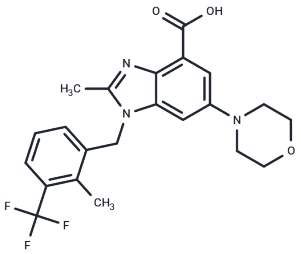
Data Sheet (Cat.No.T2073)

GSK2636771

Chemical Properties



CAS No. : 1372540-25-4

Formula: C22H22F3N3O3

Molecular Weight: 433.42

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GSK2636771, an effective, specific, orally bioavailable, PI3Kβ inhibitor, has been used in cancer, lymphoma, solid neoplasm, recurrent solid neoplasm, and advanced malignant neoplasm.
Targets(IC50)	PI3K
In vitro	In mice, GSK-2636771 (at a dosage of 100 mg/kg) does not elevate glucose/insulin levels. In xenograft tumor models, GSK-2636771 decreases the levels of phosphorylated protein kinase Akt (Ser473).
In vivo	In PTEN-deficient cell lines, GSK-2636771 exhibits specific inhibitory activity, with EC50 values of 36 nM in human prostate cancer PC-3 and 72 nM in breast cancer HCC70.
Cell Research	Cells are plated in 96-well microtiter plates at densities ranging from 1,500 to 15,000 cells/well, optimized for untreated control cells to be 80-90% confluent at the endpoint of the experiment. After 24 h, cells are treated with serial dilutions (100pM to 10μM) of GSK2636771. Cell viability is assessed after 72 h of treatment by incubation with CellTiter Blue for 1.5 h. The drug concentration requires for survival of 50% of cells relative to untreated cells (surviving fraction 50, SF50) is determined using GraphPad Prism version 5.0d. Cell lines that fails to achieve the SF50 to a given drug are nominally assigned as the highest concentration screened (i.e. 10μM). At least three independent experiments in triplicate per cell line targeted drug are performed. Association between a mutation and response to a targeted agent is determined using a Fisher's exact test (GraphPad Prism), and a two-tailed P value <0.05 is considered statistically significant. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 9 mg/mL (20.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3072 mL	11.5362 mL	23.0723 mL
5 mM	0.4614 mL	2.3072 mL	4.6145 mL
10 mM	0.2307 mL	1.1536 mL	2.3072 mL
50 mM	0.0461 mL	0.2307 mL	0.4614 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Macauley D, et al. Drugs Fut, 2012, 37(6), 451.
Weigelt B, et al. Clin Cancer Res. 2013, 19(13), 3533-3544.