Proteins

CCR4 antagonist 3

Cat. No.: HY-147385 CAS No.: 1957-01-3 Molecular Formula: $C_{14}H_{12}N_{2}S$ Molecular Weight: 240.32 CCR Target:

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage: -20°C 3 years Powder

4°C 2 years

-80°C In solvent 6 months

> -20°C 1 month

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 62.5 mg/mL (260.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	4.1611 mL	20.8056 mL	41.6112 mL
	5 mM	0.8322 mL	4.1611 mL	8.3222 mL
	10 mM	0.4161 mL	2.0806 mL	4.1611 mL

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

BIOLOGICAL ACTIVITY

Description

CCR4 antagonist 3 is a potent chemokine receptor 4 (CCR4) antagonist with an IC $_{50}$ value of 1.7 μ M for [125 I]TARC (thymus and activation regulated chemokine). CCR4 antagonist 3 inhibits binding of radiolabeled TARC and macrophage-derived chemokine (MDC) to CCR4 receptors on the surface of CEM cells. CCR4 antagonist 3 also inhibits the in vitro migration of CEM cells mediated by TARC (IC50 = 6.4 μ M)^[1].

IC₅₀ & Target

[125]-TARC-CCR4 $1.7 \, \mu M \, (IC_{50})$

In Vivo

CCR4 antagonist 3 (compound 1) (0.5 mg/kg for IV; 2 mg/kg for PO; single dosage) exhibits a high clearance, short half-life and low oral bioavailability^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Rats^[1] Animal Model:

Dosage:	0.5 mg/kg for IV; 2 mg/kg for PO	
Administration:	IV and PO; single dosage	
Result:	Exhibited a high clearance of 4.2 L/h/kg and a short half-life of 0.4 h, and the oral bioavailability of 2%.	

REFERENCES

[1]. Wang X, et al. Optimization of 2-aminothiazole derivatives as CCR4 antagonists. Bioorg Med Chem Lett. 2006 May 15;16(10):2800-3.

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

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