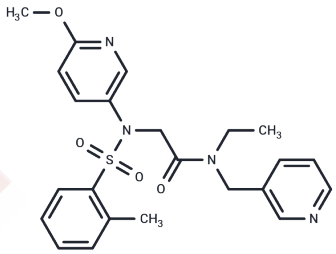
Data Sheet (Cat.No.T11186)

TargetMol

EMPA

Chemical Properties



CAS No. : 680590-49-2

Formula: C23H26N4O4S

Molecular Weight: 454.54

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	EMPA is a selective, high-affinity and reversible antagonist of orexin OX2 receptor (human and rat OX2-HEK293 membranes with KD values of 1.1 and 1.4 nM respectively)
Targets(IC50)	OX Receptor
In vitro	EMPA displaces the EMPA binding from cell membranes containing human and rat OX2 receptors, with Ki values of 1.10±0.24 nM and 1.45±0.13 nM, respectively. EMPA shows an IC50=5.75 μM, Ki=2.63 μM, and IC50=12.8 μM, Ki=5.8 μM in the binding assay at human and mouse V1a receptors, respectively. In CHO(dHFr-) cells stably expressing hOX2 receptors, EMPA inhibits orexin-A-or orexin-B-evoked [Ca2+]i response with IC50s of 8.8±1.7 nM and 7.9±1.7 nM, respectively.EMPA competitively antagonizes orexin-A- and orexin-B-evoked accumulation of inositol phosphates (IP) at hOX2 receptors with pA2 values of 8.6 and 8.8 respectively.
In vivo	EMPA (3-30 mg/kg;i.p.) induces a significant and dose-dependent reduction in the baseline LMA in france and male Wistar rats.EMPA (3-30 mg/kg;i.p.) demonstrates a clear dose-dependent inhibition of spontaneous activity as compared with vehicle-treated animals.EMPA (1-300 mg/kg; i.p.) dose-dependently reverses this [Ala11,D-Leu15]orexin-B-induced hyperlocomotion without itself significantly affecting locomotor activity (LMA) in male NMRI mice.

Solubility Information

Solubility	DMSO: 230 mg/mL (506 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.200 mL	11.0001 mL	22.0003 mL
5 mM	0.440 mL	2.200 mL	4.4001 mL
10 mM	0.220 mL	1.100 mL	2.200 mL
50 mM	0.044 mL	0.220 mL	0.440 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

P Malherbe, et al. Biochemical and behavioural characterization of EMPA, a novel high-affinity, selective antagonist for the OX

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