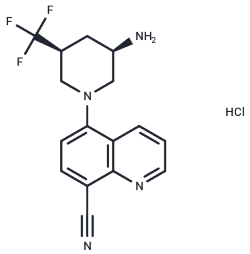
Data Sheet (Cat.No.T37287)

TargetMol

Enpatoran hydrochloride

Chemical Properties



CAS No. : 2101945-93-9

Formula: C16H16ClF3N4

Molecular Weight: 356.77

Storage: Keep away from moisture,Keep away from direct sunlight,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.

Biological Description

Description	Enpatoran hydrochloride (M5049 hydrochloride) is an orally active, selective, and potent TLR7/8 inhibitor with antiviral activity for the study of autoimmune diseases and COVID-19 pneumonia.
Targets(IC50)	Antiviral,TLR
In vitro	0.01 nM-10 μM Enpatoran hydrochloride, was able to inhibit IL-6 production induced by all ligands (e.g., miR-122, Let7c RNA, Alu RNA, and R848) with IC50 values ranging from 35 to 45 nM. [1]
In vivo	Injection of R848 (25 μg intraperitoneally) into mice was able to dose-dependently inhibit IL-6 and IFN-α production after pretreatment with 1 mg/kg Enpatoran hydrochloride by oral gavage. Enpatoran hydrochloride exhibited good bioavailability after oral administration of 1.0 mg/kg (100% in mice, 87% in rats, and 84% in dogs). Following intravenous administration, Enpatoran hydrochloride has a moderate half-life (1.4 hours in mice, 5.0 hours in rats, and 13 hours in dogs), which is associated with its high plasma clearance (1.4 L/h/kg in mice, 1.2 L/h/kg in rats, and 0.59 L/h/kg in dogs, respectively) and large volume of distribution (2.7 L/kg in mice, 8.7 L/kg in rats, and 8.7 L/kg in dogs, respectively). /in mice, 8.7 L/kg in rats, and 5.7 L/kg in dogs, respectively). [1]

Solubility Information

Solubility	DMSO: 10 mg/mL (28.03 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 (2.8 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	2.8029 mL	14.0146 mL	28.0293 mL
5 mM	0.5606 mL	2.8029 mL	5.6059 mL
10 mM	0.2803 mL	1.4015 mL	2.8029 mL
50 mM	0.0561 mL	0.2803 mL	0.5606 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

Jaromir Vlach, et al. Discovery of M5049: A Novel Selective TLR7/8 Inhibitor for Treatment of Autoimmunity. J Pharmacol Exp Ther. 2020 Dec 16;JPET-AR-2020-000275.