Vercirnon

Cat. No.: HY-15724

CAS No.: 698394-73-9

Molecular Formula: $C_{22}H_{21}ClN_2O_4S$

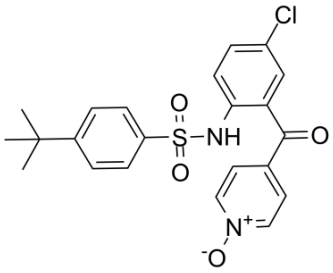
Molecular Weight: 444.93

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

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 : ≥ 25 mg/mL (56.19 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	2.2475 mL	11.2377 mL	22.4754 mL
5 mM	0.4495 mL	2.2475 mL	4.4951 mL
10 mM	0.2248 mL	1.1238 mL	2.2475 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vercirnon (GSK1605786A) is an orally bioavailable, selective, and potent antagonist of CCR9. Vercirnon inhibits CCR9-mediated Ca^{2+} mobilization and chemotaxis on Molt-4 cells with IC_{50} values of 5.4 and 3.4 nM, respectively. Vercirnon is selective for CCR9 over CCR1-12 and CX3CR1-7 (IC_{50}s>10 μM for all). Vercirnon is an equipotent inhibitor of CCL25-directed chemotaxis of both splice forms of CCR9 (CCR9A and CCR9B) with IC_{50} values of 2.8 and 2.6 nM, respectively[1].

IC_{50} & Target

CCR9

10 nM (IC_{50})

In Vitro

Vercirnon (GSK-1605786) inhibits chemotaxis of primary CCR9-expressing cells to CCL25 with an IC_{50} of 6.8 nM. Vercirnon inhibits CCL25-Induced Chemotaxis of retinoic acid (RA)-Cultured Human T Cells. Vercirnon inhibits RA-cultured cell CCL25-medidated chemotaxis in 100% human AB serum resulted in an IC_{50} of 141 nM. Vercirnon is a potent inhibitor of CCL25-

induced mouse and rat thymocyte chemotaxis with IC_{50} values of 6.9 nM and 1.3 nM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Vercirnon (GSK-1605786) (10, 50 mg/kg; s.c.; twice per day; starting at 2 weeks of age until 12 weeks of age) ameliorates the severity of intestinal inflammation in the TNFΔARE mouse model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice (TNFΔARE Mouse Model of Terminal Ileitis)[1]
Dosage:	10, 50 mg/kg
Administration:	Subcutaneous; twice per day; starting at 2 weeks of age until 12 weeks of age
Result:	Resulted in complete protection from the severe inflammation associated with TNF-overexpression at 50 mg/kg. A similar protective effect was also noted with a lower dose.

REFERENCES

[1]. Walters MJ, et al. Characterization of CCX282-B, an orally bioavailable antagonist of the CCR9 chemokine receptor, for treatment of inflammatory bowel disease. J Pharmacol Exp Ther. 2010 Oct;335(1):61-9.

[2]. Bekker P, et al. CCR9 Antagonists in the Treatment of Ulcerative Colitis. Mediators Inflamm. 2015;2015:628340.

[3]. Zhang J, et al. Biarylsulfonamide CCR9 inhibitors for inflammatory bowel disease. Bioorg Med Chem Lett. 2015 Sep 1;25(17):3661-4.

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