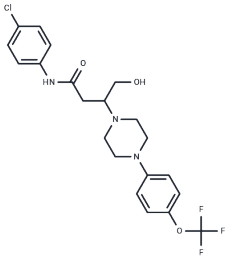
Data Sheet (Cat.No.T13287)

TargetMol

VBIT-4

Chemical Properties



CAS No. : 2086257-77-2

Formula: C21H23ClF3N3O3

Molecular Weight: 457.87

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	VBIT-4 is a voltage-dependent anion channel 1 (VDAC1) oligomerization inhibitor (Kd: 17 μM). VBIT-4 can be used for therapeutic purposes in apoptosis-associated disorders (such as neurodegenerative and cardiovascular diseases).
Targets(IC50)	VDAC
In vitro	VBIT-4 targets the mitochondrial protein VDAC1, inhibits apoptosis and defends against mitochondrial dysfunction. VBIT-4 (0.1-10 μM) inhibits VDAC1 oligomerization, Cyto c release from mitochondria and apoptosis (in HEK-293 cells , IC50s of 1.9±0.08 μM, 1.8±0.24 μM, and 2.9±0.12 μM, respectively).VBIT-4 offer a therapeutic strategy for treating different diseases associated with enhanced apoptosis and point to VDAC1 as a promising target for therapeutic intervention.
In vivo	**METHODS**: To test the effect on Alzheimer's disease, VBIT-4 (25 mg/kg) was injected intraperitoneally into APP/PS1 mice once daily for four weeks. **RESULTS**: VDAC1 was significantly up-regulated in APP/PS1 mice and decreased after VBIT-4 treatment; GPX4 expression was decreased in APP/PS1 mice and restored by VBIT-4 treatment; MWM test showed that APP/PS1 mice had a longer latency to find the plateau; VBIT-4 treatment significantly decreased the latency to find the plateau in APP/PS1 mice. VBIT-4 treatment significantly reduced the latency of platform finding in APP/PS1 mice. [3]

Solubility Information

Solubility	DMSO: 245 mg/mL (535.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	5% DMSO+40% PEG300+5% Tween 80+50% Saline: 2 mg/mL (4.37 mM) 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.5 mg/mL (9.83 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.184 mL	10.9201 mL	21.8403 mL
5 mM	0.4368 mL	2.184 mL	4.3681 mL
10 mM	0.2184 mL	1.092 mL	2.184 mL
50 mM	0.0437 mL	0.2184 mL	0.4368 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ben-Hail D, et al. Novel Compounds Targeting the Mitochondrial Protein VDAC1 Inhibit Apoptosis and Protect against Mitochondrial Dysfunction. J Biol Chem. 2016 Nov 25;291(48):24986-25003.
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He B, Yu H, Liu S, et al.Mitochondrial cristae architecture protects against mtDNA release and inflammation.Cell Reports.2022, 41(10): 111774.
Verma A, et al. Targeting the overexpressed mitochondrial protein VDAC1 in a mouse model of Alzheimer's disease protects against mitochondrial dysfunction and mitigates brain pathology. Transl Neurodegener. 2022 Dec 28;11 (1):58.
Zhou X, et al. Inhibition of VDAC1 Rescues Aβ1-42-Induced Mitochondrial Dysfunction and Ferroptosis via Activation of AMPK and Wnt/β-Catenin Pathways. Mediators Inflamm. 2023 Feb 10;2023:6739691.
Zhang Z, Zhou H, Gu W, et al.CGI1746 targets σ1R to modulate ferroptosis through mitochondria-associated membranes.Nature Chemical Biology.2024: 1-11.
Tong Z, Zou J P, Wang S Y, et al.Activation of the cGAS-STING-IRF3 Axis by Type I and II Interferons Contributes to Host Defense.Advanced Science.2024: 2308890.
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