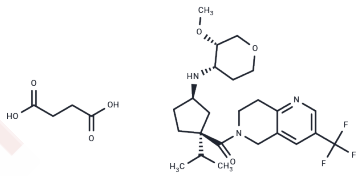
Data Sheet (Cat.No.T16091)

TargetMol

MK-0812 Succinate

Chemical Properties



CAS No. : 851916-42-2

Formula: C28H40F3N3O7

Molecular Weight: 587.63

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 Succinate is an effective and selective CCR2 antagonist.
Targets(IC50)	CCR
In vitro	MK-0812 not only fully blocks the shape change response to exogenous MCP-1 but also causes a monocyte forward scatter measurement below unstimulated or basal levels. The addition of MK-0812 to rhesus blood also inhibits MCP-1 induced monocyte shape change. The IC50 for MK-0812 in whole blood assays is 8 nM. MK-0812 fully blocks all MCP-1 mediated response in a concentration-dependent manner (IC50: 3.2 nM). This value is similar to the potency observed for the inhibition of 125I-MCP-1 binding by MK-0812 on isolated monocytes (IC50: 4.5 nM) [2].
In vivo	MK-0812 is administered by continuous i.v. infusion to maintain a constant level of the drug in the blood. MK-0812 treatment induces a dose-dependent reduction in circulating Ly6Chi monocytes and a corresponding elevation in the CCR2 ligand CCL2. MK-0812 (30 mg/kg, p.o.) decreases the frequency of Ly6G-Ly6Chi monocytes in the peripheral blood, while no impact on circulating Ly6G+Ly6C+ neutrophil frequency is observed [1][2].

Solubility Information

Solubility	DMSO: 28 mg/mL (47.65 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 mg/mL (3.4 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	1.7018 mL	8.5088 mL	17.0175 mL
5 mM	0.3404 mL	1.7018 mL	3.4035 mL
10 mM	0.1702 mL	0.8509 mL	1.7018 mL
50 mM	0.034 mL	0.1702 mL	0.3404 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

Min SH, et al. Pharmacological targeting reveals distinct roles for CXCR2/CXCR1 and CCR2 in a mouse model of arthritis.Biochem Biophys Res Commun. 2010 Jan 1;391(1):1080-6.
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.