Product Data Sheet

VB124

Cat. No.: HY-139665 CAS No.: 2230186-18-0 Molecular Formula: $C_{23}H_{23}CIN_2O_4$ 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 μ M (lactate export in BT20 cells expressing MCT1) ^[1]

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In Vitro	VB124 is highly selectiv MCT1-expressing BT20	VB124 (10 μ M) 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 μ M) 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; twice overt toxicities ^[1] .	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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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