Levcromakalim

Cat. No.: HY-14255

CAS No.: 94535-50-9

Molecular Formula: $C_{16}H_{18}N_2O_3$

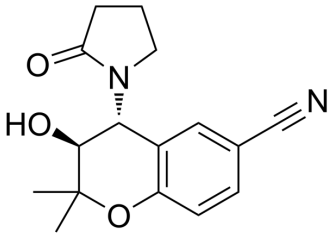
Molecular Weight: 286.33

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 : ≥ 50 mg/mL (174.62 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4925 mL	17.4624 mL	34.9247 mL
5 mM	0.6985 mL	3.4925 mL	6.9849 mL
10 mM	0.3492 mL	1.7462 mL	3.4925 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: ≥ 2.5 mg/mL (8.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Levcromakalim ((-)-Cromakalim) is an ATP-sensitive K^+ channel (K_{ATP}) activator.

IC_{50} & Target

K^+ channel[1]

In Vitro

Levcromakalim ((-)-Cromakalim) inhibits spontaneous contractions completely in a glibenclamide-sensitive manner. LevCromakalim (5 μM) inhibits spontaneous contractions, which are recovered by glibenclamide. Levcromakalim (1, 5 and 10 μM) inhibits phasic contractions to 34±21.1%, 20.1±20.0% and 0% of the control (n=5, respectively; P<0.05). Glibenclamide reverses the inhibition of spontaneous isometric contractions caused by LevCromakalim (5 μM) to 84±1.5% of the control (n=5; P<0.05). Levcromakalim (20 and 100 μM) also inhibits oxytocin (OXT) (10 nM)-induced phasic contractions

to 34±21.4% and 14±12.6% of the control (n=6 and 4, respectively; P<0.05). Glibenclamide reverses the inhibition of spontaneous isometric contractions by LevCromakalim (100 μM) to 79±3.5% of the control (n=4; P<0.05). Tonic contraction by OXT is also suppressed by Cromakalim in a glibenclamide-sensitive manner[2].The function of the K_{ATP} channels is examined with the specific channel opener LevCromakalim (Cromakalim). LevCromakalim induces dose-dependent relaxation in both the young and old mesenteric artery (MAs); and there is no difference in relaxation with age. However, the relaxation is markedly reduced in response to the high-salt (HS) diet in the old MAs (P<0.05)[3].

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

PROTOCOL

Kinase Assay [3]

Levcromakalim (Cromakalim) is dissolved in 10% DMSO and Krebs solution[3].

The endothelium-dependent relaxation is tested by performing concentration-response experiments with acetylcholine (ACh; 10 nM-10 μM). Typically, MAs are exposed to each dose of ACh for at least 6 minutes and maximal responses are determined. Function of the K_{ATP} channels are examined with 10 μM of glibenclamide (a selective K_{ATP} channel inhibitor) and Levcromakalim (Cromakalim) (10 nM to 100 μM), a K_{ATP} channel opener. The addition of glibenclamide to the arterial bath 10 minutes prior to ACh does not alter passive maximum internal diameters of any MAs in our groups.The vessel diameter changes are presented as percentages (%) of dilation of the preconstricted vessels, calculated[3].

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

CUSTOMER VALIDATION

- Nat Commun. 2022 May 13;13(1):2675.
- J Headache Pain. 2022 Sep 30;23(1):128.
- Cephalalgia. 2021 Aug 18;3331024211038884.
- Research Square Print. August 19th, 2022.

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REFERENCES

[1]. Matsumoto T, et al. Tunicamycin-Induced Alterations in the Vasorelaxant Response in Organ-Cultured Superior Mesenteric Arteries of Rats. Biol Pharm Bull. 2016;39(9):1475-81.

[2]. Hong SH, et al. Regulation of myometrial contraction by ATP-sensitive potassium (KATP) channel via activation of SUR2B and Kir 6.2 in mouse. J Vet Med Sci. 2016 Aug 1;78(7):1153-9.

[3]. Whidden MA, Altered potassium ATP channel signaling in mesenteric arteries of old high salt-fed rats. J Exerc Nutrition Biochem. 2016 Jun;20(2):58-64.

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