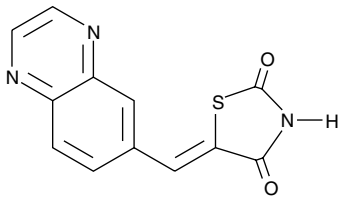
Product Information

AS-605240

Item No. 10007707

CAS Registry No.: 648450-29-7
Formal Name: 5-(6-quinoxalinylmethylene)-2,4-thiazolidinedione
MF: $C_{12}H_7N_3O_2S$
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 or 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)-P_2 and PtdIns-(3,4,5)-P_3.[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 IC_{50} 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 IC_{50} value of 90 nM. *In vivo*, AS-605240 reduced RANTES-induced peritoneal neutrophil recruitment in a mouse model of leukocyte chemotaxis with an ED_{50} value of 9.1 mg/kg.

References

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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).

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