For Research Use Only

PF-562271 besylate

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Catalog Number: CM04061

产品信息

Catalog Number:
CM04061

CAS号:
939791-38-5

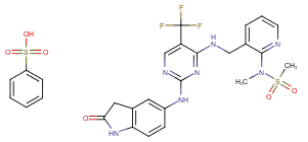
分子式:
$C_{21}H_{20}F_3N_7O_3S{\cdot}C_6H_6O_3S$

主要靶点:
CDK|FAK|PYK2

主要通路:
细胞周期|蛋白酪氨酸激酶|细胞骨架|血管生成

分子量:
665.66

溶解度:
Ethanol:<1 mg/mL,H2O:<1 mg/mL,DMSO:12 mg/mL (18 mM)



靶点活性

FAK:1.5 nM

体外活性

PF-562271 shows the selective inhibitory effects on FAK and Pyk2 tyrosine kinase activity with IC50 of 1.5 nM and 14 nM, respectively. And in cell-based assays, the IC50 of PF-562271 is shown to be 5 nM for FAK, which is more selective compared to other kinase targets. [1] In 2 dimensional (2D) cultures, PF-562271 results in a dose-dependent cell proliferation inhibition in FAK WT, FAK?/? and FAK kinase-deficient (KD) cells with IC50 of 3.3 μM, 2.08 μM and 2.01 μM, respectively. [2]

体内活性

In several human s.c. xenograft models, PF-562271 exhibits dose-dependent tumor growth inhibition, and produces maximum tumor inhibition for PC-3M, BT474, BxPc3, and LoVo ranging from 78% to 94% inhibition at doses of 25 to 50 mg/kg twice daily, without weight loss, morbidity, or death. [1] PF-562271 (25 mg/kg by p.o.) leads to a significant decrease in tumor progression in both subcutaneous and bone metastasis PC3M-luc-C6 xenograft models. [3] In a Huh7.5 hepatocellular carcinoma xenograft model, combination therapy of sunitinib and PF-562271 targets angiogenesis and tumor aggressiveness, and produces more significant anti-tumor effect than single agent by blocking tumor growth and impacting the ability of the tumor to recover upon withdrawal of the therapy. [4]

细胞实验

Cells are plated for 48 hours before addition of PF-562271. After 3 days cells are fixed by addition of ice cold 25% trichloroacetic acid (TCA) solution prior to staining with Sulforhodamine B (SRB) dye solution. Plates are washed with 1% glacial acetic acid, air-dried and resuspended in 10 mM Tris buffer, pH 10.5 before reading absorbance at 540 nm. Curve fitting and generation of IC50 values is carried out using GraphPad Prism 4 software from six replicates.(Only for Reference)

描述

PF-562271 (besylate) is a potent, ATP-competitive, reversible inhibitor of FAK with IC50 of 1.5 nM, ~10-fold less potent for Pyk2 than FAK and >100-fold selectivity against other protein kinases, except for some CDKs.

储存

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