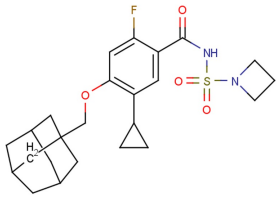
Data Sheet (Cat.No.T11377)

TargetMol
A DRUG SCREENING EXPERT

GDC-0276

Chemical Properties



CAS No.:	1494581-70-2
Formula:	C24H31FN2O4S
Molecular Weight:	462.58
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	GDC-0276 has the potential for the treatment of pain and to address shortcomings of existing pain medications, such as addiction and off-target side effects. GDC-0276 is a potent, selective, reversible and orally active NaV1.7 inhibitor with an IC50 value of 0.4 nM. GDC-0276 is well tolerated and exhibits a good pharmacokinetic profile.
Targets(IC_{50})	NaV1.7 Electrophysiology: 0.4 nM
In vivo	GDC-0276 is not detected in urine; however, metabolites in urine were enriched in 14C with observed specific activities of 19.6 μCi/mg.e.GDC-0276 (oral adminstration; 0.5-5 mg/kg) shows enrichment of 14C with observed specific activities of 22.6 μCi/mg.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.162 mL	10.809 mL	21.618 mL
5 mM	0.432 mL	2.162 mL	4.324 mL
10 mM	0.216 mL	1.081 mL	2.162 mL
50 mM	0.043 mL	0.216 mL	0.432 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 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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 i

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

3. 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.

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