# Data Sheet (Cat.No.T15434)



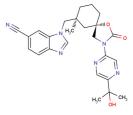
#### GSK2798745

## **Chemical Properties**

CAS No.: 1419609-94-1 Formula: C25H28N6O3

Molecular Weight: 460.53
Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



# **Biological Description**

| Description                | GSK2798745 is an orally active transient receptor potential vanilloid 4 (TRPV4) ion channel blocker (IC50s: 1.8 and 1.6 nM for hTRPV4 and rTRPV4). It is used in research for the treatment of pulmonary edema associated with congestive heart failure. |
|----------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Targets(IC <sub>50</sub> ) | hTRPV4: 1.8 nM<br>rTRPV4: 1.6 nM                                                                                                                                                                                                                         |

## **Solubility Information**

| Solubility | DMSO: 250 mg/mL (542.85 mM)                                     |
|------------|-----------------------------------------------------------------|
|            | (< 1 mg/ml refers to the product slightly soluble or insoluble) |

#### **Preparing Stock Solutions**

|       | 1mg      | 5mg       | 10mg      |
|-------|----------|-----------|-----------|
| 1 mM  | 2.171 mL | 10.857 mL | 21.714 mL |
| 5 mM  | 0.434 mL | 2.171 mL  | 4.343 mL  |
| 10 mM | 0.217 mL | 1.086 mL  | 2.171 mL  |
| 50 mM | 0.043 mL | 0.217 mL  | 0.434 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

#### Reference

- 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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