Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Rigosertib

Catalog No: tcsc1710

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
592542-59-1

Formula:
$C_{21}H_{25}NO_8S$

Pathway:
Cell Cycle/DNA Damage

Target:
Polo-like Kinase (PLK)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
ON-01910

Observed Molecular Weight:
451.49

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Product Description

Rigosertib is a non-ATP-competitive inhibitor of **PLK1** with an **IC_{50}** of 9 nM, and shows 30-fold greater selectivity against PLK2.

IC50 & Target: IC50: 9 nM (PLK1), 260 nM (PLK2)[1]

In Vitro: Rigosertib is non-ATP-competitive inhibitor of PLK1 with IC_{50} of 9 nM. Rigosertib also exhibits inhibition of PLK2, PDGFR, Flt1, BCR-ABL, Fyn, Src, and CDK1, with IC_{50} of 18-260 nM. Rigosertib shows cell killing activity against 94 different tumor cell lines with IC_{50} of 50-250 nM, including BT27, MCF-7, DU145, PC3, U87, A549, H187, RF1, HCT15, SW480, and KB cells. 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. In HeLa cells, Rigosertib (100-250 nM) induces spindle abnormalities and apoptosis[1]. Rigosertib also inhibits several multidrug resistant tumor cell lines, including MES-SA, MES-SA/DX5a, CEM, and CEM/C2a, with IC_{50} of 50-100 nM. In DU145 cells, Rigosertib (0.25-5 μM) blocks cell cycle progression in G2/M phase, results in an accumulation of cells containing subG1 content of DNA, and activates apoptotic pathways. In A549 cells, Rigosertib (50 nM-0.5 μM) induces loss of viability and caspase 3/7 activation[2]. 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 SDF-1-induced migration of leukemic cells[3].

In Vivo: Rigosertib (250 mg/kg, i.p.) markedly inhibits tumor growth in mouse xenograft models of Bel-7402, MCF-7, and MIA-PaCa cells[1]. Rigosertib (200 mg/kg, i.p.) shows inhibition on tumor growth in a mouse xengraft model of BT20 cells[2].