

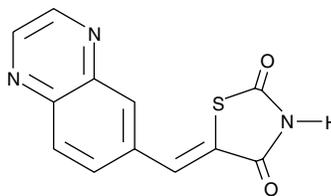
Product Information



AS-605240

Item No. 10007707

CAS Registry No.: 648450-29-7
Formal Name: 5-(6-quinoxalinylmethylene)-2,4-thiazolidinedione
MF: C₁₂H₇N₃O₂S
FW: 257.3
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 249, 297, 337, 352 nm



Laboratory Procedures

For long term storage, we suggest that AS-605240 be stored as supplied at -20°C. It should be stable for at least two years.

AS-605240 is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-605240 in an organic solvent purged with an inert gas. AS-605240 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of AS-605240 in DMSO solvents is approximately 0.5 mg/ml and approximately 0.2 mg/ml in DMF.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Phosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of PI at the three position to produce the second messengers PtdIns-(3,4)-P₂ and PtdIns-(3,4,5)-P₃.¹⁻³ PI3Kγ is a class 1B PI3K that is composed of a p110 catalytic subunit and a p101 or p84 regulatory subunit, whereas PI3Kα, β, and δ are class 1A enzymes composed of p110 and p85 subunits.⁴ AS-605240 is an orally active inhibitor of PI3Kγ that suppresses joint inflammation in mouse models of rheumatoid arthritis.⁵ It inhibits human recombinant PI3Kγ, α, β, and δ in an ATP-competitive manner with IC₅₀ values of 8, 60, 270, and 300 nM, respectively.⁵ AS-605240 inhibits C5a-mediated phosphorylation of protein kinase B in RAW 264 cells with an IC₅₀ value of 90 nM. *In vivo*, AS-605240 reduced RANTES-induced peritoneal neutrophil recruitment in a mouse model of leukocyte chemotaxis with an ED₅₀ value of 9.1 mg/kg.

References

1. Rameh, L.E. and Cantley, L.C. The role of phosphoinositide 3-kinase lipid products in cell function. *J. Biol. Chem.* **274**, 8347-8350 (1999).
2. Vivanco, I. and Sawyers, C.L. The phosphatidylinositol 3-kinase-AKT pathway in human cancer. *Nature Reviews Cancer* **2**, 489-501 (2002).
3. Hennessy, B.T., Smith, D.L., Ram, P.T., *et al.* Exploiting the PI3K/AKT pathway for cancer drug discovery. *Nature Reviews Drug Discovery* **4**, 988-1004 (2005).
4. Rückle, T., Schwarz, M.K., and Rommel, C. PI3Kγ inhibition: Towards an 'aspirin of the 21st century'? *Nature Reviews Drug Discovery* **5**, 903-918 (2006).
5. Camps, M., Rückle, T., Ji, H., *et al.* Blockade of PI3Kγ suppresses joint inflammation and damage in mouse models of rheumatoid arthritis. *Nature Med.* **11**(9), 936-943 (2005).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/10007707

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY. NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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Cayman will carry out its delivery obligations with due care and skill. Thus, in no event will Cayman have any obligation or liability, whether in tort (including negligence) or in contract, for any direct, indirect, incidental or consequential damages, even if Cayman is informed about their possible existence.

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