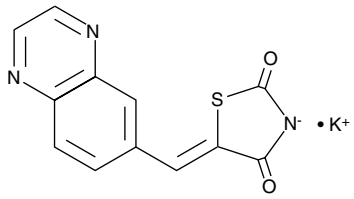
Product Information

AS-605240 (potassium salt)

Item No. 9000980

Formal Name:	5-(6-quinoxalinylmethylene)-2,4-thiazolidinedione, monopotassium salt
MF:	$C_{12}H_6N_3O_2S \bullet K$
FW:	295.4
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 251, 291, 370 nm



Laboratory Procedures

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

AS-605240 (potassium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-605240 (potassium salt) in the solvent of choice. AS-605240 (potassium salt) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of AS-605240 (potassium salt) in these solvents is approximately 3 mg/ml.

AS-605240 (potassium salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AS-605240 (potassium salt) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AS-605240 (potassium salt) has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. 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. AS-605240 (potassium salt) has improved solubility compared to AS-605240 (Item No. 10007707).

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. *Nat. Rev. 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. *Nat. Rev. Drug Discov.* **4**, 988-1004 (2005).
4. Rückle, T., Schwarz, M.K., and Rommel, C. PI3Kγ inhibition: Towards an 'aspirin of the 21st century'? *Nat. Rev. Drug Discov.* **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. *Nat. Med.* **11(9)**, 936-943 (2005).

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

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