C-021

Cat. No.: HY-103364

CAS No.: 864289-85-0

Molecular Formula: $C_{27}H_{41}N_5O_2$

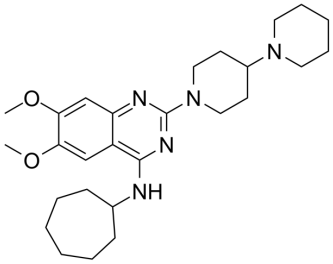
Molecular Weight: 467.65

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

Ethanol : 50 mg/mL (106.92 mM; ultrasonic and warming and heat to 60°C)
DMSO : 50 mg/mL (106.92 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1384 mL	10.6918 mL	21.3835 mL
5 mM	0.4277 mL	2.1384 mL	4.2767 mL
10 mM	0.2138 mL	1.0692 mL	2.1384 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: ≥ 0.71 mg/mL (1.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.71 mg/mL (1.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.71 mg/mL (1.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

C-021 is a potent CC chemokine receptor-4 (CCR4) antagonist. C-021 potently inhibits functional chemotaxis in human and mouse with IC_{50}s of 140 nM and 39 nM, respectively. C-021 effectively prevents human CCL22-derived [^{35}S]GTPγS from binding to the receptor with an IC_{50} of 18 nM[1].

IC_{50} & Target

CCR4

In Vitro	The in vitro oxidative metabolic stability of C-021 (Compound 1b) is evaluated by measuring the rate of drug consumption in human liver microsomes (HML), thus providing intrinsic clearance values (CLint). C-021 exhibits CL_{int} value of 17,377 mL/h/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The potency of C-021 (Compound 1b) is evident after subcutaneous administration in the murine oxazolone-induced contact hypersensitivity test, a known model of acute skin inflammation. When C-021 is administered orally, however, very little inhibition is observed[1]. C-021 (1 mg/kg; i.p.; daily; for 3 days) significantly less microgliosis in acute liver failuremice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57Bl/6 mice (20-25 g) with acute liver failure[2]
Dosage:	1 mg/kg
Administration:	i.p.; daily; for 3 days
Result:	Significantly less microgliosis, and significantly reduced the pERK1/2 to tERK1/2 ratio.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2023 Mar 2;210:115475.

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REFERENCES

[1]. Yokoyama K, et al. Potent and orally bioavailable CCR4 antagonists: Synthesis and structure-activity relationship study of 2-aminoquinazolines. Bioorg Med Chem. 2009 Jan 1;17(1):64-73.

[2]. Matthew McMillin, et al. Neuronal CCL2 is upregulated during hepatic encephalopathy and contributes to microglia activation and neurological decline. J Neuroinflammation. 2014 Jul 10;11:121.

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