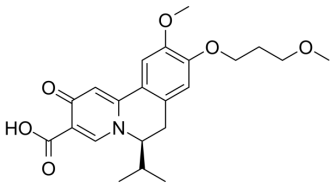
RG7834

Cat. No.:	HY-117650A
CAS No.:	2072057-17-9
Molecular Formula:	$C_{22}H_{27}NO_6$
Molecular Weight:	401.45
Target:	HBV
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 (311.37 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4910 mL	12.4549 mL	24.9097 mL
5 mM	0.4982 mL	2.4910 mL	4.9819 mL
10 mM	0.2491 mL	1.2455 mL	2.4910 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.87 mg/mL (7.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.18 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RG7834 (RO 7020322) is a highly selective and orally bioavailable HBV inhibitor, potently inhibits HBV antigens (both HBsAg and HBeAg) and HBV DNA, with IC_{50}s of 2.8, 2.6, and 3.2 nM, respectively, in dHepaRG Cells[1].

IC_{50} & Target

IC50: 2.8 nM (HBsAg), 2.6 nM (HBeAg), 3.2 nM (HBV DNA)[1]

In Vitro	RG7834 ((S)-(+)-64) is a highly selective and orally bioavailable HBV inhibitor, potently inhibits HBV antigens (both HBsAg and HBeAg) and HBV DNA, with IC_{50}s of 2.8, 2.6, and 3.2 nM, respectively, in dHepaRG Cells[1]. RG7834 has no activity against CYP3A4, CYP2D6, CYP2C9 (IC_{50}s >50 μM) or hERG channel[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RG7834 (4 mg/kg, twice daily for 21 days) shows anti-HBV efficacy in HBV-infected human liver chimeric uPA-SCID mice[1]. RG7834 (2, 14.5 mg/kg, p.o.) exhibits good oral bioavail ability, with a half-life of 4.9 h in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	HBV-infected human liver chimeric uPA-SCID mice[1]
Dosage:	4 mg/kg
Administration:	Twice daily for 21 days
Result:	Reduced both HBsAg and HBeAg, also decreased serum HBV DNA by 0.6 log10 in mice.

CUSTOMER VALIDATION

- Emerg Microbes Infect. 2020 Dec 9;1-22.
- ACS Med Chem Lett. 2021 Jun 22;12(7):1130-1136.
- Research Square Preprint. 2022 May.

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REFERENCES

[1]. Han X, et al. Discovery of RG7834: The First-in-Class Selective and Orally Available Small Molecule Hepatitis B Virus Expression Inhibitor with Novel Mechanism of Action. J Med Chem. 2018 Oct 4.

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