

Catalog Number: CM04060

产品信息

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CM04060

CAS号:
717907-75-0

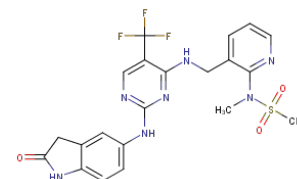
分子式:
C₂₁H₂₀F₃N₇O₃S

主要靶点:
PYK2|CDK|FAK

主要通路:
细胞周期|细胞骨架|血管生成|蛋白
酪氨酸激酶

分子量:
507.49

溶解度:
DMSO:93 mg/mL (183.3
mM), Ethanol:<1 mg/mL



靶点活性

FAK:1.5 nM|PYK2:13 nM

体外活性

在胫骨植入MDA-MB-231细胞的大鼠中,口服 PF-562271 (5 mg/kg),引起骨钙素和松质骨增加,从而使肿瘤细胞生长减缓.在携带H125肺部异种移植肿瘤、异种移植PC3M-luc-C6的小鼠模型中,口服 PF-562271 (25 mg/kg) 抑制肿瘤细胞生长,引起细胞凋亡.在U87 mg的小鼠中,PF-562271 (口服<33 mg/kg) 能够以时间和剂量依赖的方式抑制肿瘤中FAK磷酸化.在BxPc3异种移植小鼠、PC3-M异种移植小鼠中口服 PF-562271 (50 mg/kg),能够抑制肿瘤生长.

体内活性

PF-562271能够结合在ATP与FAK结合的部位,并在激酶铰链区形成抑制剂与主链原子之间的氢键。在鸡胚绒毛尿囊膜中,PF-562271 (1 nM) 阻断bFGF刺激的血管生成。在PC3-M细胞中,PF-562271 (3.3 μM) 能够使细胞停止在G1期。对于A431细胞,PF-562271 (250 nM) 能够抑制细胞侵入胶原蛋白。

细胞实验

PF-562271 (Haoyuan Chemexpress Co., Ltd.) is dissolved in DMSO and stored, and then diluted with appropriate media before use[2]. Ewing sarcoma cells are plated in 10-cm dishes, allowed to adhere for 24 hours, and then treated with PF-562271, PD0325901, or Dasatinib. ATP content is measured as a surrogate for cell number using the CellTiter-Glo Luminescent Cell Viability Assay. Luminescence readings are obtained using the FLUOstar Omega microplate reader. For experiments with small-molecule treatment, 1.25×10³ Ewing sarcoma cells are seeded in each well and treated with a range of concentrations. IC₅₀ values are calculated from ATP measurements obtained after 3 days of treatment using log-transformed, normalized data in GraphPad Prism 5.0. Cell lines are also treated with compound in 6-cm dishes, trypsinized, and counted by light microscopy using trypan blue exclusion. For experiments using shRNA-transduced cells, 1.25×10³ cells are seeded per well into 384-well plates on day 3 posttransduction. ATP content is measured on days 3, 6, and 8 posttransduction[2].

描述

PF-562271 is an effective ATP-competitive, reversible inhibitor of FAK(IC₅₀=1.5 nM) and Pyk2 kinase(IC₅₀=13 nM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year