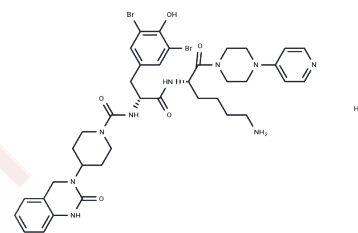


Olcegepant hydrochloride

Chemical Properties

CAS No. :	586368-06-1
Formula:	C ₃₈ H ₄₈ Br ₂ ClN ₉ O ₅
Molecular Weight:	906.11
Storage:	Keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Olcegepant hydrochloride (BIBN-4096 hydrochloride) is a selective calcitonin gene-related peptide 1 (CGRP1) receptor antagonist with an IC ₅₀ =0.03 nM and Ki=14.4 pM.
Targets(IC ₅₀)	CGRP Receptor
In vitro	Olcegepant hydrochloride shows high binding affinity to human CGRP receptors (IC ₅₀ = 0.03 nM) and pure antagonist behavior (pA ₂ = 11.1) in SK-N-MC cells[1].
In vivo	In Wistar rats, Olcegepant hydrochloride (900 µg/kg, i.v.) reduced capsaicin-induced Fos expression in the spinal trigeminal nucleus by 57%, with no effect on trigeminal ganglion pERK, indicating a central site of CGRP receptor inhibition[4].

Solubility Information

Solubility	DMSO: 80 mg/mL (88.29 mM),Sonication is recommended. H ₂ O: 50 mg/mL (55.18 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: 5 mg/mL (5.52 mM),Sonication is recommended. <i>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 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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1036 mL	5.5181 mL	11.0362 mL
5 mM	0.2207 mL	1.1036 mL	2.2072 mL
10 mM	0.1104 mL	0.5518 mL	1.1036 mL
50 mM	0.0221 mL	0.1104 mL	0.2207 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.

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

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

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.

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