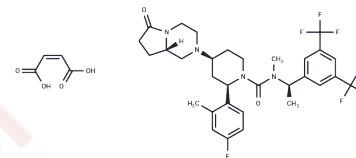


Orvepitant maleate

Chemical Properties

CAS No. :	579475-24-4
Formula:	C35H39F7N4O6
Molecular Weight:	744.7
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	Orvepitant maleate is an effective, selective, and well-tolerated neurokinin-1 receptor (NK-1) antagonist (pKi: 10.2 for human neurokinin-1 receptor). Orvepitant maleate has the potential for depressive disorder and chronic refractory cough (CRC) treatment. Orvepitant maleate can cross the blood-brain barrier.
Targets(IC50)	Neurokinin receptor
In vitro	Orvepitant (0.3-10 nM), pre-incubated for 1 h at 37°C before adding the agonist SP, produces a non-surmountable antagonism of agonist concentration-response curve. For the Orvepitant apparent pKB value is 10.30. Orvepitant is further characterized in terms of the ability to functionally inhibit substance P (SP)-induced release of cytosolic Ca ²⁺ in human neurokinin-1 receptor (hNK1)-CHO cells [1].
In vivo	Orvepitant shows an oral bioavailability (F) of 17% in rat and 55% in a dog, plasma clearance (Cl _p) of 29 mL/min/kg in rat and 6 mL/min/kg in dog and a half-life of 2.3 h in rat and 6.1 h in the dog. Orvepitant (0.3-10 mg/kg; p.o.; marmoset) treatment displays a dose-dependent reduction of the number of postures was observed at 1 mg/kg (34.9% reduction), 3 mg/kg (36.6% reduction) and 10 mg/kg (46.4% reduction), suggesting a potential anxiolytic-like effect of the compound. As far as the brain penetration in rats is concerned, a B/P ratio of 1.2 is observed 5 min after the i.v. administration of a 1 mg/kg dose of Orvepitant[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3428 mL	6.7141 mL	13.4282 mL
5 mM	0.2686 mL	1.3428 mL	2.6856 mL
10 mM	0.1343 mL	0.6714 mL	1.3428 mL
50 mM	0.0269 mL	0.1343 mL	0.2686 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

- Di Fabio R, et al. Identification, biological characterization and pharmacophoric analysis of a new potent and selective NK1 receptor antagonist clinical candidate. *Bioorg Med Chem.* 2013 Nov 1;21(21):6264-73.
- Smith J, et al. The Neurokinin-1 Receptor Antagonist Orvepitant Is a Novel Antitussive Therapy for Chronic Refractory Cough: Results From a Phase 2 Pilot Study (VOLCANO-1). *Chest.* 2020 Jan;157(1):111-118.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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