For Research Use Only

GSK2636771

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Catalog Number: CM05953

产品信息

Catalog Number:
CM05953

CAS号:
1372540-25-4

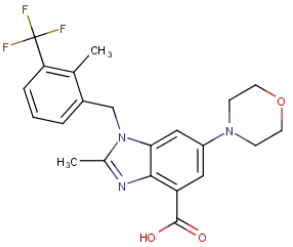
分子式:
$C_{22}H_{22}F_3N_3O_3$

主要靶点:
PI3K

主要通路:
PI3K/Akt/mTOR信号通路

分子量:
433.42

溶解度:
Ethanol:<1 mg/mL,H2O:<1 mg/mL,DMSO:27 mg/mL (62.3 mM)



靶点活性

PI3Kβ:5.2 nM

体外活性

在小鼠中,GSK-2636771 （100 mg/kg）不增加葡萄糖/胰岛素水平.在移植瘤模型中,GSK-2636771降低磷酸化的蛋白激酶Akt（Ser473）水平.

体内活性

在PTEN缺失细胞系中,GSK-2636771具有特异的抑制活性,在人前列腺癌PC-3（EC50=36 nM）和乳腺癌HCC70（EC50=72 nM）。

细胞实验

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)

描述

GSK2636771, an effective, specific, orally bioavailable, PI3Kβ inhibitor, has been used in cancer, lymphoma, solid neoplasm, recurrent solid neoplasm, and advanced malignant neoplasm.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years