EMPA

Cat. No.: HY-108682

CAS No.: 680590-49-2

Molecular Formula: $C_{23}H_{26}N_4O_4S$

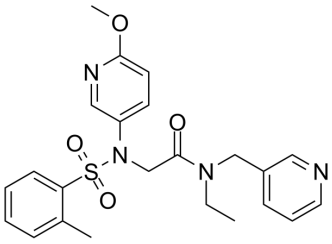
Molecular Weight: 454.54

Target: Orexin Receptor (OX Receptor)

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (110.00 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2000 mL	11.0001 mL	22.0003 mL
	5 mM	0.4400 mL	2.2000 mL	4.4001 mL
	10 mM	0.2200 mL	1.1000 mL	2.2000 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: ≥ 2.5 mg/mL (5.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EMPA is a high-affinity, reversible and selective orexin OX_2 receptor antagonist. [^{3}H]EMPA binds to human and rat OX_2-HEK293 membranes with K_D values of 1.1 and 1.4 nM respectively[1].

IC_{50} & Target

OX_2 Receptor

In Vitro

EMPA competitively antagonizes orexin-A-and orexin-B-evoked accumulation of [^{3}H]inositol phosphates (IP) at hOX_2 receptors with pA_2 values of 8.6 and 8.8 respectively[1].
EMPA displaces the [^{3}H]EMPA binding from cell membranes containing human and rat OX_2 receptors, with K_i values of

1.10±0.24 nM and 1.45±0.13 nM, respectively[1].
EMPA shows an IC_{50}=5.75 μM, K_i=2.63 μM, and IC_{50}=12.8 μM, K_i=5.8 μM in the binding assay at human and mouse V_{1a} receptors, respectively[1].
In CHO(dHFr$^-$) cells stably expressing hOX_2 receptors, EMPA inhibits orexin-A-or orexin-B-evoked $[Ca^{2+}]_i$ response with IC_{50}s of 8.8±1.7 nM and 7.9±1.7 nM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

EMPA (1-300 mg/kg; i.p.) dose-dependently reverses this [Ala^{11},D-Leu^{15}]orexin-B-induced hyperlocomotion without itself significantly affecting locomotor activity (LMA) in male NMRI mice[1].
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[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male NMRI mice (20-30 g)[1]
Dosage:	1, 3, 10, 30, 100, 300 mg/kg
Administration:	Injected i.p. at a volume of 10 mL/kg
Result:	Dose-dependently reversed this [Ala^{11},D-Leu^{15}]orexin-B-induced hyperlocomotion without itself significantly affecting LMA.

Animal Model:	France and Male Wistar rats (196-237 g)[1]
Dosage:	3, 10, 30 mg/kg
Administration:	Injected i.p. at a volume of 5 mL/kg
Result:	Induced a significant and dose-dependent reduction in the baseline LMA. Demonstrated a clear dose-dependent inhibition of spontaneous activity as compared with vehicle-treated animals.

CUSTOMER VALIDATION

- Cardiovasc Res. 02 November 2020.
- Cardiovasc Res. 2021 Dec 17;117(14):2794-2806.
- Diabetol Metab Syndr. 2022 Aug 23;14(1):121.
- Biogerontology. 2023 May 25.
- Research Square Preprint. 2021 Sep.

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REFERENCES

[1]. P Malherbe, et al. Biochemical and behavioural characterization of EMPA, a novel high-affinity, selective antagonist for the OX_2 receptor. Br J Pharmacol. 2009 Apr; 156(8): 1326-1341.

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

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