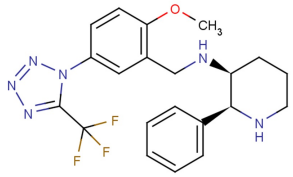
Data Sheet (Cat.No.T13329)

TargetMol
A DRUG SCREENING EXPERT

Vofopitant

Chemical Properties



CAS No.:	168266-90-8
Formula:	C21H23F3N6O
Molecular Weight:	432.44
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Vofopitant is a potent antagonist of the tachykinin NK1 receptor (pKis: 10.6, 9.5, and 9.8 for the human, rat, and ferret NK1 receptor, respectively).
Targets(IC_{50})	Human NK1 receptor: pki:10.6 Rat NK1 receptor: 9.5 (pki) Ferret NK1 receptor: 9.8(pki)
In vitro	Vofopitant is a potent antagonist of tachykinin NK1 receptor (pKis: 10.6, 9.5, and 9.8 for human, rat and ferret NK1 receptor, respectively). Vofopitant shows a negligible affinity at NK2 and NK3 (pIC50 <5.0) [1]. In the dorsal raphe nucleus, GR205171 (300 μM) potentiates the effects of paroxetine on cortical [5-HT]ext and inhibits a paroxetine-induced increase in [5-HT]ext [3].
In vivo	The number of choices of the 25-s delayed reward in a T-maze increased by Vofopitant (30 mg/kg, s.c.) [2]. Vofopitant (30 mg/kg, i.p.) increases the extracellular 5-HT levels in the frontal cortex of paroxetine-treated wild-type mice, rather than in wild-type mice and paroxetine-treated NK1 receptor knockout mice [3].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.312 mL	11.562 mL	23.125 mL
5 mM	0.462 mL	2.312 mL	4.625 mL
10 mM	0.231 mL	1.156 mL	2.312 mL
50 mM	0.046 mL	0.231 mL	0.462 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 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Gardner CJ, et al. GR205171: a novel antagonist with high affinity for the tachykinin NK1 receptor, and potent broad-spectrum anti-emetic activity. Regul Pept. 1996 Aug 27;65(1):45-53.

2. Loiseau F, et al. Antidepressant-like effects of agomelatine, melatonin and the NK1 receptor antagonist GR205171 in impulsive-related behaviour in rats. Psychopharmacology (Berl). 2005 Oct;182(1):24-32. Epub 2005 Sep 29.

3. Guiard BP, et al. Blockade of substance P (neurokinin 1) receptors enhances extracellular serotonin when combined with a selective serotonin reuptake inhibitor: an in vivo microdialysis study in mice. J Neurochem. 2004 Apr;89(1):54-63.

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