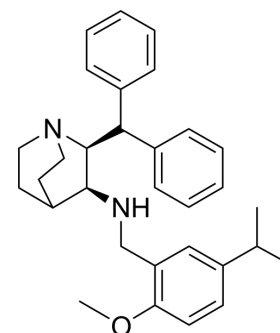


Ezlopitant

Cat. No.:	HY-106982
CAS No.:	147116-64-1
Molecular Formula:	C ₃₁ H ₃₈ N ₂ O
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-∞} (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. 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.
-

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