ML213

Cat. No.: HY-101843

CAS No.: 489402-47-3

Molecular Formula: $C_{17}H_{23}NO$

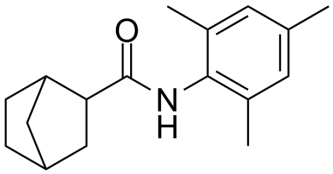
Molecular Weight: 257.37

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 36.67 mg/mL (142.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8855 mL	19.4273 mL	38.8546 mL
	5 mM	0.7771 mL	3.8855 mL	7.7709 mL
	10 mM	0.3885 mL	1.9427 mL	3.8855 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (10.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (10.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (10.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML213 is a selective activator of Kv7.2 and Kv7.4 channels, enhances Kv7.2 and Kv7.4 channels with EC_{50}s of 230 and 510 nM, respectively.

IC_{50} & Target

EC50: 230 nM (Kv7.2 channel), 510 nM (Kv7.4 channel)[2][3]

In Vitro

ML213 (100 nM-30 μM) increases maximal conductance to a peak at 212% ± 27% of control, with an EC_{50} of 0.8 ± 0.3 μM.
ML213 (10 μM) reduces the deactivation rates of Kv7.4 currents by 4.6-fold in the voltage range from −130 mV to −90 mV.

ML213 is a potent and effective activator of homomeric Kv7.5 channels overexpressed in A7r5 cells. ML213 increases maximal conductance of Kv7.5 channels with an EC_{50} of 0.7 ± 0.2 μM. ML213 (10 μM) also reduces deactivation rates of Kv7.5 currents by 5.9-fold on average. ML213 produces similar effects on heteromeric Kv7.4/7.5 channels: 204% ± 11% maximal increase in conductance with an EC_{50} of 1.1 ± 0.6 μM and a 34.2 ± 3.3 mV maximal negative shift of the activation curve, with an EC_{50} of 3.8 ± 1.2 μM[1]. ML213 causes a vasorelaxation in different precontracted rat blood vessels. ML213 (10 μM) also hyperpolarizes mesenteric artery smooth muscle cells[2]. ML213 causes a concentration-dependent shift in the V1/2 for KCNQ2 activation with an EC_{50} 340 ± 70 nM and a maximal shift of 37.4 mV[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Brueggemann LI, et al. Differential activation of vascular smooth muscle Kv7.4, Kv7.5, and Kv7.4/7.5 channels by ML213 and ICA-069673. Mol Pharmacol. 2014 Sep;86(3):330-41.

[2]. Jepps TA, et al. Vasorelaxant effects of novel Kv 7.4 channel enhancers ML213 and NS15370. Br J Pharmacol. 2014 Oct;171(19):4413-24.

[3]. Yu H, et al. Discovery, Synthesis, and Structure Activity Relationship of a Series of N-Aryl- bicyclo[2.2.1]heptane-2-carboxamides: Characterization of ML213 as a Novel KCNQ2 and KCNQ4 Potassium Channel Opener. ACS Chem Neurosci. 2011 Oct 19;2(10):572-577

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