

BX471

Catalog No: tcsc3283



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

217645-70-0

Formula:

$C_{21}H_{24}ClFN_4O_3$

Pathway:

Immunology/Inflammation;GPCR/G Protein

Target:

CCR;CCR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 51 mg/mL (117.27 mM)

Alternative Names:

ZK-811752

Observed Molecular Weight:

434.89

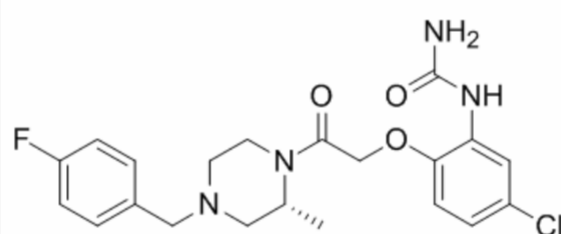
Product Description

BX471 (ZK-811752) is a potent and selective non-peptide **CCR1** antagonist with a **K_i** of 1 nM, and exhibits 250-fold selectivity for CCR1 over CCR2, CCR5 and CXCR4.

IC50 & Target: Ki: 1 nM (human CCR1)

In Vitro: BX471 is a potent functional antagonist based on its ability to inhibit a number of CCR1-mediated effects including Ca^{2+} mobilization, increase in extracellular acidification rate, CD11b expression, and leukocyte migration. BX471 demonstrates a greater than 10,000-fold selectivity for CCR1 compared with 28 G-protein-coupled receptors^[1]. BX471 is also able to displace ^{125}I -MIP-1 α /CCL3 binding to mouse CCR1 in a concentration-dependent manner with a K_i of 215 ± 46 nM. Increasing concentrations of BX471 inhibits the Ca^{2+} transients induced by MIP-1 α /CCL3 in both human and mouse CCR1 with IC_{50} of 5.8 ± 1 nM and 198 ± 7 nM, respectively^[2]. BX471 (0.1-10 μM) shows a dose-dependent inhibition of RANTES-mediated and shear-resistant adhesion on IL-1 β -activated microvascular endothelium in shear flow in isolated blood monocytes. BX471 also inhibits the RANTES-mediated adhesion of T lymphocytes to activated endothelium^[4].

In Vivo: BX471 (4 mg/kg, p.o. or i.v.) is orally active with a bioavailability of 60% in dogs. Furthermore, BX471 effectively reduces disease in a rat experimental allergic encephalomyelitis model of multiple sclerosis^[1]. BX471 (20 mg/kg, s.c.) reaches peak plasma levels of 9 μM by around 30 minutes, and this rapidly declines to approximately 0.4 μM after 2 hours. From 4 to 8 hours the drug plasma levels drops to 0.1 μM or lower. Mice treated with 20 mg/kg of BX471 for 10 days shows a reduction of interstitial CD45 positive leukocytes of approximately 55%. BX471 has a borderline significant effect on the number of CCR5-positive CD8 cells in the peripheral blood. BX471 reduces the amount of FSP1-positive cells by 65% in UUO kidneys as compared with vehicle control^[2]. Pretreatment with BX471 reduces macrophage and neutrophil accumulation in kidney after ischemia-reperfusion injury^[3].



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