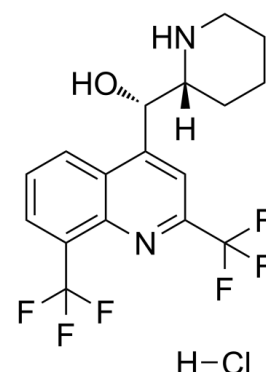


Data Sheet

Product Name:	Mefloquine (hydrochloride)
Cat. No.:	CS-1430
CAS No.:	51773-92-3
Molecular Formula:	C ₁₇ H ₁₇ ClF ₆ N ₂ O
Molecular Weight:	414.77
Target:	Autophagy; Parasite
Pathway:	Anti-infection; Autophagy
Solubility:	DMSO : ≥ 100 mg/mL (241.10 mM)



BIOLOGICAL ACTIVITY:

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: Antiparasitic Mefloquine 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 inhibited KvLQT1/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

References:

- [1]. Kang J, Chen XL, Wang L, Interactions of the antimalarial drug mefloquine with the human cardiac potassium channels KvLQT1/minK and HERG. 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]

CAIndexNames:

4-Quinolinemethanol, α-(2R)-2-piperidinyl-2,8-bis(trifluoromethyl)-, hydrochloride (1:1), (αS)-rel-

SMILES:

O[C@H]([C@]1([H])NCCCC1)C2=CC(C(F)(F)F)=NC3=C(C(F)(F)F)C=CC=C32.[H]Cl

Caution: Product has not been fully validated for medical applications. For research use only.

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