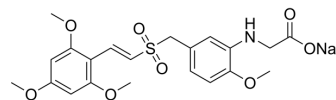


## Rigosertib sodium

Cat. No.:	HY-12037
CAS No.:	592542-60-4
Molecular Formula:	C <sub>21</sub> H <sub>24</sub> NNaO <sub>8</sub> S
Molecular Weight:	473.47
Target:	Polo-like Kinase (PLK); PI3K; Apoptosis
Pathway:	Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Apoptosis
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 150 mg/mL (316.81 mM; Need ultrasonic)  
 H<sub>2</sub>O : ≥ 52 mg/mL (109.83 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
	1 mM		2.1121 mL	10.5603 mL	21.1207 mL
	5 mM		0.4224 mL	2.1121 mL	4.2241 mL
	10 mM		0.2112 mL	1.0560 mL	2.1121 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 50 mg/mL (105.60 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 5.25 mg/mL (11.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 5.25 mg/mL (11.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 5.25 mg/mL (11.09 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.5 mg/mL (5.28 mM); Suspended solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

### BIOLOGICAL ACTIVITY

<b>Description</b>	Rigosertib sodium (ON-01910 sodium) is a multi-kinase inhibitor and a selective anti-cancer agent, which induces apoptosis by inhibition the PI3K/Akt pathway, promotes the phosphorylation of histone H2AX and induces G2/M arrest in cell cycle <sup>[1][2]</sup> . Rigosertib sodium is a selective and non-ATP-competitive inhibitor of PLK1 with an IC <sub>50</sub> of 9 nM <sup>[3]</sup> .			
<b>IC<sub>50</sub> &amp; Target</b>	PLK1 9 nM (IC <sub>50</sub> )	PLK2 260 nM (IC <sub>50</sub> )	PDGFR 18 nM (IC <sub>50</sub> )	Src 155 nM (IC <sub>50</sub> )
	BCR-ABL 32 nM (IC <sub>50</sub> )	Cdk1 260 nM (IC <sub>50</sub> )	Flt1 42 nM (IC <sub>50</sub> )	Fyn 182 nM (IC <sub>50</sub> )
<b>In Vitro</b>	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>[3]</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>[4]</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>[5]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
<b>In Vivo</b>	Rigosertib (250 mg/kg, i.p.) markedly inhibits tumor growth in mouse xenograft models of Bel-7402, MCF-7, and MIA-PaCa cells <sup>[3]</sup> . Rigosertib (200 mg/kg, i.p.) shows inhibition on tumor growth in a mouse xenograft model of BT20 cells <sup>[4]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

## PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	Tumor cells are plated into six-well dishes at a density of 1×10 <sup>5</sup> cells/mL/well, and Rigosertib is added 24 hours later at various concentrations. Cell counts are determined from duplicate wells after 96-hour of treatment. The total number of viable cells is determined by trypan blue exclusion. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Administration</b> <sup>[1]</sup>	Bel-7402 tumor models: twenty female athymic (NCR-nu/nu) nude mice are injected with 1 × 10 <sup>7</sup> Bel-7402 tumor cells subcutaneously, and 10-14 days later, when the tumor volumes reach 200-250 mm, the mice are divided into four groups such that each group harbors tumors of the same volume. Rigosertib (ON01910, 250 mg/kg) dissolved in PBS is administered alone or in combination with NSC 266046 (100 mg/kg) intraperitoneally on alternate days. Tumor measurements are done two times/week using traceable digital vernier calipers. Body weight is determined during each measurement. The animals are observed for signs of toxicity <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Int J Biol Sci. 2020 Jun 27;16(13):2382-2391.
- Sci Rep. 2017 Aug 17;7(1):8629.
- Oncol Res. 2021 Feb 11.

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## REFERENCES

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- [1]. 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.
- [2]. 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.
- [3]. 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.
- [4]. 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.
- [5]. 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
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**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA