

Catalog Number: CM05963

产品信息

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CM05963

CAS号:
1197160-78-3

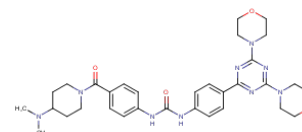
分子式:
 $C_{32}H_{41}N_9O_4$

主要靶点:
mTOR|PI3K

主要通路:
PI3K/Akt/mTOR 信号通路
PI3K/Akt/mTOR 信号通路

分子量:
615.73

溶解度:
DMSO:< 1 mg/mL (insoluble or slightly soluble)



靶点活性

mTOR:1.6 nM|PI3K γ :5.4 nM|PI3K α :0.4 nM

体外活性

在H1975(非小细胞肺癌, 突变 EGFR [L858R, T790M])移植瘤模型中,以PKI-587 (25 mg/kg) 处理7天,实验处理组存活率可达90%。而PKI-587 (25 mg/kg.i.v.) 会使裸鼠产生高容量分布(7.2 L/kg),低血浆清除力(7 mL/min)/kg)和较长半衰期(14.4 h)。在MDA-361 移植瘤模型中,PKI-587具有较好的抗肿瘤效果,最低有效剂量为3 mg/kg,最大耐受剂量为30 mg/kg。

体内活性

在MDA-361和PC3-MM2 细胞系中,PKI-587对肿瘤细胞生长有抑制作用 (IC₅₀: 4/13.1 nM)。PKI-587对PI3K α 突变形式也有效,尤其是H1047R和E545K (IC₅₀: 0.6/0.6 nM)。

细胞实验

Cells are plated in 96-well culture plates at about 3000 cells per well. One day following plating, PKI-587 is added to cells. Three days after PKI-587 treatment, viable cell densities are determined by measuring metabolic conversion (by viable cells) of the dye MTS, a previously established cell proliferation assay. For each assay, MTS and PMS stocks are freshly thawed and mixed (MTS/PMS, 20:1). The MTS/PMS mixture is then added to 96-well cell plates at 20 μ L/well, and plates are incubated for 1 hour–2 hours in cell culture incubator. MTS assay results are read in a 96-well format plate reader by measuring absorbance at 490 nm. The effect of each PKI-587 treatment is calculated as a percentage of control cell growth obtained from vehicle-treated cells grown in the same culture plate.(Only for Reference)

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.