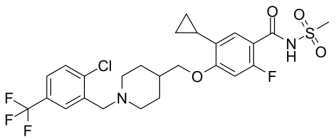
GX-201

Cat. No.:	HY-131870
CAS No.:	1788071-27-1
Molecular Formula:	$C_{25}H_{27}ClF_4N_2O_4S$
Molecular Weight:	563
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (111.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7762 mL	8.8810 mL	17.7620 mL
	5 mM	0.3552 mL	1.7762 mL	3.5524 mL
	10 mM	0.1776 mL	0.8881 mL	1.7762 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: ≥ 2.08 mg/mL (3.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GX-201 is a selective $Na_V1.7$ inhibitor, with an IC_{50} of <3.2 nM for $hNa_V1.7$[1].

In Vivo

GX-201 has a relatively long half-life in mice[1].
GX-201 produces analgesia at a free plasma concentration about 3 times the IC_{50} for high-affinity channel block[1].
GX-201 inhibits nociceptive responses induced by formalin and inflammatory pain caused by complete Freund's adjuvant (CFA)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wild-Type Mice[1].
Dosage:	0.3, 1, 3 mg/kg.
Administration:	Orally, once.

Result:	Produced a dose-dependent inhibition of the nociceptive events.

REFERENCES

[1]. Girish Bankar, et al. Selective Na V 1.7 Antagonists with Long Residence Time Show Improved Efficacy against Inflammatory and Neuropathic Pain. Cell Rep. 2018 Sep 18;24(12):3133-3145.

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