Amiselimod hydrochloride

Cat. No.: HY-16734A

CAS No.: 942398-84-7

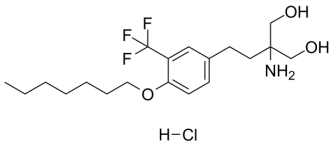
Molecular Formula: $C_{19}H_{31}ClF_3NO_3$

Molecular Weight: 413.9

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (265.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4160 mL	12.0802 mL	24.1604 mL
	5 mM	0.4832 mL	2.4160 mL	4.8321 mL
	10 mM	0.2416 mL	1.2080 mL	2.4160 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (6.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amiselimod hydrochloride is a novel sphingosine 1-phosphate receptor-1 (S1P1) modulator, designed to reduce the bradycardia effects associated with fingolimod and other S1P receptor modulators.target: S1P1In vivo: After oral administration of amiselimod or fingolimod at 1 mg/kg, the concentration of amiselimod-P in rat heart tissue was relatively lower than that of fingolimod-P, potentially contributing to the minimal cardiac effects of amiselimod. Amiselimod-P showed potent selectivity for S1P1 , high selectivity for S1P5 , minimal agonist activity for S1P4 , no distinct agonist activity for S1P2 or S1P3 , and approximately 5-fold weaker GIRK activation than fingolimod-P. [1] Amiselimod 0·2 mg and 0·4 mg significantly reduced the total number of gadolinium-enhanced T1-weighted lesions. [2]

REFERENCES

[1]. Sugahara K et al. Amiselimod, a novel sphingosine 1-phosphate receptor-1 modulator, has potent therapeutic efficacy for autoimmune diseases, with low bradycardia risk. Br J Pharmacol. 2016 Oct 7.

[2]. Kappos L et al. Safety and efficacy of amiselimod in relapsing multiple sclerosis (MOMENTUM): a randomised, double-blind, placebo-controlled phase 2 trial. Lancet Neurol. 2016 Oct;15(11):1148-59.

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