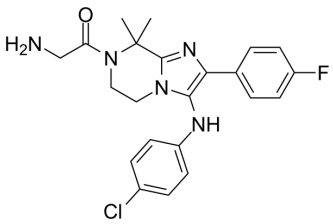
GNF179

Cat. No.:	HY-15975
CAS No.:	1261114-01-5
Molecular Formula:	$C_{22}H_{23}ClFN_5O$
Molecular Weight:	427.9
Target:	Parasite
Pathway:	Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (233.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3370 mL	11.6850 mL	23.3699 mL
	5 mM	0.4674 mL	2.3370 mL	4.6740 mL
	10 mM	0.2337 mL	1.1685 mL	2.3370 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: ≥ 2.08 mg/mL (4.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.86 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNF179 is an optimized 8,8-dimethyl IP analog that exhibited the potency(4.8 nM against the multidrug resistant strain W2) in vitro metabolic stability and in vivo oral bioavailability.IC50 value: 4.8 nM [1]Target: Anti-parasitic agent GNF179 exhibits a low clearance (CL=22 ml/min/kg, ~25% of hepatic blood flow in mice), a large volume of distribution (steady-state volume of distribution, Vss=11.8 l/kg), a moderate residence time (MRT=9 hours) and suitable terminal half-life (t1/2=8.9 hours). GNF179 reduced Plasmodium berghei parasitemia levels by 99.7% with a single 100 mg/kg oral dose, and prolonged mouse survival by an average of 19 days. GNF179 was able to protect against an infectious P. berghei sporozoite challenge with a single oral dose at 15 mg/kg while NITD609 was not.

REFERENCES

[1]. Meister S, et al. Imaging of Plasmodium liver stages to drive next-generation antimalarial drug discovery. Science. 2011 Dec 9;334(6061):1372-7.

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