Ondansetron

Cat. No.: HY-B0002B

CAS No.: 99614-02-5

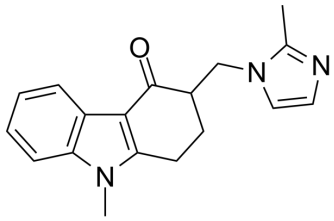
Molecular Formula: $C_{18}H_{19}N_3O$

Molecular Weight: 293.36

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (34.09 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4088 mL	17.0439 mL	34.0878 mL
5 mM	0.6818 mL	3.4088 mL	6.8176 mL
10 mM	0.3409 mL	1.7044 mL	3.4088 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: ≥ 1 mg/mL (3.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (3.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (3.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ondansetron (GR 38032; SN 307) is a highly selective 5-HT_3 receptor antagonist, with IC_{50} value of 103 pM. Ondansetron exerts antiemetic effects by antagonizing 5-HT receptor located on local neurons in the peripheral and central nervous system. Ondansetron suppresses nausea and vomiting caused by chemotherapy and radiation therapy. Ondansetron has orally bioactivity[1][2][3][4][5][6][7][8].

IC_{50} & Target

5-HT_3 Receptor

In Vivo

Ondansetron (GR 38032; SN 307) (2.4-6 mg/kg; i.p.; six times in 15 D) has TD_{50} with the value of 3.7±0.6 mg/kg, and LD_{50} of

4.6±0.5 mg/kg in mice[4].
Ondansetron (8 mg; i.p.; 1 time) with Olanzapine (HY-14541) has better effectiveness in preventing CINV in NSCLC patients, particularly for the delayed type[7].
Ondansetron (2 mg/kg; i.p.; six consecutive days) exhibits anti-inflammatory effect through 5-HT_3 receptor [8].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSCLC Patients Treated With Chemotherapy[7]
Dosage:	8 mg
Administration:	Intraperitoneal Injection (i.p.)
Result:	Showed TD_{50} and LD_{50} doses with 3.7±0.6 mg/kg and 4.6±0.5 mg/kg, respectively.

Animal Model:	Male Swiss Mice with Colitis[8]
Dosage:	2 mg/kg
Administration:	Intraperitoneal Injection (i.p.)
Result:	Showed dramatic reduction in MPO activity and tumor necrosis factor-alpha, interleukin-6 and interleukin-1 beta.

CUSTOMER VALIDATION

- Int J Pharm. 2015 Dec 30;496(1):33-41.
- Prog Neuropsychopharmacol Biol Psychiatry. 2022 Nov 30;110689.
- Eur J Pharm Sci. 2023 May 22;106475.
- Journal of Radiation Research and Applied Sciences. 2023 Dec, 16(4), 100682.
- SSRN. 2023 Srep 5.

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REFERENCES

[1]. Xin Wang, et al. Effectiveness of Olanzapine Combined with Ondansetron in Prevention of Chemotherapy-Induced Nausea and Vomiting of Non-small Cell Lung Cancer. Cell Biochem Biophys. 2015 Jun;72(2):471-3.

[2]. Azadeh Motavallian-Naeini, et al. Anti-inflammatory effect of ondansetron through 5-HT3 receptors on TNBS-induced colitis in rat. EXCLI J2012 Feb 22:11:30-44. eCollection 2012.

[3]. Brown AM, et al. Ion permeation and conduction in a human recombinant 5-HT3 receptor subunit (h5-HT3A). J Physiol. 1998 Mar 15;507 (Pt 3):653-65.

[4]. Barann M, et al. Recombinant human 5-HT3A receptors in outside-out patches of HEK 293 cells: basic properties and barbiturate effects. Naunyn Schmiedebergs Arch Pharmacol. 2000 Sep;362(3):255-65.

[5]. Wildeboer KM, et al. Ondansetron results in improved auditory gating in DBA/2 mice through a cholinergic mechanism. Brain Res. 2009 Dec 1;1300:41-50.

[6]. Khedhaier A, et al. Circadian rhythms in toxic effects of the serotonin antagonist ondansetron in mice. Chronobiol Int. 2003 Nov;20(6):1103-16.

[7]. Umathe SN, et al. The 5-HT3 receptor antagonist, ondansetron, blocks the development and expression of ethanol-induced locomotor sensitization in mice. Behav Pharmacol. 2009 Feb;20(1):78-83.

[8]. Doggrell SA, et al. Cardiac safety concerns for ondansetron, an antiemetic commonly used for nausea linked to cancer treatment and following anaesthesia. Expert Opin Drug Saf. 2013 May;12(3):421-31.

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