Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Vofopitant is potent **tachykinin NK_1 receptor** antagonist, with **pK_i**s of 10.6, 9.5, and 9.8 for human, rat and ferret NK_1 receptor, respectively.

IC50 & Target: pKi: 10.6 (Human NK_1 receptor), 9.5 (Rat NK_1 receptor), 9.8 (Ferret NK_1 receptor)[1]

In Vitro: Vofopitant is potent tachykinin NK_1 receptor antagonist, with pK_is of 10.6, 9.5, and 9.8 for human, rat and ferret NK_1 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^{2+} channel, with pK_is of 6.3, 6.6, 6.5, 6.5, 6.6, and 5.6, respectively. Vofopitant shows negligible affinity at NK_2 and NK_3, with pIC_{50} 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].