

Catalog Number: CM00457

产品信息

Catalog Number:
CM00457

CAS号:
172889-27-9

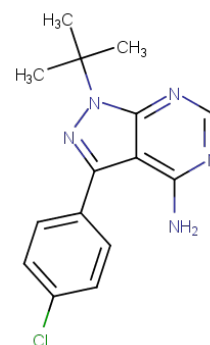
分子式:
C₁₅H₁₆ClN₅

主要靶点:
EGFR|JAK|Src|Tyrosine Kinases

主要通路:
表观遗传|JAK/STAT信号通路|干细胞|蛋白酪氨酸激酶|血管生成

分子量:
301.77

溶解度:
DMSO:56 mg/mL (185.6 mM), Ethanol:2 mg/mL (6.62 mM)



靶点活性

Lck:4 nM|Fyn:5 nM

体外活性

在局灶性脑缺血损伤的大鼠中,PP2 () 降低约50%梗死面积.在局灶性脑缺血损伤的大鼠中,与对照组相比,PP2 (1.5 mg/kg,i.p.) 具有更好的神经功能评分.在脾脏接种HT29细胞的SCID小鼠中,与对照组相比,PP2 (5 mg/kg/day) 降低原位肿瘤的生长率,且明显降低相对肝重和肝转移量.

体内活性

1-100 mM PP2剂量依赖性抑制人类结肠癌细胞(SW480,HT29和PMCO1),肝癌细胞(KYN-2,Li7,PLC/PRF/5和HepG2)和乳腺癌细胞(MDA-MB-468,MCF-7,和 BT-474)生长.在NIH3T3 和NIH-RET/PTC3 细胞裂解液中,5 μM PP2可抑制体内RET/PTC1肿瘤蛋白的磷酸化和信号.在转化RET/PTC1的NIH3T3成纤维细胞、携带自发性RET/PTC1重排的两类人类甲状腺乳头状癌细胞系TPC1 和FB2 中,5 μM PP2抑制血清非依赖性的生长.5 μM PP2抑制TPC1细胞I型胶原基质入侵.在HeLa 和 SiHa 细胞中,10 μM PP2下调pSrc-Y416,pEGFR-Y845和-Y1173 表达水平.10 μM PP2可以调节细胞周期停滞,这是通过上调HeLa和SiHa细胞的p21(Cip1) 和 p27(Kip1),及下调HeLa细胞的cyclin A和细胞周期蛋白依赖性激酶-2,-4 (Cdk-2,-4)的表达,和下调SiHa细胞的cyclin B 和 Cdk-2表达实现的.20 μM PP2抑制40-50% HT29 细胞生长,处理1小时,该浓度降低Src活性,并维持抑制35% Src活性达2天.20 μM PP2明显促进大部分癌细胞(HT29, SW480, PMCO1, PLC/PRF/5, KYN-2, Li7, MCF-7和 MDA-MB-468)聚合,该作用有E-钙粘蛋白依赖性.在癌细胞中,20 μM PP2增强E-钙粘蛋白表达,且强促进E-钙粘蛋白与肌动蛋白细胞骨架的关联.在HT29细胞中,20 μM PP2增强 α-catenin, β-catenin和 γ-catenin表达,而在PLC/PRF/5 和 MCF-7细胞, α-catenin的总蛋白水平没有改变,但β-catenin 和 γ-catenin 水平稍微增长.

细胞实验

Cell viability is determined using an in vitro toxicology assay kit following the manufacturer's instructions. Cells are seeded in 96-well plates at day 0. Starting at day 1, cells are treated for 2 days with each of a series of increasing concentrations of PP2 (1 μM, 10 μM, and 100 μM). At the end of this period, cell proliferation is evaluated by a colorimetric assay based on the cleavage of 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide by mitochondria dehydrogenase in viable cells, leading to formazan formation. This experiment is repeated three times with 10 determinations/tested concentration.(Only for Reference)

描述

PP2 is a effective inhibitor of Lck/Fyn (IC₅₀:4/5 nM) , ~100-fold less potent to EGFR, inactive for ZAP-70, PKA and JAK2.

储存

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