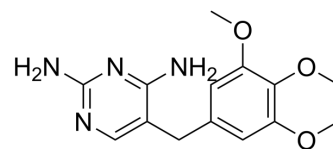


Trimethoprim

Cat. No.:	HY-B0510												
CAS No.:	738-70-5												
Molecular Formula:	C ₁₄ H ₁₈ N ₄ O ₃												
Molecular Weight:	290.32												
Target:	Antifolate; Bacterial; Antibiotic; Influenza Virus												
Pathway:	Cell Cycle/DNA Damage; Anti-infection												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
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SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (172.22 mM; Need ultrasonic)
 H₂O : 0.67 mg/mL (2.31 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.4445 mL	17.2224 mL	34.4447 mL
	5 mM		0.6889 mL	3.4445 mL	6.8889 mL
	10 mM		0.3444 mL	1.7222 mL	3.4445 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (8.61 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (8.61 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (8.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trimethoprim is a bacteriostatic antibiotic and an orally active dihydrofolate reductase inhibitor. Trimethoprim is active against a wide range of Gram-positive and Gram-negative aerobic bacteria. Trimethoprim has the potential for the research of urinary tract infections, Shigellosis and Pneumocystis pneumonia. Trimethoprim can inhibit infection of Influenza A virus in chick embryo when combined with zinc^{[1][2][3][4]}.

IC₅₀ & Target

Dihydrofolate reductase, Bacteria^[1]

	Influenza A virus ^[4]																
In Vitro	<p>Trimethoprim interrupts folate metabolism by inhibition of the activity of dihydrofolase reductase (DHFR), which reduces dihydrofolate to tetrahydrofolate (THF)^[1].</p> <p>Trimethoprim (3 µg/mL; 1 h) induces protein aggregation and main heat shock proteins (Hsps) in E. coli cells, which indicates that Trimethoprim sulfate presence leads to protein misfolding^[1].</p> <p>Trimethoprim (1.5-3 µg/mL; 1 h) causes induction of DnaK, DnaJ, GroEL, ClpB, and IbpA/B Hsps in E. coli cells exposed to folate and heat stress^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																
In Vivo	<p>Trimethoprim (10 mg/kg; i.v.; once every 12 h; 3 d) shows antibacterial activity against H. influenzae, S. pneumoniae, E. coli and N. meningitidis in infected mice^[2].</p> <p>Trimethoprim can be connected with the thiomaltose (TM-TMP) and shows stability with a half-life of about 1 hour in complete serum, and has a MIC value around 1 µM against E. coli^[2].</p> <p>Trimethoprim (10 mg/mL; 0.5 mL; inject with Trimethoprim-Zn combined suspension) decreases the virus titer and increases the survival rate of chicken embryo^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female C3H/HeOuJ mice (transurethrally infected with a 50 µL suspension containing 1-2×10⁷ CFU of E. coli under 3% isoflurane)^[2]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>i.v.; once every 12 h; for 3 d</td> </tr> <tr> <td>Result:</td> <td>Showed antibacterial activity against H. influenzae, S. pneumoniae, E. coli and N. meningitidis with CD₅₀s of 150 mg/kg, 335 mg/kg, 27.5 mg/kg and 8.4 mg/kg, respectively in infected mice.</td> </tr> </table> <table border="1"> <tr> <td>Animal Model:</td> <td>Fertilized eggs (injected H3N2 virus into amniotic and allantoic space at day 8)^[4]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/mL; 0.5 mL</td> </tr> <tr> <td>Administration:</td> <td>The Trimethoprim-Zn combined suspension was injected into the air sac; single dosage</td> </tr> <tr> <td>Result:</td> <td>Decreased the virus titer and increased the survival rate of chicken embryo. The survival rate peaked at ratio about 0.18 (Zn/Trimethoprim).</td> </tr> </table>	Animal Model:	Female C3H/HeOuJ mice (transurethrally infected with a 50 µL suspension containing 1-2×10 ⁷ CFU of E. coli under 3% isoflurane) ^[2]	Dosage:	10 mg/kg	Administration:	i.v.; once every 12 h; for 3 d	Result:	Showed antibacterial activity against H. influenzae, S. pneumoniae, E. coli and N. meningitidis with CD ₅₀ s of 150 mg/kg, 335 mg/kg, 27.5 mg/kg and 8.4 mg/kg, respectively in infected mice.	Animal Model:	Fertilized eggs (injected H3N2 virus into amniotic and allantoic space at day 8) ^[4]	Dosage:	10 mg/mL; 0.5 mL	Administration:	The Trimethoprim-Zn combined suspension was injected into the air sac; single dosage	Result:	Decreased the virus titer and increased the survival rate of chicken embryo. The survival rate peaked at ratio about 0.18 (Zn/Trimethoprim).
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CUSTOMER VALIDATION

- Autophagy. 2023 Jun 13;1-17.
- Water Res. 2023 May 21, 120110.
- J Clin Microbiol. 2020 Jan 28;58(2):e01603-19.
- Chemosphere. 2019 Jun;225:378-387.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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- [1]. El Habbal MH. Combination therapy of zinc and trimethoprim inhibits infection of influenza A virus in chick embryo. *Virology*. 2021 Jun 3;18(1):113.
- [2]. Laskowska, E., et al., Trimethoprim induces heat shock proteins and protein aggregation in *E. coli* cells. *Curr Microbiol*, 2003. 47(4): p. 286-9.
- [3]. Brogden, R.N., et al., Trimethoprim: a review of its antibacterial activity, pharmacokinetics and therapeutic use in urinary tract infections. *Drugs*, 1982. 23(6): p. 405-30.
- [4]. Xiaojian Wang, et al. A Trimethoprim Conjugate of Thiomaltose Has Enhanced Antibacterial Efficacy In Vivo. *Bioconjug Chem*. 2018 May 16;29(5):1729-1735.
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Caution: Product has not been fully validated for medical applications. For research use only.

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