Product Name: Radezolid

Chemical Properties

**Product Name:** Radezolid

**Cas No.:** 869884-78-6

**M.Wt:** 438.45

**Formula:** C22H23FN6O3

**Synonyms:** RX-1741; RX 1741; RX1741

**Chemical Name:** N-[[[(5S)-3-[3-fluoro-4-[4-[(2H-triazol-4-ylmethylamino)methyl]phenyl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide

**Canonical SMILES:** CC(=O)NC1C(=O)O1C2=C(C=C2)C3=CC=C(C=C3)CNCC4=NNN N=C4)F

**Solubility:** >43.8mg/ml in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** Microbiology & Virology

**Pathways:** Antibiotic

**Description:**

Radezolid Description:
MIC90: Radezolid was approximately four-times more potent than linezolid against MRSA, with MIC90 of 0.5 mg/l and 2.0 mg/l, respectively [1].
Radezolid is an investigational oxazolidinone with excellent in vitro and in vivo activity against a variety of Gram-positive bacteria including methicillin-resistant Staphylococcus aureus (MRSA).
Efficacy has been attributed to the finding that radezolid accumulates in vitro in macrophages, polymorphonuclear leukocytes (PMNs), epithelial and endothelial cells. In vitro: A study found that radezolid accumulated to similar levels (~10-fold) in all cell types (human keratinocytes, endothelial cells, bronchial epithelial cells, osteoblasts, macrophages, and rat embryo fibroblasts). At equivalent weight concentrations, radezolid proved consistently 10-fold more potent than linezolid in all these models, irrespective of the bacterial species and resistance phenotype or of the cell type infected. These data suggest the potential interest of radezolid for recurrent or persistent infections where intracellular foci play a determinant role [2].

In vivo: When administered at 50 mg/kg, radezolid and linezolid showed comparable reductions in bacterial burden 24 hours after inoculation. Area under the curve (AUC) analysis of tissue concentrations demonstrated that radezolid accumulated 2.4-fold in infected thighs when compared to non-infected thigh tissue (table). Linezolid showed no accumulation in infected thighs [3].

Clinical trial: Radezolid (INN, codenamed RX-1741) is developed by Rib-X Pharmaceuticals, Inc. for the treatment of serious multi-drug–resistant infections. Radezolid has completed two phase-II clinical trials. One of these clinical trials was for uncomplicated skin and skin-structure infections (uSSSI) and the other clinical trial was for community acquired pneumonia (CAP) (http://en.wikipedia.org/wiki/Radezolid).

Reference:

Product Citations

Product Validation
The most intense effect is attributed to a stronger interaction of RAD with HDAS-β-CD than with HDMS-β-CD in ECD spectra. RAD and its (R)-enantiomer were dissolved in water and sonicated. Then, the solutions were cooled and diluted with water to achieve a concentration of approximately 2.0 mg/mL. Temperature-dependent measurements of (S)-RAD in phosphoric buffer (50 mM) at pH 2.5 supplemented with HDAS-β-CD (10 mM) performed by electronic circular dichroism. J Pharm Biomed Anal. 2017 Feb 21.

The experimental and calculated NMR data (δ -chemical shifts [ppm] of 1H and 13C atoms and J coupling constants[Hz]) of Radezolid. The results of NMR experiments in D2O and DMSO-d6 solutions are summarized in Table. All measurements were conducted at room temperature. The 1H and 13C NMR spectra of radezolid were recorded in D2O (1.9 mg/mL) and DMSO-d6 (8.0 mg/mL) solutions at 303 K using a Varian VN-MRS 500 spectrometer operated at 499.8 and 125.7 MHz for 1H and 13C, respectively. The full assignment of proton and carbon signals was accomplished using COSY, 1H {13C} HSQC and 1H {13C} HMBC experiments. Spectrochim Acta A Mol Biomol Spectrosc. 2017 Apr 20;183:116-122.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.