Product Data Sheet

TCMDC-135051 TFA

 Cat. No.:
 HY-126323A

 CAS No.:
 2571578-55-5

 Molecular Formula:
 $C_{31}H_{34}F_3N_3O_5$

Molecular Weight: 585.61

Target: Parasite

Pathway: Anti-infection

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

Analysis.

HO O F OH F F

BIOLOGICAL ACTIVITY

Description	TCMDC-135051 TFA is a highly selective and potent protein kinase Pf CLK3 inhibitor with low off-target toxicity. TCMDC-135051 TFA prevents trophozoite-to-schizont transition, disrupts transcription and reduces transmission to the mosquito vector. TCMDC-135051 TFA has antiparasiticidal activity (EC ₅₀ =320 nM) ^[1] .
IC ₅₀ & Target	PfCLK3 ^[1]
In Vitro	TCMDC-135051 TFA 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 μ M) $^{[1]}$. The kinase assays using recombinant PvCLK3 (P. vivax) and PbCLK3 (P. berghei) show that TCMDC-135051 TFA has near-equipotent inhibition at these two orthologs, with pIC $_{50}$ values of 7.47 (IC $_{50}$ =0.033 μ M) and 7.86 (IC $_{50}$ =0.013 μ M), 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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