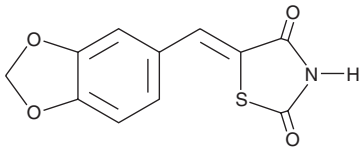
PRODUCT INFORMATION

AS-041164

Item No. 13622

CAS Registry No.:	6318-41-8
Formal Name:	5-(1,3-benzodioxol-5-ylmethylene)-2,4-thiazolidinedione
MF:	$C_{11}H_7NO_4S$
FW:	249.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 355 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AS-041164 is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-041164 in the solvent of choice, which should be purged with an inert gas. AS-041164 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of AS-041164 in these solvents is approximately 25 mg/ml.

AS-041164 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AS-041164 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AS-041164 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The phosphatidylinositol 3-kinases (PI3Ks) are lipid and protein kinases involved in diverse biological processes, including cell growth, migration, and metabolism.[1] AS-041164 is a potent inhibitor of PI3K with selectivity for the class IB isoform PI3Kγ (IC_{50} = 70 nM), compared to PI3Kα (IC_{50} = 240 nM), PI3Kβ (IC_{50} = 1.45 µM), and PI3Kδ (IC_{50} =1.70 µM).[2] When tested at 1.0 µM, it shows little or no activity against 38 other common kinases.[2] When given orally to mice, AS-041164 shows a pharmacokinetic profile that is similar to the general PI3K inhibitor LY294002 but is three times more potent (ED_{50} = 27.4 mg/kg for AS-041164 *versus* 81.6 mg/kg for LY294002) in blocking neutrophil recruitment induced by RANTES.[2]

References

1. Hirsch, E., Ciraolo, E., Ghigo, A., *et al.* Taming the PI3K team to hold inflammation and cancer at bay. *Pharmacology & Therapeutics* **118**, 192-205 (2008).
2. Ferrandi, C., Ardissone, V., Ferro, P., *et al.* Phosphoinositide 3-kinase γ inhibition plays a crucial role in early steps of inflammation by blocking neutrophil recruitment. *JPET* **322**, 923-930 (2007).

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