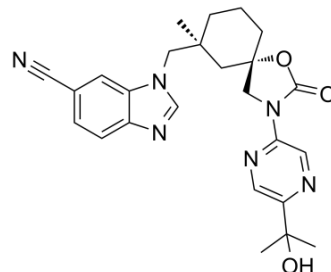


GSK2798745

Cat. No.:	HY-19765		
CAS No.:	1419609-94-1		
Molecular Formula:	C ₂₅ H ₂₈ N ₆ O 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)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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 first-in-class, highly potent, selective, 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 is used in research for the treatment of pulmonary edema associated with congestive heart failure ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : 1.8 nM (hTRPV4) and 1.6 nM (rTRPV4) ^[2]

REFERENCES

- [1]. 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.
- [2]. 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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Caution: Product has not been fully validated for medical applications. For research use only.

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