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MK-0812

Catalog No: tcsc0344

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
624733-88-6

Formula:
$C_{24}H_{34}F_3N_3O_3$

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:

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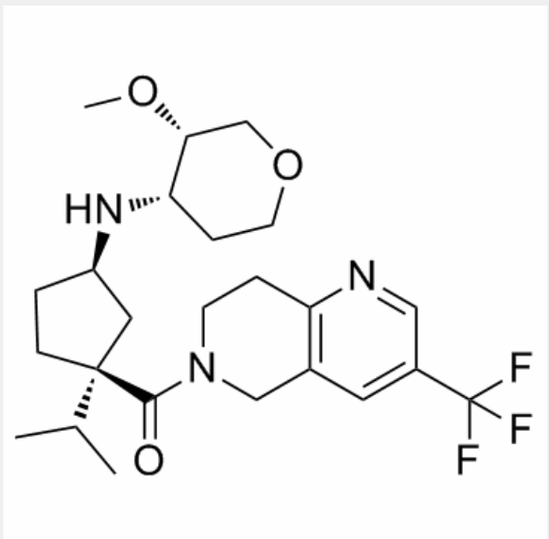
MK-0812 completely blocks all MCP-1 mediated response in a concentration dependent manner, with an IC_{50} of 3.2 nM. This value is similar to the potency observed for the inhibition of ^{125}I-MCP-1 binding by MK-0812 on isolated monocytes (IC_{50} 4.5 nM). In fact, the antagonist not only completely 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].



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