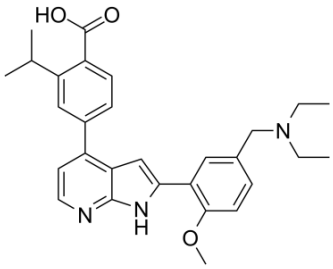
TCMDC-135051

Cat. No.:	HY-126323
CAS No.:	2413716-15-9
Molecular Formula:	$C_{29}H_{33}N_3O_3$
Molecular Weight:	471.59
Target:	Parasite
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (530.12 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1205 mL	10.6024 mL	21.2049 mL
	5 mM	0.4241 mL	2.1205 mL	4.2410 mL
	10 mM	0.2120 mL	1.0602 mL	2.1205 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: ≥ 6.25 mg/mL (13.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TCMDC-135051 is a highly selective and potent protein kinase *Pf*CLK3 inhibitor with low off-target toxicity. TCMDC-135051 prevents trophozoite-to-schizont transition, disrupts transcription and reduces transmission to the mosquito vector. TCMDC-135051 has antiparasiticidal activity (EC_{50}=320 nM)[1].

IC_{50} & Target

PfCLK3[1]

In Vitro

TCMDC-135051 shows potent activity against P. berghei sporozoites in a liver invasion and development assay in which the compound shows a pEC50 value of 6.17 (EC_{50}=0.40 μM)[1].

The kinase assays using recombinant PvCLK3 (P. vivax) and PbCLK3 (P. berghei) show that TCMDC-135051 has near-equipotent inhibition at these two orthologs, with pIC_{50} values of 7.47 (IC_{50}=0.033 μM) and 7.86 (IC_{50}=0.013 μM), respectively [1].

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

REFERENCES

[1]. Alam MM, et al. Validation of the protein kinase PfCLK3 as a multistage cross-species malarial drug target. Science. 2019 Aug 30;365(6456). pii: eaau1682.

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