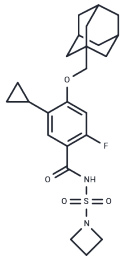
Data Sheet (Cat.No.T11377)

TargetMol

GDC-0276

Chemical Properties



CAS No. : 1494581-70-2

Formula: C24H31FN2O4S

Molecular Weight: 462.58

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	GDC-0276 is an orally active, selective, and potent NaV1.7 inhibitor that can be used for the study of pain-related diseases.
Targets(IC50)	Sodium Channel
In vitro	GDC-0276 is a potent, selective, reversible, orally active NaV1.7 inhibitor with an IC50 of 0.4 nM and a Ki of 1.1 nM. [1]
In vivo	In a mouse model of inherited erythromelalgia (IEM), GDC-0276 treatment showed a concentration-dependent reduction in nociceptive events with an EC50 of 1.7 μM. [1]

Solubility Information

Solubility	DMSO: 100 mg/mL (216.18 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (10.81 mM),Sonication is recommended. *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	2.1618 mL	10.8089 mL	21.6179 mL
5 mM	0.4324 mL	2.1618 mL	4.3236 mL
10 mM	0.2162 mL	1.0809 mL	2.1618 mL
50 mM	0.0432 mL	0.2162 mL	0.4324 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

Safina BS, et al. Discovery of Acyl-sulfonamide Nav1.7 Inhibitors GDC-0276 and GDC-0310. J Med Chem. 2021 Mar 25;64(6):2953-2966.

Rothenberg ME, et al. Safety, Tolerability, and Pharmacokinetics of GDC-0276, a Novel NaV1.7 Inhibitor, in a First-in-Human, Single- and Multiple-Dose Study in Healthy Volunteers. Clin Drug Investig. 2019 Sep;39(9):873-887. doi: 10.1007/s40261-019-00807-3. Erratum in: Clin Drug Investig. 2019 Sep;39(9):889-890.

Steven J. McKerrall, et al. Nav1.7 inhibitors for the treatment of chronic pain. Bioorganic & Medicinal Chemistry Letters (2018)

Takahashi RH, et al. Unequal Absorption of Radiolabeled and Nonradiolabeled Drug from the Oral Dose Leads to Incorrect Estimates of Drug Absorption and Circulating Metabolites in a Mass Balance Study. Drug Metab Lett. 2019;13(1):37-44.