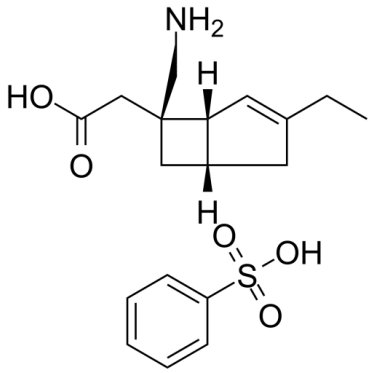
Data Sheet

Product Name:	Mirogabalin besylate
Cat. No.:	CS-0027136
CAS No.:	1138245-21-2
Molecular Formula:	C18H25NO5S
Molecular Weight:	367.46
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 125 mg/mL (340.17 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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_{0-12} 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

Bicyclo[3.2.0]hept-3-ene-6-acetic acid, 6-(aminomethyl)-3-ethyl-, (1R,5S,6S)-, benzenesulfonate (1:1)

SMILES:

O=S(C1=CC=CC=C1)(O)=O.OC(C[C@@]2([C@@]3([H])[C@@](CC(CC)=C3)([H])C2)CN)=O

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

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