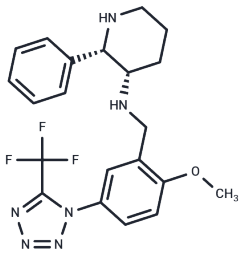
Data Sheet (Cat.No.T13329)

TargetMol

Vofopitant

Chemical Properties



CAS No. : 168266-90-8

Formula: C21H23F3N6O

Molecular Weight: 432.44

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Vofopitant (GR 205171) is a potent NK1 receptor antagonist with anxiolytic and antiemetic activity for the study of post-traumatic stress disorder (PTSD).
Targets(IC50)	Neurokinin receptor
In vitro	Co-administration of paroxetine (4 mg/kg; i.p.) with the NK1 receptor antagonists, Vofopitant/GR205171 (30 mg/kg; i.p.) or L733060 (40 mg/kg; i.p.), potentiated the effects of paroxetine on cortical [5-HT]ext in wild-type mice, whereas GR205171 (30 mg/kg; i.p.) had no effect on paroxetine-induced increase in cortical [5-HT]ext in NK1 receptor knock-out mice. When GR205171 (300 micro mol/L) was perfused by 'reverse microdialysis' into the dorsal raphe nucleus, it potentiated the effects of paroxetine on cortical [5-HT]ext, and inhibited paroxetine-induced increase in [5-HT]ext in the dorsal raphe nucleus[2].
In vivo	In a T-maze experiment, Vofopitant (GR205171, 30 mg/kg, subcutaneously) enhances the selection of a 25-second delayed reward[3]. Furthermore, when administered intraperitoneally at the same dosage, Vofopitant elevates extracellular serotonin (5-HT) levels in the frontal cortex of wild-type mice treated with paroxetine, but not in untreated wild-type or in NK1 receptor knockout mice also treated with paroxetine[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3125 mL	11.5623 mL	23.1246 mL
5 mM	0.4625 mL	2.3125 mL	4.6249 mL
10 mM	0.2312 mL	1.1562 mL	2.3125 mL
50 mM	0.0462 mL	0.2312 mL	0.4625 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.

Reference

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.

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.

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.

Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481