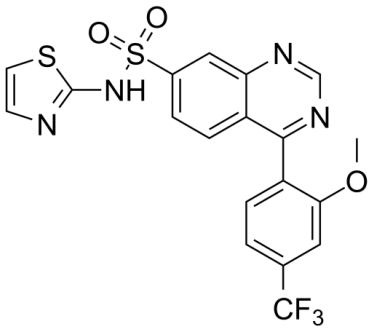
Data Sheet

Product Name:	AM-2099
Cat. No.:	CS-6894
CAS No.:	1443373-17-8
Molecular Formula:	C19H13F3N4O3S2
Molecular Weight:	466.46
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	DMSO : ≥ 150 mg/mL (321.57 mM)



BIOLOGICAL ACTIVITY:

AM-2099 is a potent and selective inhibitor of voltage-gated sodium channel **Nav1.7** with an **IC_{50}** of 0.16 μM for human Nav1.7. IC50 & Target: IC50: 0.16 μM (human Nav1.7), 0.18 μM (mouse Nav1.7), 3.5 μM (rat Nav1.7) [1] **In Vitro:** In heterologous cells, comparable inhibition is observed across human, mouse, dog, and cynomolgus monkey NaV1.7 with reduced activity against rat NaV1.7. 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). [1]. **In Vivo:** 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. In contrast, when dosed in dogs AM-2099 shows very low clearance, a low Vdss and long halflife (18 h). 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[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: AM-2099 is prepared in in 100% DMSO, 30% HPBCD/70% water/KOH at pH=10.[1]Mouse: AM-2099 (5, 20, 60 mg/kg) is dosed orally to C57BL/6 male mice 120 minutes prior to intradermal administration of histamine. Instances of scratching behavior are then measured over a 30-minute time period[1].

References:

[1]. 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.

CAIndexNames:

7-Quinazolinesulfonamide, 4-[2-methoxy-4-(trifluoromethyl)phenyl]-N-2-thiazolyl-

SMILES:

COC1=CC(C(F)(F)F)=CC=C1C2=NC=NC3=CC(S(=O)(NC4=NC=CS4)=O)=CC=C32

Caution: Product has not been fully validated for medical applications. For research use only.

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