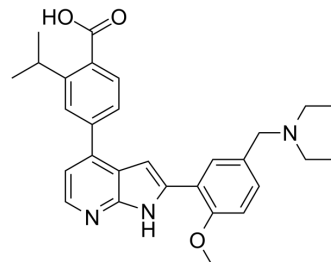


TCMDC-135051

Cat. No.:	HY-126323		
CAS No.:	2413716-15-9		
Molecular Formula:	C ₂₉ H ₃₃ N ₃ O 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	Solvent Concentration	Mass	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 <i>Pf</i> 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 <i>P. berghei</i> sporozoites in a liver invasion and development assay in which the compound shows a pEC ₅₀ value of 6.17 (EC ₅₀ =0.40 μM) ^[1] . The kinase assays using recombinant PvCLK3 (<i>P. vivax</i>) and PbCLK3 (<i>P. berghei</i>) 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.

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

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