

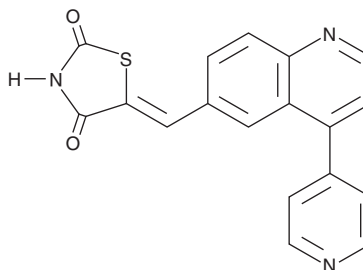
PRODUCT INFORMATION



GSK1059615

Item No. 11569

CAS Registry No.: 958852-01-2
Formal Name: 5Z-[[4-(4-pyridinyl)-6-quinolinyl]methylene]-2,4-thiazolidinedione
MF: C₁₈H₁₁N₃O₂S
FW: 333.4
Purity: ≥98%
UV/Vis.: λ_{max}: 295, 347 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

GSK1059615 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK1059615 in the solvent of choice, which should be purged with an inert gas. GSK1059615 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GSK1059615 in these solvents is approximately 3 and 2.5 mg/ml, respectively.

GSK1059615 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK1059615 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK1059615 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PI 3-kinase α (PI3Kα) is a critical regulator of cell growth and transformation. Its signaling pathway is the most commonly mutated pathway in human cancers. GSK1059615 is a potent, reversible, ATP-competitive, thiazolidinedione inhibitor of PI3Kα (IC₅₀ = 2 nM) and the common activating mutants of p110α (E542K, E545K, and H1047R) found in cancer.^{1,2} It prevents proliferation in BT474 tumor xenografts and reduces MAPK signaling following twice daily dosing at 25 mg/kg.¹

References

1. Knight, S.D., Adams, N.D., Burgess, J.L., *et al.* Discovery of GSK2126458, a highly potent inhibitor of P13K and the mammalian target of rapamycin. *ACS Med. Chem. Lett.* **1**(1), 39-43 (2010).
2. Aziz, S.A., Davies, M., Pick, E., *et al.* Phosphatidylinositol-3-kinase as a therapeutic target in melanoma. *Clin. Cancer Res.* **15**(9), 3029-3036 (2009).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM