Delpazolid

Cat. No.: HY-100180

CAS No.: 1219707-39-7

Molecular Formula: $C_{14}H_{17}FN_4O_3$

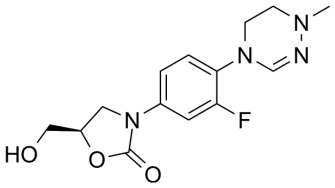
Molecular Weight: 308.31

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (97.30 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2435 mL	16.2174 mL	32.4349 mL
	5 mM	0.6487 mL	3.2435 mL	6.4870 mL
	10 mM	0.3243 mL	1.6217 mL	3.2435 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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.

IC_{50} & Target

Oxazolidinone

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antimicrob Agents Chemother. 2023 Mar 15;e0165522.

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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).

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