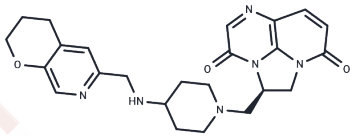
Data Sheet (Cat.No.T11391L)

TargetMol

Gepotidacin

Chemical Properties



CAS No. : 1075236-89-3

Formula: C24H28N6O3

Molecular Weight: 448.52

Storage: Store at low temperature
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	Gepotidacin is a triazaacenaphthylene inhibitor of bacterial type II topoisomerase that, while targeting similar enzymes as fluoroquinolones, is structurally distinct and expected to have a lower potential for resistance development, serving as a novel antibacterial agent.
Targets(IC50)	Antibacterial,Antibiotic,Topoisomerase
In vitro	Gepotidacin has in vitro activity against causative pathogens of acute bacterial skin and skin structure infections (ABSSSIs) [3]. Gepotidacin inhibits bacterial DNA gyrase and topoisomerase IV via a unique mechanism and has demonstrated in vitro activity against gram-negative and gram-positive bacteria, including drug-resistant strains. It also targets pathogens associated with other conventional and biothreat infections. The MIC50 and MIC90 for gepotidacin against the 25 N. gonorrhoeae isolates tested are 0.12 and 0.25 μg/mL, respectively [1]. The gepotidacin MIC90s are as follows: Streptococcus pyogenes (0.25 μg/mL), Escherichia coli (2 μg/mL), Moraxella catarrhalis (≤0.06 μg/mL), Streptococcus pneumoniae (0.25 μg/mL), Haemophilus influenzae (1 μg/mL), Clostridium perfringens (0.5 μg/mL), and Shigella spp. (1 μg/mL) [2].
In vivo	For six methicillin-resistant Staphylococcus vitis vinifera (MRSA) isolates, the minimum inhibitory concentration (MIC) of Gepotidacin ranged between 0.125~0.5 mg/L. The alveolar epithelial lining fluid (ELF) penetration ratio of this drug was 1.1~1.4. In a neutropenic murine model, the maximum bacterial clearance effect reached 1.1~3.1 log10 CFU. The mean free drug area under the curve to minimum inhibitory concentration ratios (fAUC/MIC) required to achieve bacterial growth stasis and a 1-log reduction were 59.3 ± 34.6 and 148.4 ± 83.3, respectively [4].

Solubility Information

Solubility	DMSO: 5.5 mg/mL (12.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2296 mL	11.1478 mL	22.2955 mL
5 mM	0.4459 mL	2.2296 mL	4.4591 mL
10 mM	0.223 mL	1.1148 mL	2.2296 mL
50 mM	0.0446 mL	0.223 mL	0.4459 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

Farrell DJ, et al. In Vitro Activity of Gepotidacin (GSK2140944) against Neisseria gonorrhoeae. Antimicrob Agents Chemother. 2017 Feb 23;61(3).

Biedenbach DJ, et al. In Vitro Activity of Gepotidacin, a Novel Triazaacenaphthylene Bacterial Topoisomerase Inhibitor, against a Broad Spectrum of Bacterial Pathogens. Antimicrob Agents Chemother. 2016 Jan 4;60(3):1918-23.

O'Riordan W, et al. Efficacy, Safety, and Tolerability of Gepotidacin (GSK2140944) in the Treatment of Patients with Suspected or Confirmed Gram-Positive Acute Bacterial Skin and Skin Structure Infections. Antimicrob Agents Chemother. 2017 May 24;61(6).

So W, et al. Pharmacodynamic Profile of GSK2140944 against Methicillin-Resistant Staphylococcus aureus in a Murine Lung Infection Model. Antimicrob Agents Chemother. 2015 Aug;59(8):4956-61.

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