For Research Use Only

Dacinostat

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Catalog Number: CM11434

产品信息

Catalog Number:
CM11434

CAS号:
404951-53-7

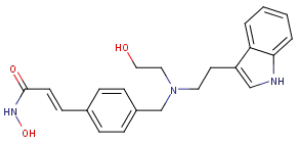
分子式:
$C_{22}H_{25}N_3O_3$

主要靶点:
Autophagy|HDAC

主要通路:
表观遗传|DNA 损伤和修复|自噬

分子量:
379.45

溶解度:
H2O:< 1 mg/mL (insoluble or slightly soluble);DMSO:40 mg/mL (105.42 mM);Ethanol:< 1 mg/mL (insoluble or slightly soluble)



靶点活性

HDAC:32 nM

体外活性

100 mg/kg LAQ824剂量依赖性抑制携带HCT116和人结肠癌移植瘤的裸鼠肿瘤生长,且无细胞毒性.

体内活性

LAQ824诱导A549细胞中p21蛋白的剂量依赖性增加和Rb肿瘤抑制因子的低磷酸化状态的增加。LAQ824在IL-10基因启动子水平诱导染色质改变,导致增强的转录抑制因子HDAC11和PU.1的募集并抑制BALB/c鼠巨噬细胞中IL-10的产生。此外,LAQ824通过激活p21启动子,也激活编码p21细胞周期抑制剂的基因表达,最大启动子活性AC50为50%时,浓度为0.30 μM。 LAQ824抑制H1299（一种非小细胞肺癌细胞系）和HCT116（一种结肠癌细胞系）的细胞生长,IC50分别为0.15 μM和0.01 μM,LAQ824的抗增殖作用对肿瘤细胞系具有选择性,同时仅在正常成纤维细胞中诱导生长停滞。

细胞实验

Cell proliferation is measured using an adaptation of published procedures (3-(4,5-dimethylthiazol-2-yl)-5-(3-carboxymethoxy-phenyl)-2-(4-sulfonyl)-2H-tetrazolium assay). The cells are seeded in 12-well dishes and cultured in RPMI 1640 containing 10% FBS. The cells are cultured in the presence of various concentrations of TSA (up to 1,000 ng/mL). To examine the growth inhibition by TSA, viable cell numbers are determined by trypan blue dye exclusion, counted in a Nesbauer-type hemocytometer for 0 hour, 24 hours, and 48 hours. The same amount of ethanol is added to the RPMI 1640 medium as the control experiment. All experiments are performed in duplicate and repeated 3 times The average background value (treatment with medium alone) is subtracted from each experimental well; triplicate values are averaged for each compound dilution. The following formulas are used to calculate the percentage of growth: If X<T0, %Growth=(X-T0)/T0*100; If X>T0, %Growth=(X-T0)/(GC-T0)*100. where T0 is the average value of T0 ? background, GC is the average value of untreated cells (in triplicate) ? background, and X is the average value of compound-treated cells (in triplicate)-background. The "% Growth" is plotted against compound concentration and used to calculate the IC50 using the linear regression techniques between data points to predict the concentration of compounds at 50% inhibition.(Only for Reference)

储存

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

For technical support and original validation data for this product please contact
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