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产品名称：NITD609
产品别名：Cipargamin

生物活性：

Description	Cipargamin (NITD609) is an potent antimalarial compound, with IC50 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 MIC50 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 ICIC50 values of 0.5-1.4 nM. Cipargamin is effective as artesunate with potency in the low nanomolar range (ICIC50 values consistently <10 nM) against all P. falciparum and P. vivax isolates[3].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : 50 mg/mL** (**128.13 mM**; Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 3 mg/mL (7.69 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (7.69 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

[1]. Zhou Y, et al. Spiroindolone that inhibits PfATPase4 is a potent, cidal inhibitor of Toxoplasma gondii

References	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.