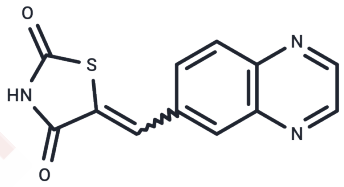
# Data Sheet (Cat.No.T2248)

TargetMol

AS-605240

## Chemical Properties



CAS No. : 648450-29-7

Formula: C12H7N3O2S

Molecular Weight: 257.27

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

## Biological Description

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| Description | AS-605240 is an effective and specific inhibitor of PI3Kγ (IC50/Ki: 87.8 nM). |
| Targets(IC50) | Autophagy,PI3K |
| In vitro | In the obesity-induced diabetic ob/ob mouse model, AS-605240 at a dosage of 10 mg/kg reduces blood glucose levels, and significantly improves insulin sensitivity and glucose tolerance without affecting body weight, while a higher dosage of 30 mg/kg demonstrates enhanced efficacy with only minor impact on body weight. AS-605240 also reduces the quantity of ATMs and circulating levels of MCP-1. Furthermore, at a dosage of 50 mg/kg, AS-605240 offers protection against symptoms of αCII-induced arthritis and inhibits arthritis inflammation and damage in a collagen-induced arthritis mouse model. Additionally, AS-605240 decreases neutrophil chemotaxis in mice with RANTES-induced peritonitis (ED50: 9.1 mg/kg). |
| In vivo | In bone marrow-derived monocytes, AS-605240 (1 μM) inhibits PKB phosphorylation induced by MCP-1 or CSF-1. In the synapses of the SC-CA1 region in mice, AS-605240 (100 nM) abrogates NMDAR LTD without affecting mGluR LTD and LTP. Furthermore, AS-605240 exhibits inhibition of PI3Kα/β/δ with IC50 values of 60, 270, and 300 nM, respectively. It also inhibits C5a-regulated PKB phosphorylation with an IC50 of 90 nM. |
| Kinase Assay | In vitro PI3K lipid kinase assay: (1) For PI3Kγ: human PI3Kγ (100 ng) is incubated at RT with kinase buffer (10 mM MgCl2, 1 mM β-glycerophosphate, 1 mM DTT, 0.1 mM Na3VO4, 0.1% Na Cholate and 15 μM ATP/100 nCi γ[33P]ATP, final concentrations) and lipid vesicles containing 18 μM PtdIns and 250 μM of PtdSer (final concentrations), in the presence of AS-605240 or DMSO. Kinase reaction is stopped by adding 250 μg of Neomycin-coated Scintillation Proximity Assay (SPA) beads. (2) For PI3Kα, β, and δ: varying amounts of ATP are incubated with the different purified PI3K isoforms and saturating concentrations of PtdIns. Consequently, IC50 determinations with PI3Kα, β, and δ, to evaluate inhibitor selectivity are performed as follows: 60 ng of PI3Kα are incubated at RT with kinase buffer, as described for PI3Kγ (but containing 89 μM ATP/300 nCi γ[33P]ATP and no Na Cholate, instead) and lipid vesicles containing 212 μM PtdIns and 58 μM of PtdSer. 100 ng of PI3Kβ are incubated at RT with kinase buffer (containing 70 μM ATP/300 nCi γ[33P]ATP, 4 mM MgCl2 and no Na Cholate) and lipid vesicles containing 225 μM PtdIns and 45 μM of PtdSer. 90 ng of PI3Kδ are incubated with kinase buffer (containing 65 μM ATP/300 nCi γ[33P]ATP, 1 mM MgCl2, and no Na |

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| Kinase Assay | Cholate) and lipid vesicles containing 100 μM PtdIns and 170 μM of PtdSer. The reactions are stopped after 2 hours. |
| Cell Research | After a 3-hour starvation in serum-free medium, Cells are pretreated with AS-605240 or DMSO for 30 min and stimulated for 5 min with 50 nM of C5a. PKB phosphorylation is monitored using phosphorylated Ser473 Akt-specific antibody and standard ELISA protocols. (Only for Reference) |

## Solubility Information

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| Solubility | DMSO: 1 mg/mL (3.89 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 3.887 mL | 19.4348 mL | 38.8697 mL |
| 5 mM | 0.7774 mL | 3.887 mL | 7.7739 mL |
| 10 mM | 0.3887 mL | 1.9435 mL | 3.887 mL |
| 50 mM | 0.0777 mL | 0.3887 mL | 0.7774 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Camps M, et al. Nat Med, 2005, 11(9), 936-943.
Zhang X, Dai Q, Shan J, et al.Inhibition of phosphoinositide-3 kinases γ/δ ameliorates pulmonary granuloma by rescuing Treg function in a sarcoidosis model.Experimental and Therapeutic Medicine.2023, 25(5): 1-11.
Huang Q, Ru Y, Luo Y, et al.Identification of a targeted ACSL4 inhibitor to treat ferroptosis-related diseases.Science Advances.2024, 10(13): eadk1200.
Kim JI, et al. Nat Neurosci, 2011, 14(11), 1447-1454.
Kobayashi N, et al. Proc Natl Acad Sci U S A, 2011, 108(14), 5753-5758.