ZLM-66

Cat. No.: HY-150769

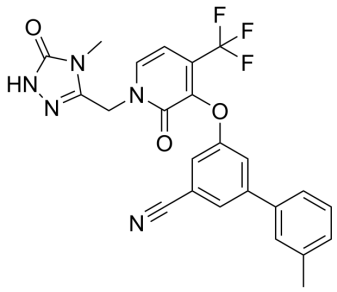
Molecular Formula: $C_{24}H_{18}F_3N_5O_3$

Molecular Weight: 481.43

Target: HIV

Pathway: Anti-infection

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



BIOLOGICAL ACTIVITY

Description

ZLM-66 is a potent non-nucleoside reverse transcriptase inhibitor (NNRTIs) with an IC_{50} of 41 nM for wild-type (WT) HIV-1 reverse transcriptase and an EC_{50} value of 13 nM for wild-type HIV-1. ZLM-66 is a Doravirine (HY-16767) analogs. ZLM-66 can be used for the research of AIDS[1].

IC_{50} & Target

HIV-1 (WT) 13 nM (EC50)	HIV-1 (K103N) 13 nM (EC50)	HIV-1 (L100I) 24 nM (EC50)	HIV-1 (E138K) 25 nM (EC50)
HIV-1 (Y181C) 58 nM (EC50)	HIV-1 (K103N+Y181C) 260 nM (EC50)	HIV-1 (F227L+V106A) 27530 nM (EC50)	

In Vitro

ZLM-66 (0.0016-125 μg/ml, 5 d) inhibits HIV-1 and HIV-1 mutant strains in MT-4 cells[1].

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

Cell Viability Assay[1]

Cell Line:	MT-4 cells infected with WT HIV-1 strain (IIIB) or HIV-2 (ROD)
Concentration:	125, 25, 5, 1, 0.2, 0.04, 0.008 and 0.0016 μg/ml
Incubation Time:	5 d
Result:	Exbited EC_{50} value, CC_{50} value and SI value of 13 nM, 26.45 μM and 2019.8 respectively against HIV-1 (IIIB). Inhibited L100I, K103N, Y181C, Y188L, E138K, F227L + V106A, and K103N + Y181C (single and double HIV mutant strains) with EC_{50}s of 24, 13, 58, 760, 25, 27530 and 260 nM, respectively.

In Vivo

Zlm-66 (1-5 mg/kg; i.v. and p.o. once) shows good druggability and have a good effect in vivo after oral administration[1].

Pharmacokinetic Parameters of ZLM-66 in rat[1].

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	Rat IV 1 mg/kg	Rat PO 5 mg/kg

$T_{1/2}$ (h)	1.90±0.28	8.45±4.88
T_{max} (h)	0.08±0.00	6.67±1.15
C_{max} (ng/mL)	468.67±63.09	583.33±290.11
AUC_{0-t} (h*ng/ml)	891.94±79.30	4983.22±3220.11
$AUC_{0-\infty}$ (h*ng/ml)	940.41±105.00	6594.05±1547.74
CL (ml/h/kg)	1072.31±120.40	791.14±210.66
MRT_{0-t} (h)	2.03±0.2	6.79±1.90
$MRT_{0-\infty}$ (h)	2.48±0.35	13.92±6.44
F (%)		140.24

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

Animal Model:	Six- to 8-week-old male Sprague-Dawley rats (180–230 g)[1]
Dosage:	1, 5 mg/kg
Administration:	Intravenous injection, oral gavage; 1-5 mg/kg; once
Result:	Possed a better in vivo effect of oral administration with half-life, plasma clearance and oral bioavailability of 8.45 h, 791.14 ml/h/kg and 140.24% respectively.

REFERENCES

[1]. Zhao LM, et al. Discovery of novel biphenyl-substituted pyridone derivatives as potent non-nucleoside reverse transcriptase inhibitors with promising oral bioavailability. Eur J Med Chem. 2022 Jun 30;240:114581.

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