

Supporting Information

An Efficient Bifunctional Decadentate Ligand 3p-C-DEPA for Targeted Alpha Radioimmunotherapy Applications

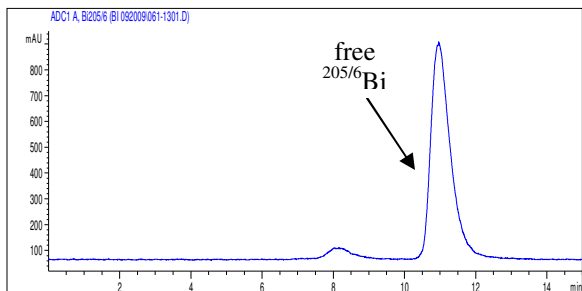
Hyun A Song,¹ Chi Soo Kang,¹ Kwamena E. Baidoo,² Diane E. Milenic,² Yunwei Chen¹, Anzhi Dai,¹ M. W. Brechbiel,² and Hyun-Soon Chong^{1,*}

1. Radiolabeling reaction kinetics of C-DOTA-trastuzumab conjugate (SE-HPLC).
2. Radiolabeling reaction kinetics of 3p-C-DEPA-trastuzumab conjugate (SE-HPLC).
3. Serum stability of ^{205/6}Bi-3p-C-DEPA-trastuzumab conjugate (SE-HPLC)
4. Biodistribution data for ^{205/6}Bi-C-DOTA and ^{205/6}Bi-3p-C-DEPA in athymic mice bearing s.c. LS-174T tumors (Table 1).

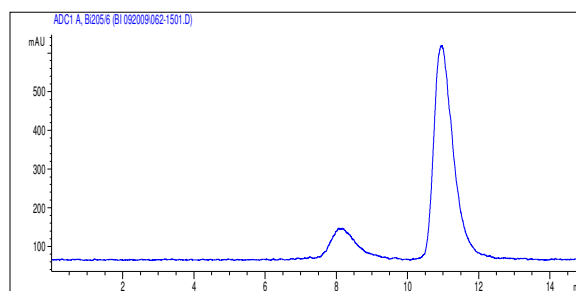
1. Radiolabeling reaction kinetics of C-DOTA-Trastuzumab conjugate with $^{205/6}\text{Bi}$ (SE-HPLC).

Sample 1

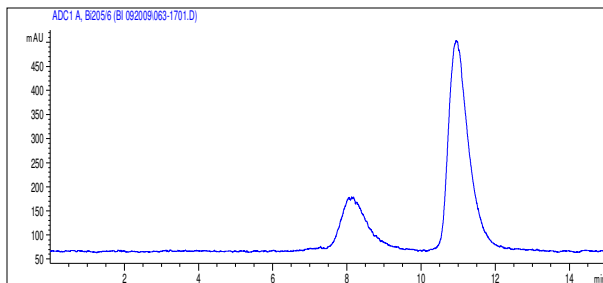
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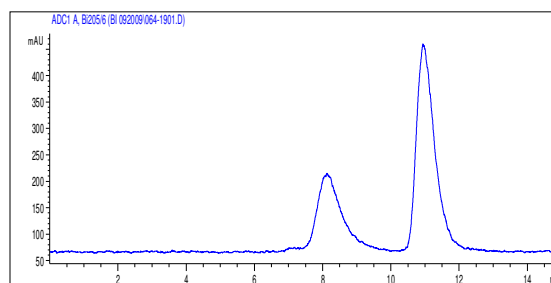
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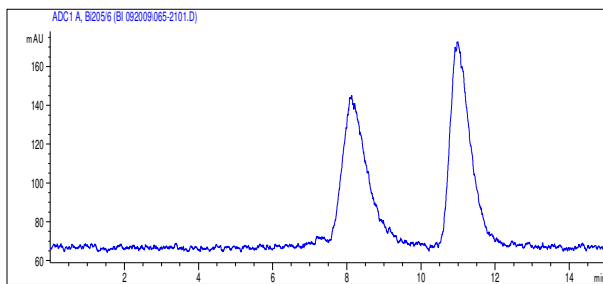
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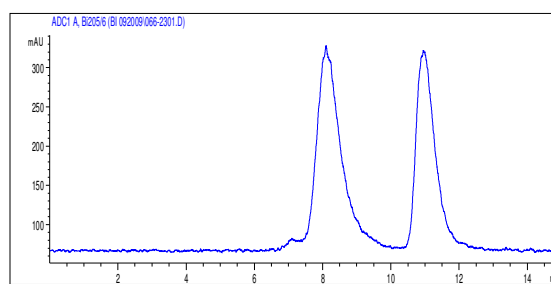
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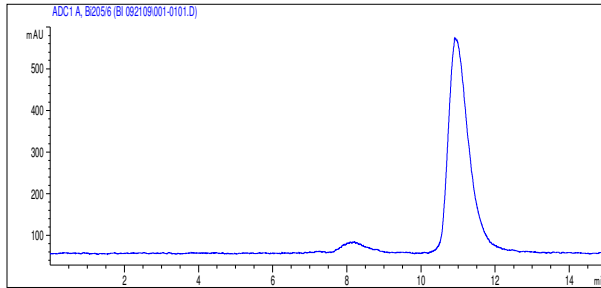


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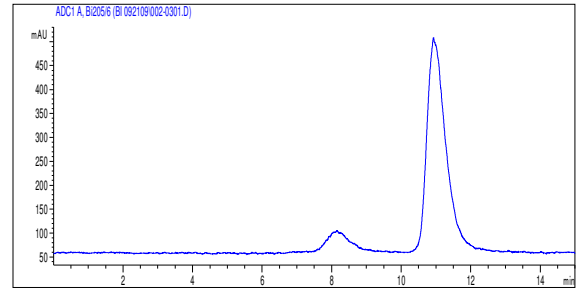


Sample 2

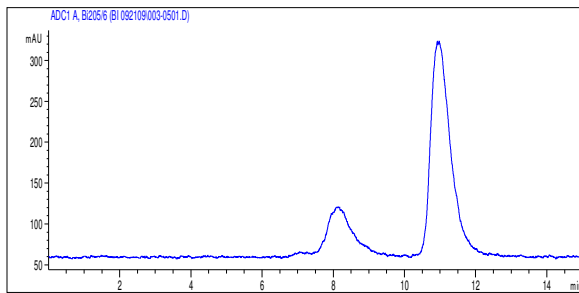
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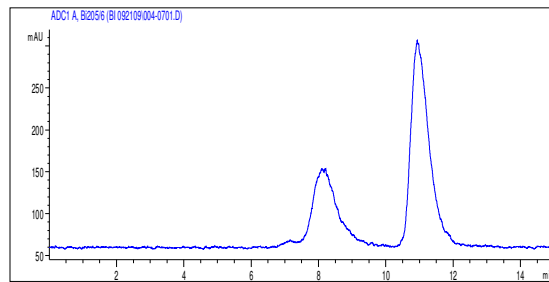
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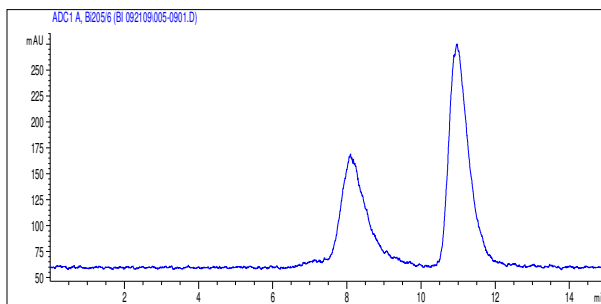
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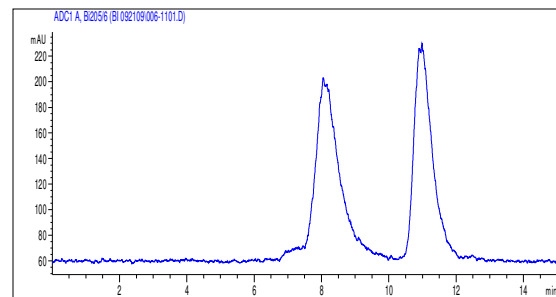
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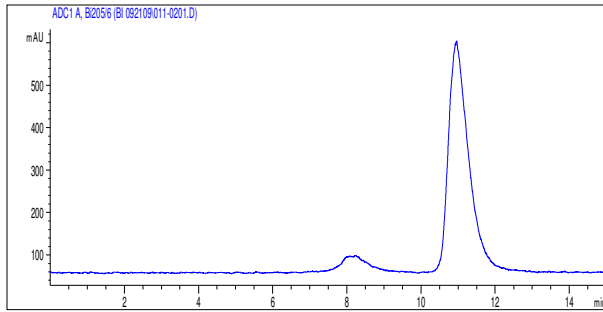


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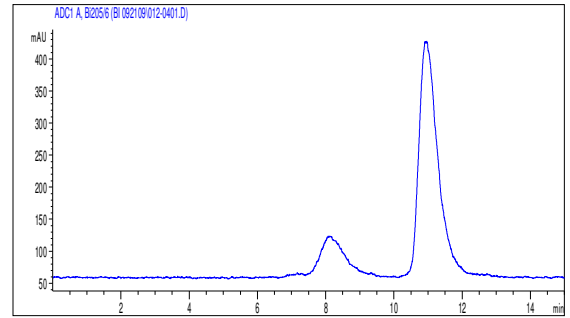


Sample 3

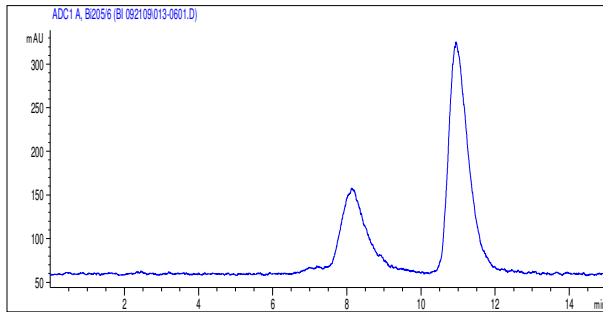
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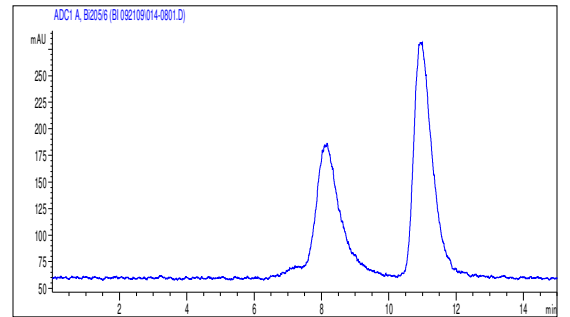
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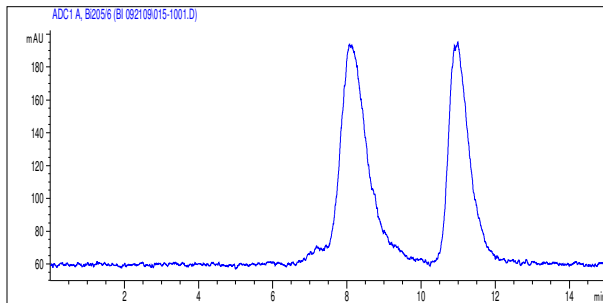
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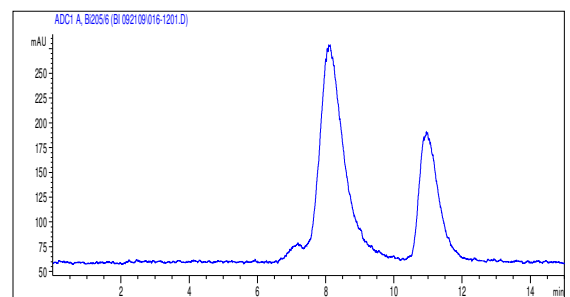
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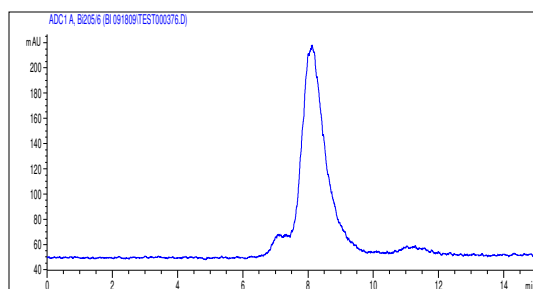
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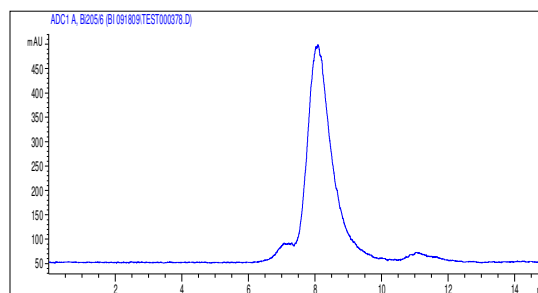
2. Radiolabeling reaction kinetics of 3p-C-DEPA-Trastuzumab conjugate with $^{205/6}\text{Bi}$ (SE-HPLC).

Smample 1

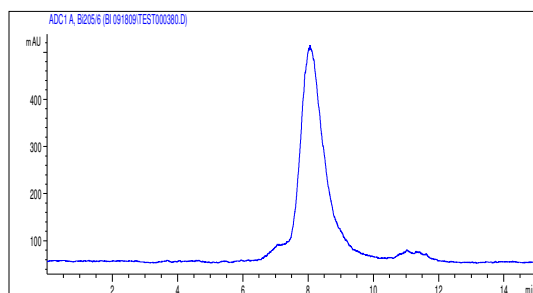
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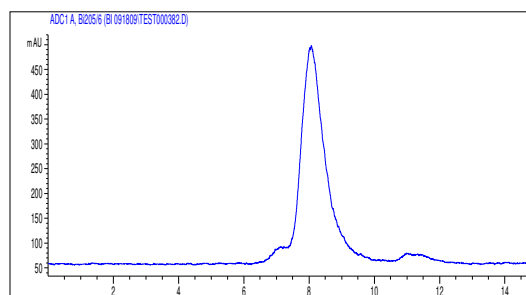
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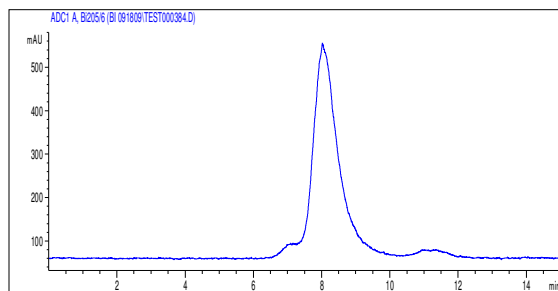
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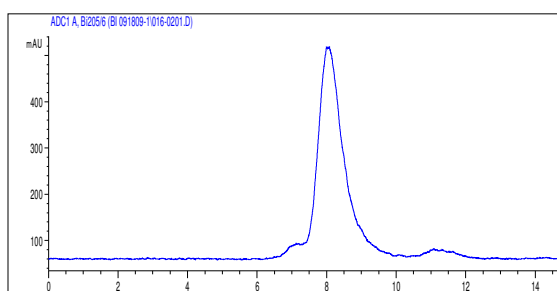
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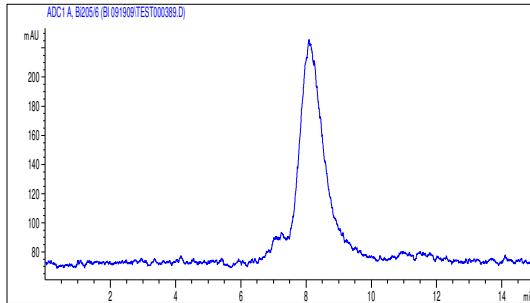


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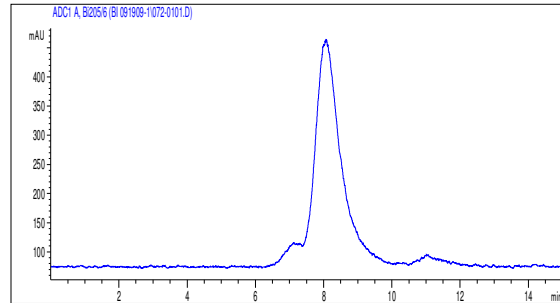


Sample 2

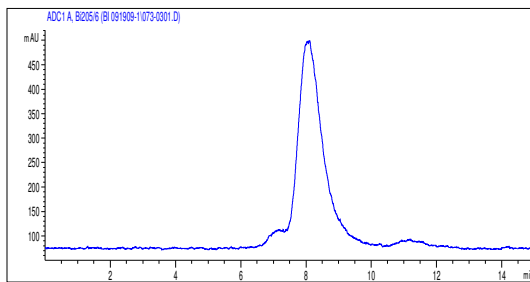
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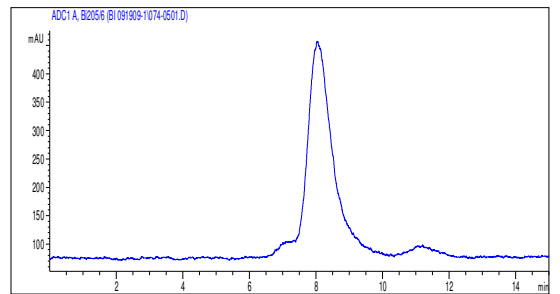
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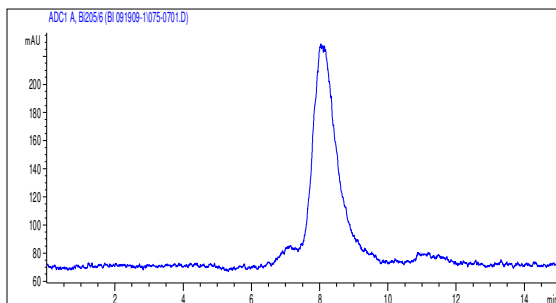
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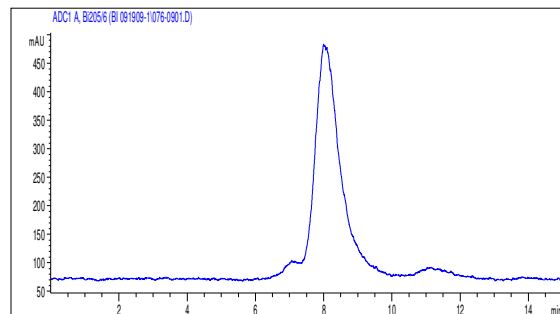
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30 min (92.8%)

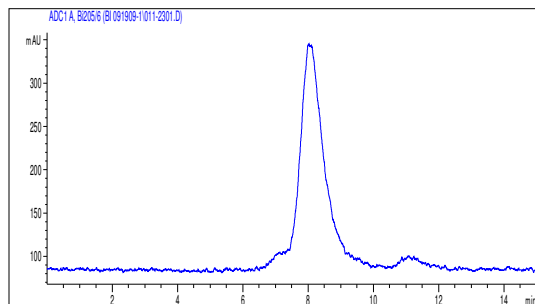


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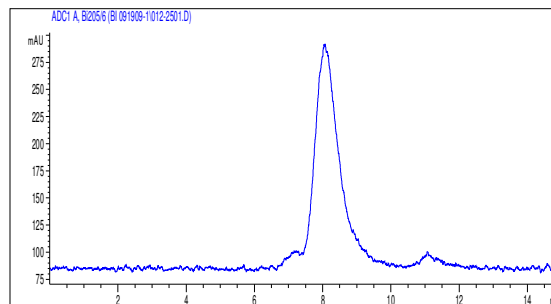


Sample 3

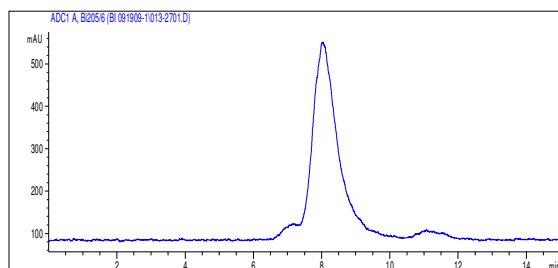
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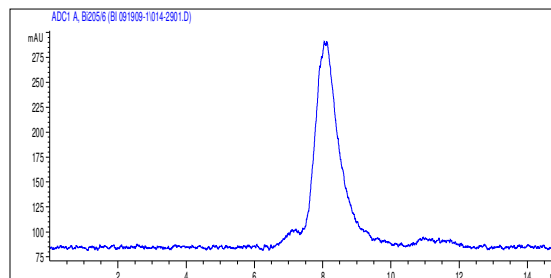
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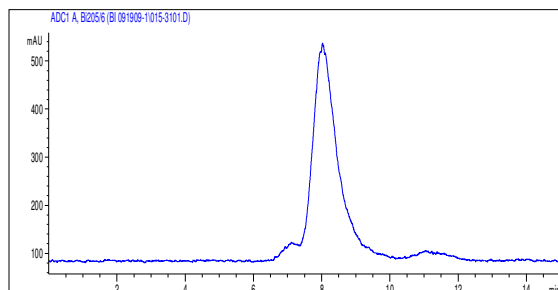
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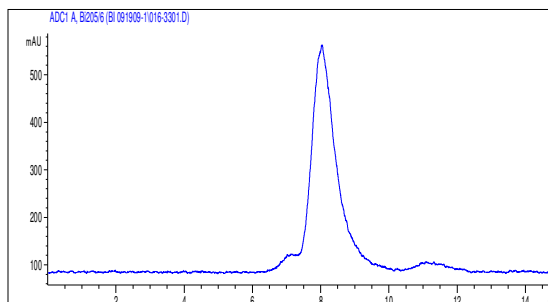
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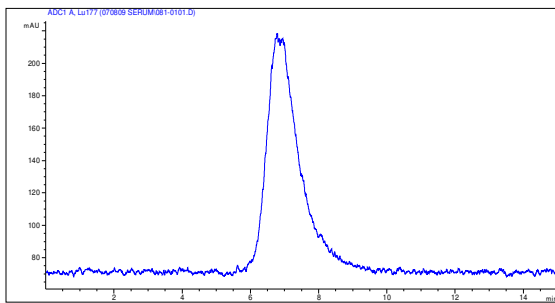


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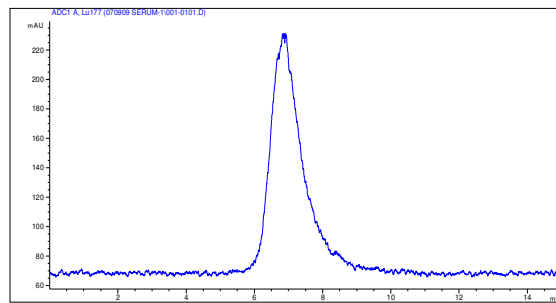


3. Serum stability of $^{205/16}\text{Bi}$ -3p-C-DEPA-Trastuzumab conjugate (Size Exclusion-HPLC)

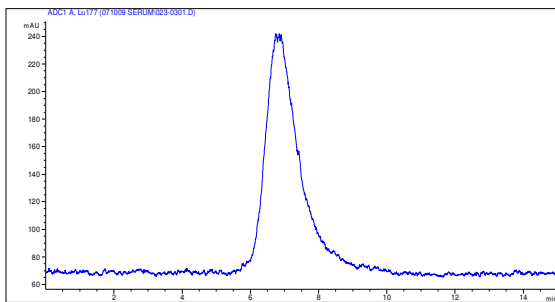
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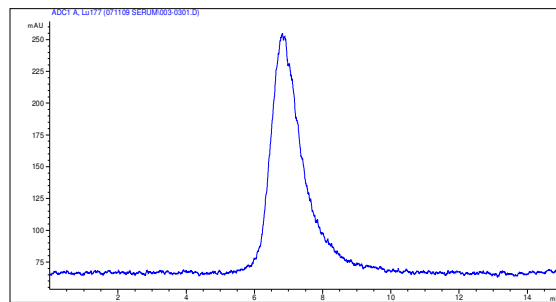
Day 1 (100%)



Day 2 (100%)



Day 3 (100%)



Day 4 (100%)

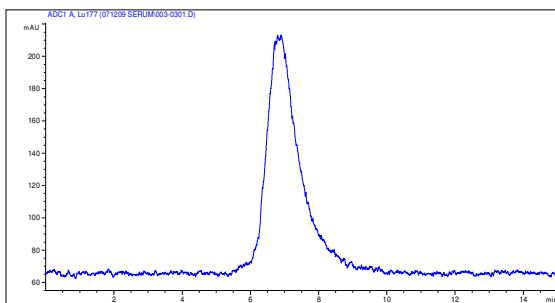


Table 1. Biodistribution of $^{205/6}\text{Bi-3p-C-DEPA-Trastuzumab}$ conjugate and $^{205/6}\text{Bi-C-DOTA-Trastuzumab}$ conjugate in athymic mice bearing s.c. LS-174T tumors.

Ligand	Tissue	Time points (h)					
		2h		6h		24h	
3p-C-DEPA	Blood	18.77	± 2.44	18.65	± 1.78	12.1	± 2.97
	Tumor	9.63	± 2.72	16.24	± 6.41	27.3	± 9.79
	Liver	6.64	± 2.24	9.70	± 1.23	7.73	± 0.56
	Spleen	5.19	± 1.2	6.96	± 1.19	6.75	± 2.08
	Kidney	6.60	± 0.78	7.77	± 0.74	6.27	± 1.31
	Lung	4.92	± 3.01	8.05	± 1.14	6.43	± 1.69
	Heart	4.88	± 0.85	6.26	± 0.6	4.35	± 0.96
	Femur	3.21	± 0.43	3.07	± 0.16	2.78	± 0.40
C-DOTA	Blood	18.38	± 1.82	15.94	± 3.05	12.2	± 2.12
	Tumor	10.21	± 2.25	11.27	± 2.49	25.8	± 9.29
	Liver	4.84	± 0.81	7.19	± 1.51	8.02	± 1.23
	Spleen	4.09	± 0.31	4.26	± 0.96	4.70	± 1.57
	Kidney	5.17	± 0.44	5.26	± 0.88	5.52	± 0.89
	Lung	7.83	± 1.07	6.64	± 1.05	6.08	± 0.57
	Heart	5.34	± 0.29	4.94	± 0.23	4.12	± 0.92
	Femur	2.56	± 0.45	2.00	± 0.35	2.49	± 0.14