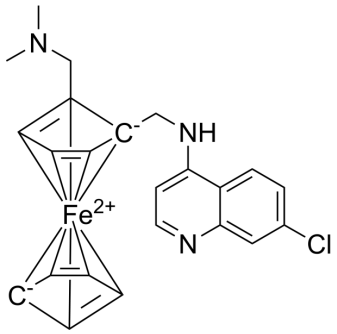
Ferroquine

Cat. No.:	HY-19364
CAS No.:	185055-67-8
Molecular Formula:	$C_{23}H_{24}ClFeN_3$
Molecular Weight:	433.75
Target:	Parasite
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 4.35 mg/mL (10.03 mM; ultrasonic and warming and heat to 60°C)

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ferroquine (Ferrochloroquine), a ferrocenyl analogue of Chloroquine, is an antimalarial agent. Ferroquine shows parasiticidal effect on ***Plasmodium*** by inducing oxidative stress and the subsequent destruction of the membrane[1].

IC_{50} & Target

Plasmodium

In Vitro

Ferroquine shows cytotoxicity against non-cancerous MRC-5 and HeLa cancer cells with IC_{50} values of 24.4 μM and 16.8 μM, respectively[1].

24 hours post-incubation all newly transformed schistosomula (NTS) exposed to 33.3 μM Ferroquine shows strongly reduced viabilities[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- AAPS Open. 2023 Dec 29:57:102684.
- Cell Rep. 2021 Apr 6;35(1):108959.

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REFERENCES

[1]. Keiser J, et al. In vitro and in vivo antischistosomal activity of ferroquine derivatives. Parasit Vectors. 2014 Sep 4;7:424.

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