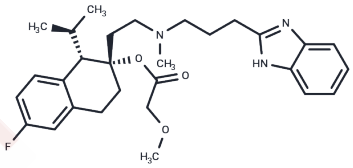
Data Sheet (Cat.No.TQ0153)

TargetMol

Mibefradil

Chemical Properties



CAS No. : 116644-53-2

Formula: C29H38FN3O3

Molecular Weight: 495.63

Appearance:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Mibefradil is a calcium channel blocker with moderate selectivity for T-type Ca2+ channels (IC50s: 2.7 μM and 18.6 μM for T-type and L-type currents).
Targets(IC50)	Calcium Channel
In vitro	Mibefradil (Ro 40-5967) blocks T-type current already at a holding potential of -100 mV [1]. At a higher concentration (20 μM), Mibefradil reduces the amplitude of excitatory junction potentials (by 37±10 %), slows the rate of repolarisation (by 44 %) and causes a significant membrane potential depolarization (from ?83±1 mV to ?71±5 mV). At a higher Mibefradil concentration (20 μM) there is significant membrane potential depolarization and a slowing of repolarization [2].
In vivo	After the 4-week treatment period, the hearing thresholds of 24-26-week-old C57BL/6J mice varied. The threshold at 24 kHz significantly decreased in the Mibefradil-treated and benidipine-treated groups compared to the saline-treated group [3]. Additionally, rats treated with Mibefradil displayed markedly lower CaV3.2 expression in the spinal cord and DRG than the saline-treated group [4].
Animal Research	A total of 30 male C57BL/6J mice (age, 6-8 weeks) are randomized into three groups for the detection of three calcium channel receptor subunits α1G, α1H and α1I, using RT-qPCR. In addition, a further 30 C57BL/6J male mice (age, 24-26 weeks) are allocated at random into three treatment groups: Saline, Mibefradil, and benidipine. Each group is subjected to auditory brainstem recording (ABR) and distortion product otoacoustic emission (DPOAE) tests following treatment. Mibefradil and benidipine are dissolved in a physiological saline solution. A preliminary experiment led to the selection of dosages of 30 mg/kg/day Mibefradil and 10 mg/kg/day Benidipine. The drugs are administered to the mice by gavage for four consecutive weeks [3]. Male Sprague-Dawley rats (200-250 g) are used for right L5/6 SNL to induce neuropathic pain. Intrathecal infusion of saline or TCC blockers [Mibefradil (0.7 μg/h) or Ethosuximide (60 μg/h)] is started after surgery for 7 days. Fluorescent immunohistochemistry and Western blotting are used to determine the expression pattern and protein level of CaV3.2. Hematoxylin-eosin and toluidine blue staining are used to evaluate the neurotoxicity of tested agents [4].

Solubility Information

Solubility	H2O: 95 mg/mL (191.68 mM),Heating is recommended. Ethanol: 52 mg/mL (104.92 mM),Heating is recommended. DMSO: 50 mg/mL (100.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0176 mL	10.0882 mL	20.1763 mL
5 mM	0.4035 mL	2.0176 mL	4.0353 mL
10 mM	0.2018 mL	1.0088 mL	2.0176 mL
50 mM	0.0404 mL	0.2018 mL	0.4035 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.

Reference

Mehrke G, et al. The Ca(++)-channel blocker Ro 40-5967 blocks differently T-type and L-type Ca++ channels. J Pharmacol Exp Ther. 1994 Dec;271(3):1483-8.

Zhang H, Chen C, Liu Y, et al. NRF-2/HO-1 Pathway-Mediated SHOX2 Activation Is a Key Switch for Heart Rate Acceleration by Yixin-Fumai Granules. Oxidative Medicine and Cellular Longevity. 2022

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.

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.