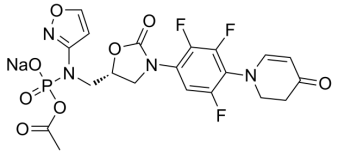
Contezolid acefosamil sodium

Cat. No.:	HY-19915B
CAS No.:	1807365-35-0
Molecular Formula:	$C_{20}H_{17}F_3N_4NaO_8P$
Molecular Weight:	552.33
Target:	Bacterial; Antibiotic; Monoamine Oxidase
Pathway:	Anti-infection; Neuronal Signaling
Storage:	-80°C, stored under nitrogen



SOLVENT & SOLUBILITY

In Vitro

DMSO : 180 mg/mL (325.89 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8105 mL	9.0526 mL	18.1051 mL
5 mM	0.3621 mL	1.8105 mL	3.6210 mL
10 mM	0.1811 mL	0.9053 mL	1.8105 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: ≥ 4.5 mg/mL (8.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 4.5 mg/mL (8.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.5 mg/mL (8.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Contezolid acefosamil sodium (MRX-4), 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 acefosamil sodium (MRX-4) 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].

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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 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.

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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