Cabamiquine

Cat. No.: HY-117684

CAS No.: 1469439-69-7

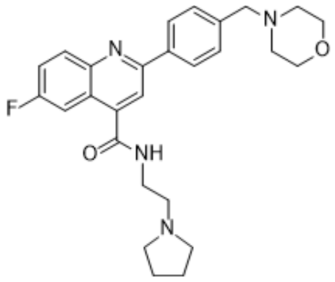
Molecular Formula: $C_{27}H_{31}FN_4O_2$

Molecular Weight: 462.56

Target: Parasite; CaMK

Pathway: Anti-infection; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (216.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1619 mL	10.8094 mL	21.6188 mL
	5 mM	0.4324 mL	2.1619 mL	4.3238 mL
	10 mM	0.2162 mL	1.0809 mL	2.1619 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: ≥ 2.5 mg/mL (5.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cabamiquine (DDD107498) is a potent and orally active antimalarial agent, inhibits multiple life-cycle stages of the parasite, with an EC_{50} of 1 nM against P. falciparum 3D7. Cabamiquine inhibits protein synthesis by targeting eEF2/CaMKIII, with an EC_{50} of 2 nM for WT-PfeEF2[1].

IC_{50} & Target		
	CaMK III	Plasmodium

In Vitro

Cabamiquine (24-48 h) leads to abnormal trophozoites, and inhibits the development of trophozoites and schizonts in parasites, and inhibits protein synthesis[1].
Cabamiquine shows excellent activity against 3D7 parasites: EC50 =1.0 nM, EC90 = 2.4 nM, EC99 = 5.9 nM[1].

	Cabamiquine shows good metabolic stability when incubated with hepatic microsomes or hepatocyte[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cabamiquine (p.o., a single dose) shows an ED_{90} (90% reduction in parasitaemia) of 0.57 mg/kg in mice infected with the rodent parasite P. berghei[1]. Cabamiquine (p.o., 3 mg/kg) shows C_{max} of 80 ng/mL, T_{max} of 4 h, AUC of 200542 ng·min/mL, F (%) of 84%[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Baragaña B, et al. Discovery of a Quinoline-4-carboxamide Derivative with a Novel Mechanism of Action, Multistage Antimalarial Activity, and Potent in Vivo Efficacy. J Med Chem. 2016 Nov 10;59(21):9672-9685.

[2]. Baragaña B, et al. A novel multiple-stage antimalarial agent that inhibits protein synthesis. Nature. 2015 Jun 18;522(7556):315-20.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA