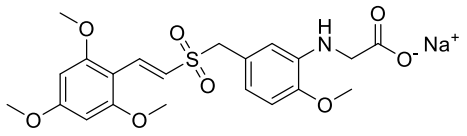
Product data sheet

MedKoo Cat#: 202080 Name: Rigosertib sodium CAS#: 1225497-78-8 (sodium) Chemical Formula: $C_{21}H_{25}NO_8S$ Exact Mass: 451.13009 Molecular Weight: 451.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Rigosertib (ON-01910 sodium salt) is a synthetic benzyl styryl sulfone analogue with potential antineoplastic activity. Polo-like kinase 1 inhibitor ON 01910.Na inhibits polo-like kinase1 (Plk1), inducing selective G2/M arrest followed by apoptosis in a variety of tumor cells while causing reversible cell arrest at the G1 and G2 stage without apoptosis in normal cells. This agent may exhibit synergistic antitumor activity in combination with other chemotherapeutic agents. Plk1, named after the polo gene of Drosophila melanogaster, is a serine/threonine protein kinase involved in regulating mitotic spindle function in a non-ATP competitive manner. Note: this product is supplied as sodium salt.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	62.0	137.32
DMSO:PBS (pH 7.2) (1:6)	0.14	0.31
DMF	30.0	66.45
Water	94.0	208.20

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.21 mL	11.07 mL	22.15 mL
5 mM	0.44 mL	2.21 mL	4.43 mL
10 mM	0.22 mL	1.11 mL	2.21 mL
50 mM	0.04 mL	0.22 mL	0.44 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Kowalczyk JT, Wan X, Hernandez ER, Luo R, Lyons GC, Wilson KM, Gallardo DC, Isanogle KA, Robinson CM, Mendoza A, Heske CM, Chen JQ, Luo X, Kelly AE, Difilippantinio S, Robey RW, Thomas CJ, Sackett DL, Morrison DK, Randazzo PA, Jenkins LMM, Yohe ME. Rigosertib Induces Mitotic Arrest and Apoptosis in RAS-Mutated Rhabdomyosarcoma and Neuroblastoma. Mol Cancer Ther. 2021 Feb;20(2):307-319. doi: 10.1158/1535-7163.MCT-20-0525. Epub 2020 Nov 6. PMID: 33158997; PMCID: PMC7867632.

2. Atanasova VS, Pourreyron C, Farshchian M, Lawler M, Brown CA 4th, Watt SA, Wright S, Warkala M, Guttmann-Gruber C, Hofbauer JP, Fuentes I, Prisco M, Rashidghamat E, Has C, Salas-Alanis JC, Palisson F, Hovnanian A, McGrath JA, Mellerio JE, Bauer JW, South AP. Identification of Rigosertib for the Treatment of Recessive Dystrophic Epidermolysis Bullosa-Associated

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Squamous Cell Carcinoma. Clin Cancer Res. 2019 Jun 1;25(11):3384-3391. doi: 10.1158/1078-0432.CCR-18-2661. Epub 2019 Mar 7. PMID: 30846478; PMCID: PMC8185613.

In vivo study

1. Wang Y, Du P, Jiang D. Rigosertib inhibits MEK1-ERK pathway and alleviates lipopolysaccharide-induced sepsis. Immun Inflamm Dis. 2021 Jun 1. doi: 10.1002/iid3.458. Epub ahead of print. PMID: 34061465.
2. Baker SJ, Cosenza SC, Ramana Reddy MV, Premkumar Reddy E. Rigosertib ameliorates the effects of oncogenic KRAS signaling in a murine model of myeloproliferative neoplasia. Oncotarget. 2019 Mar 8;10(20):1932-1942. doi: 10.18632/oncotarget.26735. PMID: 30956775; PMCID: PMC6443005.

7. Bioactivity

Biological target:

Rigosertib (ON-01910) is a non-ATP-competitive inhibitor of PLK1 with IC50 of 9 nM in a cell-free assay.

In vitro activity

Rigosertib induced caspase 3/7 activity (Fig. 2A) and phosphatidylserine externalization as detected by annexin V staining (Fig. 2B) in RAS-mutant RMS cell lines, RD and SMS-CTR, suggesting apoptosis was induced in these cells. Caspase 3/7 activity was also induced by rigosertib in RAS WT FN-RMS cell lines, RMS-YM and RH18 (Supplementary Fig. S1A), as well as RAS mutant (SKNAS and NBEB) and RAS WT (SHEP and SY5Y) NB cell lines (Supplementary Fig. S1B). However, rigosertib also induced G2–M arrest in RMS and NB cells, as determined by DNA content analysis (Fig. 2C), suggesting that the rigosertib effects in these cell lines are both cytotoxic and cytostatic.

Reference: Mol Cancer Ther. 2021 Feb;20(2):307-319. https://mct.aacrjournals.org/content/20/2/307.long

In vivo activity

To evaluate the effects of rigosertib on sepsis in vivo, this study administrated rigosertib in mice 2 h before inducing the sepsis. This study detected quick mice death in PBS-treated mice after LPS treatment. In contrast, mice pretreated with rigosertib had decreased mortality when compared to PBS pretreated mice. Obvious inflammation and inflammatory cell infiltration in the lung of septic mice pretreated with PBS were detected (Figure 5B). In contrast, there was much less inflammation and inflammatory cell infiltration in the lung of septic mice pretreated with rigosertib. Moreover, septic mice pretreated with rigosertib had a significantly lower level of IL-6, TNF-α, and IL-1β in serum than septic mice pretreated with PBS (Figure 5C). These findings indicated that rigosertib ameliorated LPS-induced sepsis in mice.

Reference: Immun Inflamm Dis. 2021 Jun 1. https://onlinelibrary.wiley.com/doi/10.1002/iid3.458

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.