

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

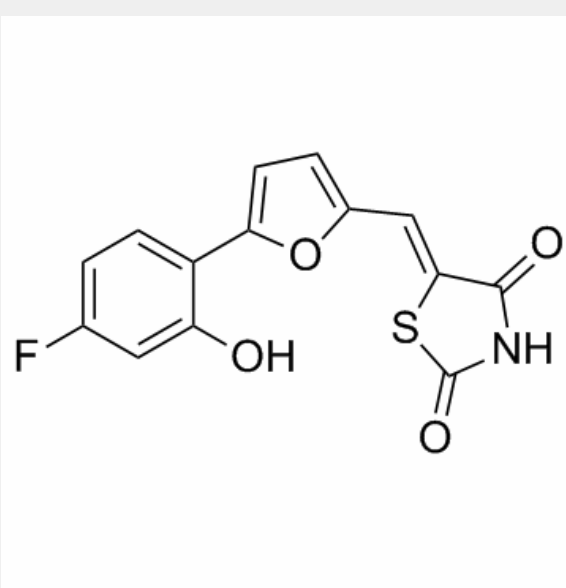
AS-252424 is a potent and selective **PI3K γ** inhibitor with an **IC₅₀** of 30±10 nM.

IC50 & Target: IC50: 30±10 nM (PI3K γ), 935±150 nM (PI3K α), 20 μ M (PI3K β), 20 μ M (PI3K δ)^[1]

In Vitro: AS-252424 also inhibits PI3K α , PI3K β and PI3K δ with IC₅₀s of 935±150 nM, 20 μ M and 20 μ M, respectively. AS-252424 inhibits MCP-1-mediated chemotaxis in wild-type primary monocytes in a concentration-dependent manner with an IC₅₀ value of 52

μM , as well as in the monocytic cell line THP-1 with an IC_{50} value of $53 \mu\text{M}$. 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 $0.4 \mu\text{M}$. 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 $4.7 \mu\text{M}$ ^[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 ($35\% \pm 14\%$), almost matching the result observed in PI3K γ -deficient mice. Given the short oral half-life of AS-252424 ($t_{1/2} = 1 \text{ h}$) and relative high clearance (2.25 L/kg per h), investigations at later time points (24-48 h) to assess macrophage and monocyte 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].



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