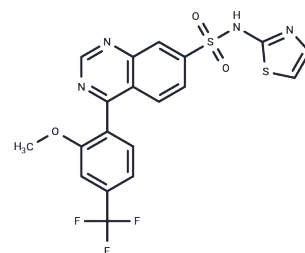


AM-2099

Chemical Properties

CAS No. : 1443373-17-8
Formula: C₁₉H₁₃F₃N₄O₃S₂
Molecular Weight: 466.46
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	AM-2099 is a voltage-gated sodium channel Nav1.7 inhibitor (IC ₅₀ : 0.16 μM).
Targets(IC ₅₀)	Others
In vitro	AM-2099 exhibits over 100-fold selectivity for the Nav1.7 channel compared to Nav1.3, Nav1.4, Nav1.5, and Nav1.8, with diminished selectivity observed for Nav1.1, Nav1.2, and Nav1.6. Its affinity for the hERG channel is low (>30 μM), and it lacks significant inhibition (>50%) against both a 100-kinase panel (1 μM) and a broad CEREP panel (10 μM)[1]. In heterologous cells, AM-2099 consistently inhibits NaV1.7 in human, mouse, dog, and cynomolgus monkey, showing decreased efficacy against rat NaV1.7.
In vivo	AM-2099 exhibits a dose-dependent escalation in plasma exposure accompanied by a simultaneous dose-dependent decrease in scratching bouts in comparison to vehicle-treated animals, notably achieving statistical significance at the 60 mg/kg dosage[1]. It also presents a favorable pharmacokinetic profile in both rats and dogs. In rats, AM-2099 is characterized by low total clearance, moderate volume of distribution at steady state (V _{dss}), and half-life. Conversely, in dogs, it features very low clearance, a low V _{dss} , and an extended half-life (18 h).

Solubility Information

Solubility	DMSO: 150 mg/mL (321.57 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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