Mirogabalin besylate

Cat. No.: HY-108006

CAS No.: 1138245-21-2

Molecular Formula: $C_{18}H_{25}NO_5S$

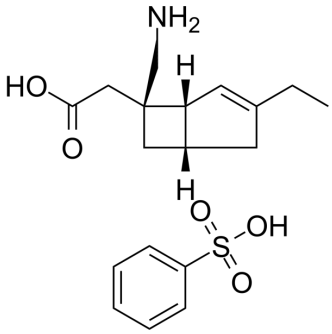
Molecular Weight: 367

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (272.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7248 mL	13.6240 mL	27.2480 mL
	5 mM	0.5450 mL	2.7248 mL	5.4496 mL
	10 mM	0.2725 mL	1.3624 mL	2.7248 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: ≥ 2.58 mg/mL (7.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.58 mg/mL (7.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.58 mg/mL (7.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mirogabalin besylate is a selective and orally available ligand for the α2δ subunit of voltage-gated calcium channels, with K_d s of 13.5 nM, 22.7 nM, 27 nM, and 47.6 nM for human α2δ-1, human α2δ-2, rat α2δ-1, and rat α2δ-2, respectively.

IC_{50} & Target

Kd: 13.5 nM (Human α2δ-1), 22.7 nM (Human α2δ-2), 27 nM (Rat α2δ-1), 47.6 nM (Rat α2δ-2)[1]

In Vitro

Mirogabalin besylate is a ligand for the α2δ subunit of voltage-gated calcium channels, with K_ds of 13.5 nM, 22.7 nM, 27 nM, and 47.6 nM for human α2δ-1, human α2δ-2, rat α2δ-1, and rat α2δ-2, respectively. Mirogabalin shows binding affinity for the gabapentin binding site in rat cortical brain homogenates with the IC_{50} value of 16.0 nM. Mirogabalin has no effect on any other receptors, channels, transporters, or enzymes at 50 μM[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mirogabalin besylate (3 and 10 mg/kg) markedly increases AUC0-8 hours values in a dose-dependent manner in partial sciatic nerve ligation model rats. Mirogabalin (2.5, 5, and 10 mg/kg) causes significant and dose-dependent increase in $AUC_{0\text{-}12}$ hours values and enhances analgesic effects, with estimated ED_{50} of 4.4, 3.1, and <2.5 mg/kg on day 1, day 3, and day 5, respectively. Moreover, Mirogabalin besylate shows no obvious effect on rota-rod performance and locomotor activity at 3 and 10 mg/kg via oral administration, exhibits significant inhibition on rota-rod performance at 10, 30, and 100 mg/kg, and decreases locomotor activity at 30 and 100 mg/kg in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1]Eighty male rats are divided into groups of eight. After oral administration of Mirogabalin besylate (1, 3, 10, 30, and 100 mg/kg) or vehicle (control), locomotor activity is measured for 1 hour using the SUPERMEX system. Based on the time of peak effects of the test compounds (Mirogabalin besylate, etc.) in the rota-rod test, the pretreatment time is set at 6 hours for mirogabalin besylate and at 4 hours for pregabalin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Commun Signal. 2024 Feb 1;22(1):92.

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REFERENCES

[1]. Domon Y, et al. Binding Characteristics and Analgesic Effects of Mirogabalin, a Novel Ligand for the α2δ Subunit of Voltage-Gated Calcium Channels. J Pharmacol Exp Ther. 2018 Jun;365(3):573-582.

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