Data Sheet (Cat.No.T35373L)

TargetMol

Bulevirtide acetate

Chemical Properties

CAS No. :

Formula: C236H333N65O73

Molecular Weight: 5458.91

Storage: Keep away from moisture,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

{Myr}-
GTNLSVPNPLGFFP
DHQLDPAFGANSN
NPDWDFNPNKDH
WPEANKVG-NH2
Acetate

Biological Description

Description	Bulevirtide acetate is a viral particle entry inhibitor that blocks the hepatocyte entry pathway for viral particles, the hepatic sodium/taurine co-transport polypeptide (NTCP) receptor. It can be used in HBV and HDV infection studies.
Targets(IC50)	HBV
In vitro	**METHODS**: Bulevirtide acetate (50-200nM) was used to treat U2OS-HA-hNTCP cells, and plasma membrane-resident NTCP was labeled with biotin- or fluorescein isothiocyanate (FITC)-labeled Bulevirtide acetate and hNTCP overexpressing U2OS cells were used for timely Tracking. Förster resonance energy transfer was performed using fluorescence lifetime imaging microscopy to investigate whether Bulevirtide acetate could be transferred to newly synthesized NTCP. **RESULTS** Bulevirtide acetate (50-200 nM, 24 h) binds NTCP by non-covalent binding and inhibits NTCP in a time- and dose-dependent manner, while transferring to newly synthesized NTCP molecules. [1] **METHODS**: LS180 cells were treated with medium containing Bulevirtide acetate (0.5, 1, 5, and 10 μM) for 4 consecutive times for 4 consecutive days, and fluorescent substrates were used to detect overexpression of p -glycoproteins (P-gp, ABCB1), Breast Cancer Resistance Proteins (BCRP/ABCG2), and Organic Anion Transport Polypeptides 1B1 and 1B3 (OATP1B1/SLCO1B1 and OATP1B3/SLCO1B3) in cells inhibiting p -glycoprotein (P-gp, ABCB1), breast cancer resistance protein (BCRP/ABCG2). **RESULTS** Bulevirtide acetate inhibited two uptake transporter proteins, OATP1B1 and OATP1B3, with IC50 values of 0.5 and 8.7 μM, respectively.[2]
In vivo	**METHODS**: Mice were treated with Bulevirtide acetate (2.5 mg/kg) by a single subcutaneous injection, and 20 μl of blood was withdrawn to measure plasma bile acid levels. **RESULTS** Peak plasma bile salt concentration was reached 4 hours after Bulevirtide acetate administration, and plasma bile salt levels were completely normalized 24 hours later, consistent with NTCP-mediated restoration of bile acid transport in vitro. [1] **METHODS**: Humanized uPA/SCID mice were injected subcutaneously with 2 μg/g body weight of Bulevirtide acetate 3 days or 3 weeks after HBV inoculation, and the treatment duration was 3 or 6 weeks. **RESULTS** Bulevirtide acetate effectively prevented virus transmission from initially infected human hepatocytes and also effectively blocked HBV transmission. [3]

Solubility Information

Solubility	H2O: Insoluble DMSO: 100 mg/mL (18.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.1832 mL	0.9159 mL	1.8319 mL
5 mM	0.0366 mL	0.1832 mL	0.3664 mL
10 mM	0.0183 mL	0.0916 mL	0.1832 mL
50 mM	0.0037 mL	0.0183 mL	0.0366 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

Blank A, et al. Drug-drug interaction potential of the HBV and HDV entry inhibitor myrcludex B assessed in vitro. Antivir Ther. 2018;23(3):267-275.

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.

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