ACHN-975 TFA

Cat. No.: HY-19936A

CAS No.: 1410809-37-8

Molecular Formula: $C_{22}H_{24}F_3N_3O_6$

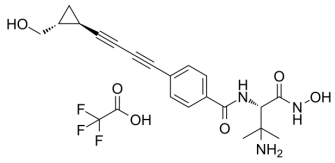
Molecular Weight: 483.44

Target: Bacterial

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (206.85 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0685 mL	10.3425 mL	20.6851 mL
	5 mM	0.4137 mL	2.0685 mL	4.1370 mL
	10 mM	0.2069 mL	1.0343 mL	2.0685 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ACHN-975 TFA is a selective LpxC inhibitor and exhibits a subnanomolar LpxC inhibitory activity. ACHN-975 TFA is against a wide range of gram-negative bacterias with low MIC values (≤1 μg/mL)[1].

IC_{50} & Target

IC50: LpxC[1]

In Vitro

ACHN-975 is against Enterobacteriaceae spp with an IC_{50} of 0.02 nM[1].

ACHN-975 is against Enterobacteriaceae spp, Pa, and Ab with MIC90 values of 1, 0.5, and >64 μg/mL, respectively[1].

ACHN-975 is potently against the P. aeruginosa isolates tested, inhibiting 100% of the isolates at an MIC of ≤2 μg/ml. It against Pseudomonas aeruginosa with an MIC_{50} and MIC_{90} of 0.06 and 0.25 μg/ml, respectively[2].

ACHN-975 is against six P. aeruginosa isolates, it against P. aeruginosa APAE1064, APAE1232, and APAE1064 isolates with MIC values of 0.12, 0.06 and 0.06 μg/ml, respectively[2].

LpxC is highly conserved in gram-negative bacteria and catalyzes the first committed step of lipid A biosynthesis. LpxC is the bacterial enzyme Zinc-dependent metalloamidase UDP-3-O-[(R)-3-hydroxymyristoyl]-N-acetylglucosamine deacetylase[1].

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

In Vivo

ACHN-975 TFA (intraperitoneal administration; 5-30 mg/kg; single dose) leads to a steady reduction in bacterial titers in the first 4 h following treatment for all dosing groups. The sampling shows that the level of free drug in this model drops below

the ACHN-975 MIC for this isolate (0.25 μg/ml) by 2 h after treatment with the 10 mg/kg dose and by 4 h after treatment with the 30 mg/kg dose[2].

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

Animal Model:	Neutropenic mouse thigh model with P. aeruginosa ATCC 27853[2]
Dosage:	5-30 mg/kg
Administration:	Intraperitoneal administration; single dose
Result:	Had a bactericidal activity and was against the P. aeruginosa ATCC27853 strain in vivo.

CUSTOMER VALIDATION

- ACS Infect Dis. 2022 Sep 13.

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REFERENCES

[1]. Kalinin DV, et al. Insights into the Zinc-Dependent Deacetylase LpxC: Biochemical Properties and Inhibitor Design. Curr Top Med Chem. 2016;16(21):2379-430.

[2]. Krause KM,et al. Potent LpxC Inhibitors with In Vitro Activity against Multidrug-Resistant Pseudomonas aeruginosa.Antimicrob Agents Chemother. 2019 Oct 22;63(11). pii: e00977-19.

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