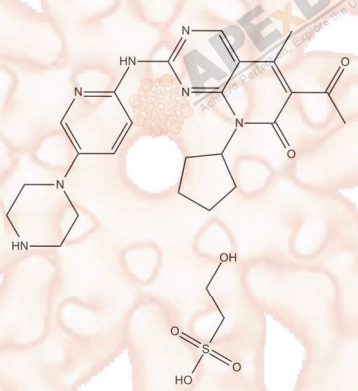
Product Data Sheet

Palbociclib (PD0332991) Isethionate

Cat. No.:	A8335
CAS No.:	827022-33-3
Formula:	C24H29N7O2·C2H6O4S
M.Wt:	573.66
Synonyms:	Palbociclib Isethionate
Target:	Cell Cycle/Checkpoint
Pathway:	Cyclin-Dependent Kinases
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥28.7mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass	1mg	5mg	10mg
1 mM	1.7432 mL	8.7160 mL	17.4319 mL
5 mM	0.3486 mL	1.7432 mL	3.4864 mL
10 mM	0.1743 mL	0.8716 mL	1.7432 mL

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

Biological Activity

Shortsummary	CDK4/6 inhibitor,highly selective
IC_{50} & Target	11 nM (CDK4), 16 nM (CDK6)

In Vitro

Cell Viability Assay

Cell Line:	a composite cell line panel representative of RCC
Preparation method：	The solubility of this compound in DMSO is >28.7mg/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:	starting at 1 μmol/l followed by 12 serial 2:1 dilutions (0.0005-1.00 μM); six days

	Applications:	In renal cell carcinoma (RCC) cell lines, PD0332991 exhibited anti-proliferative effects with IC50 values ranged from 25.0 nM to 700 nM. PD0332991 demonstrated G0/G1 cell-cycle arrest, induction of late apoptosis, and blockade of RB phosphorylation.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing Colo-205 colon carcinoma xenografts (p16 deleted)
	Dosage form:	12.5 mg/kg, 37.5 mg/kg, 75 mg/kg or 150 mg/kg; daily p.o. dosing for 14 days
	Applications:	In mice bearing Colo-205 colon carcinoma xenografts (p16 deleted), PD 0332991 (150 or 75 mg/kg) produced rapid tumor regressions and a corresponding tumor growth delay of ~50 days with >1 log of tumor cell kill at 150 mg/kg. 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 system error and it is normal.

Product Citations

1. Blee AM, He Y, et al. "TMPRSS2-ERG Controls Luminal Epithelial Lineage and Antiandrogen Sensitivity in PTEN and TP53-Mutated Prostate Cancer." Clin Cancer Res. 2018 May 29.PMID:29844131

See more customer validations on www.apexbt.com.

References

[1] Logan JE, Mostofizadeh N, Desai AJ, VON Euw E, Conklin D, Konkankit V, Hamidi H, Eckardt M, Anderson L, Chen HW, Ginther C, Taschereau E, Bui PH, Christensen JG, Belldegrun AS, Slamon DJ, Kabbinavar FF. PD-0332991, a potent and selective inhibitor of cyclin-dependent kinase 4/6, demonstrates inhibition of proliferation in renal cell carcinoma at nanomolar concentrations and molecular markers predict for sensitivity. Anticancer Res. 2013;33(8):2997-3004.

[2] Fry DW, Harvey PJ, Keller PR, Elliott WL, Meade M, Trachet E, Albassam M, Zheng X, Leopold WR, Pryer NK, Toogood PL. Specific inhibition of cyclin-dependent kinase 4/6 by PD 0332991 and associated antitumor activity in human tumor xenografts. Mol Cancer Ther. 2004;3(11):1427-38.

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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