Zelnecirnon

Cat. No.: HY-148074

CAS No.: 2366152-15-8

Molecular Formula: $C_{27}H_{34}Cl_3N_5O_2$

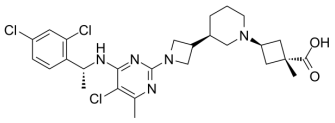
Molecular Weight: 566.95

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

Methanol : 250 mg/mL (440.96 mM; Need ultrasonic)

DMSO : 100 mg/mL (176.38 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7638 mL	8.8191 mL	17.6382 mL
5 mM	0.3528 mL	1.7638 mL	3.5276 mL
10 mM	0.1764 mL	0.8819 mL	1.7638 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 (4.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zelnecirnon (RPT193) is an orally active inhibitor of CCR4, blocks the recruitment of Th2 inflammatory immune cells into inflamed tissues. Zelnecirnon can be used for allergic inflammation in atopic dermatitis, asthma, and other diseases research[1].

IC_{50} & Target

CCR4

In Vitro

Zelnecirnon (1 nM-10 μM) inhibits Th2 cells chemotaxis or migration with IC_{50}s of ~370 nM[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Zelnecirnon (100 mg/kg; p.o.; once daily; 2 d, 1 d before OVA-challenge) reduces skin inflammation in an acute ovalbumin (OVA)-induced atopic dermatitis model in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Acute ovalbumin (OVA)-induced atopic dermatitis model in mouse[2]
Dosage:	100 mg/kg
Administration:	Oral gavage; once daily; for 2 days, started 1 day before OVA-challenge (in mouse ear)
Result:	Decreased the ear thickness significantly.

REFERENCES

[1]. Bissonnette R, et al. RPT193, an oral CCR4 inhibitor: Efficacy results from a randomized, placebo-controlled Phase 1b monotherapy trial in patients with moderate-to-severe atopic dermatitis[C]//EXPERIMENTAL DERMATOLOGY. 111 RIVER ST, HOBOKEN 07030-5774, NJ USA: WILEY, 2021, 30: 40-41.

[2]. Cheng L, et al. Development and first-in-human characterization of a potent oral CCR4 antagonist for the treatment of atopic dermatitis[C]//Journal of Investigative Dermatology. STE 800, 230 PARK AVE, NEW YORK, NY 10169 USA: ELSEVIER SCIENCE INC, 2020, 140(7): S77-S77.

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