# Product data sheet

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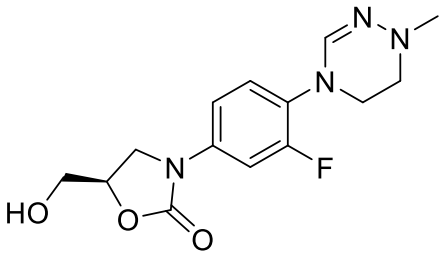
|---|---|
| MedKoo Cat#: 329495<br>Name: Delpazolid<br>CAS#: 1219707-39-7<br>Chemical Formula: $C_{14}H_{17}FN_4O_3$<br>Exact Mass: 308.1285<br>Molecular Weight: 308.3134 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

Delpazolid, also known as LCB01-0371, is a new oxazolidinone with cyclic amidrazone. In vitro activity of LCB01-0371 against 624 clinical isolates was evaluated and compared with those of linezolid, vancomycin, and other antibiotics. LCB01-0371 showed good activity against Gram-positive pathogens. In vivo activity of LCB01-0371 against systemic infections in mice was also evaluated. LCB01-0371 was more active than linezolid against these systemic infections. LCB01-0371 showed bacteriostatic activity against Staphylococcus aureus.

**2. CoA, QC data, SDS, and handling instruction**

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 30.0 | 97.30 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 3.24 mL | 16.22 mL | 32.43 mL |
| 5 mM | 0.65 mL | 3.24 mL | 6.49 mL |
| 10 mM | 0.32 mL | 1.62 mL | 3.24 mL |
| 50 mM | 0.06 mL | 0.32 mL | 0.65 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**

Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**

In vitro study

1. Kim TS, Choe JH, Kim YJ, Yang CS, Kwon HJ, Jeong J, Kim G, Park DE, Jo EK, Cho YL, Jang J. Activity of LCB01-0371, a Novel Oxazolidinone, against Mycobacterium abscessus. Antimicrob Agents Chemother. 2017 Aug 24;61(9):e02752-16. doi: 10.1128/AAC.02752-16. PMID: 28674049; PMCID: PMC5571369.
2. Jeong JW, Jung SJ, Lee HH, Kim YZ, Park TK, Cho YL, Chae SE, Baek SY, Woo SH, Lee HS, Kwak JH. In vitro and in vivo activities of LCB01-0371, a new oxazolidinone. Antimicrob Agents Chemother. 2010 Dec;54(12):5359-62. doi: 10.1128/AAC.00723-10. Epub 2010 Sep 20. PMID: 20855730; PMCID: PMC2981296.

In vivo study

1. Kim TS, Choe JH, Kim YJ, Yang CS, Kwon HJ, Jeong J, Kim G, Park DE, Jo EK, Cho YL, Jang J. Activity of LCB01-0371, a Novel Oxazolidinone, against Mycobacterium abscessus. Antimicrob Agents Chemother. 2017 Aug 24;61(9):e02752-16. doi: 10.1128/AAC.02752-16. PMID: 28674049; PMCID: PMC5571369.
2. Jeong JW, Jung SJ, Lee HH, Kim YZ, Park TK, Cho YL, Chae SE, Baek SY, Woo SH, Lee HS, Kwak JH. In vitro and in vivo activities of LCB01-0371, a new oxazolidinone. Antimicrob Agents Chemother. 2010 Dec;54(12):5359-62. doi: 10.1128/AAC.00723-10. Epub 2010 Sep 20. PMID: 20855730; PMCID: PMC2981296.

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**7. Bioactivity**

Biological target:

Delpazolid is a novel oxazolidinone antibiotic agent which can inhibit the growth of MSSA and MRSA with a MIC90 of 2 μg/mL for both of them.

In vitro activity

The intracellular antimicrobial activity of LCB01-0371 against M. abscessus was assessed after 8 h of replication inside murine bone marrow-derived macrophage (mBMDM) macrophages. As shown in Fig. 3, LCB01-0371 dramatically decreased the number of intracellular mycobacteria present at 2 days after infection at concentrations of 0.1, 1, and 10 μg/ml. LCB01-0371 treatment led to a 79% reduction in mycobacteria, which was comparable to that elicited by clarithromycin (96%). Hence, it is concluded that LCB01-0371 was active against intracellular M. abscessus. This result demonstrated that LCB01-0371 was an effective compound for the in vitro and intracellular inhibition of M. abscessus.

Reference: Antimicrob Agents Chemother. 2017 Sep; 61(9): e02752-16. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5571369/

In vivo activity

The effects of LCB01-0371 therapy in mice intranasally infected with M. abscessus are shown in Fig. 4. LCB01-0371 was administered at 100 mg/kg in the mouse in vivo efficacy study (Tables S1 and S2) (22, 23). When LCB01-0371 was administered at 100 mg/kg daily (by gavage), the CFU counts tended to be decreased in the lungs of mice at 7 days after infection. LCB01-0371 showed a statistically significant effect compared with the saline control. Mice treated with 100 mg/kg LCB01-0371 resulted in the reduction of CFU in lungs to 3.7 log10, which was very similar to the values obtained for linezolid (Fig. 4A). This result demonstrated that the in vivo activity of LCB01-0371 against M. abscessus in the mouse model was comparable to that of linezolid, an antibiotic that is used for the treatment of M. abscessus infection. Thus, it was suggested that LCB01-0371 could replace linezolid for the treatment of lung infections, owing to its improved safety profile, such as reduced myelosuppression in a human study (phase 1b trial) (Fig. S1 and S2) and low toxicity (Table S3).

Reference: Antimicrob Agents Chemother. 2017 Sep; 61(9): e02752-16. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5571369/