
Product Data Sheet

Product Name: Casopitant mesylate

Cat. No.: GC62888

Chemical Properties

Cas. No. 414910-30-8

Formula C₃₁H₃₉F₇N₄O₅S

M.Wt

712.72

Solubility

Storage

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

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].

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 ([¹⁴C]Casopitant) is rapidly absorbed, with plasma and brain concentrations being approximately equal at two hours post-dosing. [¹⁴C]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].

Caution: Product has not been fully validated for medical applications. For research use only.

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- [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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