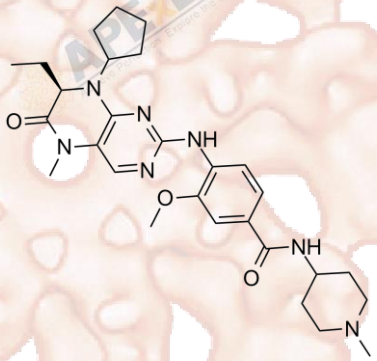
Product Data Sheet

BI 2536



Cat. No.:	A3965
CAS No.:	755038-02-9
Formula:	C28H39N7O3
M.Wt:	521.67
Synonyms:	BI-2536;BI2536
Target:	Cell Cycle/Checkpoint
Pathway:	PLK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾13.04 mg/mL in DMSO; ⩾92.4 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9169 mL	9.5846 mL	19.1692 mL
5 mM	0.3834 mL	1.9169 mL	3.8338 mL
10 mM	0.1917 mL	0.9585 mL	1.9169 mL

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

Biological Activity

Shortsummary	Plk1 inhibitor,potent and ATP-competitive
IC_{50} & Target	0.83 nM (Plk1)

In Vitro

Cell Viability Assay

Cell Line:	HeLa-S3 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:	1 μM, 24 hours

	Applications:	The effect of BI 2536 on the cell-cycle profile of cancer cells grown in vitro was assessed by immunofluorescence microscopy and flow cytometry. BI2536 caused HeLa cells to accumulate with a 4N DNA content, indicative of a cell-cycle block in either G2 phase or mitosis. The mitotic figures observed in BI 2536-treated cultures of HeLa cells displayed abnormal mitotic figures at EC50 values closely matching the induction of a G2/M arrest.
In Vivo	**Animal experiment**	
	Animal models:	Immunodeficient nu/nu mice injected with HCT 116 cells
	Dosage form:	Intravenous injection, 40–50mg/kg, once or twice per week
	Applications:	The administration of BI 2536 was found to be highly efficacious in diverse xenograft models, such as the HCT 116 colon cancer with complete tumor suppression with the twice per week schedule and a T/C value of 16% with once per week treatment. By using a more rigorous model of larger HCT 116 tumors, in which treatment was delayed until cancer nodules reached a median size of 500 mm3, it was found that five cycles of BI 2536 induced marked tumor regressions, whereas the control mice showed progressive disease.
	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. Kaisari S, Shomer P, et al. "Role of Polo-like kinase 1 in the regulation of the action of p31(comet) in the disassembly of mitotic checkpoint complexes." Proc Natl Acad Sci U S A. 2019 Jun 11;116(24):11725-11730.PMID:31118282

2. Chen LL, Wang YB, et al. "Phosphoproteome-based kinase activity profiling reveals the critical role of MAP2K2 and PLK1 in neuronal autophagy." Autophagy. 2017;13(11):1969-1980.PMID:28933595

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References

[1] Steegmaier M, Hoffmann M, Baum A, et al. BI 2536, a potent and selective inhibitor of polo-like kinase 1, inhibits tumor growth in vivo. Current Biology, 2007, 17(4): 316-322.

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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