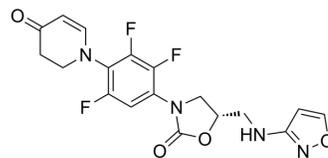


Contezolid

Cat. No.:	HY-19915
CAS No.:	1112968-42-9
Molecular Formula:	C ₁₈ H ₁₅ F ₃ N ₄ O ₄
Molecular Weight:	408.33
Target:	Bacterial; Antibiotic; Monoamine Oxidase
Pathway:	Anti-infection; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 120 mg/mL (293.88 mM; Need ultrasonic)				
		Solvent	Mass		
	Preparing Stock Solutions	Concentration	1 mg	5 mg	10 mg
		1 mM	2.4490 mL	12.2450 mL	24.4900 mL
		5 mM	0.4898 mL	2.4490 mL	4.8980 mL
10 mM		0.2449 mL	1.2245 mL	2.4490 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Contezolid (MRX-I), a new and orally active oxazolidinone, is an antibiotic in study for complicated skin and soft tissue infections (cSSTI) caused by resistant Gram-positive bacteria. Contezolid (MRX-I) markedly reduces potential for myelosuppression and monoamine oxidase inhibition (MAOI) ^{[1][2]} .
IC₅₀ & Target	Oxazolidinone
In Vitro	Contezolid (MRX-I) is highly potent against all Grampositive clinical isolates of staphylococci, streptococci, and enterococci, including MDR organisms such as MRSA, methicilline-resistant Streptococcus epidermidis (MRSE), penicillin-resistant

Streptococci (PRSP), and VRE^[2].

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

In Vivo

Oral absorption of Contezolid (MRX-I) occurs rapidly in mouse, rat, and dog, with peak plasma concentrations observed at 0.5–2.6 h postdose. In mouse, rat, and dog, respectively, PK parameters are determined as follows: dose-normalized C_{max} /dose was 524, 1065, and 259 ng/mL/(mg/kg); dose-normalized AUC_{0-t} /dose was 1654, 3703, and 1664 ng·h/mL/(mg/kg); $T_{1/2}$ is 1, 1.5, and 3 h; and the oral bioavailability is 69%, 109%, and 37%^[2].

Contezolid (MRX-I) exhibits no obvious toxicity^[2].

Contezolid (MRX-I, 100 mg/kg, once daily) significantly reduced the bacterial load in lungs compared to the untreated early and late controls^[3].

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

Animal Model:	BALB/c mice infected intranasally with <i>M. tuberculosis</i> Erdman ^[3] .
Dosage:	100, 50 (twice), 25 (twice) mg/kg.
Administration:	Gavage, once or twice daily, five days per week for four weeks.
Result:	Significantly reduced the CFU recovered from the lungs compared to the early and late control mice ($P < 0.05$). Twice daily MRX-I at 50mg/kg and 25 mg/kg were significantly better than the late control mice ($P < 0.05$). Once daily MRX-I at 100 mg/kg was significantly better than twice daily 50 mg/kg and 25 mg/kg ($P < 0.05$). There was no statistical difference between twice daily 50 mg/kg of MRX-I and 25mg/kg ($P > 0.05$).

Animal Model:	Rats ^[2] .
Dosage:	20, 100, and 200/300 mg/kg/day.
Administration:	Orally twice daily.
Result:	No mortality was observed.

CUSTOMER VALIDATION

- Front Microbiol. 2023 Apr 26;14:1131178.
- Antimicrob Agents Chemother. 2023 Mar 15;e0165522.

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REFERENCES

- [1]. Junzhen Wu, et al. Evaluation of the Effect of Contezolid (MRX-I) on the Corrected QT Interval in a Randomized, Double-Blind, Placebo- and Positive-Controlled Crossover Study in Healthy Chinese Volunteers. *Antimicrob Agents Chemother.* 2020 May 21;64(6):e02158-19.
- [2]. Mikhail F Gordeev, et al. New potent antibacterial oxazolidinone (MRX-I) with an improved class safety profile. *J Med Chem.* 2014 Jun 12;57(11):4487-97.
- [3]. Carolyn Shoen, et al. In Vitro and In Vivo Activities of Contezolid (MRX-I) against *Mycobacterium tuberculosis*. *Antimicrob Agents Chemother.* 2018 Jul 27;62(8):e00493-18.

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

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