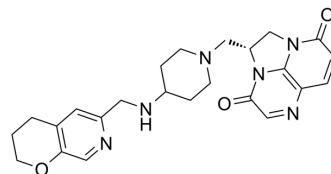


Gepotidacin

Cat. No.:	HY-16742		
CAS No.:	1075236-89-3		
Molecular Formula:	C ₂₄ H ₂₈ N ₆ O ₃		
Molecular Weight:	448.52		
Target:	Bacterial; Topoisomerase; Antibiotic		
Pathway:	Anti-infection; Cell Cycle/DNA Damage		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 7.14 mg/mL (15.92 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.2296 mL	11.1478 mL	22.2956 mL
	5 mM	0.4459 mL	2.2296 mL	4.4591 mL
	10 mM	0.2230 mL	1.1148 mL	2.2296 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	Gepotidacin (GSK2140944) is a novel triazaacenaphthylene bacterial type II topoisomerase inhibitor.
In Vitro	Gepotidacin is a novel, first-in-class, triazaacenaphthylene antibacterial that 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, and also targets pathogens associated with other conventional and biothreat infections. The MIC ₅₀ and MIC ₉₀ for gepotidacin against the 25 <i>N. gonorrhoeae</i> isolates tested are 0.12 and 0.25 µg/mL, respectively ^[1] . The gepotidacin MIC ₉₀ s are as follows (in µg/mL): <i>Streptococcus pyogenes</i> , 0.25; <i>Escherichia coli</i> , 2; <i>Moraxella catarrhalis</i> , ≤0.06; <i>Streptococcus pneumoniae</i> , 0.25; <i>Haemophilus influenzae</i> , 1; <i>Clostridium perfringens</i> , 0.5; and

Shigella spp., 1^[2]. Gepotidacin has in vitro activity against causative pathogens of acute bacterial skin and skin structure infections (ABSISs)^[3].

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

In Vivo

GSK2140944 MICs are 0.125 to 0.5 mg/L against the six MRSA isolates. ELF penetration ratios range from 1.1 to 1.4. Observed maximal decreases are 1.1 to 3.1 log₁₀ CFU in neutropenic mice. The mean fAUC/MIC ratios required for stasis and 1-log-unit decreases are 59.3 ± 34.6 and 148.4 ± 83.3, respectively.

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PROTOCOL

Animal Administration ^[4]

Mice: For neutropenic pharmacokinetic studies, at 3 h postinoculation (0 h), groups of 48 infected mice are administered GSK2140944 s.c. in single doses of 6.25, 50, or 200 mg/kg. Blood samples are collected from groups of six mice at 5 min and 0.25, 0.5, 1, 1.5, 2, 3, and 4 h postdose for 6.25- or 50-mg/kg doses and 5 min and 0.25, 0.5, 1, 1.5, 2, 4, and 6 h postdose for the 200-mg/kg dose via cardiac puncture^[4].

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.
- PLoS Biol. 2020 Oct 5;18(10):e3000819.
- Cell Chem Biol. 2019 Sep 19;26(9):1274-1282.e4.
- ACS Infect Dis. 2024 Sep 24.
- Antibiotics (Basel). 2022, 11(2), 192.

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REFERENCES

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

[2]. 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.

[3]. 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).

[4]. 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.

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

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