Vercirnon sodium

Cat. No.: HY-15724A

CAS No.: 886214-18-2

Molecular Formula: $C_{22}H_{20}ClN_2NaO_4S$

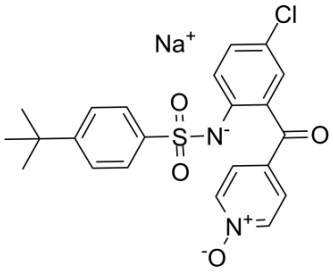
Molecular Weight: 466.91

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (214.17 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1417 mL	10.7087 mL	21.4174 mL
	5 mM	0.4283 mL	2.1417 mL	4.2835 mL
	10 mM	0.2142 mL	1.0709 mL	2.1417 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: 5 mg/mL (10.71 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (10.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vercirnon (GSK1605786A) sodium is an orally bioavailable, selective, and potent antagonist of CCR9. Vercirnon sodium 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 sodium is selective for CCR9 over CCR1-12 and CX3CR1-7 (IC_{50}s>10 μM for all). Vercirnon sodium 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) sodium inhibits chemotaxis of primary CCR9-expressing cells to CCL25 with an IC_{50} of 6.8 nM. Vercirnon sodium inhibits CCL25-Induced Chemotaxis of retinoic acid (RA)-Cultured Human T Cells. Vercirnon sodium

	inhibits RA-cultured cell CCL25-medidated chemotaxis in 100% human AB serum resulted in an IC_{50} of 141 nM. Vercirnon sodium 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 (GSK1605786A) sodium (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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