Mefloquine hydrochloride

Cat. No.: HY-17437A

CAS No.: 51773-92-3

Molecular Formula: $C_{17}H_{17}ClF_6N_2O$

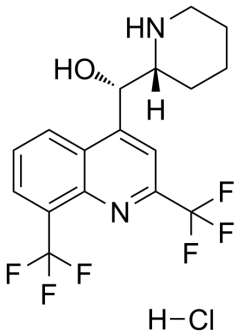
Molecular Weight: 414.77

Target: Parasite; SARS-CoV; Reactive Oxygen Species; Autophagy; Potassium Channel

Pathway: Anti-infection; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB; Autophagy; Membrane Transporter/Ion Channel

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (241.10 mM)

H_2O : 2.86 mg/mL (6.90 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4110 mL	12.0549 mL	24.1097 mL
5 mM	0.4822 mL	2.4110 mL	4.8219 mL
10 mM	0.2411 mL	1.2055 mL	2.4110 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 (6.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mefloquine hydrochloride (Mefloquin hydrochloride), a quinoline antimalarial agent, is an anti-SARS-CoV-2 entry inhibitor. Mefloquine hydrochloride is also a K^+ channel (KvQT1/minK) antagonist with an IC_{50} of ~1 μM. Mefloquine hydrochloride can be used for malaria, systemic lupus erythematosus and cancer research[1][2][3].

In Vitro	Mefloquine hydrochloride selectively inhibits prostate cancer (PCa) cell growth with an IC_{50} of ~10 μM. Mefloquine hydrochloride also induces hyperpolarization of the mitochondrial membrane potential (MMP), as well as ROS generation[2]. Mefloquine hydrochloride (10 μM)-mediated ROS simultaneously downregulated Akt phosphorylation and activated ERK, JNK and AMPK signaling in PC3 cells[2]. Mefloquine shows higher anti-SARS-CoV-2 activity than Hydroxychloroquine in VeroE6/TMPRSS2 and Calu-3 cells, with IC_{50} of 1.28 μM, IC_{90} of 2.31 μM, and IC_{99} of 4.39 μM in VeroE6/TMPRSS2 cells. Mefloquine inhibits viral entry after viral attachment to the target cell[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mefloquine hydrochloride (5 mg/kg; i.p.; daily; 14 days) reverses the lower vertebral cancellous bone volume and bone formation; and has modest effects on cortical bone volume, thickness, and moment of inertia in old mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Young 3.5-month-old and old 21-month-old female C57BL/6 mice[4]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; daily; 14 days
Result:	Reversed the lower vertebral cancellous bone volume and bone formation in old mice.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.

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REFERENCES

[1]. Kang J, et al. Interactions of the antimalarial drug mefloquine with the human cardiac potassium channels KvLQT1/minK andHERG. J Pharmacol Exp Ther. 2001 Oct;299(1):290-6.

[2]. Yan KH, et al. Mefloquine exerts anticancer activity in prostate cancer cells via ROS-mediated modulation of Akt, ERK, JNK and AMPK signaling. Oncol Lett. 2013 May;5(5):1541-1545.

[3]. Kaho Shionoya, et al. Mefloquine, a Potent Anti-severe Acute Respiratory Syndrome-Related Coronavirus 2 (SARS-CoV-2) Drug as an Entry Inhibitor in vitro. Front Microbiol. 2021 Apr 30;12:651403.

[4]. Rafael Pacheco-Costa, et al. Reversal of loss of bone mass in old mice treated with mefloquine. Bone. 2018 Sep;114:22-31.

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