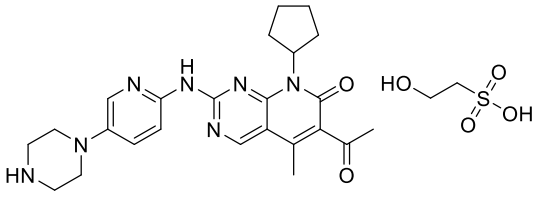
Product data sheet

MedKoo Cat#: 106610 Name: Palbociclib Isethionate CAS#: 827022-33-3 (isethionate) Chemical Formula: $C_{26}H_{35}N_7O_6S$ Molecular Weight: 573.67	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Palbociclib, also known as PD0332991, is an orally available pyridopyrimidine-derived cyclin-dependent kinase (CDK) inhibitor with potential antineoplastic activity. PD-0332991 selectively inhibits cyclin-dependent kinases (particularly Cdk4/cyclin D1 kinase), which may inhibit retinoblastoma (Rb) protein phosphorylation; inhibition of Rb phosphorylation prevents Rb-positive tumor cells from entering the S phase of the cell cycle (arrest in the G1 phase), resulting in suppression of DNA replication and decreased tumor cell proliferation. PD 0332991 is a highly specific inhibitor of cyclin-dependent kinase 4 (Cdk4) (IC50 = 0.011 μmol/L) and Cdk6 (IC50 = 0.016 μmol/L), having no activity against a panel of 36 additional protein kinases. Isethionate was approved on 2/3/2015 for treatment of advanced (metastatic) breast cancer.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	17.4
Water	50.0	87.2

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.74 mL	8.72 mL	17.43 mL
5 mM	0.35 mL	1.74 mL	3.49 mL
10 mM	0.17 mL	0.87 mL	1.74 mL
50 mM	0.03 mL	0.17 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Conroy LR, Lorkiewicz P, He L, Yin X, Zhang X, Rai SN, Clem BF. Palbociclib treatment alters nucleotide biosynthesis and glutamine dependency in A549 cells. Cancer Cell Int. 2020 Jul 1;20:280. doi: 10.1186/s12935-020-01357-x. PMID: 32624705; PMCID: PMC7329430.
2. Sun Y, Sun Y, Yan K, Li Z, Xu C, Geng Y, Pan C, Chen X, Zhang L, Xi Q. Potent anti-tumor efficacy of palbociclib in treatment-naïve H3.3K27M-mutant diffuse intrinsic pontine glioma. EBioMedicine. 2019 May;43:171-179. doi: 10.1016/j.ebiom.2019.04.043. Epub 2019 May 3. PMID: 31060906; PMCID: PMC6558223.

In vivo study

1. Wang TH, Chen CC, Leu YL, Lee YS, Lian JH, Hsieh HL, Chen CY. Palbociclib induces DNA damage and inhibits DNA repair to induce cellular senescence and apoptosis in oral squamous cell carcinoma. J Formos Med Assoc. 2020 Dec 18:S0929-6646(20)30609-4. doi: 10.1016/j.jfma.2020.12.009. Epub ahead of print. PMID: 33342707.

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2. Hou YB, Ji K, Sun YT, Zhang LN, Chen JJ. CDK4/6 inhibitor palbociclib suppresses IgE-mediated mast cell activation. J Transl Med. 2019 Aug 20;17(1):276. doi: 10.1186/s12967-019-2026-9. PMID: 31429774; PMCID: PMC6702723.

7. Bioactivity

Biological target:

Palbociclib (PD 0332991) monohydrochloride is a CDK4/6 inhibitor with IC50s of 11 nM and 16 nM, respectively.

In vitro activity

In the current study, activation of RB via treatment with the CDK4/6 inhibitor palbociclib in A549 lung adenocarcinoma cells results in a metabolic shift wherein palbociclib alters aspects of glucose and glutamine utilization. Specifically, palbociclib decreases glucose metabolism through the PPP via inhibition of G6PD activity (Fig. 3a–c), while increasing glutaminolysis to maintain basal mitochondrial function (Fig. 6c, f). Moreover, both changes observed were rescued upon knockdown of RB, suggesting the metabolic consequences of CDK4/6 inhibition in A549 cells are RB-dependent.

Reference: Cancer Cell Int. 2020; 20: 280. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7329430/

In vivo activity

Mice were sensitized by repeated administration of OVA (ovalbumin) with alum adjuvant, and anaphylaxis was induced with an intraperitoneal OVA challenge, as shown in Fig. 5a. Ketotifen treatment was as positive control. OVA mice exhibited decreasing rectal temperatures 30–50 min after the OVA challenge injection, and these temperature reductions were attenuated by palbociclib (Fig. 5b). Concomitantly, total serum IL-4 and IL-10 levels reflective of inflammation were increased after the OVA challenge and those increases were suppressed by palbociclib (Fig. 5c, d).

Reference: J Transl Med. 2019; 17: 276. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6702723/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.