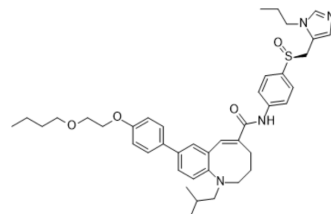


Cenicriviroc

Cat. No.:	HY-14882		
CAS No.:	497223-25-3		
Molecular Formula:	C ₄₁ H ₅₂ N ₄ O ₄ S		
Molecular Weight:	696.94		
Target:	CCR; HIV		
Pathway:	GPCR/G Protein; Immunology/Inflammation; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (71.74 mM; Need ultrasonic)
 Ethanol : 2 mg/mL (2.87 mM; ultrasonic and warming and heat to 60°C)
 H₂O : ≥ 0.1 mg/mL (0.14 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.4348 mL	7.1742 mL	14.3484 mL
	5 mM	0.2870 mL	1.4348 mL	2.8697 mL
	10 mM	0.1435 mL	0.7174 mL	1.4348 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (2.98 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (2.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cenicriviroc (TAK-652) is an orally active, dual CCR2/CCR5 antagonist, also inhibits both HIV-1 and HIV-2, and displays potent anti-inflammatory and anti-infective activity^[1].

IC₅₀ & Target

CCR5 0.29 nM (IC ₅₀)	CCR2 5.9 nM (IC ₅₀)	R5 HIV-1 0.024-0.08 nM (IC ₅₀ , in PBMCs)	R5 HIV-2 0.03-0.98 nM (IC ₅₀ , in PBMCs)
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In Vitro	Cenicriviroc prevents human immunodeficiency virus type 1 (HIV-1) from cellular entry ^[2] . Regarding the 4 R5 HIV-2 clinical isolates tested, effective concentration 50% EC ₅₀ for cenicriviroc are 0.03, 0.33, 0.45 and 0.98 nM. The dual-tropic and the X4-tropic HIV-2 strains are resistant to cenicriviroc with EC ₅₀ at >1000 nM, and MPI at 33% and 4%, respectively ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cenicriviroc (≥20 mg/kg/day) significantly reduces monocyte/macrophage recruitment in vivo. At these doses, cenicriviroc shows antifibrotic effects, with significant reductions in collagen deposition, and collagen type 1 protein and mRNA expression across the three animal models of fibrosis. In the NASH model, cenicriviroc significantly reduces the non-alcoholic fatty liver disease activity score. Cenicriviroc treatment has no notable effect on body or liver/kidney weight ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]

Male C57BL/6 mice (n=44; 8-10 weeks of age) are allocated to receive treatments via oral gavage (PO) on Days 1-5 in the following groups: non-disease control, vehicle control twice daily (BID), Cenicriviroc 5 mg/kg/day (Cenicriviroc5) BID, Cenicriviroc 20 mg/kg/day (Cenicriviroc20) BID, Cenicriviroc 100 mg/kg/day (Cenicriviroc100) BID, Cenicriviroc20 QD, and positive control (corticosteroid known to reduce inflammation in a variety of animal models) 1 mg/kg QD. On Day 4, peritonitis is induced via IP injection of TG 3.85% (1 mL/animal) 2 hours post-dose in all groups except non-disease controls. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomaterials. 2021 Jan;265:120392.
- Antiviral Res. 2020 Oct;182:104902.
- Cells. 2020 Apr 14;9(4):964.
- Am J Physiol Cell Physiol. 2023 Dec 25.

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- [2]. Kuwata T, et al. Incompatible Natures of the HIV-1 Envelope in Resistance to the CCR5 Antagonist Cenicriviroc and to Neutralizing Antibodies. Antimicrob Agents Chemother. 2015 Nov 2;60(1):437-5
- [3]. Visseaux B, et al. Cenicriviroc, a Novel CCR5 (R5) and CCR2 Antagonist, Shows In Vitro Activity against R5 Tropic HIV-2 Clinical Isolates. PLoS One. 2015 Aug 6;10(8):e0134904
- [4]. Lalezari J, et al. Safety, efficacy, and pharmacokinetics of TBR-652, a CCR5/CCR2 antagonist, in HIV-1-infected, treatment-experienced, CCR5 antagonist-naïve subjects. J Acquir Immune Defic Syndr. 2011 Jun 1;57(2):118-25.
- [5]. Baba M, et al. TAK-652 inhibits CCR5-mediated human immunodeficiency virus type 1 infection in vitro and has favorable pharmacokinetics in humans. Antimicrob Agents Chemother. 2005 Nov;49(11):4584-91.

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

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