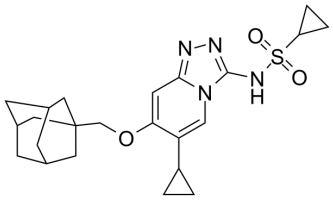
GNE-131

Cat. No.:	HY-112279
CAS No.:	1629063-81-5
Molecular Formula:	$C_{23}H_{30}N_4O_3S$
Molecular Weight:	442.57
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 : 125 mg/mL (282.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2595 mL	11.2976 mL	22.5953 mL
	5 mM	0.4519 mL	2.2595 mL	4.5191 mL
	10 mM	0.2260 mL	1.1298 mL	2.2595 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 (4.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNE-131 is a potent and selective inhibitor of human sodium channel NaV1.7, with an IC_{50} of 3 nM.

IC_{50} & Target

$Na_V1.7$
3 nM (IC_{50})

In Vitro

GNE-131 (Compound 13) shows moderate clearance in human liver microsomes and excellent functional activity against human NaV1.7 with an IC_{50} of 0.003±0.001 μM. GNE-131 shows excellent potency, good in vitro metabolic stability[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GNE-131 shows low in vivo clearance in mouse, rat, and dog. GNE-131 also displays excellent efficacy in a transgenic mouse model of induced pain[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Focken T, et al. Design of Conformationally Constrained Acyl Sulfonamide Isosteres: Identification of N-([1,2,4]Triazolo[4,3- a]pyridin-3-yl)methane-sulfonamides as Potent and Selective hNaV1.7 Inhibitors for the Treatment of Pain. J Med Chem. 2018 Jun 14;61(11):4810-4831.

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