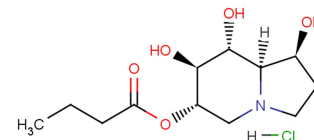


Celgosivir hydrochloride

Chemical Properties

CAS No.:	141117-12-6
Formula:	C ₁₂ H ₂₂ ClNO ₅
Molecular Weight:	295.76
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Celgosivir hydrochloride (MBI 3253 hydrochloride) is an α -glucosidase I inhibitor and inhibits bovine viral diarrhoea virus (BVDV) (IC ₅₀ : 1.27 μ M).
Targets(IC ₅₀)	α -glucosidase I: 1.27 μ M
In vitro	Celgosivir is more effective (IC ₅₀ : 20 μ M) than the parent molecule (IC ₅₀ : 254 μ M) at causing the accumulation of glycosylated oligosaccharides in HIV-infected cells by inhibition of glycoprotein processing. Celgosivir exhibits potent antiviral activity against HIV-1 (IC ₅₀ : 2.0 μ M) [1]. BVDV is a closely related virus of hepatitis C virus (HCV). Celgosivir inhibits BVDV with IC ₅₀ values of 16 and 47 μ M in plaque assay and cytopathic effect assay, respectively [2]. Celgosivir inhibits DENV2 replication with an EC ₅₀ of 0.2 μ M. The EC ₅₀ values against DENV1, 3, and 4 are less than 0.7 μ M [3].
In vivo	During primary infection with a mouse-adapted DENV strain S221, mice show increased viremia on day 3, yet 80% survived day 10 with a virus completely cleared by day 8 [3]. Celgosivir (50 mg/kg, BID for 5 days) fully protects AG129 mice from lethal infection with a mouse-adapted dengue virus and is effective even after 48 h delayed treatment. The protection by celgosivir is dose- and schedule-dependent and that a twice-a-day regimen of 50, 25, or 10 mg/kg is more protective than a single daily dose of 100 mg/kg. Pharmacokinetics studies of celgosivir in mice show that it rapidly metabolizes to castanospermine [4].

Solubility Information

Solubility	H ₂ O: 100 mg/mL (338.11 mM) DMSO: 100 mg/mL (338.11 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.381 mL	16.906 mL	33.811 mL
5 mM	0.676 mL	3.381 mL	6.762 mL
10 mM	0.338 mL	1.691 mL	3.381 mL
50 mM	0.068 mL	0.338 mL	0.676 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Taylor DL, et al. Inhibition of alpha-glucosidase I of the glycoprotein-processing enzymes by 6-O-butanoylcastanospermine (MDL 28,574) and its consequences in human immunodeficiency virus-infected T cells. *Antimicrob Agents Chemother.* 1994 Aug;38(8):1780-7.
2. Whitby K, et al. Action of celgosivir (6 O-butanoyl castanospermine) against the pestivirus BVDV: implications for the treatment of hepatitis C. *Antivir Chem Chemother.* 2004 May;15(3):141-51.
3. Watanabe S, et al. Dose- and schedule-dependent protective efficacy of celgosivir in a lethal mouse model for dengue virus infection informs dosing regimen for a proof of concept clinical trial. *Antiviral Res.* 2012 Oct;96(1):32-5.
4. Rathore AP, et al. Celgosivir treatment misfolds dengue virus NS1 protein, induces cellular pro-survival genes and protects against lethal challenge mouse model. *Antiviral Res.* 2011 Dec;92(3):453-60.

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