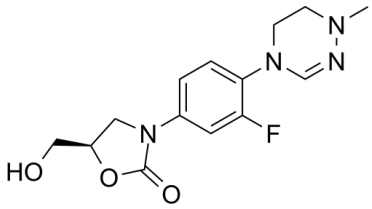
Data Sheet

Product Name:	Delpazolid
Cat. No.:	CS-0018175
CAS No.:	1219707-39-7
Molecular Formula:	C14H17FN4O3
Molecular Weight:	308.31
Target:	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 **MIC_{90}** 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) (MIC_{50}=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 ED_{50} of Delpazolid is 4.53 mg/kg of body weight. Against S. aureus p125 (MRSA), the ED_{50} 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 2×10^5 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% CO_2 incubator for 7 days[2]. **Animal Administration:** [2]WT mice are intranasally or intravenously injected with M.Abscessus (1×10^7 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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