

ASP-4058

Chemical Properties

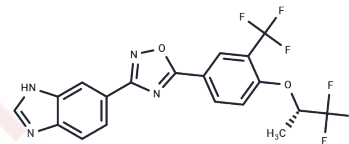
CAS No. : 952565-91-2

Formula: C₁₉H₁₂F₆N₄O₂

Molecular Weight: 442.31

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	ASP-4058 is a selective, safe, and orally active second-generation agonist of sphingosine phosphate receptors 1 and 5 (S1P1 and S1P5). ASP-4058 ameliorates experimental autoimmune encephalomyelitis in mice.
Targets(IC50)	LPL Receptor, S1P Receptor
In vitro	ASP4058 (100 nM) significantly reduced FITC-dextran leakage through an endothelial monolayer and suppressed the migration of macrophages across the monolayer in vitro by using a Transwell system.[2]
In vivo	ASP4058 (0.1 and 0.3 mg/kg; p.o.; daily for day 12 to day 45) maintains the clinical score at a relatively low level and the cumulative clinical scores (18-45 dpi) among the groups treated with 0.1 and 0.3 mg/kg dosages are 6.90±2.85 and 5.60±2.21, respectively in mice. The ED50 value for ASP4058 is 0.063 mg/kg.[1] ASP4058 (0.03, 0.1, and 0.3 mg/kg; p.o., daily for 21 days) reduces the clinical score in a dose-dependent manner and the cumulative clinical score from day 0 to 21 dpi at 0.03, 0.1, and 0.3 mg/kg are 15.5±1.48, 9.50±2.17 and 1.17±1.17, respectively, while that of the vehicle-treated group is 15.5±0.619 in rats.[1]

Solubility Information

Solubility	DMSO: 70 mg/mL (158.26 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: 2 mg/mL (4.52 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2609 mL	11.3043 mL	22.6086 mL
5 mM	0.4522 mL	2.2609 mL	4.5217 mL
10 mM	0.2261 mL	1.1304 mL	2.2609 mL
50 mM	0.0452 mL	0.2261 mL	0.4522 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

Rie Yamamoto, et al. ASP4058, a Novel Agonist for Sphingosine 1-Phosphate Receptors 1 and 5, Ameliorates Rodent Experimental Autoimmune Encephalomyelitis with a Favorable Safety Profile. PLoS One. 2014 Oct 27;9(10): e110819.

Yamamoto R, et al. A sphingosine-1-phosphate receptor type 1 agonist, ASP4058, suppresses intracranial aneurysm through promoting endothelial integrity and blocking macrophage transmigration. Br J Pharmacol. 2017;174(13):2085-2101.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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