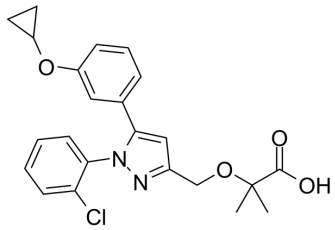
VB124

Cat. No.:	HY-139665
CAS No.:	2230186-18-0
Molecular Formula:	$C_{23}H_{23}ClN_2O_4$
Molecular Weight:	426.89
Target:	Monocarboxylate Transporter
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (234.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3425 mL	11.7126 mL	23.4252 mL
	5 mM	0.4685 mL	2.3425 mL	4.6850 mL
	10 mM	0.2343 mL	1.1713 mL	2.3425 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: 2.5 mg/mL (5.86 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.86 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VB124 is an orally active, potent, and selective MCT4 inhibitor. VB124 can specifically inhibit lactate efflux with IC_{50}s of 8.6 nM and 19 nM for lactate import and export in MDA-MB-231 cells, respectively. VB124 is highly selective for MCT4 over MCT1. VB124 can be used for the research of cardiac hypertrophy, heart failure, and metabolism[1].

IC_{50} & Target

IC50: 8.6 nM (lactate import in MDA-MB-231 cells expressing MCT4); 19 nM (lactate export in MDA-MB-231 cells expressing MCT4); 24 μM (lactate export in BT20 cells expressing MCT1)[1]

In Vitro	VB124 (10 μM) inhibits the cell proliferation of MDA-MB-231 cells, and the cell proliferation rate is less than 50%[1]. VB124 is highly selective for MCT4 over MCT1, showing very little MCT1 inhibitory activity (lactate export IC_{50}=24 μM) in MCT1-expressing BT20 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VB124 (30 mg/kg; p.o.; daily for 28 days) attenuates isoproterenol-induced cardiac hypertrophy in mice[1]. VB124 (30 mg/kg; twice per day for 180 days) has no effect on the body, heart, liver, or lung weight of mice, suggesting no overt toxicities[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	12 weeks old C57BL/6 mice[1]
Dosage:	30 mg/kg
Administration:	Oral gavage; daily for 28 days (dissolved in 0.5% methylcellulose and 0.1% Tween-20)
Result:	Prevented cardiac hypertrophy in mice.

REFERENCES

[1]. Cluntun AA, et.al. The pyruvate-lactate axis modulates cardiac hypertrophy and heart failure. Cell Metab. 2021 Mar 2;33(3):629-648.e10.

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