

Product Data Sheet

Product Name: Mirogabalin (DS5565)

Cat. No.: GC30873

Chemical Properties

Cas. No. 1138245-13-2

SMILES O=C(O)C[C@]1(CN)[C@]2([H])C=C(CC)C[C@]2([H])C1

Formula $C_{12}H_{19}NO_2$ M.Wt 209.28

Solubility Methanol : 16 mg/mL (76.45 mM); Water : 7.71 mg/mL (36.84 mM); DMSO : < 1 mg/mL (insoluble or slightly soluble) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

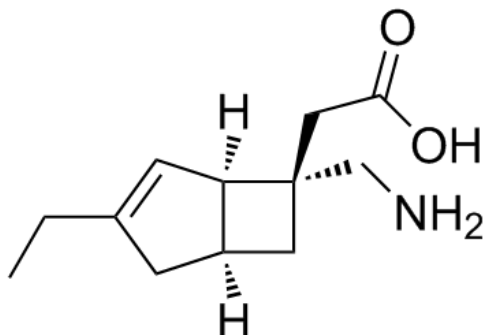
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

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 CNS.

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

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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].

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].

[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.

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