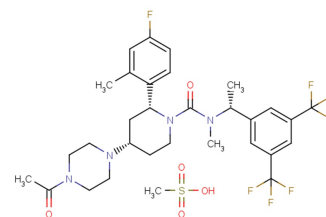


Casopitant mesylate

Chemical Properties

CAS No.:	414910-30-8
Formula:	C31H39F7N4O5S
Molecular Weight:	712.72
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Casopitant mesylate (GW679769B) is a selective, brain permeable, and orally active antagonist of neurokinin 1 (NK1) receptor. It is a second in the class of antiemetics.
Targets(IC ₅₀)	NK1: None CYP3A4: None
In vivo	In a ferret-model of Cisplatin-induced emesis, Casopitant inhibits retching and vomiting and reduced nausea-like behaviours in a dose-dependent manner. 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. Casopitant possesses a high affinity for brain NK1 receptors in the ferret [2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.403 mL	7.015 mL	14.031 mL
5 mM	0.281 mL	1.403 mL	2.806 mL
10 mM	0.14 mL	0.702 mL	1.403 mL
50 mM	0.028 mL	0.14 mL	0.281 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

- 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.
- 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.

Inhibitors · Natural Compounds · Compound Libraries

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