For Research Use Only

Rigosertib

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Catalog Number: CM06039

产品信息

Catalog Number:
CM06039

CAS号:
592542-59-1

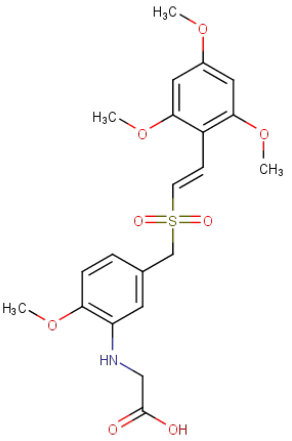
分子式:
$C_{21}H_{25}NO_8S$

主要靶点:
PDGFR|Bcr-Abl|Apoptosis|CDK|FLT|PLK|PI3K|Src

主要通路:
细胞骨架|PI3K/Akt/mTOR信号通路|细胞周期|蛋白酪氨酸激酶|血管生成|凋亡

分子量:
451.49

溶解度:
DMSO:75 mg/mL (166.12 mM),Sonification is recommended.



靶点活性

Fyn:182 nM|CDK1:260 nM|Flt1:42 nM|PDGFR:18 nM|Bcr-Abl:32 nM|Src:155 nM|PLK2:260 nM|PLK1:9 nM

体外活性

Rigosertib is a non-ATP-competitive inhibitor of PLK1 (IC50: 9 nM). Rigosertib displays cell killing activity against 94 different tumor cell lines (IC50: 50-250 nM), including BT27, MCF-7, DU145, PC3, U87, A549, H187, RF1, HCT15, SW480, and KB cells. Rigosertib also shows inhibition of PLK2, PDGFR, Flt1, BCR-ABL, Fyn, Src, and CDK1 (IC50: 18-260 nM). While in normal cells, such as HFL, PrEC, HMEC, and HUVEC, Rigosertib has little or no effect unless its concentration is greater than 5-10 μM. Rigosertib also inhibits several multidrug-resistant tumor cell lines, including MES-SA, MES-SA/DX5a, CEM, and CEM/C2a (IC50: 50-100 nM). Rigosertib (100-250 nM) causes spindle abnormalities and apoptosis in HeLa cells. Rigosertib (0.25-5 μM) blocks cell cycle progression in G2/M phase in DU145 cells, causes an accumulation of cells containing subG1 content of DNA and activates apoptotic pathways. Rigosertib (50 nM-0.5 μM) induces loss of viability and caspase 3/7 activation in A549 cells. Rigosertib sodium (2 μM) induces apoptosis in chronic lymphocytic leukemia (CLL) cells without toxicity against T-cells or normal B-cells. Rigosertib sodium (2 μM) also abrogates the pro-survival effect of follicular dendritic cells on CLL cells and reduces the SDF-1-induced migration of leukemic cells[3][4][5].

体内活性

Rigosertib (200 mg/kg, i.p.) displays inhibition on tumor growth in a mouse xenograft model of BT20 cells. Rigosertib (250 mg/kg, i.p.) markedly suppresses tumor growth in mouse xenograft models of Bel-7402, MCF-7, and MIA-PaCa cells [3][4].

描述

Rigosertib is a selective and non-ATP-competitive inhibitor of PLK1 (IC50: 9 nM). Rigosertib is a multi-kinase inhibitor and a selective anti-cancer agent, which induces apoptosis by inhibition of the PI3 kinase/Akt pathway, promotes the phosphorylation of histone H2AX and induces G2/M arrest in the cell cycle.

储存

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