Product Datasheet

AS605240

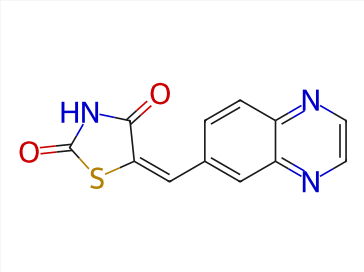
Catalog No. **BP-02461** · CAS **648450-29-7** · Form **free base** · Physical state **solid** · Color **Pink to orange** · Version **1.0** · Revision **2026-09-10**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
PI3K; Autophagy	**Cancer**

Molecular formula	$C_{12}H_7N_3O_2S$
Molecular weight	**257.2680**

STRUCTURE



DESCRIPTION

AS-605240 is a specific and orally active inhibitor of the PI3Kγ, with an IC50 of 8 nM, and a Ki of 7.8 nM.

BIOLOGICAL ACTIVITY

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 IC50 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.

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-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.

SOLUBILITY

Soluble in DMSO/H2O

REFERENCES

[1].a href="https://www.ncbi.nlm.nih.gov/pubmed/26839042" rel="nofollow" target="_blank">Huang X, et al. Endothelial p110γPI3K Mediates Endothelial Regeneration and Vascular Repair After Inflammatory Vascular Injury. Circulation. 2016 Mar 15;133(11):1093-103.

[2]. Camps M, et al. Blockade of PI3Kgamma suppresses joint inflammation and damage in mouse models of rheumatoid arthritis. Nat Med. 2005 Sep;11(9):936-43.

[3].Azzi J, et al. The novel therapeutic effect of phosphoinositide 3-kinase-γ inhibitor AS605240 in autoimmune diabetes. Diabetes. 2012 Jun;61(6):1509-18. Epub 2012 Mar 8.

[4].Wei X, et al. A phosphoinositide 3-kinase-gamma inhibitor, AS605240 prevents bleomycin-induced pulmonary fibrosis in rats. Biochem Biophys Res Commun. 2010 Jun 25;397(2):311-7. Epub 2010 May 26.