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产品名称：4-Methyl-2-(1-piperidinyl)-quinoline
产品别名：ML204

生物活性：

Description

ML204 is a novel potent antagonist that selectively modulates native TRPC4/C5 ion channels. IC50 value: Target: TRPC4/C5 inhibitor ML204 inhibited TRPC4β-mediated intracellular Ca(2+) rise with an IC(50) value of 0.96 μm and exhibited 19-fold selectivity against muscarinic receptor-coupled TRPC6 channel activation. In whole-cell patch clamp recordings, ML204 blocked TRPC4β currents activated through either μ-opioid receptor stimulation or intracellular dialysis of guanosine 5'-3-O-(thio)triphosphate (GTPγS), suggesting a direct interaction of ML204 with TRPC4 channels rather than any interference with the signal transduction pathways. Selectivity studies showed no appreciable block by 10-20 μm ML204 of TRPV1, TRPV3, TRPA1, and TRPM8, as well as KCNQ2 and native voltage-gated sodium, potassium, and calcium channels in mouse dorsal root ganglion neurons. In isolated guinea pig ileal myocytes, ML204 blocked muscarinic cation currents activated by bath application of carbachol or intracellular infusion of GTPγS, demonstrating its effectiveness on native TRPC4 currents [1]. ML204 blocked TRPC4 channels in an electrophysiological assay with an IC value of 2.6 μM and was also active in fluorescent and electrophysiological assays in which TRPC4 channels were activated by different mechanisms, indicating direct block of TRPC4 channels. Selectivity for block of TRPC4 channels was examined in fluorescent and electrophysiological experiments against closely related TRPC channels and more distantly related TRPV, TRPA and TRPM channels, and against non-TRP ion channels. ML204 afforded good selectivity (19-fold) against TRPC6 channels and more modest selectivity against TRPC3 and TRPC5 (9-fold) channels [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 37 mg/mL (163.49 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	4.4185 mL	22.0926 mL	44.1852 mL
5 mM	0.8837 mL	4.4185 mL	8.8370 mL
10 mM	0.4419 mL	2.2093 mL	4.4185 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 (11.05 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (11.05 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% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (11.05 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (11.05 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (11.05 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (11.05 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]. Miller M, et al. Identification of ML204, a novel potent antagonist that selectively modulates native TRPC4/C5 ion channels. J Biol Chem. 2011 Sep 23;286(38):33436-46. [2]. Miller MR, et al. Novel Chemical Inhibitor of TRPC4 Channels. Probe Reports from the NIH Molecular Libraries Program [Internet].