

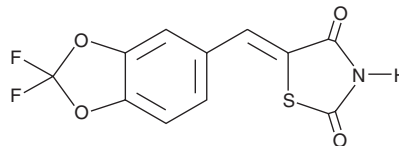
PRODUCT INFORMATION



AS-604850

Item No. 10010175

CAS Registry No.: 1443235-95-7
Formal Name: 5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-2,4-thiazolidinedione
MF: C₁₁H₅F₂NO₄S
FW: 350.4
Purity: ≥95%
UV/Vis.: λ_{max}: 231, 328 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-604850 is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-604850 in an organic solvent purged with an inert gas. AS-604850 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AS-604850 in these solvents is approximately 5, 30, and 20 mg/ml, respectively.

AS-604850 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AS-604850 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AS-604850 has a solubility of approximately 0.5 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Phosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of PI at the three position of the inositol ring 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.⁴ AS-604850 is a selective, ATP-competitive inhibitor of PI3Kγ with IC₅₀ values of 0.25, >20, >20, and 4.5 μM for the human recombinant γ, δ, β, and α isoforms, respectively.⁵ AS-604850 inhibited MCP-1-mediated monocyte chemotaxis with an IC₅₀ value of 21 μM and reduced RANTES-induced peritoneal neutrophil recruitment in a murine model of leukocyte chemotaxis with an ED₅₀ value of 42.4 mg/kg.⁵

Reference

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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