

Vofopitant

Catalog No: tcsc0003109



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg



Specifications

CAS No:

168266-90-8

Formula:

$C_{21}H_{23}F_3N_6O$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

Neurokinin Receptor;Neurokinin Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

GR 205171

Observed Molecular Weight:

432.44

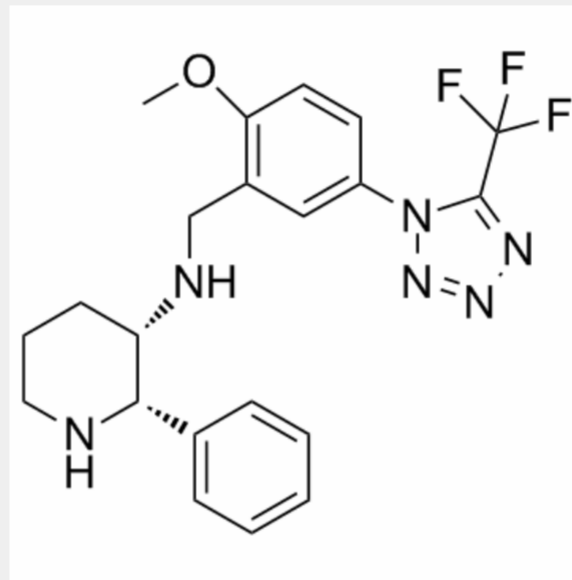
Product Description

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

IC50 & Target: pK_i: 10.6 (Human NK₁ receptor), 9.5 (Rat NK₁ receptor), 9.8 (Ferret NK₁ receptor)^[1]

In Vitro: Vofopitant is potent tachykinin NK₁ receptor antagonist, with pK_is of 10.6, 9.5, and 9.8 for human, rat and ferret NK₁ receptor, respectively. Vofopitant less potently inhibits rat 5-HT_{1A}, bovine 5-HT_{1D}, rat 5-HT_{2A}, rat Histamine H₁, guinea-pig Histamine H₂ and rat Ca²⁺ channel, with pK_is 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 [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].

In Vivo: 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].



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