



## **Supplemental Material to:**

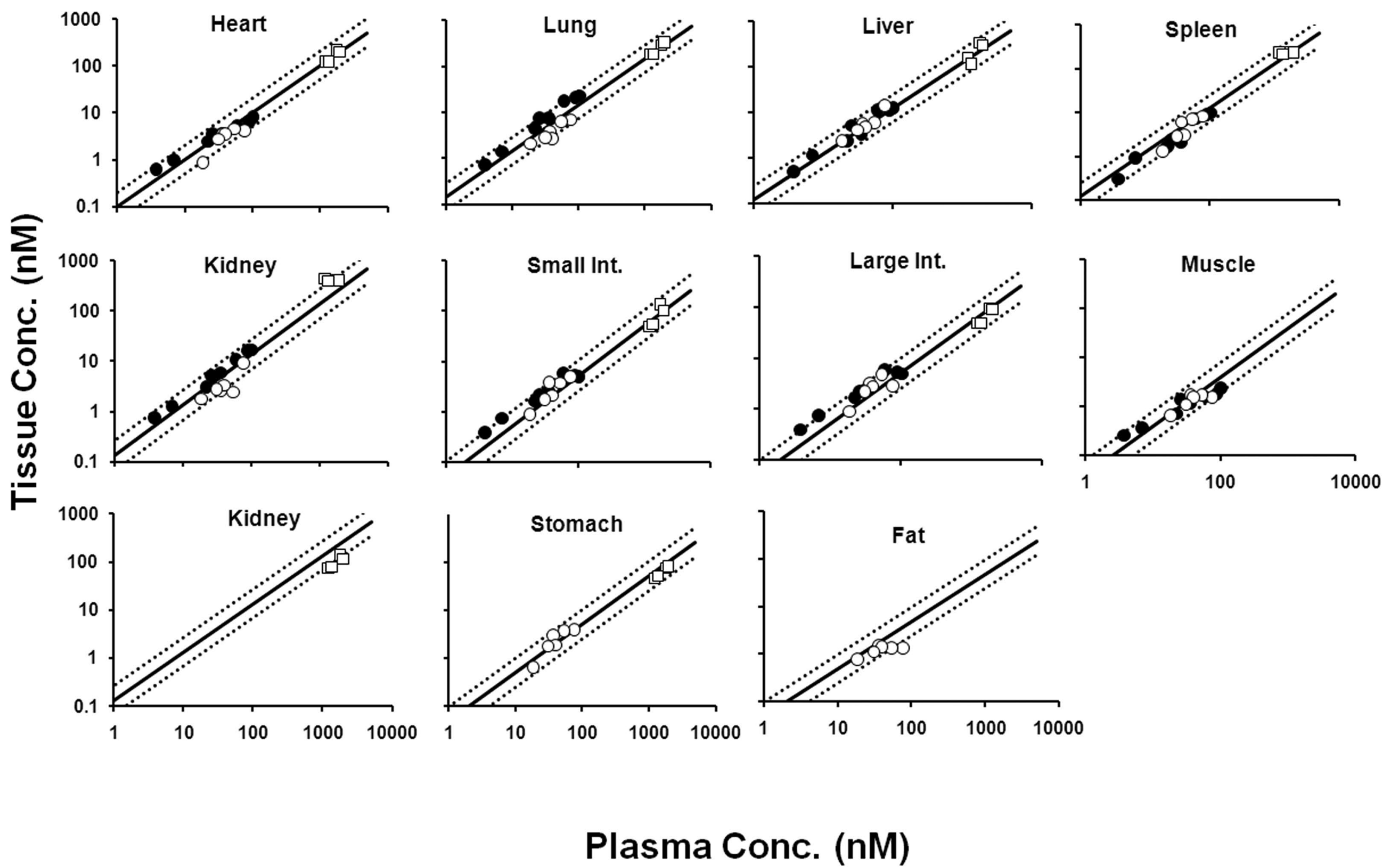
**Dhaval K. Shah and Alison M. Betts**

**Antibody biodistribution coefficients:  
Inferring tissue concentrations of monoclonal antibodies  
based on the plasma concentrations  
in several preclinical species and human**

**mAbs 2013; 5(2)**

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**Figure S1:** The figure displays the tissue vs. plasma ADC concentration profiles generated from two mouse and one rat tissue distribution dataset. Open square represents the observed data for Anti-STEAP1 ADC from a rat tissue distribution study, solid circles represents the observed data for SGN-75 ADC from a mouse tissue distribution study and, open circles represents the observed data for huC242-DM1 ADC from a mouse tissue distribution study. Black solid line represents the profile generated based on the mouse estimated ABC values and black dotted lines around the solid line represent the two fold error envelop.

**Table S1.** References and experimental details thereof, for the mouse training dataset

Reference	mAb	Mouse	Route	Dose	Sampling Time	Label
J Pharmacokinet Pharmacodyn (2007) 34:687–709	7E3 (murine monoclonal IgG1)	C57BL/6J WT	IV	8 mg/kg	1, 2, 6, 12h, 1, 2, 4, 7, 10 days	I-125
J Pharmacokinet Pharmacodyn (2007) 34:687–709	7E3 (murine monoclonal IgG1)	FcRn-knockout mice	IV	8 mg/kg	1, 2, 6, 12 h, 1, 2, 3, 4 days	I-125
Ph.D. Thesis, Amit Garg, SUNY Buffalo, Chapter-3	7E3 + IVIG (murine monoclonal IgG1)	C57BL/6J WT	IV	8 mg/kg	1, 2, 6, 12h, 1, 2, 4, 7, 10 days	I-125
CANCER RESEARCH 54, 1517-1528. March 15, 1994	MOPC21 (Mouse IgG1, kappa monoclonal )	nu/nu mice with T380 xenografts	IV	3.8 µg/animal	4, 24, 48, 72, 96, 120 h	In-111
Annals of Biomedical Engineering, Vol. 33, No. 11, November 2005	cT84.66 (chimeric anti-CEA IgG1)	nu/nu mice with LS174T xenografts	IV	2-3 µCi/animal (15–25 µCi/µg)	0, 6,13, 24, 48, 72, 96, 120, 168 h	I-131
AAPS-NBC _Poster W3103	1B1.3a (Rat anti-mouse IL10R mAb)	WT	IV	0.5 mg/kg	1, 24, 72, 120, 168 h	I-125
International Immunopharmacology (2008) 8, 477–483	IMA-638, anti-IL-13 antibody (humanized IgG1)	A/J	IV	1 mg/kg	1, 24, 168, 336 h	I-125
International Immunopharmacology (2008) 8, 477–483	IMA-638, anti-IL-13 antibody (humanized IgG1)	A/J	SC	1 mg/kg	1, 24, 168, 336 h	I-125
JOURNAL OF PHARMACEUTICAL SCIENCES, VOL. 99, NO. 2, FEBUARY 2010	anti-Abeta, AAB-003 (humanized IgG1,kappa mAb)	PSAPP Tg+	IV	10 mg/kg	48, 168, 336, 504, 1032 h	I-125
JOURNAL OF PHARMACEUTICAL SCIENCES, VOL. 99, NO. 2, FEBUARY 2010	anti-Abeta, AAB-003 (humanized IgG1,kappa mAb)	PSAPP Tg- (wild-type)	IV	10 mg/kg	48, 168, 336, 504, 1032 h	I-125
JOURNAL OF PHARMACEUTICAL SCIENCES, VOL. 99, NO. 2, FEBUARY 2010	Anti IL-21R, ATR-107 (human IgG1,lambda mAb)	IL-21R/- MICE	IV	2.5 mg/kg	1, 48, 168, 336, 480, 864 h	I-125

JOURNAL OF PHARMACEUTICAL SCIENCES, VOL. 99, NO. 2, FEBRUARY 2010	Anti IL-21R, ATR-107 (human IgG1,lambda mAb)	C57BL/6 Mice	IV	2.5 mg/kg	1, 48, 168, 336, 480, 864 h	I-125
MAbs. 2010 Sep-Oct; 2(5): 571–575/JPS VOL. 99, NO. 2	RAS-111, anti-RAGE (humanized IgG1,kappa mAb)	RAGE KO mice	IV	5 mg/kg	1, 24, 48, 144, 336, 648, 984, 1488, 2328, 3168 h	I-125
MAbs. 2010 Sep-Oct; 2(5): 571–575/JPS VOL. 99, NO. 2	RAS-111, anti-RAGE (humanized IgG1,kappa mAb)	129S5/SvEv wild-type	IV	5 mg/kg	1, 24, 48, 144, 336, 648, 984, 1488, 2328, 3168 h	I-125
AAPS J. 2009 September; 11(3): 553–557.	7E3 (murine monoclonal IgG1)	C57BL/6J WT	IV	8 mg/kg	1, 2, 6, 12h, 1, 2, 4, 7, 10 days	I-125
AAPS J. 2009 September; 11(3): 553–557.	7E3 (murine monoclonal IgG1)	FcRn-knockout mice	IV	8 mg/kg	1, 2, 6, 12 h, 1, 2, 3, 4 days	I-125
7 In-House studies	Various	Various	IV, IP	Diverse	Multiple	I-125

**Table S2.** References and experimental details thereof, for the mouse validation dataset

Reference	mAb	Mouse	Route	Dose	Sampling Time	Label
JPET 330:932–938, 2009	SGN-75 (h1F6 C4v2-mc-MMAF ADC, humanized anti-CD70 mAb)	Nude Mice with 786-O xenografts	IV	1.5 mg/kg	1, 4, 8, 24, 48, 96, 168, 240 h	3-H
Current Pharmaceutical Design, 2009, 15, 988-1007	hAFP31 (humanized IgG)	BALB/C mice	IV	~0.7 mg/kg	4, 24, 48, 72, 120, 168, 240 h	In-111
Clin Cancer Res; 17(24) December 15, 2011	R1507, anti-IGF-1R antibody (fully human mAb)	BALB/c nude mice with OS-1 xenografts	IV	3 or 300 µg/animal	1, 3, 7 Days	In-111, I-125
Clin Cancer Res; 17(24) December 15, 2011	R1507, anti-IGF-1R antibody (fully human mAb)	BALB/c nude mice with EW-5 xenografts	IV	3 or 300 µg/animal	1, 3, 7 Days	In-111, I-125
The AAPS Journal (2012) DOI: 10.1208/s12248-012-9357-2	T84.66 (murine IgG1)	SCID + LS174T Xenograft	IV	10 mg/kg	1, 3, 8 h, 1, 2, 4, 7, 10 Days	I-125
The AAPS Journal (2012) DOI: 10.1208/s12248-012-9357-2	T84.66 + Bevacizumab (murine IgG1)	SCID + LS174T Xenograft	IV	10 mg/kg	1, 3, 8 h, 1, 2, 4, 7, 10 Days	I-125
Clin Cancer Res 2005;11(20) October 15, 2005	14C5 (anti–integrin beta1 murine IgG1)	NMRImicewith out tumor	IV	~5 µg/animal	1, 6, 24, and 48 h	I-131
Clin Cancer Res 2005;11(20) October 15, 2005	14C5 (anti–integrin beta1 murine IgG1)	athymic mice with A549 xenografts	IV	~5 µg/animal	1, 6, 24, and 48 h	I-131
Clin Cancer Res 2005;11(20) October 15, 2005	14C5 (anti–integrin beta1 murine IgG1)	athymic mice with LoVo xenografts	IV	~5 µg/animal	1, 6, 24, and 48 h	I-131
THE JOURNAL OF NUCLEAR MEDICINE , Vol. 52 , No. 10 , October 2011	hRS7, anti-EGP-1(TROP2) (humanized mAb)	BALB/c nude mice with PC3 xenografts	IV	5 µg/animal	Day 3	In-111
THE JOURNAL OF NUCLEAR MEDICINE , Vol. 52 , No. 10 , October 2011	hRS7, anti-EGP-1(TROP2) (humanized mAb)	BALB/c nude mice with PC3 xenografts	IV	0.1-100 µg/animal	Day 4	I-125

THE JOURNAL OF NUCLEAR MEDICINE , Vol. 52 , No. 10 , October 2011	hRS7, anti-EGP-1(TROP2) (humanized mAb)	BALB/c nude mice with PC3 xenografts	IV	20 µg/anim al	1, 3, 7 Days	89-ZR
THE JOURNAL OF NUCLEAR MEDICINE , Vol. 52 , No. 10 , October 2011	hLL2, anti-CD22 (humanized mAb)	BALB/c nude mice with PC3 xenografts	IV	10 µg/anim al	1, 3, 7 Days	89-ZR
BRAZILIAN ARC. BIOLOGY & TECHNOLOGY, Vol.48, Special : 69-72, Oct 2005	Anti-CD20 (mouse-human chimera)	Swiss WT mice	IV	3 µg/kg	1, 4, 24 h	I-131
Cell Biophysics, Volume 26, 1995, 167- 182	rMAb-425, Anti-hEGFR (murine mAb)	Athymic mice with breast carcinoma	IV	1 mg/kg	0.083, 1, 8, 24, 48, 144, 432 h	I-125
Nuclear Medicine and Biology 31 (2004) 909–919	L8A4, Anti-EGFRvIII antibody (murine IgG1)	BALB/c nu/nu mice with D- 256 xenograft	IV	3 µg/anim al	0.5, 1, 2, 4, 24 h	[131I] SIP MB
Nuclear Medicine and Biology 31 (2004) 909–919	L8A4, Anti-EGFRvIII antibody (murine IgG1)	BALB/c nu/nu mice with D- 256 xenograft	IV	3 µg/anim al	0.5, 1, 2, 4, 24 h	[125I] SGM IB
JPET 308:1073–1082, 2004	huC242 (Anti-MUC1) (humanized mAb)	Female CD-1 mice	IV	1 mg/kg	2, 8, 24, 48, 96, 192 h	I-125
JPET 308:1073–1082, 2004	huC242-DM1 (ADC) (humanized mAb)	Female CD-1 mice	IV	1 mg/kg	2, 8, 24, 48, 96, 192 h	I-125
CANCER BIOTHERAPY & RADIOPHARMACEUTICALS Vol 17, Number 4, 2002	Parental and Biotinylated chTNT-1 Mabs (chimera)	Nude mice with LS174T xenografts	IV	0.01 mg/kg	1,3, or 5 Day	I-125
CANCER BIOTHERAPY & RADIOPHARMACEUTICALS Vol 17, Number 4, 2002	Parental and Biotinylated chTNT-2 Mabs (chimera)	BALB/c mice with Madison109 xenografts	IV	0.01 mg/kg	1,3, or 5 Day	I-125
CANCER BIOTHERAPY & RADIOPHARMACEUTICALS Vol 17, Number 4, 2002	Parental and Biotinylated chTNT-3 Mabs (chimera)	Nude mice with LS174T xenografts	IV	0.01 mg/kg	1,3, or 5 Day	I-125

**Table S3.** References and experimental details thereof, for the rat validation dataset

Reference	mAb	Rat	Route	Dose	Sampling Time	Label
Bioconjug Chem. 2011 Oct 19;22(10):1994-2004. Epub 2011 Oct 3.	Anti-STEAP1 antibody (humanized IgG1)	Sprague-Dawley rats	IV	10 mg/kg	24, 120 h	In-111
Bioconjug Chem. 2011 Oct 19;22(10):1994-2004. Epub 2011 Oct 3.	Thio-anti-STEAP1 (ThioMab) (humanized IgG1)	Sprague-Dawley rats	IV	10 mg/kg	24, 120 h	In-111
Bioconjug Chem. 2011 Oct 19;22(10):1994-2004. Epub 2011 Oct 3.	Anti-STEAP1-vc-MMAE conjugate (ADC) (humanized IgG1)	Sprague-Dawley rats	IV	10 mg/kg	24, 120 h	In-111
Bioconjug Chem. 2011 Oct 19;22(10):1994-2004. Epub 2011 Oct 3.	Thio-anti-STEAP1-vc-MMAE conjugate (TDC) (humanized IgG1)	Sprague-Dawley rats	IV	10 mg/kg	24, 120 h	In-111
International Immunopharmacology (2008) 8, 477–483	IMA-026, anti-IL-13 antibody (humanized IgG1, kappa)	Sprague–Dawley rats	IV	2 mg/kg	1, 48, 168, 336, 840 h	I-125
The Journal of Nuclear Medicine, Vol. 32 , No. 3 , March 1991	Mab 3A5, Control (murine)	Wistar rats	IV	1, 2 µg/animal	16 h	In-111
Am J Physiol Lung Cell Mol Physiol 280:L1335-L1347, 2001.	5F1, Control (murine)	Sprague-Dawley rats	IV	1 µg/animal	2 h	I-125
Cell Biophysics, Volume 26, 1995, 167-182	rMAb-425, Anti-hEGFR (Human IgG1 mAb)	Wistar rats	IV	1 mg/kg	1, 8, 24, 48, 120 h	I-125
JPET 339:519–529, 2011	Anti-LINGO-1 Li81 antibody (Human IgG1 mAb)	Sprague Dawley rats	IV	2 mg/kg	1, 6, 24, 48, 96, 168, 216, 264, 336 h	-NA- (ELISA)
Journal of Immunotherapy, 16: 251-261	Control IgG (Mouse IgG1)	BD IX Rat with K12/TRb Xenograft	IV	2 µg/animal	2, 4, 7 Days	I-125
Journal of Immunotherapy, 16: 251-261	CC49, anti-TAG-72 (Mouse IgG1)	BD IX Rat with K12/TRb Xenograft	IV	2 µg/animal	2, 4, 7 Days	I-125
Journal of Immunotherapy, 16: 251-261	B72.3, anti-TAG-72 (Mouse IgG1)	BD IX Rat with K12/TRb Xenograft	IV	2 µg/animal	2, 4, 7 Days	I-125



TheJournalof NuclearMedicine Vol.32, No.7, July1991	Anti-CEA Mab (Mab-35) (Mouse IgG1)	normal female rats (OFA-SD)	IV	20 µg/animal	1, 4, 8, 12, 24, 48, 72, 96, 144 h	I-131
TheJournalof NuclearMedicine Vol.32, No.7, July1991	Anti-CEA Mab (Chimeric mAb)	normal female rats (OFA-SD)	IV	20 µg/animal	1, 4, 8, 12, 24, 48, 72, 96, 144 h	I-125

**Table S4.** References and experimental details thereof, for the monkey and human validation dataset

Reference	mAb	Monkey/ Patient	Route	Dose	Sampling Time	Label
Cell Biophysics, Volume 26, 1995, 167-182	rMAb-425, Anti-hEGFR (Human IgG1 mAb)	Cynomolgus monkey	IV	1 mg/kg	24 h	I-125
Blood, Vol78, No 7 (October I)1,9 91: pp 1864-1874	DT & 1A14 (Control mAbs) (Murine IgG1 & Murine IgG2a)	Juvenile male Mnemestrina	IV	0.5 mg/kg	45 h	I-125
In-House	Confidential	Cynomolgus monkeys	IV	Confidential	Confidential	I-125
Cancer Res 1993;53:5413-5418.	MOv18 (Chimeric IgG1)	Epithelial ovarian cancer patients	IV	1 mg/Patient	48, 144 h	I-131, I-125