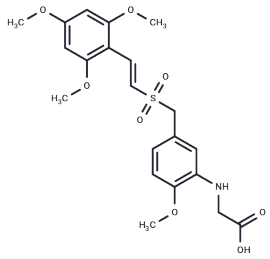
Data Sheet (Cat.No.T16750)

TargetMol

Rigosertib

Chemical Properties



CAS No. : 592542-59-1

Formula: C21H25NO8S

Molecular Weight: 451.49

Storage: Powder: -20°C for 3 years
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Rigosertib (ON-01910) is a selective, non-ATP-competitive PLK1 inhibitor (IC50: 9 nM) and multi-kinase inhibitor. As a selective anti-cancer agent, Rigosertib induces apoptosis by inhibiting the PI3 kinase/Akt pathway, promotes histone H2AX phosphorylation, and induces G2/M arrest in the cell cycle.
Targets(IC50)	Apoptosis,FLT,Bcr-Abl,CDK,PDGFR,PI3K,PLK,Src
In vitro	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].
In vivo	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].

Solubility Information

Solubility	DMSO: 75 mg/mL (166.12 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.43 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2149 mL	11.0744 mL	22.1489 mL
5 mM	0.443 mL	2.2149 mL	4.4298 mL
10 mM	0.2215 mL	1.1074 mL	2.2149 mL
50 mM	0.0443 mL	0.2215 mL	0.443 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xu F, et al. Rigosertib as a selective anti-tumor agent can ameliorate multiple dysregulated signalingtransduction pathways in high-grade myelodysplastic syndrome. Sci Rep. 2014 Dec 4;4:7310.
Hyoda T, et al. Rigosertib induces cell death of a myelodysplastic syndrome-derived cell line by DNA damage-induced G2/M arrest. Cancer Sci. 2015 Mar;106(3):287-93.
Gumireddy K, et al. ON01910, a non-ATP-competitive small molecule inhibitor of Plk1, is a potent anticancer agent. Cancer Cell. 2005 Mar;7(3):275-86.
Reddy MV, et al. Discovery of a clinical stage multi-kinase inhibitor sodium (E)-2-{2-methoxy-5-[(2',4',6'-trimethoxystyrylsulfonyl)methyl]phenylamino}acetate (ON 01910.Na): synthesis, structure-activity relationship, and biological activity. J Med Chem. 2011 Sep 22;54(18):6254-76.
Chapman CM, et al. ON 01910.Na is selectively cytotoxic for chronic lymphocytic leukemia cells through a dual mechanism of action involving PI3K/AKT inhibition and induction of oxidative stress. Clin Cancer Res. 2012 Apr 1;18(7):1979-91