Cabamiquine succinate

Cat. No.: HY-117684A

CAS No.: 2444781-71-7

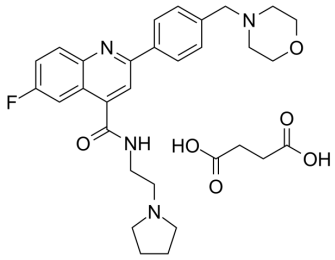
Molecular Formula: $C_{31}H_{37}FN_4O_6$

Molecular Weight: 580.65

Target: Parasite; CaMK

Pathway: Anti-infection; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 135 mg/mL (232.50 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7222 mL	8.6110 mL	17.2221 mL
	5 mM	0.3444 mL	1.7222 mL	3.4444 mL
	10 mM	0.1722 mL	0.8611 mL	1.7222 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 (3.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (3.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (3.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cabamiquine (DDD107498) succinate 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 succinate 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) succinate leads to abnormal trophozoites, and inhibits the development of trophozoites and schizonts in parasites, and inhibits protein synthesis[1].

	Cabamiquine succinate shows excellent activity against 3D7 parasites: EC50 =1.0 nM, EC90 = 2.4 nM, EC99 = 5.9 nM[1]. Cabamiquine succinate 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) succinate 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) succinate 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