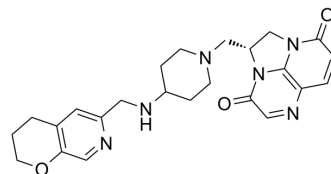


## Gepotidacin

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



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 7.14 mg/mL (15.92 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	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.						
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 0.71 mg/mL (1.58 mM); Clear solution</li> </ol>					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Gepotidacin (GSK2140944) is a novel triazaacenaphthylene bacterial type II topoisomerase inhibitor.
<b>In Vitro</b>	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 <sub>50</sub> and MIC <sub>90</sub> for gepotidacin against the 25 <i>N. gonorrhoeae</i> isolates tested are 0.12 and 0.25 µg/mL, respectively <sup>[1]</sup> . The gepotidacin MIC <sub>90</sub> 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<sup>[2]</sup>. Gepotidacin has in vitro activity against causative pathogens of acute bacterial skin and skin structure infections (ABSSSIs)<sup>[3]</sup>.

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 log<sub>10</sub> 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.

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

## PROTOCOL

### Animal Administration <sup>[4]</sup>

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<sup>[4]</sup>.

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.
- Antibiotics (Basel). 2022, 11(2), 192.
- Microbiol Spectr. 2022 Oct 17;e0205622.

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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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