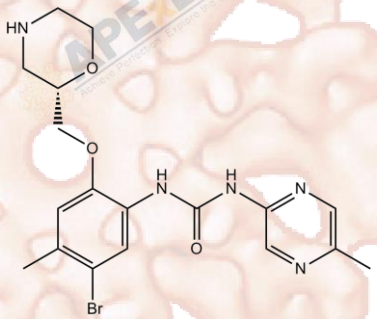
Product Data Sheet

LY2603618



Cat. No.:	A8638
CAS No.:	911222-45-2
Formula:	C18H22BrN5O3
M.Wt:	436.3
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	Chk
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾43.6 mg/mL in DMSO with gentle warming; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.2920 mL	11.4600 mL	22.9200 mL
5 mM	0.4584 mL	2.2920 mL	4.5840 mL
10 mM	0.2292 mL	1.1460 mL	2.2920 mL

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

Biological Activity

Shortsummary	Chk1 inhibitor,highly selective
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HeLa cervical cancer cells, Calu-6 non-small cell lung cancer cells, HT29 and HCT-116 colon cancer cells
Preparation method：	The solubility of this compound in DMSO is >21.8mg/mL. 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 conditions:	1250 nM, 2500 nM, 24 h
	Applications:	Treatment with 1250 nM LY2603618 resulted in a clear decrease in the G1 population and an increase in late S-phase cells. Cells treated with 5000 nM LY2603618 were predominantly found in the S-phase peak with a DNA content intermediate between 2 and 4N. LY2603618 induced DNA damage and arrested DNA synthesis while increasing the number of cells expressing an early marker of mitosis. LY2603618 treated cells lacked normal mitotic cells. An increased proportion of LY2603618 treated cells stained for pH3(S10) and were arrested in an abnormal prometaphase relative to the control cells. LY2603618 increased the potency of gemcitabine in p53-mutant HT-29 cells, but not in p53 WT HCT-116 cells
In Vivo	**Animal experiment**	
	Animal models:	Female Harlan athymic nude mice bearing Calu-6 xenografts
	Dosage form:	Oral administration, 200 mg/kg
	Applications:	LY2603618 effectively inhibited the activation of Chk1 but not ATR by gemcitabine in Calu-6 tumor xenografts.
	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.

Product Citations

1. Yang X, Pan Y, et al. "RNF126 as a biomarker of a poor prognosis in invasive breast cancer and CHK1 inhibitor efficacy in breast cancercells." Clin Cancer Res. 2018 Jan 11. pii: clincanres.2242.2017.PMID:29326282

2. Höhn A, Krüger K, et al. "Distinct mechanisms contribute to acquired cisplatin resistance of urothelial carcinoma cells." Oncotarget. 2016 Jul 5;7(27):41320-41335.PMID:27191498

3. Zhang Y, Lai J, et al. "Targeting radioresistant breast cancer cells bysingle agent CHK1 inhibitor via enhancing replication stress." Oncotarget. 2016 Jun 7;7(23):34688-702.PMID:27167194

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References

[1]. King C, Diaz H, Barnard D, et al. Characterization and preclinical development of LY2603618: a selective and potent Chk1 inhibitor[J]. Investigational new drugs, 2014, 32(2): 213-226.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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