

[¹⁴C]montelukast distribution in rats after oral administration



- Single oral dose (10 mg/kg)
- Merck NDA 20829 (1997)
- Montelukast crosses the BBB**

Tissue	1 h		6 h		24 h	
	µg/g or µg/ml	% total	µg/g or µg/ml	% total	µg/g or µg/ml	% total
Small Intestine	85.9	48.5%	27.20	18.0%	1.68	13.2%
Stomach	29.53	16.7%	8.27	5.5%	1.14	9.0%
Liver	20.3	11.5%	6.65	4.4%	0.77	6.1%
Large Intestine	15.4	8.7%	24.90	16.5%	1.31	10.3%
Cecum	4.02	2.3%	67.70	44.9%	3.95	31.1%
Kidney	2.56	1.4%	1.95	1.3%	0.21	1.7%
Mesenteric LN	5.42	3.1%	4.00	2.7%	0.24	1.9%
Pancreas	4.18	2.4%	2.84	1.9%	0.19	1.5%
Fat	1.2	0.7%	0.86	0.6%	0.16	1.3%
Heart	1.44	0.8%	0.88	0.6%	1.08	8.5%
Adrenals	1.81	1.0%	0.54	0.4%	0.33	2.6%
Plasma	1.23	0.7%	0.49	0.3%	0.07	0.6%
Lung	1.62	0.9%	1.51	1.0%	0.39	3.1%
Bladder	0.49	0.3%	0.45	0.3%	0.1	0.8%
Skin	0.41	0.2%	0.30	0.2%	0.1	0.8%
Muscle	0.4	0.2%	0.34	0.2%	0.05	0.4%
Spleen	0.61	0.3%	0.52	0.3%	0.16	1.3%
Testes	0.38	0.2%	0.39	0.3%	0.05	0.4%
Red Blood Cells	0.19	0.1%	0.15	0.1%	0.06	0.5%
Brain	0.12	0.1%	0.84	0.6%	0.68	5.3%
Total	177.21	100.0%	150.78	100.0%	12.72	100.0%

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- Marschallinger et al. (2015) *Nat Commun* 6, 8466
- Young & aged **rats**
- 10 mg/kg/d montelukast (oral) for 7 d
- 1 h post last dose, montelukast measured in serum, brain & CSF via mass spectrometry
- **Montelukast crosses the BBB**

