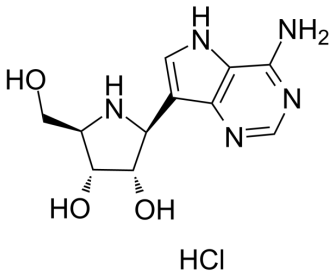
Galidesivir hydrochloride

Cat. No.:	HY-18649
CAS No.:	222631-44-9
Molecular Formula:	$C_{11}H_{16}ClN_5O_3$
Molecular Weight:	301.73
Target:	DNA/RNA Synthesis; SARS-CoV; Filovirus
Pathway:	Cell Cycle/DNA Damage; Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 105 mg/mL (347.99 mM; Need ultrasonic)

H_2O : ≥ 41 mg/mL (135.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3142 mL	16.5711 mL	33.1422 mL
5 mM	0.6628 mL	3.3142 mL	6.6284 mL
10 mM	0.3314 mL	1.6571 mL	3.3142 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (331.42 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5.25 mg/mL (17.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5.25 mg/mL (17.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Galidesivir (BCX4430) hydrochloride, an adenosine analog and a direct-acting antiviral agent, disrupts viral RNA-dependent RNA polymerase (RdRp) activity. Galidesivir hydrochloride is active in vitro against many RNA viral pathogens, including the filoviruses and emerging infectious agents such as MERS-CoV, SARS-CoV, and SARS-CoV-2. Galidesivir hydrochloride inhibits some negative-sense RNA viruses with EC_{50}s ranging from ~3 to ~68 μM[1][2][3].

IC_{50} & Target

RdRp inhibitor

In Vitro	Cellular kinases phosphorylate Galidesivir (BCX4430) hydrochloride to a triphosphate that mimics ATP; viral RNA polymerases incorporate the drug's monophosphate nucleotide into the growing RNA chain, causing premature chain termination[1]. Galidesivir hydrochloride effectively inhibits the infection of Vero cells with YFV. The EC_{50} determined by the neutral red uptake assay is 8.3 μg/ml (24.5 μM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Galidesivir (BCX4430) hydrochloride is active after intramuscular, intraperitoneal, and oral administration in a variety of experimental infections. In nonclinical studies involving lethal infections with Ebola virus, Marburg virus, Rift Valley fever virus, and Yellow Fever virus, Galidesivir hydrochloride has demonstrated pronounced efficacy[1]. Galidesivir hydrochloride (4 mg/kg; i.p.; twice daily for 7 days) is effectively in a hamster model of yellow fever (YF)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Syrian golden hamsters (hamsters infected with YF virus)[4]
Dosage:	4 mg/kg of body weight
Administration:	I.p.; twice daily for 7 days
Result:	Significantly improved the survival of hamsters infected with YFV.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Antimicrob Agents Chemother. 2019 Feb 26;63(3):e02093-18.
- Microorganisms. 2021 Mar 31;9(4):734.
- Antiviral Res. 2017 Mar 21;142:63-67.
- Viruses. 2020 Jun 10;12(6):628.

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REFERENCES

[1]. Elfiky AA, et al. ICN-1229, Remdesivir, PSI-7977, Galidesivir, and GS 1278 against SARS-CoV-2 RNA dependent RNA polymerase (RdRp): A molecular docking study. Life Sci. 2020 Mar 25:117592.

[2]. Taylor R, et al. BCX4430 - A broad-spectrum antiviral adenosine nucleoside analog under development for the treatment of Ebola virus disease. J Infect Public Health. 2016;9(3):220-226.

[3]. Warren TK, et al. Protection against filovirus diseases by a novel broad-spectrum nucleoside analogue BCX4430. Nature. 2014;508(7496):402-405.

[4]. Julander JG, et al. BCX4430, a novel nucleoside analog, effectively treats yellow fever in a Hamster model. Antimicrob Agents Chemother. 2014;58(11):6607-6614.

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

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