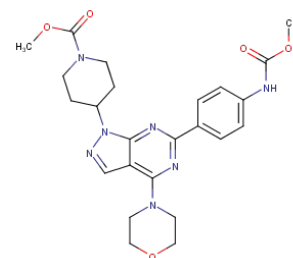


Catalog Number: CM05236

产品信息

Catalog Number:
CM05236CAS号:
1062169-56-5分子式:
C₂₄H₂₉N₇O₅主要靶点:
Apoptosis|PI3K|Autophagy|mTOR主要通路:
自噬|凋亡|PI3K/Akt/mTOR 信号通路
PI3K/Akt/mTOR 信号通路分子量:
495.53溶解度:
DMSO:92 mg/mL (185.66
mM); H₂O:< 1 mg/mL (insoluble
or slightly soluble); Ethanol:< 1
mg/mL (insoluble or slightly
soluble)

靶点活性

PI3K α :1.89 μ M|mTOR:5 nM|PI3K γ :7.37 μ M

体外活性

在HEK293细胞中, WYE-354 (0.2 μ M–5 μ M) 有效抑制了mTORC1和mTORC2。在U87 mg和MDA361细胞中, WYE-354 (0.3 μ M–10 μ M) 显著阻断了mTOR信号传导和Akt激活。在包括MDA-MB-361、MDA-MB-231、MDA-MB-468、LNCap、A498和HCT116在内的肿瘤细胞系中, WYE-354有效抑制了增殖, IC₅₀值范围为0.28 μ M至2.3 μ M。在内皮HUVCEC细胞中, WYE-354也抑制了mTORC1和mTORC2信号传导, IC₅₀值从10 nM到1 μ M不等, 这一效果通过S6核糖体蛋白和Akt的去磷酸化得到验证。WYE-354引起的凋亡伴随着G1期细胞周期阻滞和caspases激活。WYE-354 (10 nM–1 μ M) 激活了丝裂原激活蛋白激酶 (MAPK) 信号传导, 这可能是由于其对mTORC1的抑制作用。

体内活性

WYE-354 (50 mg/kg) 在PTEN-null PC3 mM2肿瘤的小鼠异种移植模型中, 强效抑制mTOR信号传导和肿瘤生长。

动物实验

Nude mice (BALB/c, nu/nu, female) bearing PC3 mM2 xenograft were intraperitoneally injected with WYE-354 (50 mg/kg) dissolved in 5% ethanol, 5% polysorbate 80, 5% PEG-400.

细胞实验

Tumor cell lines including MDA-MB-361, MDA-MB-231, MDA-MB-468, LNCap, DU145, A498, and HCT116, are plated in 96-well plates at 1000 to 3000 cells per well for 24 hours, treated with DMSO or varying concentrations of WYE-354 (0–50 μ M, dissolved in DMSO) for 72 hours.

储存

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