

PRODUCT INFORMATION



Radezolid

Item No. 30092

CAS Registry No.: 869884-78-6
Formal Name: N-[[[(5S)-3-[2-fluoro-4'-[[[(1H-1,2,3-triazol-5-ylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl]methyl]-acetamide

Synonyms: Rx-01_667, RX-1741

MF: C₂₂H₂₃FN₆O₃

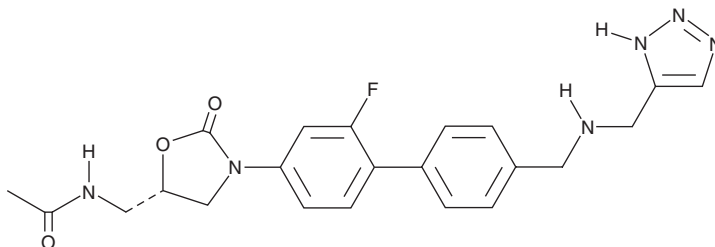
FW: 438.5

Purity: ≥98%

Supplied as: A solid

Storage: -20°C

Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Radezolid is supplied as a solid. A stock solution may be made by dissolving the radezolid in the solvent of choice, which should be purged with an inert gas. Radezolid is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of radezolid in these solvents is approximately 2 mg/ml.

Radezolid is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, radezolid should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Radezolid has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Radezolid is an oxazolidinone antibiotic and a derivative of linezolid (Item No. 15012).¹ It is active against a variety of bacterial clinical isolates, including strains of methicillin-sensitive and -resistant *S. aureus*, vancomycin-sensitive and -resistant *E. faecalis* and *E. faecium*, and linezolid-resistant *S. aureus*, *S. epidermidis*, *E. faecalis*, *E. faecium*, and *S. pneumoniae* (MICs = ≤0.25-64 µg/ml). Radezolid is also active against *L. monocytogenes*, *S. aureus*, *L. pneumophila*, and *S. epidermidis* in THP-1 macrophages (EC₅₀s = 0.62, 0.63, 8.45, and 2.99 mg/L, respectively).² It inhibits protein translation in isolated wild-type and linezolid-resistant *S. aureus* 70S ribosomes but not rabbit reticulocyte lysates (IC₅₀s = 0.02, 0.03, and >2 µM, respectively).³ Radezolid is efficacious in a mouse model of *S. pneumoniae*-induced peritonitis with a 50% protective dose (PD₅₀) value of 1.3 mg/kg per day.⁴

References

1. Lawrence, L., Danese, P., DeVito, J., et al. In vitro activities of the Rx-01 oxazolidinones against hospital and community pathogens. *Antimicrob. Agents Chemother.* **52**(5), 1653-1662 (2008).
2. LeMaire, S., Kosowska-Shick, K., Appelbaum, P.C., et al. Cellular pharmacodynamics of the novel biaryloxazolidinone radezolid: Studies with infected phagocytic and nonphagocytic cells, using *Staphylococcus aureus*, *Staphylococcus epidermidis*, *Listeria monocytogenes*, and *Legionella pneumophila*. *Antimicrob. Agents Chemother.* **54**(6), 2549-2559 (2010).
3. Skripkin, E., McConnell, T.S., DeVito, J., et al. Rx-01, a new family of oxazolidinones that overcome ribosome-based linezolid resistance. *Antimicrob. Agents Chemother.* **52**(10), 3550-3557 (2008).
4. Zhou, J., Bhattacharjee, A., Chen, S., et al. Design at the atomic level: Design of biaryloxazolidinones as potent orally active antibiotics. *Bioorg. Med. Chem. Lett.* **18**(23), 6175-6178 (2008).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM