



MK-0812

Catalog No: tcsc0344

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Available Sizes

Size: 5mg

Size: 10mg



Specifications

CAS No:

624733-88-6

Formula:

 $C_{24}^{H}_{34}^{F}_{3}^{N}_{3}^{O}_{3}$

Pathway:

Immunology/Inflammation;GPCR/G Protein

Target:

CCR;CCR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

469.54

Product Description

MK-0812 is a potent and selective **CCR2** antagonist with low nM affinity for CCR2 on human monocytes.

IC50 & Target: CCR2^[1]

In Vitro:





MK-0812 completely blocks all MCP-1 mediated response in a concentration dependent manner, with an IC $_{50}$ of 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). In fact, the antagonist not only completely blocks the shape change response to exogenous MCP-1, but also results in 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 IC $_{50}$ for MK-0812 in whole blood assays is 8 nM $^{[1]}$ MK0812 is a potent and selective small molecule CCR2 antagonist $^{[2]}$.

In Vivo: MK-0812 is administered by continuous i.v. infusion to maintain a constant level of the drug in blood^[1]. Administration of MK0812 at 30 mg/kg, p.o. reduces the frequency of Ly6G⁻Ly6C^{hi} 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 Ly6C hi monocytes and a corresponding elevation in the CCR2 ligand CCL2^[2].

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!