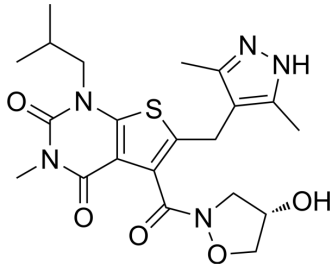
AR-C155858

Cat. No.:	HY-13248
CAS No.:	496791-37-8
Molecular Formula:	$C_{21}H_{27}N_5O_5S$
Molecular Weight:	461.53
Target:	Monocarboxylate Transporter
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 70 mg/mL (151.67 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.1667 mL	10.8335 mL	21.6671 mL
5 mM	0.4333 mL	2.1667 mL	4.3334 mL
10 mM	0.2167 mL	1.0834 mL	2.1667 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (5.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	AR-C155858 is a selective monocarboxylate transporter MCT1 and MCT2 inhibitor with K_is of 2.3 nM and 10 nM, respectively.
IC_{50} & Target	MCT1 MCT2

In Vitro

AR-C155858 (10 nM-100 nM) inhibits MCT1/MCT2 C-terminal chimaeras[1]. AR-C155858 inhibits MCT2, and the 70% inhibition seen at 10 nM is followed by a gradually increasing inhibition which can only be explained by a K_i value of significantly less than 10 nM. AR-C155858 inhibits MCT1 expressed in Xenopus oocytes in a time- and concentration-dependent manner[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	MCT kinetic assays are performed by monitoring intracellular pH with H^+-sensitive dye BCECF or by determining the uptake of l-[^{14}C]lactate (7.4 MBq/mL). The uptake buffer containes 75 mM NaCl, 2 mM KCl, 0.82 mM $MgCl_2$, 1 mM $CaCl_2$ and 20 mM Tris/Hepes (pH 7.4). AR-C155858 inhibitor titrations are performed at pH 6 with oocytes preincubated for 45 min in a different uptake buffer (75 mM NaCl, 2 mM KCl, 0.82 mM $MgCl_2$, 1 mM $CaCl_2$ and 20 mM Mes, pH 6) containing the required concentration of AR-C155858 prior to measuring the uptake of l-[^{14}C]lactate (0.5 mM). Unless stated otherwise, uptake is determined over 2.5 min for all MCT constructs except for MCT2trn with or without embigin and MCT2/1 with or without embigin, where 5 and 10 min are used respectively. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	The erythrocytes (5% haematocrit) are pre-incubated for 1 h at room temperature (22°C) with or without AR-C155858 at the required concentration before assaying transport of l-lactate (10 mM) at 6°C. Initial rates of transport are calculated by first-order regression analysis of the time course of pH change and converted into nmol of H^+/min by determining the pH change induced by small additions of standardized NaOH. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2023 Jan 3;35(1):200-211.e9.
- Cell Metab. 2019 Mar 5;29(3):668-680.e4.
- Nat Commun. 2020 May 15;11(1):2429.
- Sci Adv. 2022 Sep 16;8(37):eabo7639.
- Theranostics. 2020 Jul 9;10(18):8430-8445.

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REFERENCES

[1]. Ovens MJ, et al. The inhibition of monocarboxylate transporter 2 (MCT2) by AR-C155858 is modulated by the associated ancillary protein. Biochem J. 2010 Oct 15;431(2):217-25.

[2]. Ovens MJ, et al. AR-C155858 is a potent inhibitor of monocarboxylate transporters MCT1 and MCT2 that binds to an intracellular site involving transmembrane helices 7-10. Biochem J. 2010 Jan 15;425(3):523-30.

[3]. Vijay N, et al. A Novel Monocarboxylate Transporter Inhibitor as a Potential Treatment Strategy for γ-Hydroxybutyric Acid Overdose. Pharm Res. 2015 Jun;32(6):1894-906.

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