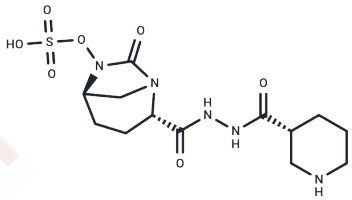
Data Sheet (Cat.No.T13395L)

TargetMol

Zidebactam

Chemical Properties



CAS No. : 1436861-97-0

Formula: C13H21N5O7S

Molecular Weight: 391.4

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Zidebactam (WCK-5107) is a β-lactamase inhibitor and a penicillin-binding protein 2 (PBP2) inhibitor (IC50 = 0.26 μg/ml), with enzymatic inhibitory activity, and can be used for antibacterial research.
Targets(IC50)	Antibacterial
In vitro	**Methods**:Acinetobacter baumannii ATCC 19606 and OXA-23-producing clinical ST2 isolates were used to evaluate Zidebactam in vitro activity through MIC determination, PBP binding affinity determination (IC_{50}), and time-kill kinetics assays. **Results**: Zidebactam monotherapy showed MIC >1024 μg/mL, but exhibited high affinity for PBP2 (IC_{50} 0.01 μg/mL); when combined with 8 μg/mL cefepime or sulbactam, MIC decreased by 4-8 fold, with complete bacterial clearance achieved within 24 hours.[1] **Methods**: Ninety-three carbapenemase-producing Klebsiella species and other isolates were used to determine the minimum inhibitory concentration (MIC) of Zidebactam alone and in combination with cefepime or ertapenem (1:1) by BSAC agar dilution method, with inoculum ranging from 3-6×10^3 to 3-6×10^5 CFU/spot. **Results**: For metallo-β-lactamase-producing strains, the MIC of Zidebactam combinations increased significantly (≥32-fold) with increasing inoculum, while the effect on non-MBL-producing strains was minimal.[2]
In vivo	**Methods**: A neutropenic mouse pneumonia model was established by intranasal inoculation with Pseudomonas aeruginosa. Zidebactam was administered subcutaneously at doses simulating human alveolar epithelial lining fluid exposure (3.8, 4.15 mg/kg) every 8 hours for 24 hours, with normal saline as the vehicle. **Results**: Zidebactam monotherapy reduced bacterial load by 0.99 log_{10} CFU/lung, while combination with cefepime (WCK 5222) increased the reduction to 2.21 log_{10} CFU/lung, demonstrating synergistic enhancement.[3] **Methods**: A neutropenic mouse pneumonia model was established by intranasal inoculation with Acinetobacter baumannii. Zidebactam was administered subcutaneously at doses of 12.5 and 37.5 mg/kg every 2 hours for 24 hours, with normal saline as the vehicle. **Results**: Zidebactam monotherapy was ineffective, but when combined with cefepime, it reduced the percentage of time that free drug concentrations exceeded the MIC required for 1-log_{10} bactericidal activity from 38.9% to 15.5%.[4]

Solubility Information

Solubility	DMSO: 250 mg/mL (638.73 mM),Sonication is recommended. H2O: 50 mg/mL (127.75 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.77 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5549 mL	12.7747 mL	25.5493 mL
5 mM	0.511 mL	2.5549 mL	5.1099 mL
10 mM	0.2555 mL	1.2775 mL	2.5549 mL
50 mM	0.0511 mL	0.2555 mL	0.511 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Moya, Bartolome et al. Potent β-Lactam Enhancer Activity of Zidebactam and WCK 5153 against Acinetobacter baumannii, Including Carbapenemase-Producing Clinical Isolates. Antimicrobial agents and chemotherapy vol. 61,11 e01238-17. 24 Oct. 2017.

Mushtaq, Shazad et al. Inoculum effects of cefepime/zidebactam (WCK 5222) and ertapenem/zidebactam (WCK 6777) for Enterobacterales in relation to β-lactamase type and enhancer effect, as tested by BSAC agar dilution. The Journal of antimicrobial chemotherapy vol. 77,7 (2022): 1916-1922.

Kidd, James M et al. Efficacy of human-simulated bronchopulmonary exposures of cefepime, zidebactam and the combination (WCK 5222) against MDR Pseudomonas aeruginosa in a neutropenic murine pneumonia model. The Journal of antimicrobial chemotherapy vol. 75,1 (2020): 149-155.

Bhagwat, S S et al. The Novel β-Lactam Enhancer Zidebactam Augments the In Vivo Pharmacodynamic Activity of Cefepime in a Neutropenic Mouse Lung Acinetobacter baumannii Infection Model. Antimicrobial agents and chemotherapy vol. 63,4 e02146-18. 27 Mar. 2019.