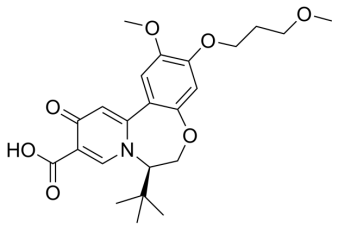
GST-HG131

Cat. No.:	HY-134790
CAS No.:	2270215-69-3
Molecular Formula:	$C_{23}H_{29}NO_7$
Molecular Weight:	431.48
Target:	HBV
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (231.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3176 mL	11.5880 mL	23.1760 mL
	5 mM	0.4635 mL	2.3176 mL	4.6352 mL
	10 mM	0.2318 mL	1.1588 mL	2.3176 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 (5.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GST-HG131 is a specific inbihitor of hepatitis B virus (HBV) surface antigen, belongs to dihydrobenzopyridooxazepine (DBP) series. GST-HG131 exhibits excellent and specific HBV antigens inhibition with EC_{50} of 28.2 nM (HBsAg) and 16.0 nM (HBeAg), respectively, but also it is safety for animal[1].

IC_{50} & Target

EC50: 28.2 nM (HBsAg); 16.0 nM (HBeAg)[1]

In Vitro

GST-HG131 shows moderate permeability in Caco-2 assay, low rat and human plasma protein binding, low clearance in liver microsomal stability assays[1].

GST-HG131 shows antiviral activity in primary human hepatocytes (PHH) expressing HBV, inhibits HBV antigens (both HBsAg and HBeAg)[1].

GST-HG131 (500 nM) appears to inhibit HBV antigens through inhibition of HBV RNAs in a dose- and time-dependent manner [1].

GST-HG131 shows specific HBV inhibition over other viruses, such as hepatitis C virus, herpes simplex virus type 1 and influenza virus H1N1[1].

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

Western Blot Analysis[1]

Cell Line:	Northern-Blot
Concentration:	500, 166.67, 55.56, 18.52, 6.17, 2.06, 0.68, 0.23, and 0 nM
Incubation Time:	4, 8, 16, 24, 48 hours
Result:	Inhibited HBV antigens through inhibition of HBV RNAs.

In Vivo

GST-HG131 exhibits low clearance, moderate plasma half-life (T1/2), high plasma exposure (C_{max} and AUC) and oral bioavailability[1].

GST-HG131 (3, 10, and 30 mg/kg; i.v., tail vein injection; once daily for 4 weeks) shows HBV inhibition in an AAV/HBV mouse model[1].

GST-HG131 (100, 300, and 1000 mg/kg; p.o.; once daily for 14 d) is well tolerated at 300 mg/kg and 100 mg/kg in rat preliminary toxicology study[1].

PK parameter in mouse, rat, and dog[1]

Note: Male C57BL/6 mice (iv/po: 0.5 mg/kg), male SD rats (iv/po: 0.5 mg/kg) and Beagle dogs (iv/po: 0.33 mg/kg).

Parameter	CL(iv) (mL/min/kg)	V_{dss}(iv) (L/kg)	$T_{1/2}$ (h)	C_{max}(po) (nM)	$AUC_{0\text{-last}}$(po) (nM·h)	Bioavailability (F%)
Mouse	75	5.8	2.5	1055	876	91.6
Rat	28	1.72	2.0	659	1832	71.1
Dog	4.04	1.08	3.39	4850	26500	93.2

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

REFERENCES

[1]. Hu Y, et al. Discovery and preclinical evaluations of GST-HG131, a novel HBV antigen inhibitor for the treatment of chronic hepatitis B infection. Bioorg Med Chem Lett. 2022 Nov 1;75:128977.

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