Lufotrelvir (PF-07304814)

Catalog No.C14504 Batch:C1450403

Product Datasheet

Technical Data

Formula	$C_{24}H_{33}N_4O_9P$					
Molecular Weight	552.51	**CAS No.**	2468015-78-1			
Solubility (25°C)*	In vitro	DMSO	100 mg/mL (180.99 mM)			
		Water	50 mg/mL (90.49 mM)			
		Ethanol	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume \ Mass	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
50 mM	0.0362 mL	0.1810 mL	0.3620 mL

Biological Activity

Description	Lufotrelvir (PF-07304814) is a phosphate prodrug of PF-00835231 that binds and inhibits **SARS-CoV-2 3CL^{pro}** activity with a Ki of 174 nM.
Targets	SARS-CoV-2 3CL^{pro} [1] 174 nM(Ki)
In vitro	As a phosphate prodrug of PF-00835231, Lufotrelvir (PF-07304814) shows strong antiviral activity by inhibiting coronavirus family 3CL protease activity, with no statistical difference in its antiviral potency in vero cells expressing high levels of the efflux transporter P-glycoprotein.^{[1]}
In vivo	Lufotrelvir (PF-07304814) exhibits high conversion to PF-00835231, systemic clearance and short half-life across species in rats, dogs, and monkey, respectively. It also shows unbound CL_{int} values of 51, 84, 168 and 428 μL/min/mg in rat, dog, monkey and human respectively. [1]

Protocol (from reference)

Cell Assay:
^{[1]}

- **Cell lines**
  VeroE6-ACE2 (Kideney), VeroE6-egfp (Kidney), A549-ACE2 (Lung) and MRC5 (Lung, h229E virus)
- **Concentrations**
  0.01-1000 μM
- **Incubation Time**
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- **Method**
  Using Lufotrelvir (PF-07304814), these cell lines were infected with the SARS-CoV-2 Washington strain 1 (WA1-EPI_ISL_404895) or the Belgium/GHB-03021/2020 strain (GHB-03021-EPI_ISL_407976), respectively, which have identical 3CL^{pro} amino acid sequences and conducted with a cytopathic effect (CPE) assay.

Animal Study:
^{[1]}

- **Animal Models**
  Rat, dog, monkey and human
- **Dosages**
  1.17 mg/kg for animals, 500 mg/day for human
- **Administration**
  i.v.

References

https://pubmed.ncbi.nlm.nih.gov/34663813/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

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