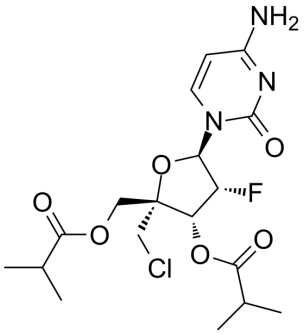
Lumicitabine



Cat. No.:	HY-12983A
CAS No.:	1445385-02-3
Molecular Formula:	$C_{18}H_{25}ClFN_3O_6$
Molecular Weight:	433.86
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 : ≥ 50 mg/mL (115.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3049 mL	11.5245 mL	23.0489 mL
	5 mM	0.4610 mL	2.3049 mL	4.6098 mL
	10 mM	0.2305 mL	1.1524 mL	2.3049 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 (5.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lumicitabine (ALS-008176) is an inhibitor of the respiratory syncytial virus (RSV) polymerase.

In Vitro

Lumicitabine is an orally bioavailable prodrug of the novel RSV replication inhibitor ALS-008112, a cytidine nucleoside analogue[1].

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

In Vivo	Lumicitabine demonstrates excellent anti-RSV efficacy and safety in a phase 2 clinical RSV challenge study. It exhibits good oral bioavailability and a high level of 2c-TP in vivo. Lumicitabine has excellent stability profiles in formulations (>24 h storage stability in 0.5% methylcellulose aqueous formulation at rt) and simulats gastric and intestinal fluids (half-life >2 h). Its solubility is adequate to support oral administration in solutions with relatively low percentage of organic solvent and in aqueous suspensions. High levels of NMP and NTP are obtained following oral administration of Lumicitabine to monkeys[2]. In an adult human challenge study, Lumicitabine has shown efficacy against RSV infection[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats: Lumicitabine are formulated as solutions in PEG400-based vehicles. Pharmacokinetic studies are conducted at 5 mg/kg and for oral PK studies the prodrugs are administered at 5 mg/kg parent nucleoside equivalent doses. Blood samples are typically collected at various time points up to 24 h post dose for rat[2]. Monkeys: Lumicitabine are formulated as solutions in PEG400-based vehicles. Pharmacokinetic studies are conducted at 5 mg/kg and for oral PK studies the prodrugs are administered at 5 mg/kg parent nucleoside equivalent doses. Blood samples are typically collected at various time points up to 12 h post dose for Monkeys[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Microorganisms. 2023 Jun 18, 11(6), 1608.

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REFERENCES

[1]. DeVincenzo JP, et al. Activity of Oral ALS-008176 in a Respiratory Syncytial Virus Challenge Study. N Engl J Med. 2015 Nov 19;373(21):2048-58.

[2]. Wang G, et al. Discovery of 4'-chloromethyl-2'-deoxy-3',5'-di-O-isobutyryl-2'-fluorocytidine (ALS-8176), a first-in-class RSV polymerase inhibitor for treatment of human respiratory syncytial virus infection. J Med Chem. 2015 Feb 26;58(4):1862-78.

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