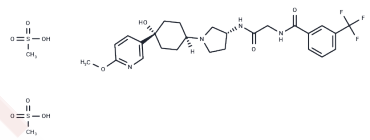
Data Sheet (Cat.No.T11647)

TargetMol

INCB 3284 dimesylate

Chemical Properties



CAS No. : 887401-93-6

Formula: C28H39F3N4O10S2

Molecular Weight: 712.76

Storage: 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	INCB 3284 dimesylate is a selective and orally bioavailable human CCR2 antagonist, inhibiting monocyte chemoattractant protein-1 binding to hCCR2 (IC50: 3.7 nM). It can be used in the research of acute liver failure.
Targets(IC50)	Others,CCR
In vitro	INCB 3284 causes an IC50 of 4.7 nM in antagonism of chemotaxis activity, an IC50 of 84 μM in inhibition of the hERG potassium current. However, INCB 3284 has no effec on CCR1, CCR3, CCR5, CXCR3, and CXCR5, or additional GPCRs at a concentration of 1 μM. Moreover, INCB 3284 potently inhibits CCR2-mediated signaling events such as intracellular calcium mobilization and ERK phosphorylation with IC50 values of 6 and 2.6 nM, respectively.INCB 3284 dimesylate is a pentent, selective and orally bioavailable human CCR2 antagonist, inhibiting monocyte chemoattractant protein-1 binding to hCCR2, with an IC50 of 3.7 nM.?INCB 3284 also causes an IC50 of 4.7 nM in antagonism of chemotaxis activity, an IC50 of 84 μM in inhibition of the hERG potassium current.? However, INCB 3284 has no effec on CCR1, CCR3, CCR5, CXCR3, and CXCR5, or additional GPCRs at a concentration of 1 μM.?Moreover, INCB 3284 potently inhibits CCR2-mediated signaling events such as intracellular calcium mobilization and ERK phosphorylation with IC50 values of 6 and 2.6 nM, respectively.
In vivo	INCB 3284 effectively diminishes the ratio of phosphorylated ERK1/2 (pERK1/2) to total ERK1/2 (tERK1/2), alongside reducing G-protein signaling pathway activity and the production of proinflammatory cytokines in cortex lysates of mice treated with azoxymethane. Additionally, administered at a dosage of 1 mg/kg/day intraperitoneally, it alleviates liver damage and curtails microglia activation in azoxymethane (AOM)-treated mice through the inhibition of CCR2.

Solubility Information

Solubility	DMSO: 83.3 mg/mL (116.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.403 mL	7.015 mL	14.030 mL
5 mM	0.2806 mL	1.403 mL	2.806 mL
10 mM	0.1403 mL	0.7015 mL	1.403 mL
50 mM	0.0281 mL	0.1403 mL	0.2806 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

Xue CB, et al. Discovery of INCB3284, a Potent, Selective, and Orally Bioavailable hCCR2 Antagonist. ACS Med Chem Lett. 2011 Mar 31;2(6):450-4.
McMillin M, et al. Neuronal CCL2 is upregulated during hepatic encephalopathy and contributes to microglia activation and neurological decline. J Neuroinflammation. 2014 Jul 10;11:121.