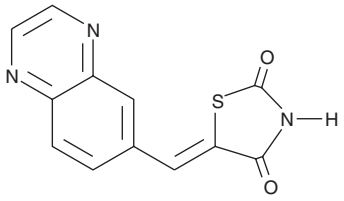
PRODUCT INFORMATION

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%
UV/Vis.: λ_{max}: 249, 297, 337, 352 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Description

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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