

AS-605240

Catalog No: tcsc0084



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

648450-29-7

Formula:

$C_{12}H_7N_3O_2S$

Pathway:

PI3K/Akt/mTOR;Autophagy

Target:

PI3K;Autophagy

Purity / Grade:

>98%

Solubility:

DMSO : 5.8 mg/mL (22.54 mM; Need warming)

Observed Molecular Weight:

257.27

Product Description

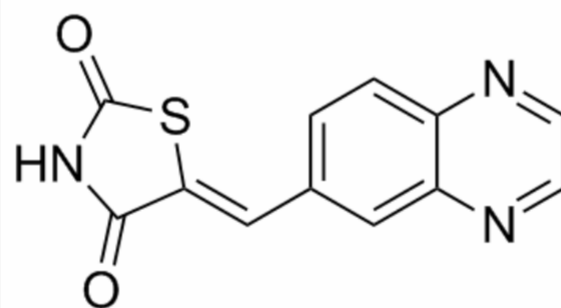
AS-605240 is a specific and orally active inhibitor of the **PI3K γ** , with an **IC₅₀** of 8 nM, and a **K_i** of 7.8 nM.

IC50 & Target: IC50: 8 nM (PI3K γ), 60 nM (PI3K α), 270 nM (PI3K β), 300 nM (PI3K δ)^[2]

Ki: 7.8 nM (PI3K γ)^[2]

In Vitro: AS-605240 is an isoform-selective inhibitor of PI3K γ with over 30-fold selectivity for PI3K δ and β , and 18- and 7.5-fold selectivity over PI3K α , respectively. AS-605240 shows an inhibitory effect on C5a-mediated PKB phosphorylation in RAW264 mouse macrophages with an IC₅₀ of 0.09 μ M. AS-605240 blocks PKB phosphorylation induced by MCP-1 and has little or no effect after stimulation with CSF-1. AS-605240 inhibits MCP-1-mediated phosphorylation of PKB and its downstream substrates GSK3 α and β in a concentration-dependent manner. AS605240 suppresses in a dose-dependent manner the proliferation of BDC2.5 CD4⁺ T cells^[2].

In Vivo: AS-605240 (30 mg/kg BW, per os, every 12 h) markedly decreases FoxM1 expression in mouse lungs and fails to restore vascular integrity^[1]. AS-605240 reduces RANTES-induced peritoneal neutrophil recruitment, with ED₅₀ of 9.1 mg/kg. In the CCL5 model, AS-605240 shows an ED₅₀ value of 10 mg/kg, in correlation with the percentage of reduction of neutrophil recruitment observed in Pik3cg^{-/-} mice. AS-605240 (50 mg/kg, p.o.) substantially reduces clinical and histological signs of joint inflammation to a similar extent to that of Pik3cg^{-/-} mice^[2]. AS605240 (30 mg/kg, i.p.) suppresses intracellular PAkt in splenocytes of NOD mice and delays diabetes onset. AS605240 also prevents autoimmune diabetes in prediabetic NOD mice, and suppresses autoreactive T cells while increasing Tregs in NOD mice. AS605240 (30 mg/kg, i.p.) reverses hyperglycemia in newly hyperglycemic NOD mice, reverses hyperglycemia in early diabetic NOD mice through Tregs and suppresses T-cell infiltration in pancreatic islets while increasing Tregs^[3]. AS605240 (25, 50 mg/kg) markedly reduces total cell count and numbers of macrophages, neutrophils and lymphocytes in rats. AS605240 significantly reduces the levels of TNF- α and IL-1 β in BALF to 132.7 \pm 11.2 pg/mL and 49.2 \pm 11.3 pg/mL in 25 mg/kg AS605240 + BLM group and 131.3 \pm 10.7 and 49.6 \pm 8.8 pg/mL in 50 mg/kg AS605240 + BLM group, respectively. AS605240 inhibits profibrotic cytokines production in bleomycin-induced pulmonary fibrosis. AS605240 inhibits phosphorylation of Akt of inflammatory cells in bleomycin-induced pulmonary fibrosis model^[4].



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