GSK2798745

Cat. No.: HY-19765

CAS No.: 1419609-94-1

Molecular Formula: $C_{25}H_{28}N_6O_3$

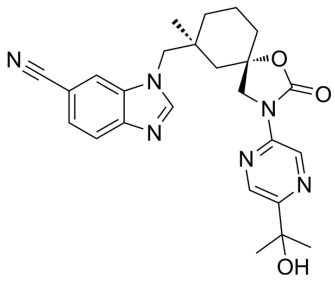
Molecular Weight: 460.53

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (542.85 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1714 mL	10.8571 mL	21.7141 mL
5 mM	0.4343 mL	2.1714 mL	4.3428 mL
10 mM	0.2171 mL	1.0857 mL	2.1714 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: ≥ 2.08 mg/mL (4.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK2798745 is a potent, selective, and orally active transient receptor potential vanilloid 4 (TRPV4) ion channel blocker with IC_{50}s of 1.8 and 1.6 nM for hTRPV4 and rTRPV4, respectively. GSK2798745 can be used in cardiac and respiratory diseases research[1][2][3].

IC_{50} & Target

IC50: 1.8 nM (hTRPV4) and 1.6 nM (rTRPV4)[2]

In Vitro

GSK2798745 inhibits TRPV4 agonist-induced impedance reduction in human umbilical vein endothelial cells (HUVECs)[3].

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

In Vivo

GSK2798745 inhibits TRPV4 agonist-mediated lung edema in rats in a dose-dependent manner[3].

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

Animal Model:	TRPV4-mediated lung edema in male Sprague-Dawley rats[3]
Dosage:	0, 16, 50, or 150 ng/kg
Administration:	Intravenous injection; 0, 16, 50, or 150 ng/kg per minute; 60 min
Result:	Inhibited the formation of pulmonary edema, with complete inhibition seen at the highest dose tested where the basal lung wet weight to body weight ratio was held to control levels (LW/BW=4.21).

CUSTOMER VALIDATION

- Nat Commun. 2023 Jun 23;14(1):3732.
- Ann Thorac Surg. 2021 May 4.
- Exp Eye Res. 2023 Feb 9;109405.
- bioRxiv. 2023 Mar 16.

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REFERENCES

[1]. Xiaoping Xu, et al. Identification of a Human Whole Blood-Based Endothelial Cell Impedance Assay for Assessing Clinical Transient Receptor Potential Vanilloid 4 Target Engagement Ex Vivo. J Pharmacol Exp Ther. 2021 Mar;376(3):436-443.

[2]. Goyal N, et al. Clinical Pharmacokinetics, Safety, and Tolerability of a Novel, First-in-Class TRPV4 Ion Channel Inhibitor, GSK2798745, in Healthy and Heart Failure Subjects. Am J Cardiovasc Drugs. 2019 Jun;19(3):335-342.

[3]. Brooks CA, et al. Discovery of GSK2798745: A Clinical Candidate for Inhibition of Transient Receptor Potential Vanilloid 4 (TRPV4). ACS Med Chem Lett. 2019 Jul 15;10(8):1228-1233.

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