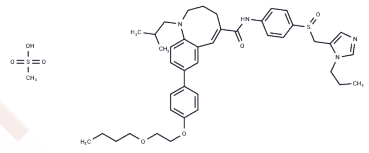
Data Sheet (Cat.No.T10756)

TargetMol

Cenicriviroc Mesylate

Chemical Properties



CAS No. : 497223-28-6

Formula: C42H56N4O7S2

Molecular Weight: 793.05

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Cenicriviroc Mesylate is a dual CCR2/CCR5 antagonist, also inhibits both HIV-1 and HIV-2 with anti-inflammatory and antiinfective activity.
Targets(IC50)	Anti-infection,HIV Protease,CCR
In vitro	Migration of mouse monocytes in response to carbon tetrachloride (CCL2) is reduced following pre-treatment with Cenicriviroc Mesylate (CVC) at 1 μM concentration. Compared to untreated and unstimulated cells, the average fold change in migrating cells is 0.8±0.2 (p>0.05) and 0.7±0.4 (p>0.05) for CCL2-stimulated and unstimulated cells treated with Cenicriviroc Mesylate, respectively [1]. Phenotypic susceptibility testing reveals a median EC50 for Cenicriviroc Mesylate of 0.39 nM for four R5-tropic HIV-2 isolates, whereas dual-tropic and X4-tropic HIV-2 strains are resistant, showing EC50 values >1000 nM with maximum inhibition percentages of 33% and 4%, respectively [2].
In vivo	Treatment with Cenicriviroc Mesylate results in a dose-dependent reduction in monocyte/macrophage recruitment, reaching statistical significance at dosages of 20 mg/kg/day or higher. When compared to the vehicle-control group, Cenicriviroc Mesylate administration at dosages of 5 mg twice daily (BID), 20 mg BID, 100 mg BID, and 20 mg once daily (QD) leads to decreases in peritoneal lavage monocyte/macrophage counts of 5.7%, 45.2%, 76.5%, and 26.0%, respectively. The effect of Cenicriviroc Mesylate on reducing monocyte/macrophage recruitment is dose-related and demonstrates a correlation with dosage, showing greater efficacy for twice daily (BID) administration compared to once daily (QD). This increased effectiveness is likely due to the higher plasma concentrations achieved with BID dosing and the compound's short half-life of approximately 2 hours in mice [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (100.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (4.16 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.261 mL	6.3048 mL	12.6095 mL
5 mM	0.2522 mL	1.261 mL	2.5219 mL
10 mM	0.1261 mL	0.6305 mL	1.261 mL
50 mM	0.0252 mL	0.1261 mL	0.2522 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lefebvre E, et al. Antifibrotic Effects of the Dual CCR2/CCR5 Antagonist Cenicriviroc in Animal Models of Liver and Kidney Fibrosis. PLoS One. 2016 Jun 27;11(6):e0158156.
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.
Lalezari J, et al. Safety, efficacy, and pharmacokinetics of TBR-652, a CCR5/CCR2 antagonist, in HIV-1-infected, treatment-experienced, CCR5 antagonist-naive subjects. J Acquir Immune Defic Syndr. 2011 Jun 1;57(2):118-25.
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.
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Chen G, et al. Cenicriviroc Suppresses and Reverses Steatohepatitis by Regulating Macrophage Infiltration and M2 Polarization in Mice. Endocrinology. 2024 May 27;165(7):bqae069.