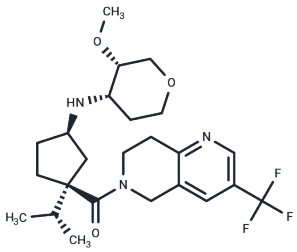
Data Sheet (Cat.No.TQ0182)

TargetMol

MK-0812

Chemical Properties



CAS No. : 624733-88-6

Formula: C24H34F3N3O3

Molecular Weight: 469.54

Storage: Store at low temperature
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	MK-0812 is a dual antagonist of the CCR2 and CCR5 receptors that can alleviate adipose inflammation in ob/ob mice.
Targets(IC50)	CCR
In vitro	MK-0812 completely blocks all McP-1-mediated responses in a concentration-dependent manner, with an IC50 of 3.2 nM. MK-0812 binds MCP-1 of monocytes with an IC50 of 4.5 nM. [1]
In vivo	Feeding MK-0812 (10 mg/kg/ mouse) increased eWAT quality of ob/ob mice and effectively inhibited macrophage infiltration in ob/ob adipose tissue. [3]
Kinase Assay	Human whole blood is collected in EDTA tubes and used within 1 h of blood collection. For antagonist treated samples, blood (200 µL) is pre-incubated with MK-0812 (0.1% final DMSO concentration) for 30 min at room temperature. After this, 20 µL of FITC conjugated anti-CD14 antibody and 4 µL of chemokine or buffer is added to each sample and mixed lightly. An aliquot (100 µL) of the blood mixture is incubated for 10 min at 37°C immediately placed on ice and lightly fixed with 250 µL of ice-cold fixative (49 mL PBS, 1.0 mL 4% paraformaldehyde) for 1 min. Red blood cells are lysed by adding 1.0 mL of ice-cold lysis solution (0.15 M NH4Cl2, 10 mM sodium bicarbonate, and 1 mM EDTA), and incubated for 20 min on ice. After complete lysis of red blood cells, 100 µL of 4% para-formaldehyde is added and the samples are analyzed by flow cytometry for forward scattering measurements [1].
Animal Research	Female BALB/c mice are used between 8 and 10 weeks of age. MK0812 are administered in a 0.4% MC solution by 30 mg/kg oral gavage (p.o.). Two hours later, the frequency of CD11b+Ly6G-Ly6Chi monocytes and CD11b+Ly6G+Ly6C+ neutrophils is determined by flow cytometry [2].

Solubility Information

Solubility	DMSO: 80 mg/mL (170.38 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: 3.3 mg/mL (7.03 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	2.1297 mL	10.6487 mL	21.2974 mL
5 mM	0.4259 mL	2.1297 mL	4.2595 mL
10 mM	0.213 mL	1.0649 mL	2.1297 mL
50 mM	0.0426 mL	0.213 mL	0.4259 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

Wisniewski T, et al. Assessment of chemokine receptor function on monocytes in whole blood: In vitro and ex vivo evaluations of a CCR2 antagonist.J Immunol Methods. 2010 Jan 31;352(1-2):101-10.
Sugiyama S, Yumimoto K, Fujinuma S, et al.Identification of effective CCR2 inhibitors for cancer therapy using humanized mice.The Journal of Biochemistry.2023: mvad086.
Murakami K, et al. CC chemokine ligand 2 and CXC chemokine ligand 8 as neutrophil chemoattractant factors in canine idiopathic polyarthritis. Vet Immunol Immunopathol. 2016 Dec;182:52-58.
O'Brien PD, et al. Dual CCR2/CCR5 antagonist treatment attenuates adipose inflammation, but not microvascular complications in ob/ob mice. Diabetes Obes Metab. 2017 Oct;19(10):1468-1472.