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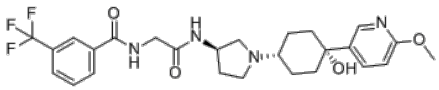
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	INCB3284
Cat. No.	:	PC-20530
CAS No.	:	887401-92-5
Molecular Formula	:	$C_{26}H_{31}F_3N_4O_4$
Molecular Weight	:	520.55
Target	:	Chemokine Receptor (CCR and CXCR)
Solubility	:	10 mM in DMSO



CAS: 887401-92-5

Biological Activity

INCB3284 (INCB 3284, INCB003284) is a potent, selective and orally bioavailable hCCR2 antagonist with IC50 of 3.7 nM in antagonism of MCP-1 binding to hCCR2 and 4.7 nM in antagonism of chemotaxis activity.
INCB3284 potently inhibited CCR2-mediated signaling events such as intracellular calcium mobilization and ERK phosphorylation with IC50 values of 6 and 2.6 nM, respectively.
INCB3284 showed no significant inhibitory activity at a concentration of 1 μM against a panel of >50 ion channels, transporters, chemokine receptors including CCR1, CCR3, CCR5, CXCR3, and CXCR5, and additional GPCRs.
INCB3284 abolished the high salt (HS)-induced increase in BP in KL(+/-) mice, also attenuated the increased renal expressions of serum glucocorticoid-regulated kinase 1, thiazide-sensitive NaCl cotransporter, and ATP synthase β along with the renal structural damage and functional impairment induced by HS loading.

References

Xue CB, et al. ACS Med Chem Lett. 2011 Mar 31;2(6):450-4.

Saika F, et al. Neurosci Lett. 2018 Feb 5;665:33-37.

Zhou X, et al. J Am Soc Nephrol. 2015 Jan;26(1):121-32.

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