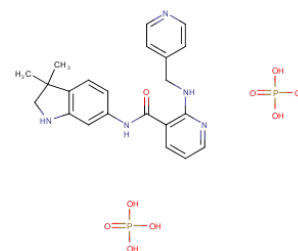


Catalog Number: CM06858

产品信息

Catalog Number:
CM06858CAS号:
857876-30-3分子式:
 $C_{22}H_{23}N_5O_2H_3PO_4$ 主要靶点:
VEGFR|c-Kit主要通路:
蛋白酪氨酸激酶|血管生成分子量:
569.44溶解度:
DMSO:93 mg/mL (163.3
mM), H₂O:16 mg/mL (28.1
mM), Ethanol:<1 mg/mL

靶点活性

Kit:8 nM|VEGFR2/Flk1:6 nM|VEGFR1:2 nM|VEGFR2:3 nM|VEGFR3:6 nM

体外活性

在大鼠角膜模型中,每天口服两次 (ED₅₀=2.1 mg/kg) 或一次 (ED₅₀=4.9 mg/kg) Motesanib Diphosphate能够抑制血管内皮生长因子诱导的血管生成.在头部和颈部鳞状细胞癌移植瘤模型中,Motesanib Diphosphate和辐射治疗联用,显示出显著地抗癌活性.

体内活性

在VEGF诱导的HUVECs细胞中 (IC₅₀ =10 nM), Motesanib Diphosphate 明显抑制细胞增殖.对于血小板衍生因子诱导的增殖 (IC₅₀=207 nM) 和SCF诱导的c-kit磷酸化 (IC₅₀=37 nM), Motesanib Diphosphate能够显著抑制.人脐静脉内皮细胞,Motesanib Diphosphate增强细胞对于放射性的敏感程度.对人VEGFR家族,Motesanib Diphosphate具有广谱活性.

细胞实验

Cells are preincubated for 2 hours with different concentrations of Motesanib Diphosphate, and exposed with 50 ng/mL VEGF or 20 ng/mL bFGF for an additional 72 hours. Cells are washed twice with DPBS, and plates are frozen at -70 °C for 24 hours. Proliferation is assessed by the addition of CyQuant dye, and plates are read on a Victor 1420 workstation. IC₅₀ data are calculated using the Levenberg-Marquardt algorithm into a four-parameter logistic equation (Only for Reference)

描述

Motesanib Diphosphate is the orally bioavailable diphosphate salt of a multiple-receptor tyrosine kinase inhibitor with potential antineoplastic activity.

储存

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