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产品名称：氟甲喹羟哌啶
产品别名：Mefloquine hydrochloride；盐酸甲氟喹

生物活性：

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: 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 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

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (241.10 mM)

* "≥" 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

*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 (6.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.03 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 (6.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.03 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 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 (6.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.03 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]. 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]