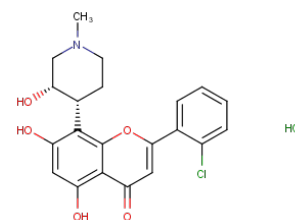


Catalog Number: CM00264

产品信息

Catalog Number:
CM00264CAS号:
131740-09-5分子式:
 $C_{21}H_{21}Cl_2NO_5$ 主要靶点:
Autophagy|CDK|HIV Protease主要通路:
细胞周期|蛋白酶体|微生物学|自噬分子量:
438.3溶解度:
DMSO:43.8 mg/mL (99.93
mM); H₂O:43.8 mg/mL (99.93 mM)

靶点活性

CDK2:40 nM|CDK6:40 nM|CDK4:40 nM|CDK7:300 nM|CDK1:40 nM

体外活性

在SUDHL-4皮下注射淋巴瘤模型的老鼠中静脉注射7.5 mg/kg Flavopiridol,模型鼠的肿瘤大部分(8分之2)或者完全(8分之4)消退,其中两只动物保持无病状持续60天以上,整体生长延迟73.2%。每天以最大耐受剂量10 mg/kg口服给药Flavopiridol,在第1-4天及7-11天,影响PRXF 1337的肿瘤消退,在PRXF 1369中肿瘤停滞持续4周。用7.5 mg/kg Flavopiridol静脉内、或腹膜内连续注射Flavopiridol 5天后,12个晚期皮下(sc)人HL-60异种移植鼠中的11个肿瘤完全消退,治疗一疗程后移植鼠数月无病。

体内活性

Flavopiridol以时间和浓度依赖性方式诱导人类乳腺癌细胞G1期阻滞并抑制CDK2和CDK4。Flavopiridol约12小时的短时处理,会诱导造血细胞系(包括SUDHL4,SUDHL6(B细胞系),Jurkat和MOLT4(T细胞系)和HL60(骨髓))的细胞凋亡。一项研究Flavopiridol处理可诱导人成胶质细胞瘤T98 g细胞系产生大量的AKT-Ser473磷酸化。在克隆形成实验中,Flavopiridol在23人肿瘤模型中作为高效的细胞毒性化合物,平均IC₅₀是8 ng/mL。

细胞实验

Cells grown at a density of 1 × 10⁶ cells/mL are exposed to Flavopiridol for different concentrations and time periods. DNA is extracted. Briefly, cells are washed once with cold phosphate-buffered saline (PBS) and lysed with 3 mL lysis buffer (5 mM Tris-HCl [pH 7.5]; 20 mM EDTA; 0.5% Triton X-100) for 15 minutes at 4 °C. The chromatin of the cell lysates is isolated by centrifugation (20 minutes at 26,000 g, 4 °C). The supernatants containing small DNA fragments are extracted sequentially with phenol, phenol:chloroform (1:1), and chloroform. Nucleic acids are precipitated in 0.5 M NaCl, 90% ethanol at -20 °C overnight. RNA is then digested by bovine RNAaseA (60 μg/mL). After sequential reextraction and reprecipitation, DNA is dissolved in 10 mM Tris-HCl (pH 7.5), 1 mM EDTA, 0.5% sodium dodecyl sulfate (SDS) before electrophoresis on 1.6% agarose gel. (Only for Reference)

储存

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