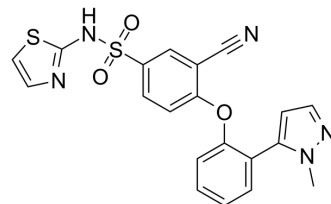


PF-04856264

Cat. No.:	HY-12811		
CAS No.:	1235397-05-3		
Molecular Formula:	C ₂₀ H ₁₅ N ₅ O ₃ S ₂		
Molecular Weight:	437.49		
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 : 250 mg/mL (571.44 mM; ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.2858 mL	11.4288 mL	22.8577 mL
5 mM	0.4572 mL	2.2858 mL	4.5715 mL
10 mM	0.2286 mL	1.1429 mL	2.2858 mL

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

BIOLOGICAL ACTIVITY

Description

PF-04856264 is a potent and selective Nav1.7 inhibitor, with IC₅₀s of 28, 131, 19, and 42 nM for human, mouse, cynomolgus monkey and dog Nav1.7, respectively. PF-04856264 has low potency against the rat Nav1.7 channel. PF-04856264 shows analgesic effect^{[1][2]}.

IC₅₀ & Target

IC₅₀: 28 (human Nav1.7), 131 nM (mouse Nav1.7), 19 nM (cynomolgus monkey Nav1.7), 42 nM (dog Nav1.7)^[1]

In Vivo

PF-04856264 (3-30 mg/kg; i.p.) reverses OD1-induced pain behaviors^[2].

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

Animal Model:	6-8 weeks adult male C57BL/6J mice (OD1-induced spontaneous pain model) ^[2]
Dosage:	3, 30 mg/kg
Administration:	i.p.

Result:	Significantly reduced spontaneous pain behaviors in mice.
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REFERENCES

[1]. McCormack K, et al. Voltage sensor interaction site for selective small molecule inhibitors of voltage-gated sodium channels. Proc Natl Acad Sci U S A. 2013;110(29):E2724-E2732.

[2]. Deuis JR, et al. Analgesic Effects of GpTx-1, PF-04856264 and CNV1014802 in a Mouse Model of Nav1.7-Mediated Pain. Toxins (Basel). 2016;8(3):78. Published 2016 Mar 17.

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

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