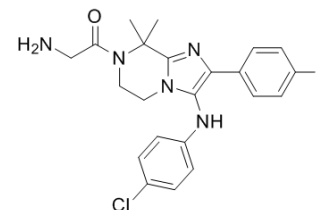


GNF179

Cat. No.:	HY-15975
CAS No.:	1261114-01-5
Molecular Formula:	C ₂₂ H ₂₃ ClFN ₅ O
Molecular Weight:	427.9
Target:	Parasite
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the COA.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (292.12 mM)

* "≥" means soluble, but saturation unknown.

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

- 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
- 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
- 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, V_{ss}=11.8 l/kg), a moderate residence time (MRT=9 hours) and suitable terminal half-life (t_{1/2}=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.

Caution: Product has not been fully validated for medical applications. For research use only.

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