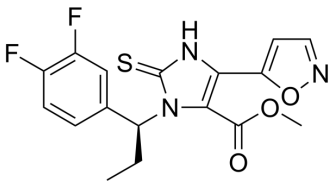
JNJ-27141491

Cat. No.:	HY-110132
CAS No.:	871313-59-6
Molecular Formula:	$C_{17}H_{15}F_2N_3O_3S$
Molecular Weight:	379.38
Target:	CCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	JNJ-27141491 is a selective, noncompetitive and orally active functional antagonist of human CCR2[1].
IC_{50} & Target	hCCR2
In Vitro	JNJ-27141491 inhibits MCP-1-induced [^{35}S]GTPγS binding to hCCR2-CHO cell membranes (IC_{50}=38±9 nM) and reduces MCP-1-induced Ca^{2+} mobilization in hCCR2-CHO cells (IC_{50}=13±1 nM), in THP-1 cells (IC_{50}=13±2 nM), and in human blood monocytes (IC_{50}=43±4 nM). JNJ-27141491 also inhibits chemotaxis of human PBMC toward MCP-1, with an IC_{50} value of 97±16 nM[1]. JNJ-27141491 competes with the binding of MCP-1 to hCCR2 and acts as a noncompetitive antagonist of the CCR2 receptor [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	JNJ-27141491 (5-40 mg/kg; oral; once or twice daily) inhibits recruitment of monocytes and neutrophils into the alveolar air space of transgenic hCCR2 knockin mice[1]. JNJ-27141491 (20 mg/kg; oral; daily for 16 days) delays experimental autoimmune encephalomyelitis (EAE) in transgenic CCR2 mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Transgenic mCCR2 knockout/hCCR2 knockin C57BL/6 mice[1]
Dosage:	5, 10, 20, or 40 mg/kg
Administration:	Oral, once or twice daily
Result:	Once-daily oral treatment with 40, 20, 10, or 5 mg/kg inhibited the monocyte influx with 77, 57, 49, and 27%, respectively, compared with vehicle treatment, whereas this value was 74 and 22% after twice-daily oral treatment with 20 or 5 mg/kg. The neutrophil influx was also reduced; neutrophil numbers were decreased, with 56, 45, 20, and 8% after 40, 20, 10, or 5 mg/kg q.d. treatments and with 45 and 20% after 20 and 5 mg/kg b.i.d. treatments.

REFERENCES

[1]. Buntinx M, et al. Pharmacological profile of JNJ-27141491 [(S)-3-[3,4-difluorophenyl)-propyl]-5-isoxazol-5-yl-2-thioxo-2,3-dihydro-1H-imidazole-4-carboxyl acid methyl ester], as a noncompetitive and orally active antagonist of the human chemokine receptor CCR2. J Pharmacol Exp Ther. 2008 Oct;327(1):1-9.

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