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AS-252424

Catalog No: tcsc3164

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
900515-16-4

Formula:
$C_{14}H_8FNO_4S$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 57 mg/mL (186.71 mM)

Observed Molecular Weight:
305.28

Product Description

AS-252424 is a potent and selective **PI3Kγ** inhibitor with an **IC_{50}** of 30±10 nM.

IC50 & Target: IC50: 30±10 nM (PI3Kγ), 935±150 nM (PI3Kα), 20 μM (PI3Kβ), 20 μM (PI3Kδ)[1]

In Vitro: AS-252424 also inhibits PI3Kα, PI3Kβ and PI3Kδ with IC_{50}s of 935±150 nM, 20 μM and 20 μM, respectively. AS-252424 inhibits MCP-1-mediated chemotaxis in wild-type primary monocytes in a concentration-dependent manner with an IC_{50} value of 52

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μM, as well as in the monocytic cell line THP-1 with an IC_{50} value of 53 μM. In the human monocytic cell line THP-1, MCP-1 binding to the GPCR chemokine receptor CCR2, strongly induces phosphorylation of PKB/Akt, which is effectively inhibited by AS-252424 at IC_{50} values as low as 0.4 μM. In contrast, induction of PKB/Akt phosphorylation by colony stimulating factor (CSF-1), binding to the growth factor receptor c-fms, is only blocked by AS-252424 at IC_{50} values as high as 4.7 μM[1].

In Vivo: Oral administration of AS-252424 in a mouse model of acute peritonitis leads to a significant reduction of leukocyte recruitment. To evaluate the efficacy of AS-252424 to block leukocyte migration in vivo, it is tested in a mouse model of thioglycollate-induced peritonitis. Oral administration of AS-252424 at 10 mg/kg results in moderate reduction of neutrophil recruitment (35%±14%), almost matching the result observed in PI3Kγ-deficient mice. Given the short oral half-life of AS-252424 ($t_{1/2}$=1 h) and relative high clearance (2.25 L/kg per h), investigations at later time points (24-48 h) to assess macrophage and monoycyte recruitment are not undertaken. The modest pharmacokinetic properties do not appear to be caused by rapid oxidative metabolism (microsomal metabolism after 1 h: 16% (rat), 10% (human))[1].