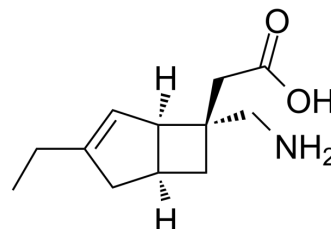


Mirogabalin

Cat. No.:	HY-12650		
CAS No.:	1138245-13-2		
Molecular Formula:	C ₁₂ H ₁₉ NO ₂		
Molecular Weight:	209.28		
Target:	Calcium Channel		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

H₂O : 7.71 mg/mL (36.84 mM; Need ultrasonic)
 DMSO : < 1 mg/mL (insoluble or slightly soluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	4.7783 mL	23.8914 mL	47.7829 mL
	5 mM	0.9557 mL	4.7783 mL	9.5566 mL
	10 mM	0.4778 mL	2.3891 mL	4.7783 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 10 mg/mL (47.78 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 0.83 mg/mL (3.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mirogabalin (DS-5565) is a novel, preferentially selective α_{2δ}-1 ligand characterized by high potency and selectivity to the α_{2δ}-1 subunit of voltage-sensitive calcium channel complexes in the CNS.

IC₅₀ & Target

α_{2δ}-1 Calcium Channel^[1]

In Vitro

Mirogabalin (DS-5565) is a novel, preferentially selective $\alpha 2\delta$ -1 ligand characterized by high potency and selectivity to the $\alpha 2\delta$ -1 subunit of voltage-sensitive calcium-channel complexes in the central nervous system (CNS). In vitro experiments using membrane preparations from human and rat $\alpha 2\delta$ subunit-expressed cells show that Mirogabalin had a slower dissociation rate from $\alpha 2\delta$ -1 than $\alpha 2\delta$ -2, in particular, $\alpha 2\delta$ -1 compared with Pregabalin. Additionally, Mirogabalin shows potent, sustained analgesic effects in streptozotocin-induced diabetic rats with induces pain, and the superior analgesic effects and wider CNS safety margin relative to Pregabalin are attributed to its selectivity for and slow dissociation from $\alpha 2\delta$ -1 compared with Pregabalin^[1]. Mirogabalin (DS-5565) is an $\alpha 2\delta$ -1 ligand being developed for pain associated with diabetic peripheral neuropathy, fibromyalgia, and postherpetic neuralgia. Mirogabalin targets $\alpha 2\delta$ -1, an auxiliary protein associated with voltage-sensitive calcium channel complexes in the central nervous system. This binding reduces calcium influx at nerve terminals, therefore reducing the release of several pain neurotransmitters. The ED₅₀ (on the transformed scale) for Mirogabalin is estimated to be 20.5 mg with a 90% confidence interval (CI) of 10.1-41.7 mg^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Additionally, Mirogabalin shows potent, sustained analgesic effects in streptozotocin-induced diabetic rats with induced pain, and the superior analgesic effects and wider central nervous system (CNS) safety margin relative to Pregabalin are attributed to its selectivity for and slow dissociation from $\alpha 2\delta$ -1 compared with Pregabalin^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Brain Behav Immun. 2021 Jul;95:344-361.
- Pharmaceuticals. 2023 Jul 19, 16(7), 1023.
- Pharmaceuticals. 2022, 15(1), 88.

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REFERENCES

[1]. Vinik A, et al. Efficacy and safety of Mirogabalin (DS-5565) for the treatment of diabetic peripheral neuropathic pain: a randomized, double-blind, placebo- and active comparator-controlled, adaptive proof-of-concept phase 2 study. Diabetes Care. 2014 Dec

[2]. Hutmacher MM, et al. Exposure-response modeling of average daily pain score, and dizziness and somnolence, for Mirogabalin (DS-5565) in patients with diabetic peripheral neuropathic pain. J Clin Pharmacol. 2016 Jan;56(1):67-77.

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

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