

Product Name: PD 0332991 (Palbociclib) HCI Revision Date: 01/30/2024

## **Product Data Sheet**

# PD 0332991 (Palbociclib) HCI

Cat. No.: A8316

CAS No.: 827022-32-2 Formula: C24H30CIN7O2

**M.Wt:** 483.99

**Synonyms:** PD0332991;PD-0332991;PD 0332991

Target: Cell Cycle/Checkpoint

Pathway: Cyclin-Dependent Kinases

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Storage: Store at -20°C



## Solvent & Solubility

In Vitro

 $\geqslant$ 2.4mg/mL in DMSO,  $\geqslant$ 24.2mg/mL in H2O,  $\geqslant$ 2.79 mg/mL in EtOH with ultrasonic and warming

Preparing Stock Solutions	Solvent Concentration	1mg	5mg	10mg
	1 mM	2.0662 mL	10.3308 mL	20.6616 mL
	5 mM	0.4132 mL	2.0662 mL	4.1323 mL
	10 mM	0.2066 mL	1.0 <mark>33</mark> 1 mL	2.0662 mL

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

# **Biological Activity**

Shortsummary	CDK4/6 inhibitor,highly selective			
IC <sub>50</sub> & Target	11 nM (CDK4/cyclin D1), 16 nM (CDK6/cyclin D2)			
	Cell Viability Assay			
In Vitro	Cell Line:	MDA-MB-453 cells		
	Preparation method: The solubility of this compound in DMSO is			
	Reacting conditions:	80 nM, 24 hours		
	Applications:	MDA-MB-453 breast carcinoma cells exposed to varying concentrations of PD		
		0332991 for 24 hours showed a significant increase in the percentage of cells		
		in G1 in the presence of as little as 0.04 µmol/L PD 0332991 with a concomitant		

		decline in other phases of the cell cycle. Maximum effects were attained at 0.08		
		µmol/L and an exclusive G1 arrest was maintained even at concentrations as		
		high as 10 µmol/L, consistent with the complete absence of any other effects		
		on the cell cycle.		
	Animal experiment			
	Animal models:	Mice bearing Colo-205 colon carcinoma xenografts		
	Dosage form:	Oral administration, 150 or 75 mg/kg, daily for 14 days		
	Applications:	Administration of PD 0332991 produced rapid tumor regressions and a		
		corresponding tumor growth delay of about 50 days with >1 log of tumor cell kill		
In Vivo		at the highest dose tested. At 37.5 mg/kg, the tumor slowly regressed during		
		treatment. Even at doses as low as 12.5 mg/kg, a 13-day growth delay was		
		obtained indicating a 90% inhibition of tumor growth rate.		
	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		
	40.	system error and it is normal.		

## **Product Citations**

- 1. Gasset-Rosa F, Lu S, et al. "Cytoplasmic TDP-43 De-mixing Independent of Stress Granules Drives Inhibition of Nuclear Import, Loss of Nuclear TDP-43, and Cell Death." Neuron.2019 Apr 17;102(2):339-357.e7.PMID:30853299
- 2. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713
- 3. Azimi A, Caramuta S, et al. "Targeting CDK2 overcomes melanoma resistance against BRAF and Hsp90 inhibitors." Mol Syst Biol. 2018 Mar 5;14(3):e7858.PMID:29507054
- 4. Yuan J, Jiang YY, et al. "Super-Enhancers Promote Transcriptional Dysregulation in Nasopharyngeal Carcinoma." Cancer Res. 2017 Dec 1;77(23):6614-6626.PMID:28951465
- 5. Ma Y, Walsh MJ, et al. "CRISPR/Cas9 Screens Reveal Epstein-Barr Virus-Transformed B Cell Host Dependency Factors." Cell Host Microbe.2017 May 10;21(5):580-591.e7.PMID:28494239

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### References

[1] Fry D W, Harvey P J, Keller P R, et al. Specific inhibition of cyclin-dependent kinase 4/6 by PD 0332991 and associated antitumor activity in human tumor xenografts. Molecular cancer therapeutics, 2004, 3(11): 1427-1438.

### 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

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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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