ASP-4058

Cat. No.: HY-111021

CAS No.: 952565-91-2

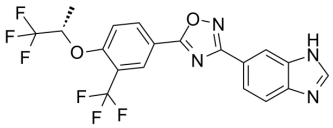
Molecular Formula: $C_{19}H_{12}F_6N_4O_2$

Molecular Weight: 442.31

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (113.04 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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: ≥ 1.25 mg/mL (2.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (2.83 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASP-4058 is a next-generation, selective and oral bioactive agonist for Sphingosine 1-Phosphate receptors 1 and 5 ($S1P_1$ and $S1P_5$), ameliorates rodent experimental autoimmune encephalomyelitis with a favorable safety profile[1].

IC_{50} & Target

$S1P_1$ and $S1P_5$[1].

In Vivo

ASP4058 (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 vehicle-treated group is 15.5±0.619 in rats. ASP4058 prevents decreases in body weight of EAE rats[1].

ASP4058 (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 values for ASP4058 is 0.063 mg/kg[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Lewis rats with an induction of EAE[1].
Dosage:	0.03, 0.1 or 0.3 mg/kg.
Administration:	Oral administration once daily for 21 days.
Result:	Reduced the clinical score in a dose-dependent manner and the cumulative clinical score.

Animal Model:	SJL mice immunized with PLP139-151 and boosted with pertussis toxin developed relapsing-remitting EAE[1].
Dosage:	0.1 and 0.3 mg/kg
Administration:	Oral administration once daily from day 12 to day 45.
Result:	Maintained the clinical score at a relatively low level and the cumulative clinical scores (18-45 dpi).

CUSTOMER VALIDATION

- Sci Adv. 2020 May 29;6(22):eaay8627.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA