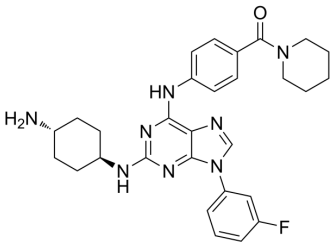
# Purfalcamine

| | |
|---|---|
| **Cat. No.:** | HY-117015 |
| **CAS No.:** | 1038620-68-6 |
| **Molecular Formula:** | $C_{29}H_{33}FN_8O$ |
| **Molecular Weight:** | 528.62 |
| **Target:** | Parasite |
| **Pathway:** | Anti-infection |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 12.5 mg/mL (23.65 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.8917 mL | 9.4586 mL | 18.9172 mL |
| | 5 mM | 0.3783 mL | 1.8917 mL | 3.7834 mL |
| | 10 mM | 0.1892 mL | 0.9459 mL | 1.8917 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: ≥ 1.25 mg/mL (2.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.36 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Purfalcamine is an orally active, selective ***Plasmodium falciparum*** calcium-dependent protein kinase 1 (PfCDPK1) inhibitor with an $IC_{50}$ of 17 nM and an $EC_{50}$ of 230 nM. Purfalcamine has antimalarial activity and causes malaria parasites developmental arrest at the schizont stage[1][2].

| $IC_{50}$ & Target | Plasmodium | Toxoplasma |
|---|---|---|

**In Vitro**

Purfalcamine has low activity against Toxoplasma gondii calcium-dependent protein kinase 3 (TgCDPK3)[1].

Purfalcamine (225, 450 nM) has no effect on the parasitemia in the first 32 hours. After about 40 hours, parasite level remains stable and then begins dropping[1].
Purfalcamine inhibits proliferation with $EC_{50}$s of 171-259 nM for P. falciparum strains (3D7, Dd2, FCB, HB3 and W2), which indicates effectiveness against drug-resistant parasites[1].
Given that the $EC_{50}$ value for P. falciparum (3D7) is 230 nM, Purfalcamine shows a therapeutic window ranging from 23-fold to 36-fold ($EC_{50}$s for CHO=12.33 μM, HEp2=7.235 μM, HeLa=7.029 μM and Huh7=5.476 μM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Purfalcamine (10 mg/kg; oral gavage; BID; for 6 days) demonstrates a delay in the onset of parasitemia in treated mice[1].
Purfalcamine (20 mg/kg; orally gavage) exhibits a $C_{max}$ of 2.6 μM with a half-life of 3.1 hours[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male BALB/c mice, 7 weeks of age with the malaria parasite[1] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage; BID; for 6 days |
| Result: | Demonstrated a delay in the onset of parasitemia in treated mice when compared with control mice. |

| | |
|---|---|
| Animal Model: | Five- to six-week-old male Balb/c mice (22-25 g)[1] |
| Dosage: | 20 mg/kg (Pharmacokinetic Analysis) |
| Administration: | Orally gavage |
| Result: | Exhibited a maximum plasma exposure ($C_{max}$) of 2.6 μM with a half-life of 3.1 hours. |

## REFERENCES


[1]. Nobutaka Kato, et al. Gene expression signatures and small-molecule compounds link a protein kinase to Plasmodium falciparum motility. Nat Chem Biol. 2008 Jun;4(6):347-56.

[2]. Rajshekhar Y Gaji, et al. Expression of the essential Kinase PfCDPK1 from Plasmodium falciparum in Toxoplasma gondii facilitates the discovery of novel antimalarial drugs. Antimicrob Agents Chemother. 2014 May;58(5):2598-607.





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