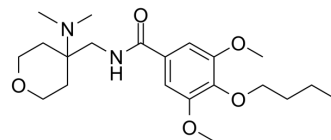


Opiranserin

| | |
|---------------------------|---|
| Cat. No.: | HY-109067 |
| CAS No.: | 1441000-45-8 |
| Molecular Formula: | C ₂₁ H ₃₄ N ₂ O ₅ |
| Molecular Weight: | 394.51 |
| Target: | GlyT; 5-HT Receptor; P2X Receptor |
| Pathway: | Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | | | |
|-------------------------------------|---|---------------|--------------------------------------|---|
| Description | Opiranserin (VWZ-149), a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of glycine transporter type 2 (GlyT2) and serotonin receptor 2A (5HT2A), with IC ₅₀ s of 0.86 and 1.3 μM, respectively. Opiranserin shows antagonistic activity on rP2X3 (IC ₅₀ =0.87 μM). Opiranserin is development as an injectable agent for the treatment of postoperative pain [1][2][3]. | | | |
| IC₅₀ & Target | GlyT2 0.86 μM (IC ₅₀) | P2X3 Receptor | rP2X3 0.87 μM (IC ₅₀) | 5-HT _{2A} Receptor 1.3 μM (IC ₅₀) |
| In Vivo | Opiranserin (25 mg/kg, s.c.) effectively reduced mechanical allodynia and pain-related behaviors with efficacy comparable to 3 mg/kg Morphine ^[3] . Opiranserin (80 mg/kg, p.o.) reduced mechanical allodynia in a rat SNL model ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. | | | |

REFERENCES

- [1]. Christopher L Cioffi. Inhibition of Glycine Re-Uptake: A Potential Approach for Treating Pain by Augmenting Glycine-Mediated Spinal Neurotransmission and Blunting Central Nociceptive Signaling. *Biomolecules*. 2021 Jun 10;11(6):864.
- [2]. Oh J, et al. Safety, Tolerability, and Pharmacokinetic Characteristics of a Novel Nonopioid Analgesic, VWZ-149 Injections in Healthy Volunteers: A First-in-Class, First-in-Human Study. *J Clin Pharmacol*. 2018 Jan;58(1):64-73.
- [3]. Nedeljkovic SS, et al. Randomised, double-blind, parallel group, placebo-controlled study to evaluate the analgesic efficacy and safety of VWZ-149 injections for postoperative pain following laparoscopic colorectal surgery. *BMJ Open*. 2017 Feb 17;7(2):e011035.
- [4]. Pang MH, et al. A series of case studies: practical methodology for identifying antinociceptive multi-target drugs. *Drug Discov Today*. 2012 May;17(9-10):425-34.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA