For Research Use Only

Cobimetinib

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Catalog Number: CM05060

产品信息

Catalog Number:
CM05060

CAS号:
934660-93-2

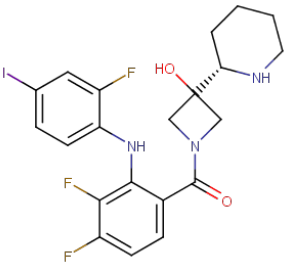
分子式:
$C_{21}H_{21}F_3IN_3O_2$

主要靶点:
MEK|Apoptosis

主要通路:
MAPK信号通路|凋亡

分子量:
531.32

溶解度:
Ethanol:44 mg/mL (82.8 mM),H2O:<1 mg/mL,DMSO:93 mg/mL (175 mM)



靶点活性

MEK:0.9 nM

体外活性

在负荷KRAS和BRAFV600E突变型肿瘤的小鼠体内,Cobimetinib (10 mg/kg, p.o.)对肿瘤病程有抑制作用.[1]在负荷耐药的A375异种移植物小鼠体内,Cobimetinib与GDC-0941联用还可减少已糖激酶II,Ksr,c-RAF 和p-MEK蛋白质的水平.

体内活性

Cobimetinib对多种肿瘤细胞生长均有强烈的抑制作用,尤其是KRAS或BRAF突变型癌细胞系。Cobimetinib与GDC-0941联用可降低888MEL和A2058细胞的生存能力,并抑制通路、增加细胞凋亡。[1]Cobimetinib和vemurafenib联用可使所有BRAFV600E系中细胞膜上的GLUT-1减少程度显著加剧。

细胞实验

Cells are plated in quadruplicate at a density of 3,000 per well in 384-well plates in normal growth medium and allowed to adhere overnight. Compounds are added in 10 concentrations based on a 3-fold dilution series. Cell viability is measured 72 h later using the CellTiter-Glo Luminescent Cell Viability Assay.(Only for Reference)

描述

Cobimetinib is a selective inhibitor of mitogen-activated protein kinase kinase (MEK) (IC50: 0.9 nM). Cobimetinib specifically binds to and inhibits the catalytic activity of MEK1, resulting in inhibition of extracellular signal-related kinase 2 (ERK2) phosphorylation and activation and decreased tumor cell proliferation.

储存

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

For technical support and original validation data for this product please contact

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