ELQ-300

Cat. No.: HY-13836

CAS No.: 1354745-52-0

Molecular Formula: $C_{24}H_{17}ClF_3NO_4$

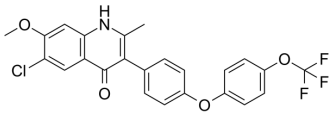
Molecular Weight: 475.84

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 : 15.62 mg/mL (32.83 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1015 mL	10.5077 mL	21.0155 mL
5 mM	0.4203 mL	2.1015 mL	4.2031 mL
10 mM	0.2102 mL	1.0508 mL	2.1015 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.25 mg/mL (4.73 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ELQ-300 is a potent and orally bioavailable antimalarial agent, acts as an inhibitor of the reductive (Q_i) site of the cytochrome bc_1 complex (cyt bc_1). ELQ-300 inhibits growth of P. falciparum Dd2, Tm90-C2B, and D1 with IC_{50} values of 6.6, 4.6 and 160 nM, respectively. ELQ-300 can be used for the research of antimalarial[1][2].

IC_{50} & Target

IC50: 6.6 nM (P. falciparum Dd2), 4.6 nM (P. falciparum Tm90-C2B), 160 nM (P. falciparum D1)[1]

In Vitro

ELQ-300 (0-70 nM, 21 d) inhibits P. falciparum Dd2, Tm90-C2B, and D1 growth with IC_{50} values of 6.6, 4.6 and 160 nM, respectively[1].

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

In Vivo

ELQ-300 (1 and 10 mg/kg; p.o. once daily for 1 or 4 days) inhibits P. yoelii growth in an acute infection model[2].

ELQ-300 (10 and 20 mg/kg; p.o. once daily for 1 or 4 days) prevents recurrence of infection in mice[2].

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

Animal Model:	6-week female CF-1 mice with P. yoelii-WT infection[2]
Dosage:	1 and 10 mg/kg
Administration:	Oral gavage; 1 mg/kg once daily for 4-day; 10 mg/kg once daily for 1-day
Result:	Inhibited P. yoelii with ED_{50} values of 0.04 and 0.03 mg/kg for 4-day dosing and 1-day dosing, respectively.

Animal Model:	6-week female CF-1 mice with P. yoelii-WT infection[2]
Dosage:	10 and 20 mg/kg
Administration:	Oral gavage; 10 mg/kg once daily for 4-day; 20 mg/kg once daily for 1-day
Result:	Effectively prevented recrudescence in the 4-day dosing studies with infection mice.

REFERENCES

[1]. Stickles AM, et al. Atovaquone and ELQ-300 Combination Therapy as a Novel Dual-Site Cytochrome bc1 Inhibition Strategy for Malaria. Antimicrob Agents Chemother. 2016 Jul 22;60(8):4853-9.

[2]. Stickles AM, et al. Subtle changes in endochin-like quinolone structure alter the site of inhibition within the cytochrome bc1 complex of Plasmodium falciparum. Antimicrob Agents Chemother. 2015 Apr;59(4):1977-82.

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