

# 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 :  $\geq$  50 mg/mL (57.49 mM)

**Alternative Names:**

BIBN-4096;BIBN 4096BS

**Observed Molecular Weight:**

869.65

## Product Description

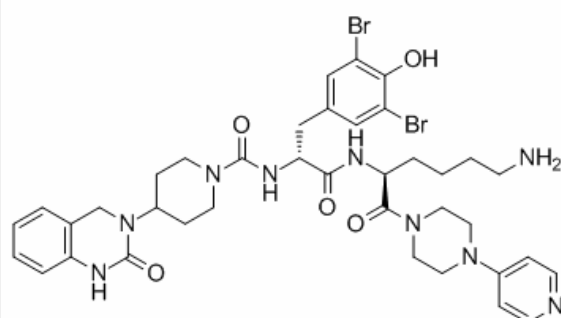
Olcegepant is the first potent and selective non-peptide antagonist of the **calcitonin gene-related peptide 1 (CGRP1) receptor** with **IC<sub>50</sub>** of 0.03 nM and with a **K<sub>i</sub>** of 14.4 pM for human CGRP.

IC50 & Target: IC50: 0.03 nM (CGRP1)<sup>[1]</sup>

Ki: 14.4 pM (hCGRP)<sup>[2]</sup>

**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<sup>[1]</sup>. Olcegepant (BIBN4096BS) is extremely potent at primate CGRP receptors exhibiting an affinity (K<sub>i</sub>) for human CGRP receptors of 14.4±6.3 (n=4) pM<sup>[2]</sup>. 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<sup>[3]</sup>.

**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<sup>[2]</sup>. 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<sup>[4]</sup>. 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<sup>[5]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!