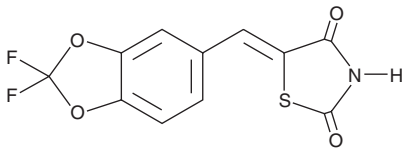
PRODUCT INFORMATION

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:	$C_{11}H_5F_2NO_4S$
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)-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-604850 is a selective, ATP-competitive inhibitor of PI3Kg with IC_{50} 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 IC_{50} value of 21 µM and reduced RANTES-induced peritoneal neutrophil recruitment in a murine model of leukocyte chemotaxis with an ED_{50} 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 PI3Kg suppresses joint inflammation and damage in mouse models of rheumatoid arthritis. *Nature Med.* **11(9)**, 936-943 (2005).

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