Lufotrelvir

Cat. No.: HY-138078

CAS No.: 2468015-78-1

Molecular Formula: $C_{24}H_{33}N_4O_9P$

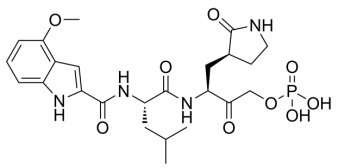
Molecular Weight: 552.51

Target: SARS-CoV

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 : 130 mg/mL (235.29 mM; Need ultrasonic)

H_2O : 50 mg/mL (90.50 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8099 mL	9.0496 mL	18.0992 mL
5 mM	0.3620 mL	1.8099 mL	3.6198 mL
10 mM	0.1810 mL	0.9050 mL	1.8099 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: ≥ 3.25 mg/mL (5.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.25 mg/mL (5.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 3.25 mg/mL (5.88 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Lufotrelvir (PF-07304814), a phosphate proagent of PF-00835231, acts as a potent 3CLpro protease (Mpro) inhibitor with SARS-CoV-2 antiviral activity. Lufotrelvir binds and inhibits SARS-CoV-2 3CLpro activity with a Ki of 174nM. Lufotrelvir is promising single antiviral agent and also can be used for the research of combination with other antivirals that target other critical stages of the coronavirus life cycle.

In Vivo

Once administered through intravenous infusion, Lufotrelvir is cleaved into PF-00835231 to exert its anti-viral effects.

Lufotrelvir exhibits a favorable cardiovascular safety profile[1].
Lufotrelvir is administered intravenously to rats, dogs and monkeys. It exhibits high systemic clearance and short half-life across species forming 68, 81, 76% PF-00835231 in rats, dogs and monkey respectively in comparison to the systemic exposure achieved with IV administration of PF00835231[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antiviral Res. 2023 Jul 12;105671.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Koen Vandyck, et al. Considerations for the discovery and development of 3-chymotrypsin-like cysteine protease inhibitors targeting SARS-CoV-2 infection. Curr Opin Virol. 2021 Apr 27;49:36-40.

[2]. Britton Boras, et al. Title: Discovery of a Novel Inhibitor of Coronavirus 3CL Protease as a Clinical Candidate for the Potential Treatment of COVID-19 Short Title: Novel 3CL Protease Inhibitor for COVID-19

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA