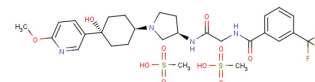


INCB 3284

Chemical Properties

CAS No.:	887401-92-5
Formula:	C26H31F3N4O4
Molecular Weight:	520.54
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	INCB 3284 can be used in the research of acute liver failure. INCB 3284 is a potent, selective and orally bioavailable human CCR2 antagonist, inhibiting monocyte chemoattractant protein-1 binding to hCCR2, with an IC50 of 3.7 nM.
Targets(IC50)	MCP-1-hCCR2: 3.7 nM
In vitro	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 effect on CCR1, CCR3, CCR5, CXCR3, and CXCR5, or additional GPCRs at a concentration of 1 μM. Moreover, INCB 3284 is a potent, selective and orally bioavailable human CCR2 antagonist, inhibiting monocyte chemoattractant protein-1 binding to hCCR2, with an IC50 of 3.7 nM. INCB 3284 potentially 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 significantly reduces the pERK1/2 to tERK1/2 ratio, as well as G-protein signaling pathway activity and proinflammatory cytokine production in cortex lysates from mice administered with azoxymethane. INCB 3284 (1 mg/kg/day, ip) reduces liver damage, and decreases microglia activation in AOM-treated mice via inhibition on CCR2.

Solubility Information

Solubility	DMSO: 83.3 mg/mL (160.03 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.921 mL	9.605 mL	19.211 mL
5 mM	0.384 mL	1.921 mL	3.842 mL
10 mM	0.192 mL	0.961 mL	1.921 mL
50 mM	0.038 mL	0.192 mL	0.384 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.

Inhibitors · Natural Compounds · Compound Libraries

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