# **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.:  $\lambda_{\text{max}}$ : 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)-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. AS-605240 is an orally active inhibitor of PI3Kγ that suppresses joint inflammation in mouse models of rheumatoid arthritis. It inhibits human recombinant PI3K $\gamma$ ,  $\alpha$ ,  $\beta$ , and  $\delta$  in an ATP-competitive manner with IC<sub>50</sub> values of 8, 60, 270, and 300 nM, respectively. 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  $ED_{50}$  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).
- 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).
- 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).
- Camps, M., Rückle, T., Ji, H., et al. Blockade of PI3Ky suppresses joint inflammation and damage in mouse models of rheumatoid arthritis. Nat. Med. 11(9), 936-943 (2005).

## **Related Products**

For a list of related products please visit: www.caymanchem.com/catalog/9000980

WARNING: This product is for laboratory research only: not for administration to humans. Not for human or veterinary DIAGNOSTIC OR THERAPEUTIC USE.

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.

## WARRANTY AND LIMITATION OF REMEDY

Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman **warrants only** to the original customer that the material will <u>meet our specifications</u>

purpose, suitability and merchantability, which extends beyond the description of the chief. Sayman have any obligation or liability, whether in tort (including negligence) or in contract, for any direct, incidental or consequential damages, even if Cayman is informed about their possible existence.

This limitation of liability does not apply in the case of intentional acts or negligence of Cayman is directors or its employees.

Buyer's exclusive remedy and Cayman's sole liability hereunder shall be limited to a refund of the purchase price, or at Cayman's option, the replacement, at no cost to Buyer, of all material that does not meet our specifications.

Said refund or replacement is conditioned on Buyer giving written notice to Cayman within thirty (30) days after arrival of the material at its destination. Failure of Buyer to give said notice within thirty (30) days shall constitute a waiver by Buyer of all claims hereunder with respect to said material.

For further details, please refer to our Warranty and Limitation of Remedy located on our website and in our catalog.

Copyright Cayman Chemical Company, 07/09/2014

## Cayman Chemical

## **Mailing address**

1180 E. Ellsworth Road Ann Arbor, MI 48108 USA

(800) 364-9897 (734) 971-3335

(734) 971-3640

custserv@caymanchem.com

www.caymanchem.com