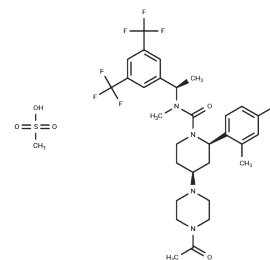


## Casopitant mesylate

## Chemical Properties

CAS No. :	414910-30-8
Formula:	C <sub>31</sub> H <sub>39</sub> F <sub>7</sub> N <sub>4</sub> O <sub>5</sub> S
Molecular Weight:	712.72
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Casopitant mesylate (GW679769B) is a selective, brain-permeable, and orally active antagonist of the neurokinin 1 (NK1) receptor, and it is the second in its class of antiemetics.
Targets(IC50)	Neurokinin receptor, Cytochromes P450
In vivo	In a ferret model of Cisplatin-induced emesis, Casopitant inhibits retching and vomiting and reduces nausea-like behaviors in a dose-dependent manner. Following a single intraperitoneal dose, radioactive labeled Casopitant ([ <sup>14</sup> C]Casopitant) is rapidly absorbed, with plasma and brain concentrations being approximately equal at two hours post-dosing. [ <sup>14</sup> 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 exhibits high affinity for brain NK1 receptors in the ferret [2].

## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4031 mL	7.0154 mL	14.0308 mL
5 mM	0.2806 mL	1.4031 mL	2.8062 mL
10 mM	0.1403 mL	0.7015 mL	1.4031 mL
50 mM	0.0281 mL	0.1403 mL	0.2806 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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.

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