

Product Data Sheet

Product Name: Linezolid

Cat. No.: GC11221

Chemical Properties

Cas. No. 165800-03-3

Chemical Name N-[[[(5S)-3-(3-fluoro-4-morpholin-4-ylphenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide

SMILES CC(=O)NCC1CN(C(=O)O1)C2=CC(=C(C=C2)N3CCOCC3)F

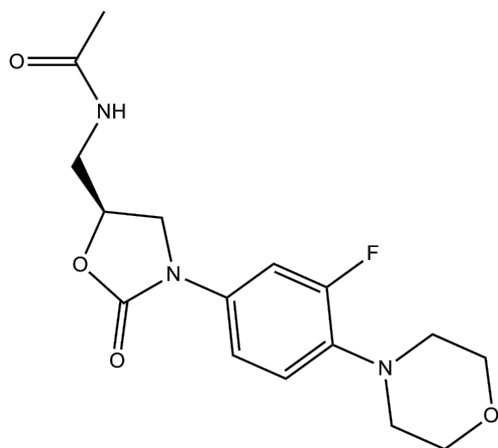
Formula $C_{16}H_{20}FN_3O_4$ M.Wt 337.35

Solubility $\geq 16.85\text{mg/mL}$ in DMSO, ≥ 9.5 mg/mL in EtOH with ultrasonic, ≥ 2.48 mg/mL in Water with ultrasonic and warming
Storage 4°C , protect from light

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.

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

Structure



Protocol

Cell experiment [1]:

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Cell lines THP-1 cells

Preparation Method Linezolid (up to 24 h at 1.18mM) on cell viability was assessed by the Trypan Blue exclusion test.

Reaction Conditions 1.18mM; 24h

Applications Linezolid did not have a toxic effect on uninfected THP-1 cells in the concentration range used in our experiments.

Animal experiment [2]:

Animal models Wistar rats

A partial upper median sternotomy was performed on 70 rats. The animals were divided into seven groups: an uncontaminated control group; an untreated contaminated group; three contaminated groups treated with antibiotics intraperitoneally with either 25 or 50 mg/kg linezolid twice a day, or rifampin 5 mg/kg twice a day; and two contaminated groups that received a combination therapy consisting of 25 or 50 mg/kg linezolid and rifampin 5 mg/kg twice a day. The antibiotic treatment lasted 7 days.

Dosage form 25 or 50mg/kg; i.p.

Applications The 25-mg/kg dose of linezolid was not effective in reducing the bacterial counts in mediastinum and sternum. Quantitative bacterial cultures of mediastinum and sternum were significantly lower in the groups receiving 50 mg/kg linezolid alone or in combination with rifampin compared with the control.

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References:

- [1] Sandberg A, Jensen K S, Baudoux P, et al. Intra-and extracellular activity of linezolid against *Staphylococcus aureus* in vivo and in vitro[J]. *Journal of antimicrobial chemotherapy*, 2010, 65(5): 962-973.
- [2] Sacar M, Sacar S, Kaleli I, et al. Efficacy of linezolid in the treatment of mediastinitis due to methicillin-resistant *Staphylococcus aureus*: an experimental study[J]. *International journal of infectious diseases*, 2008, 12(4): 396-401.

Background

Linezolid (PNU-100766) is an orally active oxazolidinone antibiotic that has inhibitory activity against a variety of Gram-positive bacteria, including methicillin-resistant *Staphylococcus aureus* (MRSA), glycopeptide-intermediate *Staphylococcus aureus* (GISA), vancomycin-resistant *Enterococci* (VRE), and penicillin-resistant *Streptococcus pneumoniae*^[1]. Linezolid is a 50S ribosomal subunit-specific inhibitor that binds to the 50S ribosomal subunit to inhibit the initial step of protein synthesis^[2]. The IC_{50} of linezolid for protein synthesis in *Staphylococcus aureus* is 0.3 μ g/ml, and the IC_{50} for 50S subunit formation is 0.6 μ g/ml^[3]. Linezolid is indicated for the treatment of skin infections, bacterial pneumonia, and infections complicated by bacteremia^[4].

In vitro, Linezolid (1.18 mM) treatment of THP-1 cells infected with *Staphylococcus aureus* (ATCC 25923 and E19977) for 24 h had no effect on cell viability, but had a significant inhibitory effect on intracellular and extracellular strains^[5].

In vivo, Linezolid (50 mg/kg) was intraperitoneally injected into rats with MRSA mediastinitis for 7 days, significantly reducing bacterial counts in the mediastinum and sternum^[6].

References:

- [1] Perry C M, Jarvis B. Linezolid: a review of its use in the management of serious gram-positive infections[J]. *Drugs*, 2001, 61: 525-551.
- [2] Swaney S M, Aoki H, Ganoza M C, et al. The oxazolidinone linezolid inhibits initiation of protein synthesis in bacteria[J]. *Antimicrobial agents and chemotherapy*, 1998, 42(12): 3251-3255.
- [3] Champney W S, Miller M. Linezolid is a specific inhibitor of 50S ribosomal subunit formation in

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Staphylococcus aureus cells[J]. Current microbiology, 2002, 44: 350-356.

[4] Chen H, Du Y, Xia Q, et al. Role of linezolid combination therapy for serious infections: review of the current evidence[J]. European Journal of Clinical Microbiology & Infectious Diseases, 2020, 39: 1043-1052.

[5] Sandberg A, Jensen K S, Baudoux P, et al. Intra-and extracellular activity of linezolid against Staphylococcus aureus in vivo and in vitro[J]. Journal of antimicrobial chemotherapy, 2010, 65(5): 962-973.

[6] Sacar M, Sacar S, Kaleli I, et al. Efficacy of linezolid in the treatment of mediastinitis due to methicillin-resistant Staphylococcus aureus: an experimental study[J]. International journal of infectious diseases, 2008, 12(4): 396-401.

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