Product Data Sheet

Product Name: Rigosertib (ON-01910,Estybon)
Cat. No.: GC14358

Chemical Properties

Cas. No.	1225497-78-8		
Chemical Name	sodium;2-[2-methoxy-5-[[(E)-2-(2,4,6-trimethoxyphenyl)ethenyl]sulfonylmethyl]anilino]acetate		
SMILES	COC1=C(C=C(C=C1)CS(=O)(=O)C=CC2=C(C=C(C=C2OC)OC)OC)NCC(=O)[O-].[Na+]		
Formula	$C_{21}H_{24}NNaO_8S$	M.Wt	473.47
Solubility	≥ 23.65mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Rigosertib (ON-01910,Estybon)		

Protocol

Kinase experiment [1]:

In vitro enzyme assays for PLK1	Recombinant PLK1 (10 ng) was incubated with different concentrations of Rigosertib in a 15 μL reaction mixture (50 mM HEPES, 10 mM MgCl2, 1 mM EDTA, 2 mM Dithiothreitol, 0.01% NP-40 [pH 7.5]) for 30 mins at room temperature. Kinase reactions were performed for 20 mins at 30 °C in a volume of 20 μL of reaction mixture (15 μL enzyme + inhibitor, 2 μL 1 mM ATP), 2 μL of γ32P-ATP (40 μCi), and 1 μL of recombinant Cdc25C (100 ng) or casein (1 μg) substrates. Reactions were terminated by boiling for 2 mins in 20 μL of 2× Laemmli buffer. Phosphorylated substrates were separated by 18% SDS-PAGE. The gels were dried and exposed to X-ray film for 3 ~ 10 mins.

Cell experiment [1]:

Cell lines	HeLa cells
Preparation method	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting condition	250 nM; 8, 12, 16, 20 or 28 hrs
Applications	In HeLa cells, Rigosertib significantly inhibited PLK1 activity at all stages of the cell cycle. Moreover, the loss of PLK1 activity was not due to degradation of PLK1 or inhibition of PLK1 synthesis.

Animal experiment [1]:

Animal models	Nude mice bearing Bel-7402, MCF-7 or MIA-PaCa cell xenografts
Dosage form	250 mg/kg; i.p.
Applications	In nude mice bearing Bel-7402, MCF-7 or MIA-PaCa cell xenografts, Rigosertib (250 mg/kg) significantly inhibited tumor growth without obvious toxicity. In addition, Rigosertib completely inhibited PLK1 activity but partially reduced CDK1 activity.

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Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Gumireddy K, Reddy MV, Cosenza SC, Boominathan R, Baker SJ, Papathi N, Jiang J, Holland J, Reddy EP. ON01910, a non-ATP-competitive small molecule inhibitor of Plk1, is a potent anticancer agent. Cancer Cell. 2005 Mar;7(3):275-86.

Background

Rigosertib (ON-01910,Estybon) is a potent, specific PLK1 inhibitor with IC50 value of 9nM. Rigosertib strongly inhibited the proliferation of cancer cell lines, with observed IC50 values in the nanomolar range for both HeLa (115 nM) and C33A (45 nM) cells. In contrast, rigosertib had a minimal effect on normal cell lines, BJ and Ect1/E6E7 (IC50 > 0.1 mM) [1]

HeLa and C33A cells demonstrated a complete (>95%) G2/M arrest at concentrations of rigosertib >0.5 μM, whereas at <0.2 μM no clear perturbation of the cell cycle was evident. Normal cells were less affected by rigosertib [1].

Rigosertib has been reported to be a more potent radiosensitizer than cisplatin in vivo [1].

Reference:
[1] Agoni L1, Basu I2, Gupta S3, Alfieri A2, Gambino A4, Goldberg GL5, Reddy EP6, Guha C7.Rigosertib is a more effective radiosensitizer than chemoradiation treatment of cervical carcinoma, in vitro and in vivo. Int J Radiat Oncol Biol Phys. 2014 Apr 1;88(5):1180-7.

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