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INCB 3284 (dimesylate)

Catalog No: tcsc0958

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
887401-93-6

Formula:
$C_{28}H_{39}F_3N_4O_{10}S_2$

Pathway:
Immunology/Inflammation;GPCR/G Protein

Target:
CCR;CCR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 83.3 mg/mL (116.87 mM)

Observed Molecular Weight:
712.76

Product Description

INCB 3284 dimesylate is a potent, selective and orally bioavailable human **CCR2** antagonist, inhibiting monocyte chemoattractant protein-1 binding to **hCCR2**, with an **IC_{50}** of 3.7 nM. INCB 3284 dimesylate can be used in the research of acute liver failure.

IC50 & Target: IC50: 3.7 nM (hCCR2)[1]

In Vitro: INCB 3284 dimesylate is a pentent, selective and orally bioavailable human CCR2 antagonist, inhibiting monocyte

chemoattractant protein-1 binding to hCCR2, with an IC_{50} of 3.7 nM. INCB 3284 also causes an IC_{50} of 4.7 nM in antagonism of chemotaxis activity, an IC_{50} 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 IC_{50} values of 6 and 2.6 nM, respectively[1].

In Vivo: INCB 3284 (1 mg/kg/day, ip) reduces liver damage, and decreases microglia activation in AOM-treated mice via inhibition on CCR2. INCB 3284 also 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 administed with azoxymethane[2].