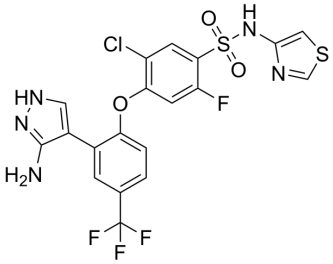
PF-05198007

Cat. No.:	HY-12883A
CAS No.:	1235406-19-5
Molecular Formula:	$C_{19}H_{12}ClF_4N_5O_3S_2$
Molecular Weight:	533.91
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (280.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8730 mL	9.3649 mL	18.7297 mL
	5 mM	0.3746 mL	1.8730 mL	3.7459 mL
	10 mM	0.1873 mL	0.9365 mL	1.8730 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.75 mg/mL (7.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.75 mg/mL (7.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-05198007 is a potent, orally active and selective arylsulfonamide $Na_V1.7$ inhibitor. PF-05198007 is a compound with a similar pharmacodynamic profile to PF-05089771[1][2].

IC_{50} & Target

Nav1.7

In Vitro

PF-05198007 (30 nM) blocks on average 83.0 ± 2.7% of the total TTX-S current indicating that the major TTX-S conductance is carried through $Na_V1.7$ channels in small-diameter mouse DRG neurons (n = 35)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF-05198007 (1 or 10 mg/kg, orally) reduces the capsaicin flare response in WT, but not $Na_V1.7Na_V^{1.8Cre}$ mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult Male C57Bl/6J Wild type (WT) and $Na_V1.7Na_V^{1.8Cre}$ mice[1].
Dosage:	1 or 10 mg/kg.
Administration:	Orally once.
Result:	Reduced the flare response to capsaicin for the duration of the observation period (55 mins; Vehicle; 4930 ± 751 versus 1 and 10 mg/kg 1967 ± 472 and 2265 ± 382, respectively (n = 7), AUC, $p < 0.05$).

REFERENCES

[1]. Alexandrou AJ, et al. Subtype-Selective Small Molecule Inhibitors Reveal a Fundamental Role for Nav1.7 in Nociceptor Electrogenesis, Axonal Conduction and Presynaptic Release. PLoS One. 2016 Apr 6;11(4):e0152405.

[2]. Kushnarev M, et al. Neuropathic pain: preclinical and early clinical progress with voltage-gated sodium channel blockers. Expert Opin Investig Drugs. 2020 Mar;29(3):259-271.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA