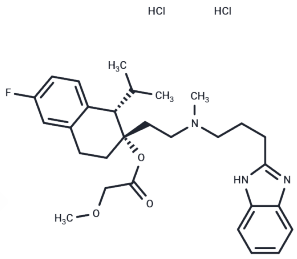
Data Sheet (Cat.No.T12032)

TargetMol

Mibefradil dihydrochloride

Chemical Properties



CAS No. : 116666-63-8

Formula: C29H40Cl2FN3O3

Molecular Weight: 568.55

Storage: Keep away from moisture
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	Mibefradil dihydrochloride (Ro 40-5967 (dihydrochloride)) is an blocker of calcium channel with moderate selectivity for T-type Ca2+ channels (T-type and L-type currents with IC50s of 2.7 μM and 18.6 μM, respectively).
Targets(IC50)	Calcium Channel
In vitro	Mibefradil dihydrochloride inhibits reversibly the T- and L-type currents with IC50 values of 2.7 and 18.6 μM, respectively.[1].Mibefradil inhibited Orai1, Orai2, and Orai3 currents dose-dependently. The IC50 for Orai1, Orai2, and Orai3 channels was 52.6, 14.1, and 3.8 μM respectively. Outside-out patch demonstrated that perfusion of 10-μM mibefradil to the extracellular surface completely blocked Orai3 currents and single channel activity evoked by 2-APB. Intracellular application of mibefradil did not alter Orai3 channel activity. Mibefradil at higher concentrations (>50 μM) inhibited Ca2+ release but had no effect on cytosolic STIM1 translocation evoked by thapsigargin. Inhibition on Orai channels by mibefradil was structure-related, as other T-type Ca2+ channel blockers with different structures, such as ethosuximide and ML218, had no or minimal effects on Orai channels. Moreover, mibefradil inhibited cell proliferation, induced apoptosis, and arrested cell cycle progression[3].
In vivo	Compared with the saline-treated group, rats receiving Mibefradil or Ethosuximide show significant lower CaV3.2 expression in the spinal cord and DRG.
Cell Research	Human Orai1-3 cDNAs in tetracycline-regulated pcDNA4/TO vectors were transfected into HEK293 T-REx cells with stromal interaction molecule 1 (STIM1) stable expression. The Orai currents were recorded by whole-cell and excised-membrane patch clamp. Ca2+?influx or release was measured by Fura-PE3/AM. Cell growth and death were monitored by WST-1, LDH assays and flow cytometry[3].

Solubility Information

Solubility	H2O: 122 mg/mL (214.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7589 mL	8.7943 mL	17.5886 mL
5 mM	0.3518 mL	1.7589 mL	3.5177 mL
10 mM	0.1759 mL	0.8794 mL	1.7589 mL
50 mM	0.0352 mL	0.1759 mL	0.3518 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

Brain KL, et al. The sources and sequestration of Ca(2+) contributing to neuroeffector Ca(2+) transients in the mouse vas deferens. J Physiol. 2003 Dec 1;553(Pt 2):627-35.

Yu YF, et al. Protection of the cochlear hair cells in adult C57BL/6J mice by T-type calcium channel blockers. Exp Ther Med. 2016 Mar;11(3):1039-1044.

Li P , Rubaiy H N , Gui-Lan Chen, et al. Mibefradil, a T-type Ca2+ channel blocker also blocks Orai channels by action at the extracellular surface[J]. British Journal of Pharmacology, 2019, 176.

Shiue SJ, et al. Chronic intrathecal infusion of T-type calcium channel blockers attenuates CaV3.2 upregulation in nerve-ligated rats. Acta Anaesthesiol Taiwan. 2016 Oct 17. pii: S1875-4597(16)30071-6.