



SUPPLEMENTARY ONLINE DATA

AR-C155858 is a potent inhibitor of monocarboxylate transporters MCT1 and MCT2 that binds to an intracellular site involving transmembrane helices 7–10

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Table S1 Primers used in PCR generation of chimaeric MCTs

MCT form	MCT fragment	Left-hand primer	Right-hand primer
MCT1/4	MCT1 (TM1–6)	TCTTGGAAATTCATCGACACCT	GGACAAGTCCAGGAATTTATTAAC
	MCT4 (TM7–12)	CTGGACTTGTCCGTCTCCGAGAC	GGACCTCTCCCTGCTCCCTGC
MCT4/1	MCT4 (TM1–6)	CCAAGGTGAAACAGCCCTCCTGG	GGACAAGTCCAGCAGGCGCGG
	MCT1 (TM7–12)	CTGGACTTGTCCCTGTTTAC	ACACAAATGTCCACTGTCT
MCT1/4TM11	MCT1 (N-terminus to TM10)	TCTTGGAAATTCATCGACACCT	CCCACAGCACTGGAGAAC
	MCT4 (TM11/12 and C-terminus)	CCCAGAGGTTCTCCAGTGC	GGACCTCTCCCTGCTCCCTGC
MCT4/1TM11	MCT4 (N-terminus to TM10)	CCAAGGTGAAACAGCCCTCCTGG	CCCACAGCACTGGAGAAC
	MCT1 (TM11/12 and C-terminus)	CCCAGAGGTTCTCCAGTGC	ACACAAATGTCCACTGTCT
MCT1trnc	MCT1 (N-terminus to TM12 end)	TCTTGGAAATTCATCGACACCT	AAGTCGATAATTGATGCC
MCT1/4c	MCT1 (TMs)	TCTTGGAAATTCATCGACACCT	GCAGCACAAGGGAAGAGGTAGAGCCT
	MCT4 (C-terminus)	AGGCCTCTACCTCTCCCTTGCTGCTGC	GGACCTCTCCCTGCTCCCTGC
	Site-directed mutagenesis	GGCCTCTACCTCTCCCTTGCTGCTGCTGC (sense strand primer)	CAGCAGCAGCACAAGGAAGAGGTAGAGGCC (antisense strand primer)
MCT4/1c	MCT4 (TMs)	CCAAGGTGAAACAGCCCTCCTGG	CCCATAACAATGAGGAGGTGAGCACCT
	MCT1 (C-terminus)	AGGTGCTCACCTCCTCATTGGTATGGG	ACACAAATGTCCACTGTCT
	Site-directed mutagenesis	CCTCCTCATTGGTATTGGGCATCAATTATCG (sense strand primer)	CGATAATTGATGCCCAATACCAATGAGGAGG (antisense strand primer)

Table S2 crRNA injection quantities and [¹⁴C]-substrate uptake times used for *Xenopus* oocyte transport studies

N/A, not applicable.

MCT form	AR-C155858 studies		Kinetic studies	
	crRNA injected (ng)	[¹⁴ C]-substrate uptake time for assay (min)	crRNA injected (ng)	[¹⁴ C]-substrate uptake time for assay (min)
MCT1	20	2.5	5 (for L-lactate) 2 (for pyruvate)	5 5
MCT4	20	2.5	5 (for L-lactate) 20 (for pyruvate)	5 10 (for 0.2, 0.5, 1, 2 and 5 mM) 2.5 (for 20, 50 and 75mM)
MCT1/4	20	20	20 (for L-lactate) 10 (for pyruvate) 10 (for 20, 50 and 75 mM)	20 (for 0.2, 0.5, 1, 2 and 5 mM) 5 (for 20, 50 and 75 mM) 5 (for 0.2, 0.5, 1, 2 and 5 mM)
MCT4/1	20	20	N/A	N/A

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