Thiophene-2

Cat. No.: HY-117145

CAS No.: 420089-51-6

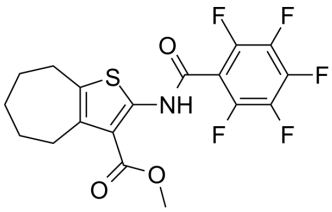
Molecular Formula: $C_{18}H_{14}F_5NO_3S$

Molecular Weight: 419

Target: Bacterial

Pathway: Anti-infection

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



BIOLOGICAL ACTIVITY

Description	Thiophene-2 (TP2) is a specific polyketide synthase 13 (Pks13) inhibitor. Thiophene-2 inhibits mycolic acid biosynthesis and rapidly leads to mycobacterial cell death. Thiophene-2 is active against ***Mycobacterium tuberculosis*** with a MIC value of 1 μM, and has potent anti-tuberculosis activity[1].
IC_{50} & Target	MIC: 1 μM (Mycobacterium tuberculosis)
In Vitro	In vitro, TP inhibits fatty acyl-AMP loading onto Pks13. Thiophene-2 (TP2; 0-125 μM) inhibits loading of wild-type Mycobacterium tuberculosis (Mtb) Pks13 (Pks13_WT) in a dose-dependent manner. Thiophene-2 also inhibits palmitic acid (FL C16) loading onto the TP-resistant F79S mutant protein[1]. Thiophene-2 has an IC50 versus monkey kidney Vero cells and human liver carcinoma HepG2 cells of 17.5 and 7.30 μM, respectively. Significant intracellular killing activity within BCG-infected J774A.1 macrophage cells is observed at Thiophene-2 concentrations of 12.8 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Regina Wilson, et al. Antituberculosis Thiophenes Define a Requirement for Pks13 in Mycolic Acid Biosynthesis. Nat Chem Biol. 2013 Aug;9(8):499-506.

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