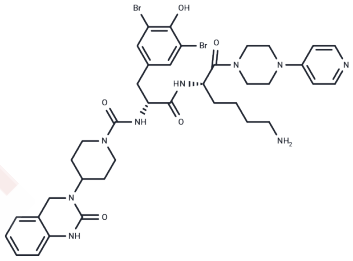
Data Sheet (Cat.No.T12293L)

TargetMol

Olcegepant

Chemical Properties



CAS No. : 204697-65-4

Formula: C38H47Br2N9O5

Molecular Weight: 869.65

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Olcegepant (BIBN-4096) is an effective and selective non-peptide calcitonin gene-related peptide 1 (CGRP1) receptor antagonist (IC50 of 0.03 nM and Ki of 14.4 pM for human CGRP).
Targets(IC50)	CGRP Receptor
In vitro	Olcegepant exhibits exceptional efficacy at primate CGRP receptors, demonstrating a high affinity (Ki) of 14.4±6.3 (n=4) pM for human CGRP receptors, surpassing that of the endogenous ligand CGRP and demonstrating a 150-fold greater affinity than the peptidic antagonist CGRP8-37. It competitively antagonizes CGRP-induced concentration-dependent relaxation, effectively reversing CGRP-mediated vasodilation in human cerebral vessels and inhibiting neurogenic vasodilation in a surrogate animal model for migraine pathophysiology. This suggests that Olcegepant, acting as a CGRP receptor antagonist, may be a potential novel abortive treatment for migraines. Its competitive antagonism has also been confirmed in SK-N-MC cells, with its effects observed in isolated human cerebral, coronary, and omental arteries using sensitive myograph techniques[1][2][3].
In vivo	Pre-treatment with Olcegepant (900 μg/kg) inhibits capsaicin-induced Fos expression in the spinal trigeminal nucleus by 57%, without altering phosphorylated extracellular signal-regulated kinase expression in the trigeminal ganglion. Olcegepant (1-30 μg/kg, i.v.) suppresses CGRP effects on facial blood flow in marmosets and significantly reduces mechanical allodynia in CCI-ION rats (0.3-0.9 mg/kg, i.v.). Additionally, Olcegepant (0.6 mg/kg, i.v.) decreases c-Fos immunolabeled cells and ATF3 transcript upregulation, but not interleukin-6, in the trigeminal ganglion of CCI-ION rats [2][4][5].

Solubility Information

Solubility	DMSO: 23.81 mg/mL (27.38 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.3 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1499 mL	5.7494 mL	11.4989 mL
5 mM	0.230 mL	1.1499 mL	2.2998 mL
10 mM	0.115 mL	0.5749 mL	1.1499 mL
50 mM	0.023 mL	0.115 mL	0.230 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rudolf K, et al. Development of human calcitonin gene-related peptide (CGRP) receptor antagonists. 1. Potent and selective small molecule CGRP antagonists. 1-[N2-[3,5-dibromo-N-[[4-(3,4-dihydro-2(1H)-oxoquinazolin-3-yl)-1-piperidinyl]carbonyl]-D-tyrosyl]-l-lysyl]-4-(4-pyridinyl)piperazine: the first CGRP antagonist for clinical trials in acute migraine. J Med Chem. 2005 Sep 22;48(19):5921-31.

Doods H, et al. Pharmacological profile of BIBN4096BS, the first selective small molecule CGRP antagonist. Br J Pharmacol. 2000 Feb;129(3):420-3.

Edvinsson L, et al. Effect of the CGRP receptor antagonist BIBN4096BS in human cerebral, coronary and omentalarteries and in SK-N-MC cells. Eur J Pharmacol. 2002 Jan 2;434(1-2):49-53.

Sixt ML, et al. Calcitonin gene-related peptide receptor antagonist Olcegepant acts in the spinal trigeminal nucleus. Brain. 2009 Nov;132(Pt 11):3134-41.

Michot B, et al. Differential effects of calcitonin gene-related peptide receptor blockade by Olcegepant on mechanical allodynia induced by ligation of the infraorbital nerve vs the sciatic nerve in the rat. Pain. 2012 Sep;153(9):1939-48.