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产品名称：左色满卡林
产品别名：Levcromakalim ；(-)-Cromakalim; BRL 38227；左克罗卡林

生物活性：

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). Maximum dilations to Levcromakalim (10^{-4} M) are 97 ± 3% in the young MAs versus 98 ± 1% in the young salt arteries, while dilations are 99±0.7% in the old MAs when compared with 85 ± 5% in the old salt arteries (P<0.05)[3].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (174.62 mM)** **H_2O : < 0.1 mg/mL** (insoluble) * "≥" 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

	Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.73 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.73 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.
实验参考：	
Kinase Assay	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].
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.