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Product Name: PI-103 Revision Date: 01/10/2021 Product Data Sheet

PI-103

	Blue	
Cat. No.:	A2067	0
CAS No.:	3 <mark>719</mark> 35-74-9	
Formula:	C19H16N4O3	N
M.Wt:	348.36	
Synonyms:		
Target:	PI3K/Akt/mTOR Signaling	N
Pathway:	РІЗК	
Storage:	Store at -20°C	
	810	BIO OH
Solvent & Solubility		
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	≥21.9 mg/mL in DM	≥21.9 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH				
In Vitro	Preparing Stock Solutions	Mass Solvent Concentration	1mg	5mg	10mg	
		1 mM	2.8706 mL	14.3530 mL	28.7059 mL	
		5 mM	0.5741 mL	2.8706 mL	5.7412 mL	
		10 mM	0.2871 mL	1.4353 mL	2.8706 mL	

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

Biological Activity

Shortsummary

Class I PI3K, mTOR and DNA-PK inhibitor

IC₅₀ & Target

In Vitro

2 nM (p110α), 3 nM (p110β), 3 nM (p110δ), 15 nM (p110γ), 30 nM (mTOR), 23 nM (DNA-PK)

Cell Viability Assay	and the second
Cell Line:	A549 and H460 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 conditions:	72 hours, 2 μM for A549 cells 0.5 μM for H460 cells

1 | www.apexbt.com

	Applications:	Incubation of A549 cells with 2 μM PI-103 for 72 h induced an ~60% reduction			
		in cell number. In contrast to A549 cells, H460 cells were highly sensitive to			
		low-dose PI-103. Treatment of H460 cells with 0.5 μM PI-103 for 72 h resulted			
		in ~60% inhibition. Results showed that exposure of A549 and H460 cells to			
		PI-103 with the indicated concentrations for 72 h induced growth inhibition in a			
	010	dose-dependent manner.			
	Animal experiment	SEL			
	Animal models:	FVB/N wild type mice injected with 37-31E-F3 cells			
	Dosage form:	Intraperitoneal injection, 10 mg/kg, daily			
	Applications:	PI-103 treatment promoted a significant in vivo tumor growth compared with			
		the DMSO treated mice. It was effective by partially inhibiting the Akt and S6			
In Vivo		ribosomal protein phosphorylation. Tumors from PI-103-treated mice showe			
		higher levels of cyclin D1 and more proliferating cells as indicated by the			
		number of Ki67 positive cells. PI-103-treated tumors had the lowest apoptotic			
	810	rate.			
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may			
	and the second	slightly differ with the theoretical value. This is caused by an experimental			
		system error and it is normal.			

Product Citations

1. Sabha N, Volpatti JR, et al. "PIK3C2Binhibition improves function and prolongs survival in myotubular myopathy animalmodels." J Clin Invest. 2016 Sep 1;126(9):3613-25.PMID:27548528

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References

[1] Zou Z Q, Zhang X H, Wang F, et al. A novel dual PI3Kalpha/mTOR inhibitor PI-103 with high antitumor activity in non-small cell lung cancer cells. Int J Mol Med, 2009, 24(1): 97-101.

[2] López - Fauqued M, Gil R, Grueso J, et al. The dual PI3K/mTOR inhibitor PI - 103 promotes immunosuppression, in vivo tumor growth and increases survival of sorafenib-treated melanoma cells. International journal of cancer, 2010, 126(7): 1549-1561.

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

2 | www.apexbt.com

of the product, follow the storage recommendations on the product data sheet.





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