

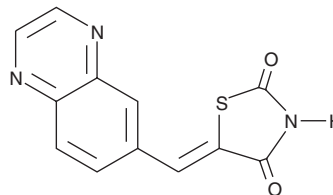
# PRODUCT INFORMATION



**AS-605240**

Item No. 10007707

**CAS Registry No.:** 648450-29-7  
**Formal Name:** 5-(6-quinoxalylmethylene)-2,4-thiazolidinedione  
**MF:** C<sub>12</sub>H<sub>7</sub>N<sub>3</sub>O<sub>2</sub>S  
**FW:** 257.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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 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<sub>2</sub> and PtdIns-(3,4,5)-P<sub>3</sub>.<sup>1-3</sup> 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.<sup>4</sup> AS-605240 is an orally active inhibitor of PI3Kγ that suppresses joint inflammation in mouse models of rheumatoid arthritis.<sup>5</sup> It inhibits human recombinant PI3Kγ, α, β, and δ in an ATP-competitive manner with IC<sub>50</sub> values of 8, 60, 270, and 300 nM, respectively.<sup>5</sup> AS-605240 inhibits C5a-mediated phosphorylation of protein kinase B in RAW 264 cells with an IC<sub>50</sub> value of 90 nM. *In vivo*, AS-605240 reduced RANTES-induced peritoneal neutrophil recruitment in a mouse model of leukocyte chemotaxis with an ED<sub>50</sub> 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).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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