CA12.10C12 and [¹²⁵I]CA12.10C12 / [²¹¹At]CA12.10C12 in dogs

Table S1: Concentrations (% injected dose / gram) of radionuclide in tissues from dogs taken at necropsy 24h (dog G996, 1st column) and 21.6 h (dog H137, 2nd and 3rd columns) post injection.

Tissue	CA12.10C12(S)-B10* I-123 (% ID/g)**	CA12.10C12(L)-B10* I-125 (% ID/g)**	CA12.10C12(L)-B10* At-211 (% ID/g)**
Blood	0.0050 ± 0.0000	0.0057 ± 0.0001	0.0092 ± 0.0001
Bone Marrow Squeeze	0.0484 ± 0.0010	0.0330 ± 0.0000	0.0362 ± 0.0000
Bone Marrow - Core	0.0201 ± 0.0041	0.0505 ± 0.0317	0.0742 ± 0.0440
Bone Marrow Aspirate	0.0087 ± 0.0007	0.0129 ± 0.0000	0.0101 ± 0.0010
BM asp, ACD, Hep	(DNO)***	0.0098 ± 0.0003	0.0072 ± 0.0001
Rib	0.0124 ± 0.0016	0.0117 ± 0.0015	0.0118 ± 0.0015
Sternum	0.0231 ± 0.0056	0.0178 ± 0.0030	0.0194 ± 0.0039
Lymph Node - axial	0.0539 ± 0.0000	0.0591 ± 0.0427	0.0476 ± 0.0345
Lymph Node - submandibular	0.0827 ± 0.0123	0.1129 ± 0.0314	0.1053 ± 0.0247
Lymph Node - mesenteric	0.0938 ± 0.0280	0.0635 ± 0.0280	0.0531 ± 0.0205
Lymph Node – popliteal	0.0927 ± 0.0000	0.0875 ± 0.0120	0.0964 ± 0.0117
Kidney - cortex	0.2255 ± 0.0563	0.0291 ± 0.0002	0.0167 ± 0.0002
Kidney - medulla	0.1673 ± 0.0147	0.0259 ± 0.0078	0.0229 ± 0.0061
Bladder	0.0048 ± 0.0010	0.0100 ± 0.0009	0.0050 ± 0.0006
Salivary gland	0.0023 ± 0.0001	0.0035 ± 0.0001	0.0022 ± 0.0000
Trachea	0.0094 ± 0.0021	0.0049 ± 0.0006	0.0027 ± 0.0000
Thymus	0.0042 ± 0.0002	0.0054 ± 0.0001	0.0049 ± 0.0003
Thyroid	0.0020 ± 0.0004	0.6052 ± 0.0267	1.3991 ± 0.0125
Pancreas	0.0020 ± 0.0001	0.0030 ± 0.0001	0.0020 ± 0.0001
Gall Bladder	0.0434 ± 0.0091	0.2163 ± 0.0412	0.1595 ± 0.0342
Liver - peripheral	0.0992 ± 0.0021	0.0895 ± 0.0024	0.0582 ± 0.0015
Liver - central	0.0139 ± 0.0014	0.0851 ± 0.0022	0.0576 ± 0.0015
Spleen	0.2961 ± 0.0754	0.2312 ± 0.0430	0.2701 ± 0.0523
Lung - peripheral	0.0136 ± 0.0019	0.0155 ± 0.0012	0.0119 ± 0.0006
Lung - central	0.0139 ± 0.0014	0.0170 ± 0.0005	0.0131 ± 0.0005
Stomach	0.0628 ± 0.0082	0.0481 ± 0.0077	0.0245 ± 0.0020
Small intestinal	0.0108 ± 0.0027	0.0280 ± 0.0014	0.0228 ± 0.0010
Skin abdominal	0.0024 ± 0.0003	0.0035 ± 0.0005	0.0023 ± 0.0005
Colon	0.0093 ± 0.0007	0.0162 ± 0.0004	0.0135 ± 0.0005
Muscle	0.0010 ± 0.0001	0.0014 ± 0.0001	0.0009 ± 0.0002
Heart	0.0090 (1 sample)	0.0037 ± 0.0001	0.0019 ± 0.0001
Urine	(DNO)***	0.1066 ± 0.0006	0.0760 ± 0.0005
Testicle or ovary	0.0064 ± 0.0030	0.0062 ± 0.0003	0.0034 ± 0.0002

*Antibody conjugates with (S) were prepared by conjugation with reduced disulfides, whereas those with (L) indicate the conjugations were through reactions with amines

**Values are an average of 3 samples from different locations in the same tissue ± standard deviation

***DNO is an abbreviation for “did not obtain”

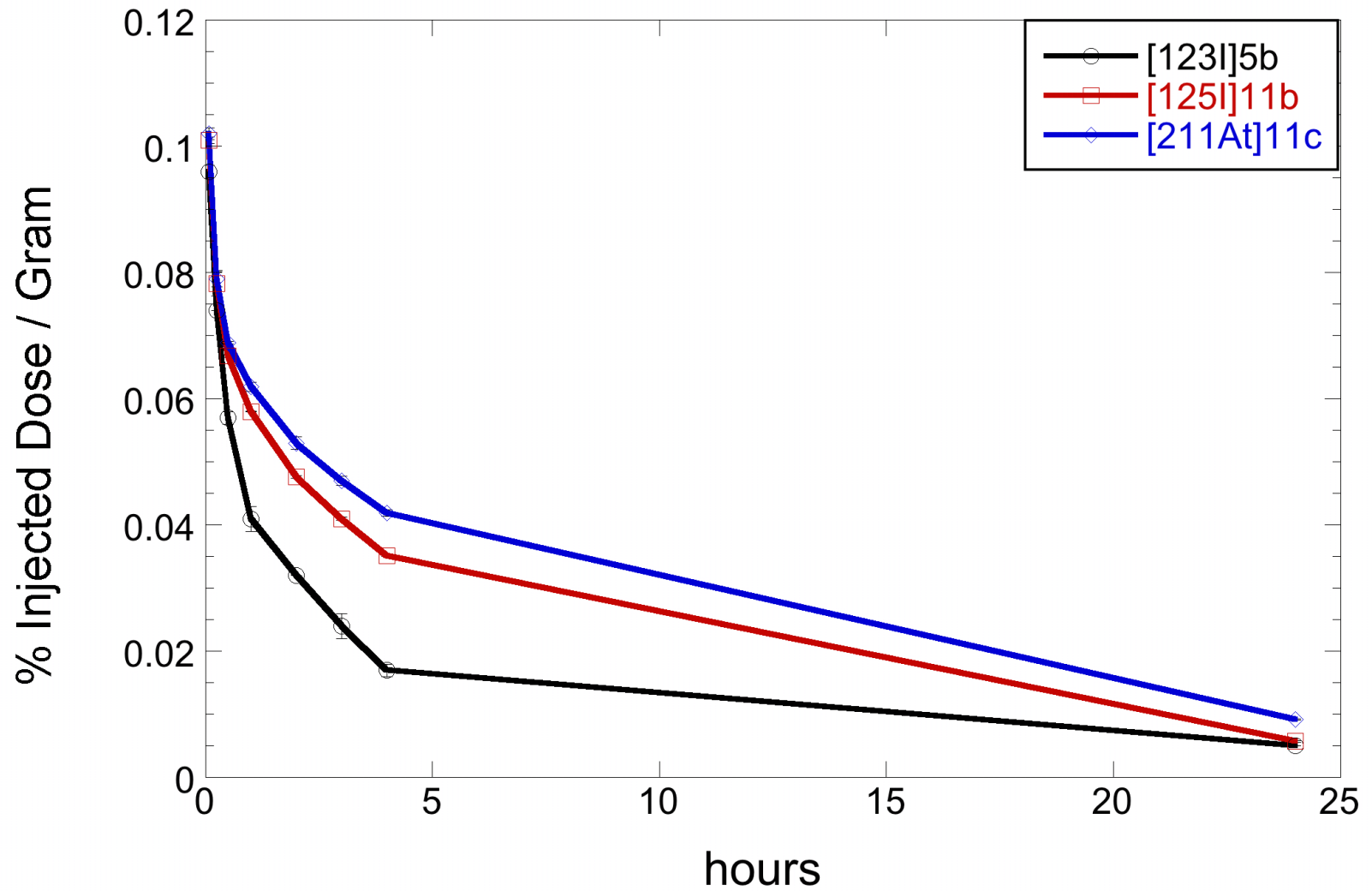


Figure S1. Blood clearance of ^{123}I -labeled CA12.10C12(S)-B10 (dog G996; black line) relative to ^{125}I - and ^{211}At -labeled CA12.10C12(L)-B10 (dog H137; red line and blue line, respectively)