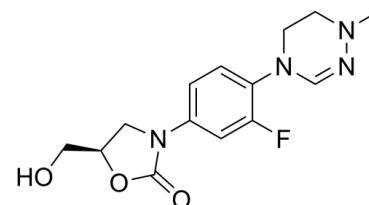


Data Sheet

Product Name:	Delpazolid
Cat. No.:	CS-0018175
CAS No.:	1219707-39-7
Molecular Formula:	C ₁₄ H ₁₇ FN ₄ O ₃
Molecular Weight:	308.31
Target:	Antibiotic; Bacterial
Pathway:	Anti-infection
Solubility:	DMSO : 30 mg/mL (97.30 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

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. IC₅₀ & Target: MIC₉₀: 2 µg/mL (MSSA), 2 µg/mL (MRSA)^[1] **In Vitro:** Delpazolid (LCB01-0371), at concentrations of 1×MIC and 2×MIC, has bacteriostatic activity against MSSA and MRSA after 24 h. At concentrations of 4×MIC and 8×MIC, Delpazolid shows bacteriostatic activity, but there is no regrowth at concentrations of 4×MIC and 8×MIC after 24 h of incubation^[1]. The survival of *M. abscessus* is greatly decreased in the presence of Delpazolid (LCB-0371) (MIC₅₀=1.2 µg/mL). Delpazolid dramatically decreases the number of intracellular mycobacteria present at 2 days after infection at concentrations of 0.1, 1, and 10 µg/mL^[2]. **In Vivo:** When administered orally, Delpazolid (LCB01-0371) shows potent protective effects against systemic infections caused by Gram-positive and Gram-negative bacteria. Against infection caused by *S. aureus* Giorgio (MSSA), the ED₅₀ of Delpazolid is 4.53 mg/kg of body weight. Against *S. aureus* p125 (MRSA), the ED₅₀ of Delpazolid is 2.96 mg/kg^[1]. When Delpazolid (LCB-0371) is administered at 100 mg/kg daily (by gavage), the colony-forming unit (CFU) counts tend to be decreased in the lungs of mice at 7 days after infection^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[2]For the in vitro infection procedure, bone marrow-derived macrophages (BMDMs) are plated at a concentration of 2×10⁵ cells/well and infected for 4 h with *M. abscessus*. The cells are washed with PBS to remove extracellular bacteria and treated with Delpazolid (LCB-0371) in medium for 2 days. Thereafter, the intracellular bacteria are harvested and the lysates are diluted 10 fold in PBS. Each sample is plated on 7H10 agar plates and incubated at 37°C in a 0.5% CO₂ incubator for 7 days^[2]. **Animal Administration:** ^[2]WT mice are intranasally or intravenously injected with *M. Abscessus* (1×10⁷ CFU/mouse). After 2 days, the mice are orally administered Delpazolid (LCB-0371) for 4 days, consecutively. At 7 days after *M. Abscessus* infection, the mice are killed, and their spleens, livers, and lungs are homogenized in PBS. Serial dilutions of the homogenates are plated on 7H10 medium supplemented with 10% OADC (oleic acid, albumin, dextrose, and catalase)^[2].

References:

- [1]. Jeong JW, et al. In vitro and in vivo activities of LCB01-0371, a new oxazolidinone. *Antimicrob Agents Chemother.* 2010 Dec;54(12):5359-62.
[2]. Kim TS, et al. Activity of LCB01-0371, a Novel Oxazolidinone, against *Mycobacterium abscessus*. *Antimicrob Agents Chemother.* 2017 Aug 24;61(9).

CAIndexNames:

2-Oxazolidinone, 3-[4-(5,6-dihydro-1-methyl-1,2,4-triazin-4(1H)-yl)-3-fluorophenyl]-5-(hydroxymethyl)-, (5R)-

SMILES:

O=C1O[C@@H](CO)CN1C2=CC=C(N3C=NN(C)CC3)C(F)=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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