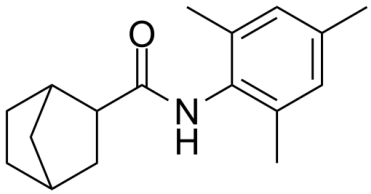
Data Sheet

Product Name:	ML213
Cat. No.:	CS-6933
CAS No.:	489402-47-3
Molecular Formula:	C17H23NO
Molecular Weight:	257.37
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	DMSO : 30 mg/mL (116.56 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

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. IC50 & 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].

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.

CAIndexNames:

Bicyclo[2.2.1]heptane-2-carboxamide, N-(2,4,6-trimethylphenyl)-

SMILES:

O=C(C1C(C2)CCC2C1)NC3=C(C)C=C(C)C=C3C

Caution: Product has not been fully validated for medical applications. For research use only.

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