For Research Use Only

A 419259 trihydrochloride

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Catalog Number: CM10818

产品信息

Catalog Number:
CM10818

CAS号:
1435934-25-0

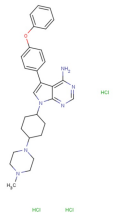
分子式:
$C_{29}H_{37}Cl_3N_6O$

主要靶点:
Src

主要通路:
血管生成|蛋白酪氨酸激酶

分子量:
592

溶解度:
H2O:≥53 mg/mL (89.53 mM)



靶点活性

Src:9 nM|Lck:3 nM|Lyn:3 nM

体外活性

A-419259 inhibits K-562 cells (IC50: 0.1~0.3 μM), and Meg-01 proliferation (IC50: ~0.1 μM). A-419259 also potently induces apoptosis in K-562 cells beginning at 0.1 μM and increasing in a dose-dependent manner. PP2 inhibits Src kinase autophosphorylation in both Ph+ cell lines (K-562 and Meg-01) with an IC50 between 3 and 10 μM, while A-419259 blocks kinase activation between 0.1 and 0.3 μM. A-419259 strongly inhibits DAGM/Bcr-Abl cell proliferation in the absence of IL-3 (IC50: 0.1~0.3 μM) [1]. A-419259 inhibits overall SFK activity in K562 and other CML cell lines with an IC50 value of 0.1-0.3 μM [2].

细胞实验

K-562 cells are grown in RPMI 1640 supplemented with 10% fetal calf serum (FCS), and 50 g/mL Gentamycin. Meg-01 cells are cultured in Vitacell modified RPMI 1640 (ATCC), supplemented with 10% FCS and 50 μg/mL Gentamycin. The human GM-CSF-dependent myeloid leukemia cell line TF-1 is grown in RPMI 1640 supplemented with 10% FCS, 50 μg/mL Gentamycin, and 1 ng/mL of recombinant human GM-CSF. DAGM murine myeloid leukemia cells are cultured in RPMI 1640 supplemented with 10% FCS, 50 μg/mL Gentamycin, and 0.5 ng/mL recombinant IL-3. Concentrated stock solutions of PP2 (5 mM) and A-419259 (2 mM) are prepared in DMSO and stored at -20°C. Cellular proliferation is measured using the Live/Dead growth assay. This assay employs calcein-AM, a fluorogenic esterase substrate that is taken up by viable cells and hydrolyzed intracellularly, releasing a green fluorescent product. Briefly, 10^4 cells are plated per well in 96-well plates for each day of a 4-day time course. Various concentrations of PP2, A-419259 or vehicle control are added to the wells (five wells per concentration per day) and the plates are incubated at 37°C. At each time point, one plate is centrifuged at 1500 g for 10 min to pellet the cells. Cells are washed with PBS, and calcein-AM is added to each well to a final concentration of 1 μM. Plates are incubated in the dark at room temperature for 1 h. The plates are then read at 485/530 nm (excitation/emission) using a fluorescent plate reader and data are analyzed with SoftMax Pro software [1].

储存

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