

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten! See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic in





Product Data Sheet

Contezolid

 Cat. No.:
 HY-19915

 CAS No.:
 1112968-42-9

 Molecular Formula:
 $C_{18}H_{15}F_3N_4O_4$

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

1	١	1:	_		_
In	١	/ I	τ	r	О

DMSO: 120 mg/mL (293.88 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass 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]} .
IC ₅₀ & 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) occurrs 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 M. tuberculosis 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 25mg/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-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.

See more customer validations on www.MedChemExpress.com

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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898 Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

Page 3 of 3 www.MedChemExpress.com