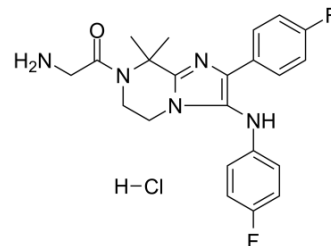


Ganaplacide hydrochloride

Cat. No.:	HY-108024A
Molecular Formula:	C ₂₂ H ₂₄ ClF ₂ N ₅ O
Molecular Weight:	447.91
Target:	Parasite
Pathway:	Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (223.26 mM; Need ultrasonic)																			
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent Concentration</th> <th colspan="3">Mass</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td>1 mM</td> <td>2.2326 mL</td> <td>11.1630 mL</td> <td>22.3259 mL</td> </tr> <tr> <td>5 mM</td> <td>0.4465 mL</td> <td>2.2326 mL</td> <td>4.4652 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2233 mL</td> <td>1.1163 mL</td> <td>2.2326 mL</td> </tr> </tbody> </table>	Solvent Concentration	Mass			1 mg	5 mg	10 mg	1 mM	2.2326 mL	11.1630 mL	22.3259 mL	5 mM	0.4465 mL	2.2326 mL	4.4652 mL	10 mM	0.2233 mL	1.1163 mL	2.2326 mL
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	Please refer to the solubility information to select the appropriate solvent.																			
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.58 mM); Clear solution 																			

BIOLOGICAL ACTIVITY

Description	Ganaplacide (KAF156) hydrochloride is a first-in-class, orally active imidazolo-piperazine antimalarial agent. Ganaplacide hydrochloride is active against a broad range of Plasmodium species, including drug-resistant parasites. Ganaplacide hydrochloride is parasitocidal against both asexual and sexual blood stages as well as the liver stages of the parasite ^{[1][2]} .
In Vitro	Ganaplacide (KAF156) hydrochloride shows blood schizonticidal activity with 50% inhibitory concentrations of 6 to 17.4 nM against <i>P. falciparum</i> drug-sensitive and drug-resistant strains ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KAF156 displays cidal activity against mature Plasmodium falciparum gametocytes and thus blocks parasite transmission to

Anopheles mosquitoes^[1].

Ganaplacide (KAF156) hydrochloride (1-15 mg/kg; p.o.) is fully protective in a causal prophylactic mouse model of malaria^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice (causal prophylactic rodent malaria model) ^[1]
Dosage:	1-15 mg/kg
Administration:	P.o.
Result:	A single oral dose of 10 mg of KAF156/kg administered 2 h before infection was fully protective.

REFERENCES

[1]. Kuhen KL, et al. KAF156 is an antimalarial clinical candidate with potential for use in prophylaxis, treatment, and prevention of disease transmission. *Antimicrob Agents Chemother.* 2014;58(9):5060-5067.

[2]. Leong FJ, et al. A first-in-human randomized, double-blind, placebo-controlled, single- and multiple-ascending oral dose study of novel Imidazolopiperazine KAF156 to assess its safety, tolerability, and pharmacokinetics in healthy adult volunteers. *Antimicrob Agents Chemother.* 2014;58(11):6437-6443.

Caution: Product has not been fully validated for medical applications. For research use only.

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