Quabodepistat

Cat. No.: HY-134940

CAS No.: 1883747-71-4

Molecular Formula: $C_{21}H_{20}ClF_3N_2O_4$

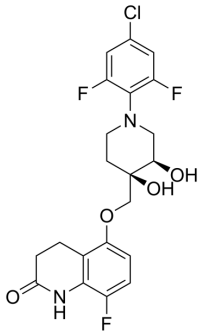
Molecular Weight: 456.84

Target: Bacterial

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 180 mg/mL (394.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1890 mL	10.9448 mL	21.8895 mL
	5 mM	0.4378 mL	2.1890 mL	4.3779 mL
	10 mM	0.2189 mL	1.0945 mL	2.1890 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.5 mg/mL (9.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Quabodepistat (OPC-167832) is a potent and orally active dprE1 inhibitor with an IC_{50} of 0.258 μM. Quabodepistat has antituberculosis activity and can be used for the research of tuberculosis caused by Mycobacterium tuberculosis[1].

In Vitro

Quabodepistat (OPC-167832) exhibits very low MICs against laboratory strains of M. tuberculosis H37Rv (MIC: 0.0005 μg/ml) and Kurono (MIC: 0.0005 μg/ml) and strains with monoresistance to rifampin (RIF), isoniazid (INH), ethambutol (EMB), streptomycin (STR), and pyrazinamide (PZA) (MIC: 0.00024-0.001 μg/ml). However, Quabodepistat has minimal or no activity against standard strains of nonmycobacterial aerobic and anaerobic bacteria[1].

The IC_{90} values of Quabodepistat against intracellular M. tuberculosis strains H37Rv and Kurono are 0.0048 and 0.0027 μg/ml, respectively. Quabodepistat shows bactericidal activity against intracellular M. tuberculosis at a low concentration, and the bactericidal activity is saturated at concentrations of 0.004 μg/ml or higher[1].

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

In Vivo

Quabodepistat (OPC-167832) (oral administration; 0.625-10 mg/kg) exhibits a good pharmacokinetic characteristic. The plasma reaches peak at 0.5 h to 1.0 h (t_{max}) and is eliminated with a half-life ($t_{1/2}$) of 1.3 h to 2.1 h Quabodepistat distribution in the lungs is approximately 2 times higher than that in plasma, and the C_{max} and AUC_t of Quabodepistat in plasma and the lungs shows dose dependency[1].

Quabodepistat (oral administration; 0.625-10 mg/kg; 4 weeks) significantly reduces lung CFU compared to the vehicle group. The dose-dependent decrease of lung CFU is observed from 0.625 mg/kg to 2.5 mg/kg. In a M. tuberculosis Kurono-infected ICR female mice model. Quabodepistat combines with DMD, BDQ, or LVX via oral gavage exhibits significantly higher efficacies than each single agent alone[1].

[1].

Quabodepistat (oral gavage; 2.5 mg/kg; combination with DCMB; 12 weeks) demonstrates the most potent efficacy when compares with DC, DCB. The lung CFU count after 6 weeks of treatment is below the detection limit, and at the end of just 8 weeks of treatment, the bacteria in the lungs of all the evaluated mice had already been eradicate[1].

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Animal Model:	ICR mice[1]
Dosage:	0.625-10 mg/kg
Administration:	Oral administration; 0.625-10 mg/kg; 4 weeks
Result:	Exhibited in vivo efficacy against a mouse chronic TB model.

REFERENCES

[1]. Norimitsu Hariguchi, et al. OPC-167832, a Novel Carbostyril Derivative with Potent Antituberculosis Activity as a DprE1 Inhibitor.Antimicrob Agents Chemother. 2020 May 21;64(6):e02020-19.

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