



AS-605240

**Catalog No: tcsc0084** 



## **Available Sizes**

Size: 10mg

Size: 50mg

Size: 100mg



## **Specifications**

CAS No:

648450-29-7

Formula:

 $\mathsf{C_{12}H_7N_3O_2S}$ 

**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 **PI3Ky**, 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) $^{[2]}$ 





Ki: 7.8 nM (PI3Kγ)<sup>[2]</sup>

In Vitro: AS-605240 is an isoform-selective inhibitor of PI3K $\gamma$  with over 30-fold selectivity for PI3K $\delta$  and  $\delta$ , and 18- and 7.5-fold selectivity over PI3K $\alpha$ , respectively. AS-605240 shows an inhibitory effect on C5a-mediated PKB phosphorylation in RAW264 mouse macrophages with an IC $_{50}$  of 0.09  $\mu$ 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 $\alpha$  and  $\beta$  in a concentration-dependent manner. AS605240 suppresses in a dose-dependent manner the proliferation of BDC2.5 CD4<sup>+</sup> T cells<sup>[2]</sup>.

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<sub>50</sub> of 9.1 mg/kg. In the CCL5 model, AS-605240 shows an ED<sub>50</sub> value of 10 mg/kg, in correlation with the percentage of reduction of neutrophil recruitment observed in Pik3cg<sup>-/-</sup> 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<sup>-/-</sup> mice<sup>[2]</sup>. 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 inhibits phosphorylation of Akt of inflammatory cells in bleomycin-induced pulmonary fibrosis model<sup>[4]</sup>.

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