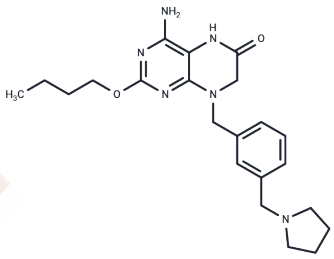
Data Sheet (Cat.No.T6846)

TargetMol

Vesatolimod

Chemical Properties



CAS No. : 1228585-88-3

Formula: C22H30N6O2

Molecular Weight: 410.51

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Vesatolimod (GS-9620) is an effective and specific orally active agonist of Toll-like receptor 7.
Targets(IC50)	Apoptosis,HCV Protease,HIV Protease,HBV,TLR
In vitro	Vesatolimod rapidly internalizes into cells and preferentially localizes to and signals from endo-lysosomal compartments. To test this hypothesis, the kinetics of cellular uptake of the compound in Daudi cells using tritiated Vesatolimod (3H-Vesatolimod) is measured. The kinetics of 3H-Vesatolimod accumulation is rapid, reaching concentration-dependent steady-state equilibrium in approximately thirty minutes. Measured intracellular concentration of 3H-Vesatolimod is 5-fold higher than the extracellular concentration of 3H-Vesatolimod used to treat cells. Increases in intracellular 3H-Vesatolimod concentrations are roughly proportional with increasing concentrations of 3H-Vesatolimod[1].
In vivo	Administering single oral doses of GS-9620 at 0.3 and 1 mg/kg to uninfected chimpanzees resulted in a dose-dependent increase in serum interferon alpha (IFN-α), various cytokines/chemokines, and activation of interferon-stimulated genes (ISG) in both peripheral blood and liver tissues. Specifically, GS-9620 reached peak plasma concentrations (Cmax) of 3.6±3.5 nM at 0.3 mg/kg (n=3), 36.8±34.5 nM at 1 mg/kg (n=3), and 55.4±81.0 nM at 1 mg/kg (n=4), respectively, with peak serum interferon responses recorded 8 hours after dosing. The induced peak serum levels of IFN-α were measured at 66 pg/mL for the 0.3 mg/kg dose and 479 pg/mL for the 1 mg/kg dose. Treatment with GS-9620 at these doses also elevated ISG transcripts, specifically ISG15, OAS-1, MX1, IP-10 (CXCL10), and I-TAC (CXCL11), in peripheral blood mononuclear cells (PBMC) at the lower dose and in both PBMC and liver at the higher dose.
Kinase Assay	Biochemical assays: Biochemical assays are performed by a broad-coverage, TR-FRET-based kinase binding assay platform.
Cell Research	GS-9620 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1]. Daudi cells are incubated for indicated times with varying concentrations [3H]GS-9620 (0.7μCi/mL). Cell associated radioactivity is extracted with ice cold 80% ethanol and measured using liquid scintillation counting. The total amount of GS-9620 in cells is calculated from a calibration curve for GS-9620 mass versus radioactivity. Cell volume is measured[1].

Solubility Information

Solubility	DMSO: 4.11 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.44 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.436 mL	12.180 mL	24.3599 mL
5 mM	0.4872 mL	2.436 mL	4.872 mL
10 mM	0.2436 mL	1.218 mL	2.436 mL
50 mM	0.0487 mL	0.2436 mL	0.4872 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

Rebbapragada I, et al. Molecular Determinants of GS-9620-Dependent TLR7 Activation. PLoS One. 2016 Jan 19;11 (1):e20146835.
Lanford RE, et al. GS-9620, an Oral Agonist of Toll-Like Receptor-7, Induces Prolonged Suppression of Hepatitis B Virus in Chronically Infected Chimpanzees. Gastroenterology. 2013 Feb 13. pii: S0016-5085(13)00169-8.