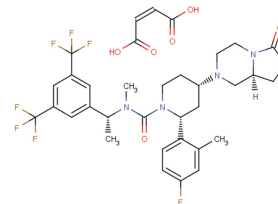


## Orvepitant maleate

## Chemical Properties

CAS No.:	579475-24-4
Formula:	C35H39F7N4O6
Molecular Weight:	744.7
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



## 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(IC <sub>50</sub> )	NK1: 10.2 (pki)
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 <sup>2+</sup> 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 (Clp) 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].

## 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	1.343 mL	6.714 mL	13.428 mL
5 mM	0.269 mL	1.343 mL	2.686 mL
10 mM	0.134 mL	0.671 mL	1.343 mL
50 mM	0.027 mL	0.134 mL	0.269 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

1. 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.
2. 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.

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