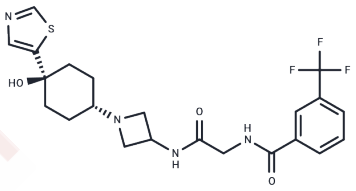
Data Sheet (Cat.No.T27682)

TargetMol

CCR2 antagonist 5

Chemical Properties



CAS No. : 1228650-83-6

Formula: C22H25F3N4O3S

Molecular Weight: 482.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CCR2 antagonist 5 (JNJ-41443532) is a selective and orally active hCCR2 inhibitor with good binding affinity (IC50=37 nM) and potent functional antagonism (chemotaxis IC50=30 nM).JNJ-41443532 binds mCCR2 with a Ki of 9.6 μM, which can be used to study inflammatory diseases and diabetes. diseases and diabetes.
Targets(IC50)	CCR
In vivo	CCR2 antagonist 5 (compound 8d) 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] CCR2 antagonist 5 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] CCR2 antagonist 5 has amendable oral bioavailability in dogs and primates.[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (103.62 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (5.18 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0725 mL	10.3623 mL	20.7245 mL
5 mM	0.4145 mL	2.0725 mL	4.1449 mL
10 mM	0.2072 mL	1.0362 mL	2.0725 mL
50 mM	0.0414 mL	0.2072 mL	0.4145 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Di Prospero NA, Artis E, Andrade-Gordon P, Johnson DL, Vaccaro N, Xi L, Rothenberg P. CCR2 antagonism in patients with type 2 diabetes mellitus: a randomized, placebo-controlled study. Diabetes Obes Metab. 2014 Nov; 16(11):1055-64. doi: 10.1111/dom.12309. Epub 2014 May 25. PubMed PMID: 24798870.