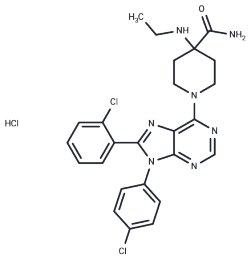
Data Sheet (Cat.No.T1796)

TargetMol

Otenabant hydrochloride

Chemical Properties



CAS No. : 686347-12-6

Formula: C25H26Cl3N7O

Molecular Weight: 546.88

Storage: 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	Otenabant hydrochloride (Otenabant) (CP-945598) is a competitive, high affinity, selective antagonist of the CB1 receptor (Ki: 0.7 nM).
Targets(IC50)	Cannabinoid Receptor
In vitro	In a diet-induced obesity model in mice, CP-945598 (10 mg/kg) facilitated a 9% reduction in body weight over a 10-day weight loss study. CP-945598 significantly enhanced energy expenditure in rats and reduced the respiratory quotient, indicating a metabolic shift towards increased fat oxidation. Additionally, CP-945598 HCl reversed behaviors mediated by four cannabinoid agonists (hypothermia, spontaneous activity, catalepsy, and analgesia). In acute food intake models in rodent species, CP-945598 HCl suppressed appetite, further promoting fat oxidation and energy consumption.
In vivo	CP-945598 HCl exhibits lower affinity towards human CB2 receptors (Ki: 7.6 μM) and demonstrates inhibitory effects on the CB1 receptor. Additionally, it possesses moderate microsomal clearance, low affinity for hERG, and sufficient penetration of the central nervous system (CNS).
Kinase Assay	Membranes are prepared from CHOK1 cells stably transfected with the human CB-1 receptor cDNA. GTPγ [35S] binding assays are performed in a 96-well FlashPlate format in duplicate using 100 pM GTPγ [35S] and 10μg membrane per well in assay buffer composed of 50 mM Tris HCl, pH 7.4, 3 mM MgCl2, pH 7.4, 10 mM MgCl2, 20 mM EGTA, 100 mM NaCl, 30 μM GDP, 0.1% bovine serum albumin, and the following protease inhibitors: 100 μg/mL bacitracin, 100 μg/mL benzamidine, 5 μg/mL aprotinin, 5 μg/mL leupeptin. The assay mix is then incubated with increasing concentrations of antagonist (10-10M to 10-5 M) for 10 min and challenged with the cannabinoid agonist CP-55,940 (10 μM). Assays are performed at 30°C for 1 h. The FlashPlates are then centrifuged at 2000 g for 10 min. Stimulation of GTPγ [35S] binding is then quantified using a Wallac Microbeta. EC50 calculations are done using Prism by GraphPad. Inverse agonism is measured in the absence of agonist.

Solubility Information

Solubility	DMSO: Slightly soluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8286 mL	9.1428 mL	18.2855 mL
5 mM	0.3657 mL	1.8286 mL	3.6571 mL
10 mM	0.1829 mL	0.9143 mL	1.8286 mL
50 mM	0.0366 mL	0.1829 mL	0.3657 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

Griffith DA, et al. J Med Chem, 2009, 52(2), 234-237.
Hadcock JR, et al. Biochem Biophys Res Commun, 2010, 394(2), 366-371.