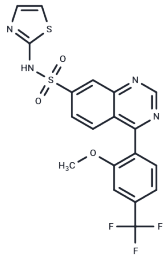
Data Sheet (Cat.No.T14201)

TargetMol

AM-2099

Chemical Properties



CAS No. : 1443373-17-8

Formula: C19H13F3N4O3S2

Molecular Weight: 466.46

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	AM-2099 is a selective voltage-gated sodium channel Nav1.7 inhibitor used in pain research.
Targets(IC50)	Sodium Channel
In vitro	AM-2099 is more than 100-fold selective over Nav1.3, Nav1.4, Nav1.5, and Nav1.8, while lower levels of selectivity are observed against Nav1.1, Nav1.2, and Nav1.6. AM-2099 demonstrates low affinity for hERG (>30 μM) and does not show greater than 50% inhibition against a panel of 100 kinases (1 μM) and a broad CEREP panel (10 μM). In heterologous cells, comparable inhibition is observed across human, mouse, dog, and cynomolgus monkey NaV1.7 with reduced activity against rat NaV1.7.[1]
In vivo	AM-2099 demonstrates a dose-dependent increase in plasma exposure with a concomitant dose-dependent reduction in scratching bouts compared to vehicle-treated animals, with a statistically significant reduction observed at the 60 mg/kg dose. AM-2099 demonstrates a favorable pharmacokinetic profile in rat and dog. In rats AM-2099 shows low total clearance and moderate Vdss and half-life. However, when dosed in dogs AM-2099 shows very low clearance, a low Vdss and long halflife (18 h).[1]

Solubility Information

Solubility	DMSO: 120 mg/mL (257.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (7.07 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	2.1438 mL	10.719 mL	21.4381 mL
5 mM	0.4288 mL	2.1438 mL	4.2876 mL
10 mM	0.2144 mL	1.0719 mL	2.1438 mL
50 mM	0.0429 mL	0.2144 mL	0.4288 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

Marx IE, et al. Sulfonamides as Selective NaV1.7 Inhibitors: Optimizing Potency and Pharmacokinetics to Enable in Vivo Target Engagement. ACS Med Chem Lett. 2016 Sep 21;7(12):1062-1067.