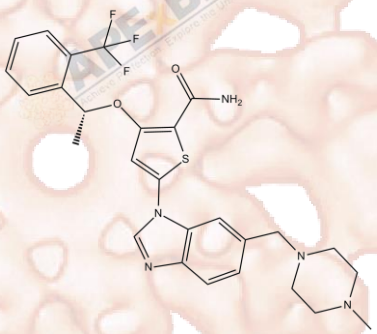
Product Data Sheet

GSK461364



Cat. No.:	A8441
CAS No.:	929095-18-1
Formula:	C27H28F3N5O2S
M.Wt:	543.6
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	PLK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾15.65 mg/mL in EtOH; ⩾49.5 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.8396 mL	9.1979 mL	18.3959 mL
5 mM	0.3679 mL	1.8396 mL	3.6792 mL
10 mM	0.1840 mL	0.9198 mL	1.8396 mL

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

Biological Activity

Shortsummary	Plk1 inhibitor
IC_{50} & Target	2.2 nM(Ki) (PLK1)

In Vitro

Cell Viability Assay

Cell Line:	Human adult glioblastoma T98G cell lines and pediatric SF188 cell lines
Preparation method:	The solubility of this compound in DMSO is > 15.65 mg/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:	75, 150 and 300 nM, 72 h,

	Applications:	After 72 h treatment, GSK461364 caused a significant decrease of proliferation in GBM T98G cells and SF188 cells.
In Vivo	**Animal experiment**	
	Animal models:	18 years or older patients with a confirmed diagnosis of advanced solid tumor for which no effective treatment was available.
	Dosage form:	Intravenous infusion, 50 mg, once a week or 25 mg, twice a week, dose was escalated with treatment
	Applications:	After the end of the 4-hour infusion, GSK461364 plasma concentrations declined bi-exponentially. Distribution of GSK461364 was initially rapid and plasma concentrations decreased to approximately 15% to 20% of the Cmax within 8 hours of cessation of infusion.
	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. Velpurisiva P, Rai P. "Synergistic Action of Gefitinib and GSK41364A Simultaneously Loaded in Ratiometrically-Engineered Polymeric Nanoparticles for Glioblastoma Multiforme." J Clin Med. 2019 Mar 15;8(3). pii: E367.PMID:30875975

2. Velpurisiva P, Piel BP, et al. "GSK461364A, a Polo-Like Kinase-1 Inhibitor Encapsulated in Polymeric Nanoparticles for the Treatment of Glioblastoma Multiforme (GBM)." Bioengineering (Basel). 2018 Oct 9;5(4). pii: E83.PMID:30304810

3. Higuchi F, Fink AL, et al."PLK1 inhibition targets Myc-activated malignant glioma cells irrespective of mismatch repair deficiency-mediated acquired resistance to temozolomide." Mol Cancer Ther. 2018 Sep 14. pii: molcanther.0177.2018.PMID:30217967

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References

[1]. Pezuk J A, Brassesco M S, Morales A G, et al. Polo-like kinase 1 inhibition causes decreased proliferation by cell cycle arrest, leading to cell death in glioblastoma[J]. Cancer gene therapy, 2013, 20(9): 499-506.

[2]. Olmos D, Barker D, Sharma R, et al. Phase I study of GSK461364, a specific and competitive Polo-like kinase 1 inhibitor, in patients with advanced solid malignancies[J]. Clinical Cancer Research, 2011, 17(10): 3420-3430.

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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