

# Vofopitant

## Catalog No: tcsc0003109

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:

168266-90-8

Formula:

 $C_{21}H_{23}F_{3}N_{6}O$ 

**Pathway:** Neuronal Signaling;GPCR/G Protein

**Target:** 

Neurokinin Receptor; Neurokinin Receptor

Purity / Grade:

### Solubility:

10 mM in DMSO

#### **Alternative Names:**

GR 205171

#### **Observed Molecular Weight:**

432.44

## **Product Description**

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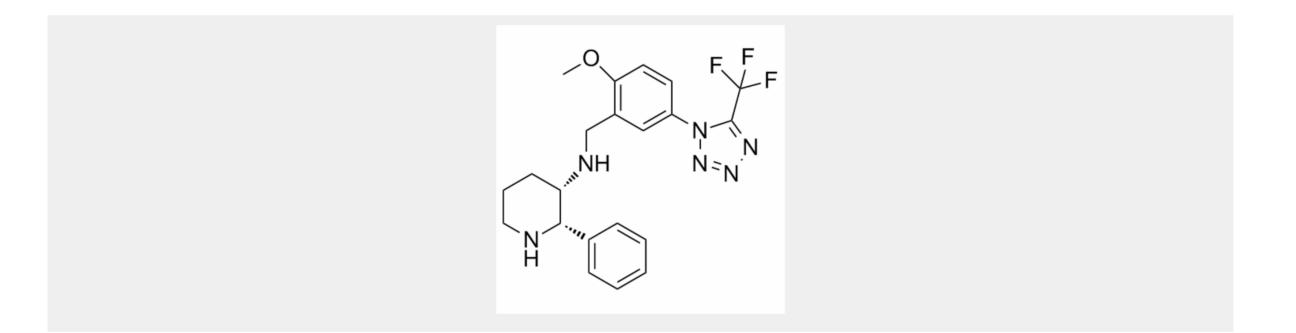


Vofopitant is potent **tachykinin NK<sub>1</sub>** receptor antagonist, with  $\mathbf{pK_i}$ s of 10.6, 9.5, and 9.8 for human, rat and ferret NK<sub>1</sub> receptor, respectively.

IC50 & Target: pKi: 10.6 (Human NK<sub>1</sub> receptor), 9.5 (Rat NK<sub>1</sub> receptor), 9.8 (Ferret NK<sub>1</sub> receptor)<sup>[1]</sup>

*In Vitro:* Vofopitant is potent tachykinin NK<sub>1</sub> receptor antagonist, with pK<sub>i</sub>s of 10.6, 9.5, and 9.8 for human, rat and ferret NK<sub>1</sub> receptor, respectively. Vofopitant less potently inhibits rat 5-HT1A, bovine 5-HT1D, rat 5-HT2A, rat Histamine H1, guinea-pig Histamine H2 and rat Ca<sup>2+</sup> channel, with pK<sub>i</sub>s of 6.3, 6.6, 6.5, 6.5, 6.6, and 5.6, respectively. Vofopitant shows negligible affinity at NK<sub>2</sub> and NK<sub>3</sub>, with pIC<sub>50</sub> of [1]. GR205171 (300  $\mu$ M) potentiates the effects of paroxetine on cortical [5-HT]ext, and inhibits paroxetine-induced increase in [5-HT]ext in the dorsal raphe nucleus<sup>[3]</sup>.

*In Vivo:* Vofopitant (GR205171, 30 mg/kg, s.c.) increases the number of choices of the 25-s delayed reward in a T-maze<sup>[2]</sup>. 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<sup>[3]</sup>.



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