Bulevirtide

Cat. No.: HY-P3465

CAS No.: 2012558-47-1

Molecular Formula: $C_{248}H_{355}N_{65}O_{72}$

Molecular Weight: 5398.86

Sequence: {Myr}-Gly-Thr-Asn-Leu-Ser-Val-Pro-Asn-Pro-Leu-Gly-Phe-Phe-Pro-Asp-His-Gln-Leu-Asp-Pro-Ala-Phe-Gly-Ala-Asn-Ser-Asn-Asn-Pro-Asp-Trp-Asp-Phe-Asn-Pro-Asn-Lys-Asp-His-Trp-Pro-Glu-Ala-Asn-Lys-Val-Gly-NH2

{Myr}-Gly-Thr-Asn-Leu-Ser-Val-Pro-Asn-Pro-Leu-Gly-Phe-Phe-Pro-Asp-His-Gln-Leu-Asp-Pro-Ala-Phe-Gly-Ala-Asn-Ser-Asn-Asn-Pro-Asp-Trp-Asp-Phe-Asn-Pro-Asn-Lys-Asp-His-Trp-Pro-Glu-Ala-Asn-Lys-Val-Gly-NH_2

Sequence Shortening: {Myr}-GTNLSVPNPLGFFPDHQLDPAFGANSNNPDWDFNPNKDHWPEANKVG-NH2

Target: HBV

Pathway: Anti-infection

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)

SOLVENT & SOLUBILITY

In Vitro

H_2O : 83.33 mg/mL (15.43 mM; ultrasonic and adjust pH to 8 with NH3·H2O)

DMSO : 50 mg/mL (9.26 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.1852 mL	0.9261 mL	1.8522 mL
	5 mM	0.0370 mL	0.1852 mL	0.3704 mL
	10 mM	0.0185 mL	0.0926 mL	0.1852 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (0.46 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (0.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bulevirtide (Myrcludex B) is a NTCP inhibitor, a linear lipopeptide of 47 amino acids. Bulevirtide inhibits HBV and HDV entry into liver cells, blocks HBV infection in hepatocytes, and participates in HBV transcriptional suppression. Bulevirtide can be used in HDV infection and compensated cirrhosis research[1][2].

In Vitro	Bulevirtide (200 nM, 24 h) inihibits NTCP through non-covalent binding in a time- and dose-dependent manner, transfer to a newly synthesized NTCP molecule[3]. Bulevirtide (Huh7-NTCP cells, 2 μM, 9 days) exhibits potential antiviral activity as replication inhibitor, which blocks upregulation of NTCP mediated-HBV replication in Huh7-NTCP cells [5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bulevirtide (2 μg/g, s.c. for everyday) prevents HBV spreading from infected human hepatocytes in uPA/SCID mice, hinders amplification of the cccDNA pool in initially infected hepatocytes[4]. Bulevirtide (2 μg/g/d, s.c. for 3 weeks) blocks HBV cell entry in uPA/SCID mice through addressing the hepatocyte component rather than affecting virion productivity within the infected hepatocyte or the half-life of these cells[4]. Bulevirtide (5 μg,s.c., twice a day for 4 days) blocks upregulation of NTCP mediated-HBV replication in C57BL/6 mice as a replication inhibitor[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	HBV infected uPA/SCID mice[3]
Dosage:	2 μg/g
Administration:	subcutaneous injection, for everyday
Result:	Blocked the viremia and and HBsAg concentrations. Maintained the cell death rate and proliferated hepatocytes amount.

REFERENCES

[1]. Masetti C, et al. Bulevirtide for treatment of patients with HDV infection and compensated cirrhosis: A (huge?) step in the right direction. Liver Int. 2021 Jul;41(7):1441-1442.

[2]. Cheng D, et al. Clinical effects of NTCP-inhibitor myrcludex B. J Viral Hepat. 2021 Jun;28(6):852-858.

[3]. Donkers JM, et al., Mechanistic insights into the inhibition of NTCP by myrcludex B. JHEP Rep. 2019 Aug 1;1(4):278-285.

[4]. Volz T, et al., The entry inhibitor Myrcludex-B efficiently blocks intrahepatic virus spreading in humanized mice previously infected with hepatitis B virus. J Hepatol. 2013 May;58(5):861-7.

[5]. Zhao K, et al., Upregulation of HBV transcription by sodium taurocholate cotransporting polypeptide at the postentry step is inhibited by the entry inhibitor Myrcludex B. Emerg Microbes Infect. 2018 Nov 21;7(1):186.

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