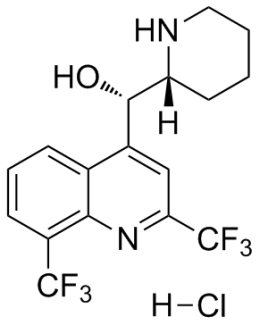
Mefloquine hydrochloride



Cat. No.:	HY-17437A
CAS No.:	51773-92-3
Molecular Formula:	$C_{17}H_{17}ClF_6N_2O$
Molecular Weight:	414.77
Target:	Parasite; Autophagy
Pathway:	Anti-infection; Autophagy
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)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / 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 is a quinoline antimalarial drug that is structurally related to the antiarrhythmic agent quinidine. IC50 Value: 1 microM (for K+ channel) [1]Target: AntiparasiticMefloquine is widely used in both the treatment and prophylaxis of Plasmodium falciparum malaria. MQ can induces oxidative stress in vitro. Evidence indicates that reactive oxygen species (ROS) may be used as a therapeutic modality to kill cancer cells [2].in vitro: Mefloquine inhibitedKvLQT1/minK channel currents with an IC50 value of approximately 1 microM. Mefloquine slowed the activation rate of KvLQT1/minK and more block was evident at lower membrane potentials compared with higher ones. HERG channel

currents were about 6-fold less sensitive to block by mefloquine (IC50 = 5.6 microM). Block of HERG displayed a positive voltage dependence with maximal inhibition obtained at more depolarized potentials [1]. MQ has a highly selective cytotoxicity that inhibits PCa cell growth. MQ-mediated ROS simultaneously downregulated Akt phosphorylation and activated extracellular signal-regulated kinase (ERK), c-Jun N-terminal kinase (JNK) and adenosine monophosphate-activated protein kinase (AMPK) signaling in PC3 cells [2]. in vivo: Pregnant rats were treated orally with AS (15 and 40 mg/kg body weight (bwt)/day), MQ (30 and 80 mg/kg bwt/day) and AS/MQ (15/30 and 40/80 mg/kg bwt/day) on days 9-11 post coitum (pc). The dams were euthanized on day 12 pc and gestational and embryos histological parameters were evaluated [3].Clinical trial: Activity of Mefloquine Against Urinary Schistosomiasis . Phase 2

CUSTOMER VALIDATION

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

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REFERENCES

[1]. Kang J, Chen XL, Wang L, 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, Yao CJ, Hsiao CH, 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]. Boareto AC, et al. Effects of the combined artesunate and mefloquine antimalarial drugs on rat embryos. Hum Exp Toxicol. 2013 Feb 19. [Epub ahead of print]

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