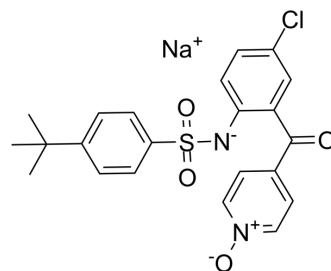


Vercirnon sodium

Cat. No.:	HY-15724A
CAS No.:	886214-18-2
Molecular Formula:	C ₂₂ H ₂₀ ClN ₂ NaO ₄ S
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)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	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-mediated chemotaxis in 100% human AB serum resulted in an IC₅₀ of 141 nM. Vercirnon sodium is a potent inhibitor of CCL25-induced mouse and rat thymocyte chemotaxis with IC₅₀ 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.

CUSTOMER VALIDATION

- Med. 2021 Jul 9;2(7):864-883.e9.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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