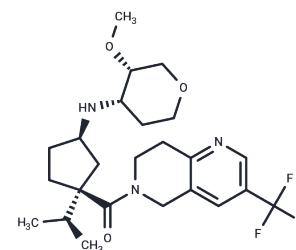


MK-0812

Chemical Properties

CAS No. : 624733-88-6
Formula: C₂₄H₃₄F₃N₃O₃
Molecular Weight: 469.54
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	MK-0812 is an effective and specific CCR2 antagonist.
Targets(IC ₅₀)	CCR
In vitro	MK-0812 completely blocks all MCP-1 mediated response in a concentration-dependent manner (IC ₅₀ : 3.2 nM). This value is similar to the potency observed for the inhibition of ¹²⁵ I-MCP-1 binding by MK-0812 on isolated monocytes (IC ₅₀ 4.5 nM). The addition of MK-0812 to rhesus blood also inhibits MCP-1 induced monocyte shape change. The IC ₅₀ for MK-0812 in whole blood assays is 8 nM [1].
In vivo	MK0812 (30 mg/kg, p.o.) reduces the frequency of Ly6G-Ly6Chi monocytes in the peripheral blood, while no impact on circulating Ly6G+Ly6C+ neutrophil frequency is observed. In addition, MK0812 treatment causes a dose-dependent reduction in circulating Ly6Chi monocytes and a corresponding elevation in the CCR2 ligand CCL2 [2].
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 NH ₄ Cl ₂ , 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

A DRUG SCREENING EXPERT

Solubility	DMSO: Soluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
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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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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