# Cipargamin

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|---|---|
| **Cat. No.:** | HY-14430 |
| **CAS No.:** | 1193314-23-6 |
| **Molecular Formula:** | $C_{19}H_{14}Cl_2FN_3O$ |
| **Molecular Weight:** | 390.24 |
| **Target:** | Parasite |
| **Pathway:** | Anti-infection |

**Storage:**

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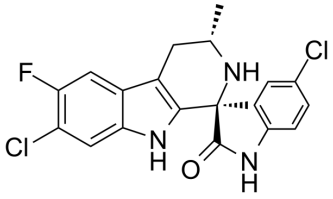
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (128.13 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.5625 mL | 12.8126 mL | 25.6253 mL |
| | 5 mM | 0.5125 mL | 2.5625 mL | 5.1251 mL |
| | 10 mM | 0.2563 mL | 1.2813 mL | 2.5625 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: ≥ 3 mg/mL (7.69 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Cipargamin (NITD609) is an potent antimalarial compound, with an $IC_{50}$ of appr 1 nM against P. falciparum.

**$IC_{50}$ & Target**

IC50: 1 nM (P. falciparum)[3]

**In Vitro**

Cipargamin (NITD609) inhibits T. gondii with a $MIC_{90}$ for tachyzoites of 5 μM and a $MIC_{50}$ of 1 μM, without toxicity to human foreskin fibroblasts (HFFs) at the highest concentration tested (10 μM)[1]. Cipargamin (NITD609) is the most effective inhibitor of early gametocyte development at 50 and 500 nM. Cipargamin shows a dose-dependent inhibiting effect on late gametocyte development[2]. Cipargamin (NITD609) shows potent activities against a panel of culture-adapted P. falciparum strains, with $ICIC_{50}$ values of 0.5-1.4 nM. Cipargamin is effective as artesunate with potency in the low nanomolar range ($ICIC_{50}$ values consistently <10 nM) against all P. falciparum and P. vivax isolates[3].

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

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| **In Vivo** | Cipargamin (NITD609) shows favorable pharmacokinetic properties and displays single dose cure efficacy in a malaria mouse model. Cipargamin (100 mg/kg) completely clears P. berghei infection in all treated mice, and partial cure (50%) is achieved with a single oral dose at 30 mg/kg[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- PLoS Pathog. 2020 Dec 31;16(12):e1009067.
- ACS Omega. 2019 Jan 31;4(1):2353-2361.

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## REFERENCES

[1]. Zhou Y, et al. Spiroindolone that inhibits PfATPase4 is a potent, cidal inhibitor of Toxoplasma gondii tachyzoites in vitro and in vivo. Antimicrob Agents Chemother. 2014;58(3):1789-92.

[2]. van Pelt-Koops JC, et al. The spiroindolone drug candidate NITD609 potently inhibits gametocytogenesis and blocks Plasmodium falciparum transmission to anopheles mosquito vector. Antimicrob Agents Chemother. 2012 Jul;56(7):3544-8.

[3]. Rottmann M, et al. Spiroindolones, a potent compound class for the treatment of malaria. Science. 2010 Sep 3;329(5996):1175-80.



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