Screening Libraries

Omadacycline hydrochloride

Cat. No.: HY-14865C CAS No.: 1196800-39-1 $C_{29}H_{40}N_4O_7.HCl$ Molecular Formula:

Molecular Weight: 593.11

Target: Bacterial; Antibiotic Pathway: Anti-infection

-20°C, sealed storage, away from moisture Storage:

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

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

H₂O: 200 mg/mL (337.21 mM; Need ultrasonic) DMSO: 50 mg/mL (84.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.6860 mL	8.4301 mL	16.8603 mL
	5 mM	0.3372 mL	1.6860 mL	3.3721 mL
	10 mM	0.1686 mL	0.8430 mL	1.6860 mL

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 50 mg/mL (84.30 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline) Solubility: ≥ 2.62 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Omadacycline (PTK 0796) hydrochloride, a first-in-class orally active aminomethylcycline antibacterial, is a member of the tetracycline class of antibiotics. Omadacycline hydrochloride acts through the inhibition of bacterial protein synthesis by binding to the 30S ribosomal subunit. Omadacycline hydrochloride possesses broad-spectrum antibacterial activity against aerobic and anaerobic Gram-positive and Gram-negative bacteria, as well as atypical bacteria. Omadacycline hydrochloride can be used for the research of acute bacterial skin and skin-structure infections, community-acquired pneumonia, and urinary tract infections^{[1][2][3][4]}.

IC₅₀ & Target

Tetracycline

In Vitro

Omadacycline displays activity against methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant

Enterococcus (VRE), beta-hemolytic streptococci, penicillin-resistant Streptococcus pneumonia (PRSP) and Haemophilus influenzae (H. influenzae), with MIC_{90} s of 1.0, 0.25, 0.5, 0.25 and 2.0 μ g/mL respectively^[2].

Omadacycline is active against strains expressing tetracycline and other antibiotics resistance by ribosomal protection and active tetracycline efflux $^{[2]}$.

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

In Vivo

Omadacycline (0.11-18 mg/kg; a single i.v.) exhibits efficacy against Streptococcus pneumonia, Escherichia coli, and Staphylococcus aureus in mice systemic infection model, with ED_{50} s ranging from 0.30 mg/kg to 3.39 mg/kg^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal
Administration [1]

Mice: Omadacycline is dissolved in sterile saline. Mice are infected using a 3-mL lock-top sterile syringe with a sterile 25-gauge, 5/8-in. needle. At 1 h postinfection (p.i.), mice are dosed intravenously (i.v.) with omadacycline or comparator compounds of interest at a volume of 10 ml/kg. A minimum of four dose levels are tested per experiment with 5 mice/group. The typical doses tested ranges from 0.11 to 18 mg/kg of body weight, with exceptions for comparators that requires significantly higher or lower doses to achieve 50% efficacy^[1].

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

CUSTOMER VALIDATION

- Nat Microbiol. 2023 Mar;8(3):410-423.
- Nat Struct Mol Biol. 2023 Aug 7.
- PLoS Biol. 2022 Sep 28;20(9):e3001808.
- J Clin Microbiol. 2020 Jan 28;58(2):e01603-19.
- Virulence. 2022 Dec;13(1):77-88.

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REFERENCES

- [1]. Macone AB, et, al. In vitro and in vivo antibacterial activities of omadacycline, a novel aminomethylcycline. Antimicrob Agents Chemother. 2014;58(2):1127-35.
- [2]. Durães F, et, al. Omadacycline: A Newly Approved Antibacterial from the Class of Tetracyclines. Pharmaceuticals (Basel). 2019 Apr 21;12(2):63.
- [3]. Zhanel GG, et, al. Omadacycline: A Novel Oral and Intravenous Aminomethylcycline Antibiotic Agent. Drugs. 2020 Feb;80(3):285-313.
- $\hbox{\it [4]. Markham\,A, et, al.\,Omadacycline: First\,Global\,Approval.\,Drugs.\,2018\,Dec;} 78 \hbox{\it (18):} 1931-1937.$

Caution: Product has not been fully validated for medical applications. For research use only.

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