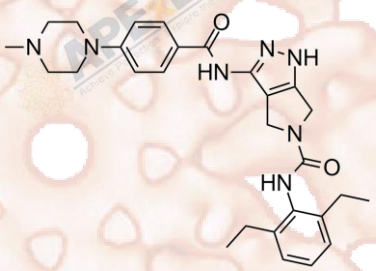
Product Data Sheet

PHA-680632

Cat. No.:	A4122
CAS No.:	398493-79-3
Formula:	C28H35N7O2
M.Wt:	501.62
Synonyms:	Pha 680632
Target:	Chromatin/Epigenetics
Pathway:	Aurora Kinase
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥50.2 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.9935 mL	9.9677 mL	19.9354 mL
5 mM	0.3987 mL	1.9935 mL	3.9871 mL
10 mM	0.1994 mL	0.9968 mL	1.9935 mL

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

Biological Activity

Shortsummary	Aurora kinase inhibitor,novel and potent
IC_{50} & Target	27 nM (Aurora A), 135 nM (Aurora B), 120 nM (Aurora C)

In Vitro

Cell Viability Assay

Cell Line:	HeLa, HCT116, HT29, LOVO, DU145, and NHDF 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:	0.5 μM

	Applications:	PHA680632 in association with radiation led to additive effects in cancer cells, especially in the p53-deficient cells. Combined ionising radiation (IR) and treatment of PHA680632 (100–400 nM) prior to IR led to an enhancement of radiation-induced Annexin V positive cells, micronuclei formation, and Brca1 foci formation only in HCT116 cells with deficient p53, other than the p53 wild-type counterparts. PHA-680632 showed potent anti-proliferative effects in a wide range of cell types with IC50 values of 0.06–7.15 μM, including HeLa, HCT116, HT29, LOVO, DU145, and NHDF cells. PHA-680632 (0.5 μM) caused polyploidy in tumor cells.
In Vivo	**Animal experiment**	
	Animal models:	Mice xenografts models of HL60, A2780, and HCT116 cells, mouse mammary tumor virus v-Ha-ras transgenic mice
	Dosage form:	Subcutaneous injection, 15–60 mg/kg
	Applications:	HA-680632 (15–60 mg/kg) inhibited tumor growth in mice xenografts models of HL60, A2780, and HCT116 cells, by reducing tumor cell proliferation and increasing apoptosis. PHA-680632 (45 mg/kg) suppressed growth of activated ras-driven mammary tumors in mouse mammary tumor virus v-Ha-ras transgenic mice and results in complete tumor stabilization and partial regression.
	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

See more customer validations on www.apexbt.com.

References

[1]. Soncini, C., Carpinelli, P., Gianellini, L., Fancelli, D., Vianello, P., Rusconi, L., ... & Ceruti, R. (2006). PHA-680632, a novel Aurora kinase inhibitor with potent antitumoral activity. Clinical Cancer Research, 12(13), 4080-4089.

[2]. Tao, Y., Zhang, P., Frascogna, V., Lecluse, Y., Auperin, A., Bourhis, J., & Deutsch, E. (2007). Enhancement of radiation response by inhibition of Aurora-A kinase using siRNA or a selective Aurora kinase inhibitor PHA680632 in p53-deficient cancer cells. British Journal of Cancer, 97(12), 1664.

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.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com