# Ezlopitant

**Cat. No.:** HY-106982

**CAS No.:** 147116-64-1

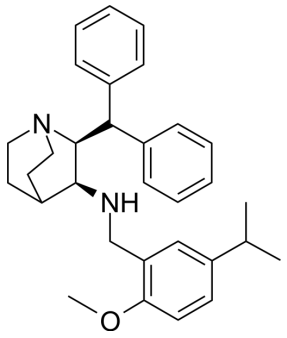
**Molecular Formula:** $C_{31}H_{38}N_2O$

**Molecular Weight:** 454.65

**Target:** Neurokinin Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.




## BIOLOGICAL ACTIVITY

**Description**

Ezlopitant (CJ-11,974) is a selective, non-peptidic neurokinin-1 (NK-1)-receptor antagonist. Ezlopitant inhibits both acute and delayed emetic reactions induced by Cisplatin (HY-17394) in ferrets via acting on NK1 receptors in the central nervous system. Ezlopitant has the potential for pain, chemotherapy-induced emesis and irritable bowel syndrome research[1][2][3].

**In Vitro**

Ezlopitant (CJ-11,974) is converted to two pharmacologically active metabolites: CJ-12458, an alkene metabolite and CJ-12764, a benzylic alcohol[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Ezlopitant (CJ-11,974; 2, 5, 10 mg/kg; i.p.; 30 minutes) decreases both sucrose and ethanol operant-self administration in rats[1].

Pharmacokinetic Parameters of Ezlopitant in Rat, Gerbil, Guinea pig, Ferret, Dog, Monkey [2].

| | Rat (IV; 1 mg/kg) | Gerbil (IV; 1 mg/kg) | Guinea pig (IV; 0.2 mg/kg) | Ferret (SC; 0.8 mg/kg) | Dog (IV; 1 mg/kg) | Monkey (IV; 1 mg/kg) |
|---|---|---|---|---|---|---|
| $T_{max}$ (h) | 5.3 | 0.3 | 0.3 | | 0.9 | 0.8 |
| $C_{max}$ (ng/mL) | 18 | 5.98 | | 106 | 5.98 | 198 |
| $AUC_{0-\infty}$ (ng·h/mL) | 257 | 125 | 35.5 | 528 | 634 | 478 |
| $t_{1/2}$ (h) | 7.7 | 2.9 | 0.6 | 2.2 | 2.5 | 5.0 |
| $CL_p$ (mL/min/kg) | 65 | 136 | 98 | 27 | 27 | 42 |
| F (%) | 15 | 2.1 | | 17 | 28 | 2.7 |

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male, Long-Evans rats (233±2 g)[1] |
| Dosage: | 2, 5 or 10 mg/kg |
| Administration: | IP; single dose |
| Result: | Attenuated the number of active lever presses for 5% sucrose.<br>Highest dose significantly inhibited operant self-administration of 10% ethanol compared with vehicle. |

## REFERENCES


[1]. Pia Steensland, et al. The neurokinin 1 receptor antagonist, ezlopitant, reduces appetitive responding for sucrose and ethanol. PLoS One. 2010 Sep 1;5(9):e12527.

[2]. A E Reed-Hagen, et al. Pharmacokinetics of ezlopitant, a novel non-peptidic neurokinin-1 receptor antagonist in preclinical species and metabolite kinetics of the pharmacologically active metabolites. Biopharm Drug Dispos. 1999 Dec;20(9):429-39.

[3]. Megumi Tsuchiya, et al. Anti-emetic activity of the novel nonpeptide tachykinin NK1 receptor antagonist ezlopitant (CJ-11,974) against acute and delayed cisplatin-induced emesis in the ferret. Pharmacology. 2002 Nov;66(3):144-52.





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