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产品名称：二茂铁氯喹
产品别名：Ferroquine；Ferrochloroquine; SSR97193

生物活性：

Description	Ferroquine is an ingenious antimalarial agent.
IC_{50} & Target	antimalarial[1]
In Vitro	The 24 hours post-incubation all newly transformed schistosomula (NTS) exposed to 33.3 μM Ferroquine (FQ), hydroxyl-ferroquine (FQ-OH) and Ruthenoquine (RQ) shows strongly reduced viabilities. 72 hours post-incubation all NTS exposed to 33.3 μM RQ have died, while Ferroquine and FQ-OH treated worms are strongly affected but still alive[1].
In Vivo	Treatment of mice with 200 and 800 mg/kg Ferroquine, shows low total worm burden reductions of 19.4% and 35.6%. One of the mice treated with 800 mg/kg Ferroquine died within 24 hours post-treatment. No activity is observed treating mice with RQ at 200 mg/kg. Finally, a total worm burden reduction of 17.3% is observed following treatment with FQ-OH. Hence, modification of Chloroquine (CQ) by a ferrocenyl or ruthenocenyl fragment does not increase the antischistosomal properties of CQ. For comparison, at 200 mg/kg mefloquine (MQ) achieves a much higher worm burden reduction of 72.3% in *S. mansoni*-infected mice. A higher effect against female adult *S. mansoni* is also observed in MQ treated mice pointing to a sex-specific interference of these drugs with the target. Furthermore, in one of the FQ-OH treated mice many dead worms are recovered and a hepatic shift (i.e. worms migrating to the liver) observed. Hence, Ferroquine and FQ-OH show weak antischistosomal activity in vivo[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 8.33 mg/mL (19.20 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3055 mL	11.5274 mL	23.0548 mL
	5 mM	0.4611 mL	2.3055 mL	4.6110 mL
	10 mM	0.2305 mL	1.1527 mL	2.3055 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: ≥ 0.83 mg/mL (1.91 mM); Clear solution

此方案可获得 ≥ 0.83 mg/mL (1.91 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 8.3 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: ≥ 0.83 mg/mL (1.91 mM); Clear solution 此方案可获得 ≥ 0.83 mg/mL (1.91 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 8.3 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: ≥ 0.83 mg/mL (1.91 mM); Clear solution 此方案可获得 ≥ 0.83 mg/mL (1.91 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 8.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Keiser J, et al. In vitro and in vivo antischistosomal activity of ferroquine derivatives. Parasit Vectors. 2014 Sep 4;7:424.

实验参考：

Cell Assay	Cytotoxicity studies are performed on human cervix HeLa cancer cells and non tumorigenic human fetal lung fibroblasts MRC-5 to compare the activity of Ferroquine, RQ, FQ-OH, CQ, MQ and Cisplatin. The cell viability is determined via a colorimetric cell-based assay using Resazurin. Briefly, one day before treatment cells are plated in triplicates in 96-well plates at a density of 4×10^3 cells/well in 100 μL. Upon treating cells with increasing concentrations of the target complexes (freshly prepared stock solution in DMSO), cells are incubated at 37°C/6% CO_2 for 48 h, the medium is removed, and 100 μL of complete medium containing resazurin (0.2 mg/mL final concentration) is added. After 4 h of incubation at 37°C/6% CO_2, the fluorescence of the highly red fluorescent resorufin product is quantified at 590 nm emission with 540 nm excitation wavelength in a SpectraMax M5 microplate Reader[1].
Animal Administration	Mice[1] Groups of 3-4 NMRI mice are treated orally with single oral doses of 200 mg/kg of Ferroquine, FQ-OH and RQ. In addition, one group of mice is treated with a single oral dose of 800 mg/kg Ferroquine. Untreated mice serve as controls in all experiments. At 21 d post-treatment, animals are killed by the CO_2 method and dissected. Worms are removed by picking, then sexed and counted.
References	[1]. Keiser J, et al. In vitro and in vivo antischistosomal activity of ferroquine derivatives. Parasit Vectors. 2014 Sep 4;7:424.