FNDR-20123 free base

Cat. No.: HY-131708

CAS No.: 1267502-34-0

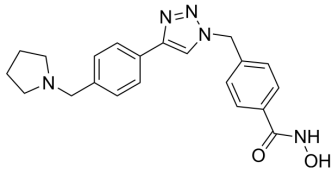
Molecular Formula: $C_{21}H_{23}N_5O_2$

Molecular Weight: 377.44

Target: HDAC; Parasite

Pathway: Cell Cycle/DNA Damage; Epigenetics; Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 35.71 mg/mL (94.61 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.6494 mL	13.2471 mL	26.4943 mL
	5 mM	0.5299 mL	2.6494 mL	5.2989 mL
	10 mM	0.2649 mL	1.3247 mL	2.6494 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 (5.51 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FNDR-20123 free base is a safe, first-in-class, and orally active anti-malarial HDAC inhibitor with IC_{50}s of 31 nM and 3 nM for Plasmodium and human HDAC, respectively. FNDR-20123 free base exerts anti-malarial activity against Plasmodium falciparum asexual stage (IC_{50}=41 nM) and sexual blood stage (IC_{50}=190 nM for male gametocytes). FNDR-20123 free base inhibits HDAC1, HDAC2, HDAC3, HDAC6, and HDAC8 (IC_{50}=25, 29, 2, 11, and 282 nM, respectively) and inhibits Class III HDAC isoforms at nanomolar concentrations[1].

IC_{50} & Target				
	human HDAC 3 nM (IC_{50})	Plasmodium HDAC 31 nM (IC_{50})	HDAC1 25 nM (IC_{50})	HDAC2 29 nM (IC_{50})

	HDAC3 2 nM (IC_{50})	HDAC6 11 nM (IC_{50})	HDAC8 282 nM (IC_{50})	Plasmodium

In Vitro

FNDR-20123 is active against all resistant strains tested so far, which will be highly valuable in eliminating the rapidly evolving drug-resistant parasite[1].

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

In Vivo

FNDR-20123 (10-50 mg/kg; p.o.; bw for 4 days) is also able to reduce parasitaemia significantly in a mouse model for P. falciparum infection[1].

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

Animal Model:	P. falciparum $Pf3D^{70087/N9}$ in $NODscidIL2R\gamma^{null}$ mice (engrafted with human erythrocytes) [1]
Dosage:	10 and 50 mg/kg
Administration:	P.o.; bw for 4 days
Result:	Resulted in a significant reduction in parasitaemia with 6.5% and 2.57% parasitaemia at 10 and 50 mg/kg, respectively.

REFERENCES

[1]. Potluri V, et al. Discovery of FNDR-20123, a histone deacetylase inhibitor for the treatment of Plasmodium falciparum malaria. Malar J. 2020;19(1):365. Published 2020 Oct 12.

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