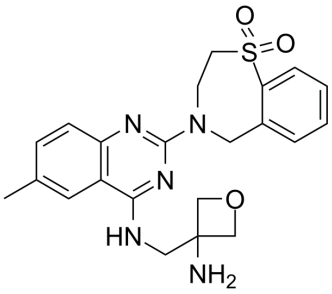
Ziresovir

Cat. No.:	HY-109142
CAS No.:	1422500-60-4
Molecular Formula:	$C_{22}H_{25}N_5O_3S$
Molecular Weight:	439.53
Target:	RSV
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 : 125 mg/mL (284.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2752 mL	11.3758 mL	22.7516 mL
	5 mM	0.4550 mL	2.2752 mL	4.5503 mL
	10 mM	0.2275 mL	1.1376 mL	2.2752 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: ≥ 2.08 mg/mL (4.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ziresovir (AK0529;RO-0529) is a potent, selective, and orally bioavailable respiratory syncytial virus (RSV) fusion (F) protein (RSV F) protein inhibitor. Ziresovir shows anti-RSV activity (EC_{50}=3 nM) and highlights pharmacokinetics in animal species[1].

IC_{50} & Target

RSV F protein (respiratory syncytial virus fusion protein)[1]EC50: 3 nM (RSV F)[1]

In Vitro

Ziresovir shows different efficacy in Wild Type (WT) and Mutant Strains RSV with EC_{50}/EC_{90} values (μM) of 0.003/0.005 (WT), 2.1/10.0 (D486N), and >10/>10 (D489A), respectively[1].

RO-0529 (100 nM; 4 d) inhibits RSV F protein-induced cell–cell fusion process, and suppresses the syncytia formation induced by the RSV F protein[1].

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

In Vivo

Ziresovir (12.5 mg/kg, 50 mg/kg; p.o.; twice daily; 4 d) results reduction of RSV titer in mouse lung[1].

Ziresovir (10 mg/kg; p.o.; single dose) exhibits good oral exposure and bioavailability with F(%) of 32% in male Wistar-Han rats[1].

Ziresovir (150 mg/kg; p.o.; single dose) demonstrates a high tissue distribution to lung than plasma in CD-1 Mice[1].

Pharmacokinetics of Ziresovir in male Wistar-Han rats[1]

Dose (mg/kg)	AUC_{0-24h}(p.o.) (ng·h/mL)	CL (mL/min/kg)	$T_{1/2}$(i.v.) (h)	V_{ss} (L/kg)	F (%)
2 mg/kg (iv) or 10 mg/kg (po)	906	58	1.2	3.9	32

Pharmacokinetics of Ziresovir in CD-1 Mice[1]

Dose (mg/kg)	AUC_{0-24h}(p.o.) (μg·h/L)	tissue/lasma AUC_{0-24h} ratio (μg·h/L)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (μg/L)
plasma	8,380	1	1.02	0.25	5090
lung	72,400	8.6	3.31	1	22700

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Animal Model:	Female BALB/c mice infected by RSV[1]
Dosage:	12.5 mg/kg, 50 mg/kg
Administration:	Oral gavage; twice daily; 4 days
Result:	Resulted >1 log unit of viral titer reduction in the lung of infected mice at the dose level as low as 12.5 mg/kg. Reduced viral titer to 1.9 log units compared to vehicle at 50 mg/kg dose.

CUSTOMER VALIDATION

- J Virol. 2021 Aug 11;JVI0120521.
- Viruses. 2023 Jul 14, 15(7), 1548.

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REFERENCES

[1]. Zheng X, et al. Discovery of Ziresovir as a Potent, Selective, and Orally Bioavailable Respiratory Syncytial Virus Fusion Protein Inhibitor. J Med Chem. 2019 Jul 11;62(13):6003-6014.

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

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