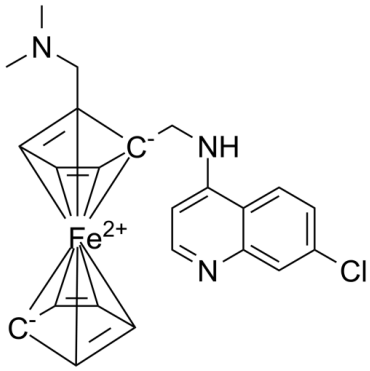
Data Sheet

Product Name:	Ferroquine
Cat. No.:	CS-7610
CAS No.:	185055-67-8
Molecular Formula:	C23H24ClFeN3
Molecular Weight:	433.75
Target:	Parasite
Pathway:	Anti-infection
Solubility:	DMSO : 8.33 mg/mL (19.20 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Ferroquine is an ingenious **antimalarial** agent. IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

References:

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

CAIndexNames:

Ferrocene, 1-[[(7-chloro-4-quinolinyl)amino]methyl]-2-[(dimethylamino)methyl]-

SMILES:

ClC1=CC=C2C(NC[C-]34[Fe+2]56789%10%11([CH-]%12[CH]8=[CH]9[CH]%10=[CH]%11%12)C3(CN(C)C)=[CH]5[CH]6=[CH]47)=CC=NC2=C1

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