# CHMFL-PI4K-127

**Cat. No.:** HY-150598

**CAS No.:** 2377604-81-2

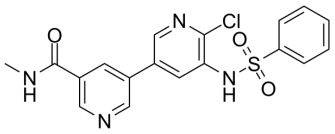
**Molecular Formula:** $C_{18}H_{15}ClN_4O_3S$

**Molecular Weight:** 402.85

**Target:** PI4K; PI3K

**Pathway:** PI3K/Akt/mTOR

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



## BIOLOGICAL ACTIVITY

**Description**

CHMFL-PI4K-127 (compound 15g) is an orally active, potent and high selective PfPI4K (Plasmodium falciparum PI4K kinase) inhibitor, with an $IC_{50}$ of 0.9 nM. CHMFL-PI4K-127 exhibits potent activity against 3D7 Plasmodium falciparum, with an $EC_{50}$ of 25.1 nM. CHMFL-PI4K-127 shows antimalaria efficacy[1].

**$IC_{50}$ & Target**

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|---|---|---|---|
| PI4K<br>0.9 ± 0.1 nM ($IC_{50}$) | PI3Kδ<br>104 ± 3 nM ($IC_{50}$) | PI3Kα<br>191 ± 36 nM ($IC_{50}$) | PI3Kγ<br>324 ± 19 nM ($IC_{50}$) |
| PI3Kβ<br>392 ± 27 nM ($IC_{50}$) | Vps34<br>681 ± 25 nM ($IC_{50}$) | | |

**In Vitro**

CHMFL-PI4K-127 (compound 15g) displays high selectivity against PfPI4K over human lipid and protein kinase[1].
CHMFL-PI4K-127 exhibits $EC_{50}$ values of 23–47 nM against a panel of the drug-resistant strains of P. falciparum[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

CHMFL-PI4K-127 (compound 15g) (Orally; 0-80 mg/kg/day for 7 days; 0-15 mg/kg, once) exhibits the antimalaria efficacy in both blood stage (80 mg/kg) and liver stage (1 mg/kg) of Plasmodium in infected rodent model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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|---|---|
| Animal Model: | Balb/c mice were infected by P. yoelii[1]. |
| Dosage: | 0, 60, 80 mg/kg |
| Administration: | Orally, daily for 7 days |
| Result: | Displayed significant in vivo antimalarial activities in a dose-dependent manner and 80 mg/kg × 7 days treatment generated curative effects. The 60 mg/kg dosage resulted in suppressive effects during the drug treatment but relapsed after stopping treatment. |

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| Animal Model: | Balb/c mice were infected by P. yoelii[1]. |
| Dosage: | 0, 1, 5, 15 mg/kg |
| Administration: | Orally, once |

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| Result: | Provided the full protection and cure at 1 mg/kg with no negligible parasite visible in the liver of all tested mice at 24, 48, 72, 96, 144 and 196 h, indicating true causal prophylactic efficacy. |

## REFERENCES

[1]. 6'-chloro-N-methyl-5'-(phenylsulfonamido)-[3,3'-bipyridine]-5-carboxamide (CHMFL-PI4K-127) as a novel Plasmodium falciparum PI(4)K inhibitor with potent antimalarial activity against both blood and liver stages of Plasmodium. Eur J Med Chem. 2020 Feb 15;188:112012.



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