For Research Use Only

BI 2536

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Catalog Number: CM06033

产品信息

Catalog Number:
CM06033

CAS号:
755038-02-9

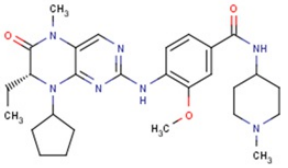
分子式:
$C_{28}H_{39}N_7O_3$

主要靶点:
Apoptosis|Epigenetic Reader Domain|PLK

主要通路:
细胞周期|表观遗传|凋亡

分子量:
521.65

溶解度:
H2O:< 1 mg/mL (insoluble or slightly soluble);Ethanol:93 mg/mL (178.3 mM);DMSO:13.33 mg/mL (25.56 mM)



靶点活性

PLK2:3.5 nM (cell free)|PLK1:0.83 nM (cell free)|PLK3:9 nM (cell free)

体外活性

BI 2536以低纳摩尔浓度抑制Plk1酶活性。该化合物在具有不同组织起源和癌基因组特征的人类癌症细胞系中强效引起有丝分裂阻滞并诱导凋亡[1]。使用纳摩尔剂量的BI 2536处理后，ATC细胞正常通过S期，但之后因有丝分裂阻滞直接死亡。与ATC细胞相比，非转化的甲状腺细胞对BI 2536诱导的细胞周期效应的敏感性降低了3.2至18.4倍[2]。

体内活性

BI 2536 在裸鼠人类肿瘤异种移植物中抑制生长，并通过耐受性良好的静脉注射剂量方案诱导大型肿瘤退化。在处理过的肿瘤中，细胞在前期停滞，磷酸化组蛋白H3积累，并且包含异常的有丝分裂纺锤体[1]。

动物实验

Female BomTac:NMRI-Foxn1nu mice were grafted subcutaneously with HCT 116 colon-carcinoma, NCI-H460, or A549 lung carcinoma cells by subcutaneous injection, respectively, of 2 × 10^6, 1 × 10^6, and 1 × 10^7 cells into the flank of each mouse. When tumors reached a volume of approximately 50 mm^3, animals were pair-matched into treatment and control groups of ten mice each. In regression experiments, treatment was not initiated until the mean tumor volume reached 500 mm^3. BI 2536 was formulated in hydrochloric acid (0.1 N), diluted with 0.9% NaCl, and injected intravenously into the tail vein at the indicated dose and schedule. The administration volume was 10 ml per kg body weight. Tumor volumes were determined three times a week with a caliper. The results were converted to tumor volume (mm^3) by the following formula: length × width2 × π/6. The weight of the mice was determined as an indicator of tolerability on the same days. For statistical analysis, the treatment group was compared with the vehicle control group in a one-sided (decreasing) exact Wilcoxon test [1].

细胞实验

Cell proliferation assays were performed by incubation in the presence of various concentrations of BI 2536 for 72 hr, and cell growth was assessed by the measurement of Alamar Blue dye conversion in a fluorescence spectrophotometer. Effective concentrations at which cellular growth was inhibited by 50% (EC50) were extrapolated from the dose-response curve fit [1].

储存

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