TC14012

Cat. No.: HY-P1102

CAS No.: 368874-34-4

Molecular Formula: $C_{90}H_{140}N_{34}O_{19}S_2$

Molecular Weight: 2066.42

Sequence Shortening: RR-{2Nal}-CY-{Cit}-K-{Cit}-PYR-{Cit}-CR-NH2 (Disulfide bridge:Cys4-Cys13)

Target: CXCR; HIV

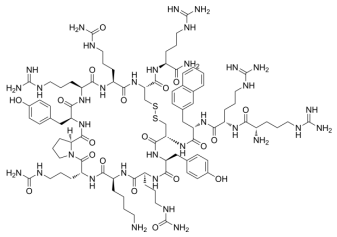
Pathway: GPCR/G Protein; Immunology/Inflammation; Anti-infection

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (12.10 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.4839 mL	2.4196 mL	4.8393 mL
	5 mM	0.0968 mL	0.4839 mL	0.9679 mL
	10 mM	0.0484 mL	0.2420 mL	0.4839 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: ≥ 1.67 mg/mL (0.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (0.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (0.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TC14012, a serum-stable derivative of T140, is a selective and peptidomimetic CXCR4 antagonist with an IC_{50} of 19.3 nM. TC14012 is a potent CXCR7 agonist with an EC_{50} of 350 nM for recruiting β-arrestin 2 to CXCR7. TC14012 has anti-HIV activity and anti-cancer activity[1][2].

IC_{50} & Target			
	CXCR4 19.3 nM (IC_{50}, antagonist	CXCR7 350 nM (EC50, agonist site)	HIV

	site)		
In Vitro	TC14012 (1 mM) inhibits more than 95% the infection of the CXCR4-expressing cells by the HXB2 (X4) or 89.6 (dual-tropic) strain whereas TC14012 (1 mM) does not inhibit all the infection of the CCR5-expressing cells by the SF162 (R5) or 89.6 (dualtropic) strain[1]. TC14012 leads to erk 1/2 phosphorylation in U373 cells, which express endogenous CXCR7 but not CXCR4. Upon stimulation with TC14012, CXCR7 and the CXCR7-Cter4 chimera are able to recruit arrestin, whereas CXCR4 and CXCR4-Cter7 remain silent[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

CUSTOMER VALIDATION

- Biochem Biophys Res Commun. 2023 Apr 26;664:59-68.

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REFERENCES

[1]. H Tamamura, et al. Development of specific CXCR4 inhibitors possessing high selectivity indexes as well as complete stability in serum based on an anti-HIV peptide T140. Bioorg Med Chem Lett. 2001 Jul 23;11(14):1897-902.

[2]. Stéphanie Gravel, et al. The peptidomimetic CXCR4 antagonist TC14012 recruits beta-arrestin to CXCR7: roles of receptor domains. J Biol Chem. 2010 Dec 3;285(49):37939-43.

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