ML204

Cat. No.: HY-12949

CAS No.: 5465-86-1

Molecular Formula: $C_{15}H_{18}N_2$

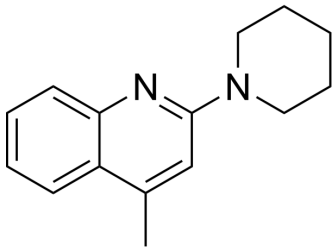
Molecular Weight: 226.32

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 50 mg/mL (220.93 mM; Need ultrasonic)

DMSO : ≥ 37 mg/mL (163.49 mM)

* "≥" 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

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 (11.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (11.05 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML204 is a potent, selective TRPC4/TRPC5 channel inhibitor, with at least 19-fold selectivity against TRPC6 and no appreciable effect on all other TRP channels, nor on voltage-gated sodium, potassium, or Ca^{2+} channels[1][2].

IC_{50} & Target	TRPC4	TRPC5

In Vitro	ML204 inhibits TRPC4β-mediated intracellular Ca^{2+} rise with an IC_{50} value of 0.96 μM (HEK293 cells) and exhibits 19-fold selectivity against muscarinic receptor-coupled TRPC6 channel activation[1]. ML204 blocks TRPC4β activity induced through either $G_{i/o}$ stimulation by μ-opioid, $5HT_{1A}$ serotonin, and M_2 muscarinic receptors or $G_{q/11}$ stimulation by the endogenous M_3-like muscarinic receptors[1]. ML204 blocks LPS-induced TRPC5 channel activity[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ML204 (1 mg/kg; s.c.; twice a day; for 5 days) causes mortality associated with exacerbated hypothermia and decreases peritoneal leukocyte numbers and cytokines in LPS-injected mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nonfasted male C57BL/6 (2-3 months)[4]
Dosage:	1 mg/kg
Administration:	Subcutaneous injection, twice a day, for 5 days (prior to LPS injection)
Result:	Induced mortality associated with increased hypothermia in mice with LPS-induced systemic inflammatory response.

CUSTOMER VALIDATION

- J Ethnopharmacol. 2022 Feb 11;290:115105.
- Exp Cell Res. 2022 Oct 4;113374.
- Biol Pharm Bull. 2023;46(6):864-868.

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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].

[3]. Thomas Schaldecker, et al. Inhibition of the TRPC5 ion channel protects the kidney filter. J Clin Invest. 2013 Dec 2; 123(12): 5298–5309.

[4]. Domingos M S Pereira, et al. Transient Receptor Potential Canonical Channels 4 and 5 Mediate Escherichia coli-Derived Thioredoxin Effects in Lipopolysaccharide-Injected Mice. Oxid Med Cell Longev. 2018 Jun 10;2018:4904696.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA