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

Olcegepant

Catalog No: tcsc0360

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
204697-65-4

Formula:
$C_{38}H_{47}Br_2N_9O_5$

Pathway:
GPCR/G Protein;Neuronal Signaling

Target:
CGRP Receptor;CGRP Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (57.49 mM)

Alternative Names:
BIBN-4096;BIBN 4096BS

Observed Molecular Weight:
869.65

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Product Description

Olcegepant is the first potent and selective non-peptide antagonist of the **calcitonin gene-related peptide 1 (CGRP1) receptor** with **IC_{50}** of 0.03 nM and with a **K_i** of 14.4 pM for human CGRP.

IC50 & Target: IC50: 0.03 nM (CGRP1)[1]

Ki: 14.4 pM (hCGRP)[2]

In Vitro: Olcegepant possesses higher affinity for the human CGRP receptor than the endogenous ligand CGRP and 150-fold higher affinity compared to the peptidic antagonist CGRP8-37. Olcegepant reverses CGRP-mediated vasodilation in human cerebral vessels and inhibits neurogenic vasodilation in a surrogate animal model of migraine pathophysiology[1]. Olcegepant (BIBN4096BS) is extremely potent at primate CGRP receptors exhibiting an affinity (K_i) for human CGRP receptors of 14.4±6.3 (n=4) pM[2]. Several lines of evidence suggest that a calcitonin-gene related peptide (CGRP) receptor antagonist may serve as a novel abortive migraine treatment. Olcegepant (BIBN4096BS) exhibits competitive antagonism at the CGRP receptor present in SK-N-MC cells. Isolated human cerebral, coronary, and omental arteries are studied with a sensitive myograph technique. CGRP induces a concentration-dependent relaxation that is antagonized by Olcegepant in a competitive manner[3].

In Vivo: Olcegepant (BIBN4096BS) in doses between 1 and 30 μg/kg (i.v.) inhibits the effects of CGRP, released by stimulation of the trigeminal ganglion, on facial blood flow in marmoset monkeys[2]. Pre-treatment with Olcegepant (900 μg/kg) inhibits the capsaicin-induced expression of Fos throughout the spinal trigeminal nucleus by 57%. In contrast, the expression of phosphorylated extracellular signal-regulated kinase in the trigeminal ganglion is not changed by Olcegepant pre-treatment[4]. Olcegepant (0.3 to 0.9 mg/kg, i.v.) markedly reduces mechanical allodynia in CCI-ION rats. Olcegepant (0.6 mg/kg, i.v.) significantly reduces the number of c-Fos immunolabeled cells in spinal nucleus of the trigeminal nerve and upregulation of ATF3 transcript (a marker of neuron injury) but not that of interleukin-6 in trigeminal ganglion of CCI-ION rats[5].