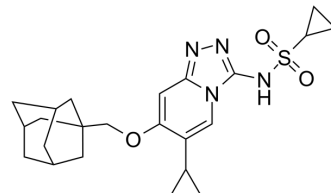


GNE-131

Cat. No.:	HY-112279		
CAS No.:	1629063-81-5		
Molecular Formula:	C ₂₃ H ₃₀ N ₄ O ₃ S		
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	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (282.44 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀ of 3 nM.

IC₅₀ & Target

Na_v1.7
3 nM (IC₅₀)

In Vitro

GNE-131 (Compound 13) shows moderate clearance in human liver microsomes and excellent functional activity against human NaV1.7 with an IC₅₀ 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

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

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