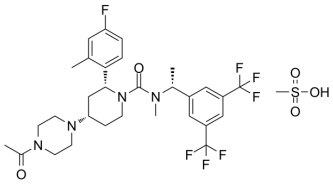
Casopitant mesylate

Cat. No.:	HY-14405A
CAS No.:	414910-30-8
Molecular Formula:	$C_{31}H_{39}F_7N_4O_5S$
Molecular Weight:	712.72
Target:	Neurokinin Receptor; Cytochrome P450
Pathway:	GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 0.71 mg/mL (1.00 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.71 mg/mL (1.00 mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.71 mg/mL (1.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Casopitant mesylate (GW679769B) is a potent, selective, brain permeable and orally active neurokinin 1 (NK1) receptor antagonist. Casopitant mesylate is a second in the class of antiemetics that acts to antagonise the emetogenic effect of substance P. Casopitant mesylate is also a substrate and a weak-to-moderate inhibitor of CYP3A4. Casopitant mesylate can be used for chemotherapy-induced nausea and vomiting (CINV) and postoperative nausea and vomiting (PONV)[1][2].	
IC_{50} & Target	NK1	CYP3A4
In Vivo	In a ferret-model of Cisplatin- induced emesis, Casopitant (GW679769) inhibits retching and vomiting and reduced nausea-like behaviours in a dose-dependent manner. The pharmacokinetics and brain penetration of casopitant are studied in the ferret-model of cisplatin-induced emesis. Following a single intraperitoneal dose, radioactive labeled Casopitant ([^{14}C]Casopitant) is rapidly absorbed, with plasma and brain concentrations being approximately equal at two hours post-dosing. [^{14}C]Casopitant is found in the brain as the parent compound and two major oxidative metabolites (M1 and M2), accounting for approximately 76%, 19%, and 3% of the radioactivity, respectively; suggesting that the pharmacologic activity of Casopitant in the ferret is largely attributable to the parent compound. Casopitant possesses a high affinity for brain NK1 receptors in the ferret[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Ruhlmann C, et al. Casopitant: a novel NK(1)-receptor antagonist in the prevention of chemotherapy-induced nausea and vomiting. Ther Clin Risk Manag. 2009

Apr;5(2):375-84.

[2]. Minthorn E, et al. Pharmacokinetics and brain penetration of casopitant, a potent and selective neurokinin-1 receptor antagonist, in the ferret. Drug Metab Dispos. 2008 Sep;36(9):1846-52.

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