Cenerimod

Cat. No.: HY-17606

CAS No.: 1262414-04-9

Molecular Formula: $C_{25}H_{31}N_3O_5$

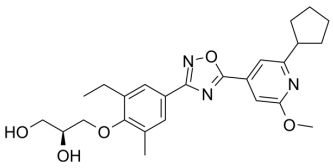
Molecular Weight: 453.53

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (220.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2049 mL	11.0246 mL	22.0493 mL
	5 mM	0.4410 mL	2.2049 mL	4.4099 mL
	10 mM	0.2205 mL	1.1025 mL	2.2049 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: ≥ 2.5 mg/mL (5.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cenerimod (ACT-334441) is a potent, selective and orally active S1P1 receptor modulator, with an EC_{50} of 1 nM. Cenerimod shows more than 36 fold selctivity for hS1P1 over hS1P2, hS1P3, hS1P4, and hS1P5 receptor subtypes (EC_{50}s=>10000, 228, 2134, and 36 nM, respectively). Cenerimod can attenuate murine experimental autoimmune encephalomyelitis (EAE) and murine sclerodermatous[1][2].

IC_{50} & Target

S1PR1	S1PR5	S1PR5	S1PR4
1 nM (EC50)	36 nM (EC50)	228 nM (EC50)	2134 nM (EC50)

In Vitro

Cenerimod is a highly potent S1P1 receptor agonists in (35S)-GTPγS assays using HUVEC cell membrane preparations, with an EC_{50} of 2 nM[1].

	Cenerimod activates G protein and increases Ca^{2+} signaling in CHO cells, with EC_{50}s of 1 nM and 124 nM, respectively[1]. Cenerimod (5 μM; 24 h) inhibits collagen production in fibroblasts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cenerimod (0.1-10 mg/kg; a single p.o.) reversibly reduces the number of circulating lymphocytes in a dose-dependent manner in rats[1]. Cenerimod (6 mg/kg/day for 30 days; p.o.) attenuates disease in a mouse experimental autoimmune encephalitis (EAE) model[1]. Cenerimod (10 mg/kg/day for 42 days; p.o.) attenuates skin and lung fibrosis in Scl-cGVHD mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats weighing 294-510 g[1]
Dosage:	0.1, 0.3, 1, 3 and 10 mg/kg
Administration:	A single p.o.
Result:	Effectively and reversibly reduced the blood lymphocyte counts, with a plateau reached after a single oral dose of 1 mg/kg.

REFERENCES

[1]. Piali L, et, al. Cenerimod, a novel selective S1P 1 receptor modulator with unique signaling properties. Pharmacol Res Perspect. 2017 Dec;5(6):e00370.

[2]. Kano M, et, al. Attenuation of murine sclerodermatous models by the selective S1P 1 receptor modulator cenerimod. Sci Rep. 2019 Jan 24;9(1):658.

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