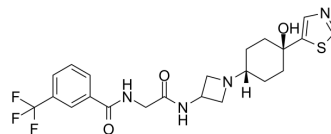


JNJ-41443532

Cat. No.:	HY-13499	
CAS No.:	1228650-83-6	
Molecular Formula:	C ₂₂ H ₂₅ F ₃ N ₄ O ₃ S	
Molecular Weight:	482.52	
Target:	CCR	
Pathway:	GPCR/G Protein; Immunology/Inflammation	
Storage:	Powder	-20°C 3 years
		4°C 2 years
	In solvent	-80°C 6 months
		-20°C 1 month



BIOLOGICAL ACTIVITY

Description	JNJ-41443532 (CCR2 antagonist 5) is a selective, orally active hCCR2 inhibitor with good binding affinity (IC ₅₀ =37 nM) and potent functional antagonism (chemotaxis IC ₅₀ =30 nM). JNJ-41443532 displays a K _i of 9.6 μM for mCCR2 binding. JNJ-41443532 can be used in the research of inflammatory disease ^[1] .																						
IC₅₀ & Target	hCCR2 37 nM (IC ₅₀)	mCCR2 9.6 μM (K _i)																					
In Vivo	<p>JNJ-41443532 (compound 8d) dose-dependently inhibits the influx of leukocytes, monocytes/macrophages and T-lymphocytes into the peritoneal cavity with an ED₅₀ of 3 mg/kg p.o. bid in a thioglycollate-induced peritonitis (TG) model^[1]. JNJ-41443532 has good CV safety profile. It does not induce dose-dependent or notable effects on most cardiohemodynamic, functional respiratory and electrophysiological parameters up to 10 mg/kg (i.v.) with plasma level at 70 μM in an anesthetized dog^[1].</p> <p>JNJ-41443532 has amendable oral bioavailability in dogs and primates. Pharmacokinetic parameters (p.o.)^[1]:</p> <table border="1"> <thead> <tr> <th>Species</th> <th>Dose (mg/kg)</th> <th>C_{max} (ng/mL)</th> <th>AUC_{last} (h*ng/mL)</th> </tr> </thead> <tbody> <tr> <td>dogs</td> <td>6.7</td> <td>1617</td> <td>5887</td> </tr> <tr> <td>non-human primates</td> <td>7.2</td> <td>740</td> <td>3061</td> </tr> <tr> <td>mice</td> <td>10</td> <td>74</td> <td>204</td> </tr> <tr> <td>rats</td> <td>10</td> <td>100</td> <td>416</td> </tr> </tbody> </table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			Species	Dose (mg/kg)	C _{max} (ng/mL)	AUC _{last} (h*ng/mL)	dogs	6.7	1617	5887	non-human primates	7.2	740	3061	mice	10	74	204	rats	10	100	416
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REFERENCES

Caution: Product has not been fully validated for medical applications. For research use only.

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