Product Data Sheet

Product Name: Vofopitant (GR 205171)
Cat. No.: GC33747

Chemical Properties

Cas. No.	168266-90-8		
SMILES	COC1=C(CN[C@@H]2[C@H](C3=CC=CC=C3)NCCC2)C=C(N4C(C(F)(F)F)=NN=N4)C=C1		
Formula	$C_{21}H_{23}F_3N_6O$	M.Wt	432.44
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Vofopitant (GR 205171)		

Protocol

Kinase experiment:

Tachykinin NK1 receptor binding assays are carried out in an assay volume of 200 µL, consisting of 50 µL of wash buffer (containing HEPES (50 mM) and MnC12 (3 mM), pH 7.4) or test compound (Vofopitant), 100 µL membrane suspension (3-5 µg of protein) in HEPES assay buffer (composition as above, but containing bacitracin, 80 µg/mL], leupeptin, 8 µg/mL], phosphoramidon, 2 µM and bovine serum albumin, 0.04%) and 50 µL of [3H]substance P (0.7-1.0 nM final concentration). The incubation is carried out at room temperature for 40 min. Non-specific binding is defined by the addition of CP-99,994 (1 µM) [1].

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Animal experiment:

Effect of NK1 receptor antagonists administered i.p. on cortical [5-HT]ext of wild-type mice. Following collection of four baseline dialysate samples, freely moving wild-type mice are administered with either the vehicle or various NK1 receptor antagonists, Vofopitant (30 mg/kg; i.p.) or L733060 (40 mg/kg; i.p.). Dialysate samples are collected for a 0-120 min post-treatment period[3].

References:

[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.

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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.

Background

Vofopitant is potent tachykinin NK1 receptor antagonist, with pKis of 10.6, 9.5, and 9.8 for human, rat and ferret NK1 receptor, respectively.

Vofopitant is potent tachykinin NK1 receptor antagonist, with pKis of 10.6, 9.5, and 9.8 for human, rat and ferret NK1 receptor, respectively. Vofopitant less potently inhibits rat 5-HT1A, bovine 5-HT1D, rat 5-HT2A, rat Histamine H1, guinea-pig Histamine H2 and rat Ca2+ channel, with pKis of 6.3, 6.6, 6.5, 6.5, 6.6, and 5.6, respectively. Vofopitant shows negligible affinity at NK2 and NK3, with pIC50 of <5.0[1]. GR205171 (300 μM) potentiates the effects of paroxetine on cortical [5-HT]ext, and inhibits paroxetine-induced increase in [5-HT]ext in the dorsal raphe nucleus[3].

Vofopitant (GR205171, 30 mg/kg, s.c.) increases the number of choices of the 25-s delayed reward in a T-maze[2]. Vofopitant (GR205171, 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].

[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

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