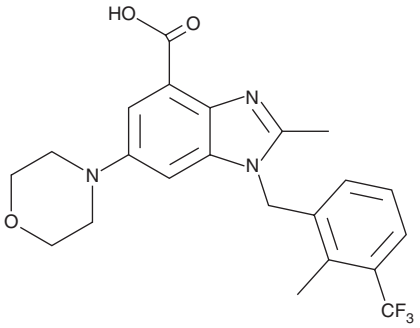
PRODUCT INFORMATION

GSK2636771

Item No. 17380

CAS Registry No.:	1372540-25-4
Formal Name:	2-methyl-1-[[2-methyl-3-(trifluoromethyl)phenyl]methyl]-6-(4-morpholinyl)-1H-benzimidazole-4-carboxylic acid
MF:	$C_{22}H_{22}F_3N_3O_3$
FW:	433.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 245, 345 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

GSK2636771 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2636771 in the solvent of choice, which should be purged with an inert gas. GSK2636771 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GSK2636771 in these solvents is approximately 30 mg/ml.

GSK2636771 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2636771 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK2636771 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

hosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of phosphatidylinositol at the 3 position to produce the second messengers phosphatidylinositol-3,4-bisphosphate (PtdIns-(3,4)-P_2) and PtdIns-(3,4,5)-P_3. Class 1 PI3Ks are composed of a p110 catalytic subunit, of which there are four isoforms (p110α, p110β, p110δ, and p100γ), and a p85 regulatory subunit. GSK2636771 is an orally bioavailable inhibitor of PI3K p110β.[1] At 1-10 µM, it decreases cell viability and Akt phosphorylation in p100β-dependent PTEN-deficient PC-3 prostate and BT549 and HCC70 breast cancer cell lines.[2]

References

1. Rivero, R.A. and Hardwicke, M.A. Abstract 2913: Identification of GSK263771, a potent and selective, orally bioavailable inhibitor of the phosphatidylinositol 3-kinase-beta (PI3Kα) for the treatment of PTEN deficient tumors. *Cancer Res.* **72**, 2913 (2012).
2. Weigelt, B., Warne, P.H., Lambros, M.B., *et al.* PI3K pathway dependencies in endometrioid endometrial cancer cell lines. *Clin. Cancer Res.* **19(13)**, 3533-3544 (2013).

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