

# Rigosertib (sodium)

Catalog No: tcsc0189



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

592542-60-4

**Formula:**

$C_{21}H_{24}NNaO_8S$

**Pathway:**

Cell Cycle/DNA Damage

**Target:**

Polo-like Kinase (PLK)

**Purity / Grade:**

>98%

**Solubility:**

H<sub>2</sub>O : ≥ 52 mg/mL (109.83 mM)

**Alternative Names:**

ON-01910 sodium

**Observed Molecular Weight:**

473.47

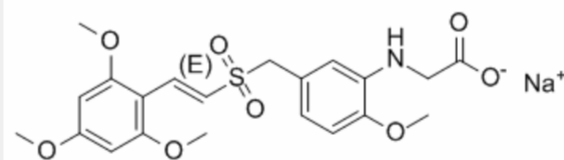
## Product Description

Rigosertib (sodium) is a non-ATP-competitive inhibitor of **PLK1** with an **IC<sub>50</sub>** of 9 nM, and shows 30-fold greater selectivity against PLK2.

IC50 & Target: IC50: 9 nM (PLK1), 260 nM (PLK2)<sup>[1]</sup>

**In Vitro:** Rigosertib is non-ATP-competitive inhibitor of PLK1 with IC<sub>50</sub> of 9 nM. Rigosertib also exhibits inhibition of PLK2, PDGFR, Flt1, BCR-ABL, Fyn, Src, and CDK1, with IC<sub>50</sub> of 18-260 nM. Rigosertib shows cell killing activity against 94 different tumor cell lines with IC<sub>50</sub> 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<sup>[1]</sup>. Rigosertib also inhibits several multidrug resistant tumor cell lines, including MES-SA, MES-SA/DX5a, CEM, and CEM/C2a, with IC<sub>50</sub> 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<sup>[2]</sup>. 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<sup>[3]</sup>.

**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<sup>[1]</sup>. Rigosertib (200 mg/kg, i.p.) shows inhibition on tumor growth in a mouse xenograft model of BT20 cells<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!