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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Eliglustat hemitartrate

Cat. No.: HY-14885A

CAS No.: 928659-70-5

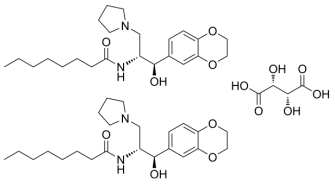
Molecular Formula: $C_{50}H_{78}N_4O_{14}$

Molecular Weight: 959.17

Target: Others

Pathway: Others

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (104.26 mM; Need ultrasonic)
H_2O : ≥ 50 mg/mL (52.13 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0426 mL	5.2128 mL	10.4257 mL
5 mM	0.2085 mL	1.0426 mL	2.0851 mL
10 mM	0.1043 mL	0.5213 mL	1.0426 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 150 mg/mL (156.39 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (2.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (2.87 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (2.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eliglustat hemitartrate is an specific, potent and orally active glucocerebroside synthase inhibitor with an IC_{50} of 24 nM.

IC_{50} & Target

IC50: 24 nM (glucocerebroside synthase)[1]

In Vitro

Eliglustat tartrate shows good potency with an IC_{50} of 24 nM and specificity against the target enzyme[1].Incubating K562 or

	B16/F10 cells for 72 h with increasing amounts of Genz-112638 (0.6-1000 nM) results in a dose-dependent reduction of cell surface levels of both GM1 and GM3. The mean IC_{50} value for inhibiting the cell surface presentation of GM1 in K562 cells was 24 nM (range 14-34 nM) and that for GM3 in B16/F10 cells was 29 nM (range 12-48 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mice that received drug prior to significant accumulation of substrate (10 weeks of age) showed reduced levels of glucosylceramide and number of Gaucher cells in the spleen, lung and liver when compared to age-matched control animals [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The inhibitory activity of Genz-112638 is determined indirectly by measuring its effect on the cell surface levels of the gangliosides GM1 and GM3 on either K562 or B16/F10 cells. GM1 levels on the K562 cells are determined by incubating the cells with increasing amounts of Genz-112638 (0.6-1000 nM) for 72 h after which the cells are harvested and stained using 10 µg of recombinant cholera toxin-FITC in 100 µL phosphate buffered saline (PBS) containing 0.5% bovine serum albumin (BSA) for 30 min on ice. Cells are ished, resuspended in PBS containing 0.5% BSA and the fluorescence quantitated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Eliglustat hemitartrate is dissolved in water for injection and administered in a dose escalation from 75 mg/kg/day to 150 mg/kg/day over the course of nine days, with three days at each dose and increments of 25 mg/kg/day. Mice are weighed three times per week to monitor the potential impact of the drug on their overall health. Animals are killed by carbon dioxide inhalation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Immunity. 2021 Jan 12;54(1):132-150.e9.
- Cancer Discov. 2022 Nov 4;CD-22-0535.
- Nat Commun. 2020 Aug 27;11(1):4279.
- EMBO J. 2022 Dec 12;e110553.
- Cell Death Dis. 2021 Oct 6;12(10):911.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. McEachern KA, et al. A specific and potent inhibitor of glucosylceramide synthase for substrate inhibition therapy ofGaucher disease. Mol Genet Metab. 2007 Jul;91(3):259-67.

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