

Supplemental Table 1

BLOOD	Drug concentration (µg/g)			Total drug (µg)		
	4 h	24 h	72 h	4 h	24 h	72 h
Free CPT-11 (CPT-11)	1.22 ± 0.89	0.08 ± 0.04	< 0.01	1.82 ± 1.33	0.12 ± 0.06	< 0.01
Free CPT-11 (SN38)	0.13 ± 0.07	< 0.01	< 0.01	0.19 ± 0.11	< 0.01	< 0.01
Liposomal CPT-11 (CPT-11)	152 ± 9.3	16.1 ± 12.9	< 0.01	228 ± 14	24.1 ± 19.3	< 0.01
Liposomal CPT-11 (SN38)	2.62 ± 0.35	1.06 ± 0.58	0.01	3.93 ± 0.53	1.58 ± 0.88	< 0.01
PEG-[SN38] ₄ (SN38)	8.98 ± 0.47	1.05 ± 0.15	0.3 ± 0.05	13.5 ± 0.70	1.58 ± 0.23	0.45 ± 0.07
PEG-[SN22] ₄ (SN22)	29.5 ± 1.01	4.34 ± 0.29	0.53 ± 0.11	44.3 ± 1.51	6.5 ± 0.44	0.79 ± 0.16

TUMOR	Drug concentration (µg/g)				Total drug (µg)			
	4 h	24 h	72 h	168 h	4 h	24 h	72 h	168 h
Free CPT-11 (CPT-11)	22.5 ± 15	1.18 ± 0.21	< 0.01		35.99 ± 26	1.74 ± 0.72	< 0.01	
Free CPT-11 (SN38)	0.14 ± 0.03	0.01	< 0.01		0.22 ± 0.06	0.02 ± 0.01	< 0.01	
Liposomal CPT-11 (CPT-11)	38 ± 6.6	44.8 ± 7.7	6.8 ± 2.4		55.2 ± 11.9	30.7 ± 9.9	1.26 ± 0.22	
Liposomal CPT-11 (SN38)	0.72 ± 0.17	1.07 ± 0.22	0.27 ± 0.05		1.12 ± 0.46	0.78 ± 0.3	0.05 ± 0.01	
PEG-[SN38] ₄ (SN38)	3.11 ± 0.33	2.99 ± 0.22	3.47 ± 0.46		2.93 ± 0.39	1.37 ± 0.41	1.17 ± 0.33	
PEG-[SN22] ₄ (SN22)	6.67 ± 0.86	7.31 ± 0.52	26.48 ± 7.4	23.05 ± 5.25	5.06 ± 1.86	3.7 ± 1.32	3.14 ± 1.12	2.768 ± 0.37

LIVER	Drug concentration (µg/g)				Total drug (µg)			
	4 h	24 h	72 h	168 h	4 h	24 h	72 h	168 h
Free CPT-11 (CPT-11)	36.6 ± 24.5	0.91 ± 0.35	0.02 ± 0.01		44.7 ± 30	1.11 ± 0.43	0.02 ± 0.01	
Free CPT-11 (SN38)	0.72 ± 0.24	0.01 ± 0.01	< 0.01		0.89 ± 0.29	0.02 ± 0.01	< 0.01	
Liposomal CPT-11 (CPT-11)	49.6 ± 2.8	18.6 ± 8.8	0.59 ± 0.3		60.7 ± 3.5	22.8 ± 10.8	0.72 ± 0.37	
Liposomal CPT-11 (SN38)	2.62 ± 0.40	0.80 ± 0.33	0.02		3.2 ± 0.49	0.97 ± 0.41	0.02 ± 0.01	
PEG-[SN38] ₄ (SN38)	2.13 ± 0.32	1.53 ± 0.17	2.48 ± 0.27		2.6 ± 0.39	1.87 ± 0.21	3.03 ± 0.33	
PEG-[SN22] ₄ (SN22)	3.41 ± 0.23	4.22 ± 0.31	4.25 ± 0.54	3.115 ± 0.32	4.17 ± 0.28	5.16 ± 0.37	5.2 ± 0.66	3.599 ± 0.24

SPLEEN	Drug concentration (µg/g)				Total drug (µg)			
	4 h	24 h	72 h	168 h	4 h	24 h	72 h	168 h
Free CPT-11 (CPT-11)	184 ± 45	1.8 ± 0.96	0.01		34.15 ± 5.9	0.33 ± 0.19	< 0.01	
Free CPT-11 (SN38)	0.22 ± 0.05	< 0.01	< 0.01		0.04 ± 0.01	< 0.01	< 0.01	
Liposomal CPT-11 (CPT-11)	133.9 ± 16	67 ± 25.6	1.9 ± 0.8		21.8 ± 2	8.23 ± 2.25	0.19 ± 0.04	
Liposomal CPT-11 (SN38)	3.02 ± 0.72	1.13 ± 0.53	0.05 ± 0.03		0.49 ± 0.11	0.13 ± 0.04	< 0.01	
PEG-[SN38] ₄ (SN38)	2.81 ± 0.27	2.50 ± 0.25	1.05 ± 0.15		0.34 ± 0.02	0.25 ± 0.06	0.23 ± 0.05	
PEG-[SN22] ₄ (SN22)	4.71 ± 0.30	6.21 ± 0.81	7.66 ± 1.14	6.078 ± 0.65	0.55 ± 0.06	0.78 ± 0.12	0.48 ± 0.06	0.665 ± 0.08

INTESTINE	Drug concentration (µg/g)				Total drug (µg)			
	4 h	24 h	72 h	168 h	4 h	24 h	72 h	168 h
Free CPT-11 (CPT-11)	124 ± 83	4.21 ± 2.97	< 0.01		139 ± 93	4.72 ± 3.33	< 0.01	
Free CPT-11 (SN38)	9.71 ± 5.61	0.10 ± 0.05	< 0.01		10.9 ± 6.3	0.12 ± 0.06	< 0.01	
Liposomal CPT-11 (CPT-11)	13.2 ± 3.3	6.3 ± 2.07	0.33 ± 0.08		14.82 ± 3.68	6.34 ± 2.07	0.33 ± 0.08	
Liposomal CPT-11 (SN38)	1.26 ± 0.18	1.17 ± 0.43	0.03		1.41 ± 0.2	1.31 ± 0.49	0.03 ± 0.01	
PEG-[SN38] ₄ (SN38)	2.31 ± 0.25	1.06 ± 0.17	0.39 ± 0.18		2.58 ± 1.18	1.18 ± 0.19	0.44 ± 0.03	
PEG-[SN22] ₄ (SN22)	3.08 ± 0.51	3.20 ± 0.36	2.24 ± 0.45	0.881 ± 0.11	3.45 ± 0.57	3.58 ± 0.40	2.51 ± 0.5	0.987 ± 0.12

BRAIN	Drug concentration (µg/g)				Total drug (µg)		
	4 h	24 h	72 h	4 h	24 h	72 h	
PEG-[SN38] ₄ (SN38)	0.21 ± 0.10	0.04 ± 0.01	0.03 ± 0.01	0.08 ± 0.04	0.01 ± 0.01	< 0.01	
PEG-[SN22] ₄ (SN22)	0.33 ± 0.08	0.16 ± 0.01	0.18 ± 0.05	0.11 ± 0.03	0.06 ± 0.01	0.07 ± 0.02	