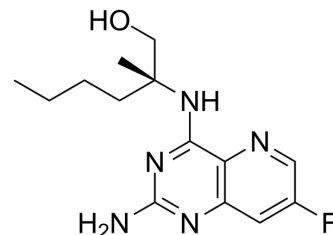


Selgantolimod

Cat. No.:	HY-109137		
CAS No.:	2004677-13-6		
Molecular Formula:	C ₁₄ H ₂₀ FN ₅ O		
Molecular Weight:	293.34		
Target:	Toll-like Receptor (TLR); HBV		
Pathway:	Immunology/Inflammation; 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 : 62.5 mg/mL (213.06 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.4090 mL	17.0451 mL	34.0901 mL
		5 mM	0.6818 mL	3.4090 mL	6.8180 mL
10 mM		0.3409 mL	1.7045 mL	3.4090 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 (8.52 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.52 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Selgantolimod (GS-9688) is an orally active, potent and selective toll-like receptor 8 (TLR8) agonist for the treatment of hepatitis B virus (HBV) and human immunodeficiency virus (HIV) infection ^{[1][2][3]} .	
IC₅₀ & Target	TLR8	HBV
In Vitro	Selgantolimod induces the cellular immune mediators interleukin (IL)-12 and IL-8, as well as the antiviral cytokines tumor necrosis factor- α and IFN- γ in vitro in human peripheral blood mononuclear cells ^[1] . Selgantolimod activates natural killer (NK) and mucosal associated invariant T cells, stimulates cluster of differentiation (CD)-8 ⁺ T-cell proliferation, and increases IFN γ production, while lowering programmed cell death protein 1 expression by HBV-specific CD8 ⁺ -T cells in vitro in peripheral blood mononuclear cells ^[1] .	

Selgantolimod-induced cytokines reduce HBV DNA, RNA, and antigen levels in HBV-infected primary human hepatocytes^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Once-weekly dosing of oral Selgantolimod induces dose-dependent increases in serum IL-12 and IL-1 receptor antagonist (IL-1RA) in cynomolgus monkeys, and leads to functional cure in the woodchuck model of chronic HBV^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Jules Levin. Efficacy and Safety of Oral TLR8 Agonist Selgantolimod in Virally Suppressed Adult Patients With Chronic Hepatitis B: a Phase 2, Randomized, Double-Blind, Placebo-Controlled, Multicenter Study. 2019 Nov 8-12.
- [2]. Benjamin Ryan. Selgantolimod Shows Promise as Treatment for Hepatitis B. November 18, 2019.
- [3]. Romas Geleziunas, et al. Modulators of toll-like receptors for the treatment of hiv. US20170071944A1.
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Caution: Product has not been fully validated for medical applications. For research use only.

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