Relutrigine

Cat. No.: HY-148792

CAS No.: 2392951-29-8

Molecular Formula: $C_{15}H_{11}F_6N_5O_2$

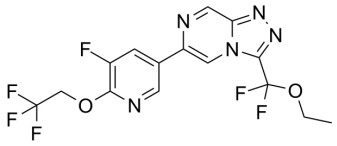
Molecular Weight: 407.27

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 : 100 mg/mL (245.54 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4554 mL	12.2769 mL	24.5537 mL
5 mM	0.4911 mL	2.4554 mL	4.9107 mL
10 mM	0.2455 mL	1.2277 mL	2.4554 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: 2.5 mg/mL (6.14 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.14 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Relutrigine (PRAX-562) is an orally active inhibitor of persistent sodium channel. Relutrigine potently and preferentially inhibits persistent I_{Na} induced by ATX-II (Nav 1.5 activator) or the SCN8A mutation N1768D with IC_{50} values of 141 nM and 75 nM, respectively. Relutrigine exhibits potent use-dependent block and reduces neuronal intrinsic excitability. Relutrigine has effective anticonvulsant activity[1].

In Vitro

Relutrigine (0.001-10000 μM) has a stronger inhibitory effect on hNaV1.6 sustained sodium channel (I_{Na}) when compared with targeted antiepileptic drugs Carbamazepine (HY-B0246) and Lamotrigine (HY-B0495). Relutrigine shows preference for persistent I_{Na}. Relutrigine (0.3 μM) significantly reduces the intrinsic excitability of wild-type CA1 pyramidal neurons[1].

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

In Vivo

Relutrigine (0.3-40 mg/kg; po; single dose) exhibits protection in maximal electroshock seizure (MES) induced tonic hindlimb seizures, and reduces movement distance with dose-dependent manner in male CD-1 mice model[1].

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

Animal Model:	Male CD-1 mice (~35 g; MES)[1].
Dosage:	0.3, 1, 3, 10, 20 and 40 mg/kg.
Administration:	Oral gavage; single dose.
Result:	Showed full anticonvulsant efficacy without affecting locomotor activity.

REFERENCES

[1]. Kahlig KM, et al. The novel persistent sodium current inhibitor PRAX-562 has potent anticonvulsant activity with improved protective index relative to standard of care sodium channel blockers. Epilepsia. 2022 Mar;63(3):697-708.

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