Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 **PI3Kγ**, with an **IC_{50}** of 8 nM, and a **K_i** of 7.8 nM.

IC50 & Target: IC50: 8 nM (PI3Kγ), 60 nM (PI3Kα), 270 nM (PI3Kβ), 300 nM (PI3Kδ)[2]

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Ki: 7.8 nM (PI3Kγ)[2]

In Vitro: AS-605240 is an isoform-selective inhibitor of PI3Kγ with over 30-fold selectivity for PI3Kδ and β, and 18- and 7.5-fold selectivity over PI3Kα, respectively. AS-605240 shows an inhibitory effect on C5a-mediated PKB phosphorylation in RAW264 mouse macrophages with an IC_{50} of 0.09 μM. 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 GSK3α 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 ED_{50} of 9.1 mg/kg. In the CCL5 model, AS-605240 shows an ED_{50} 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-1β in BALF to 132.7±11.2 pg/mL and 49.2±11.3 pg/mL in 25 mg/kg AS605240 + BLM group and 131.3±10.7 and 49.6±8.8 pg/mL in 50 mg/kg AS605240 + BLM group, respectively. AS605240 inhibits prefibrotic cytokines production in bleomycin-induced pulmonary fibrosis. AS605240 inhibits phosphorylation of Akt of inflammatory cells in bleomycin-induced pulmonary fibrosis model[4].