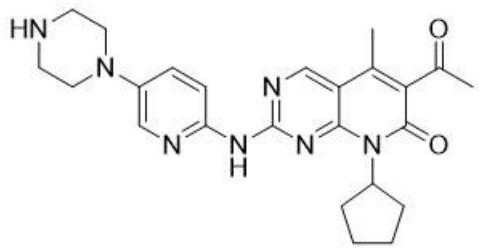
Product data sheet

MedKoo Cat#: 123215 Name: Palbociclib free base CAS#: 571190-30-2 (free base) Chemical Formula: $C_{24}H_{29}N_7O_2$ Exact Mass: 447.23827 Molecular Weight: 447.54	
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 PD-0332991, is an orally available cyclin-dependent kinase (CDK) inhibitor with potential antineoplastic activity. Palbociclib selectively inhibits cyclin-dependent kinase 4 (CDK4) and 6 (CDK6), thereby inhibiting retinoblastoma (Rb) protein phosphorylation early in the G1 phase leading to cell cycle arrest. This suppresses DNA replication and decreases tumor cell proliferation. CDK4 and 6 are serine/threonine kinases that are upregulated in many tumor cell types and play a key role in the regulation of cell cycle progression. Palbociclib, was approved on February 3, 2015 as a treatment (in combination with letrozole) for patients with estrogen receptor-positive advanced 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	25.0	55.86

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.23	11.17	22.34
5 mM	0.45	2.23	4.47
10 mM	0.22	1.12	2.23
50 mM	0.04	0.22	0.45

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. Li L, Tao X, Li Y, Gao Y, Li Q. CDC37L1 acts as a suppressor of migration and proliferation in gastric cancer by down-regulating CDK6. J Cancer. 2021 Mar 31;12(11):3145-3153. doi: 10.7150/jca.56097. PMID: 33976724; PMCID: PMC8100790.

2. Heckler M, Ali LR, Clancy-Thompson E, Qiang L, Ventre KS, Lenehan P, Roehle K, Luoma A, Boelaars K, Peters V, McCreary J, Boschert T, Wang ES, Suo S, Marangoni F, Mempel TR, Long HW, Wucherpfennig KW, Dougan M, Gray NS, Yuan GC, Goel S, Tolaney SM, Dougan SK. Inhibition of CDK4/6 promotes CD8 T-cell memory formation. Cancer Discov. 2021 May 3:candisc.1540.2020. doi: 10.1158/2159-8290.CD-20-1540. Epub ahead of print. PMID: 33941591.

In vivo study

1. Zhang Y, Jin B, Miller HD, Ge D, Zhang X, You Z. CDK4/6 inhibitor palbociclib reduces inflammation in lupus-prone mice. Am J Clin Exp Urol. 2021 Feb 15;9(1):32-43. PMID: 33816692; PMCID: PMC8012823.

2. Guo C, Guo Y, Liu J, Gao Y, Wei M, Zhao R, Chen M, Zhang G. The G1 phase optical reporter serves as a sensor of CDK4/6 inhibition in vivo. Int J Biol Sci. 2021 Jan 31;17(3):728-741. doi: 10.7150/ijbs.52101. PMID: 33767584; PMCID: PMC7975702.

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7. Bioactivity

Biological target:

Palbociclib (PD 0332991) is a selective CDK4 and CDK6 inhibitor with IC50s of 11 and 16 nM, respectively.

In vitro activity

This study aimed to explore the expression and function of CDC37L1 in gastric cancer (GC). To confirm whether CDC37L1 suppresses cell growth through decreasing CDK6 expression in GC, cell proliferation assays were performed after GC cells were treated with Palbociclib, an inhibitor of CDK4/6. Strikingly, Palbociclib significantly abolished the effects of CDC37L1 on cell growth in MGC-803 and BGC-823 cells (Figure6A). Similarly, CDC37L1 silencing increased the numbers of colonies compared with control, while there was no significant difference between the LvshCDC37L1 combined with Palbociclib group and the LvNC combined with Palbociclib group (Figure6B). In addition, flow cytometry analysis revealed that CDC37L1 knockdown led to much more cells in S phase of cell cycle, whereas this increase in S phase cells could be hindered by Palbociclib treatment (Figure6C). These results demonstrated that Palbociclib could inhibit CDC37L1 knockdown induced GC cell proliferation, further suggested the functional relevance between CDC37L1 and CDK6.

J Cancer. 2021; 12(11): 3145–3153.https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8100790/

In vivo activity

The objective of this study was to explore the effects of palbociclib (a CDK4/6 inhibitor) on inflammation in a lupus-prone MRL-lpr mouse model. Twenty mice (10 females and 10 males) were randomized into control group (n=10, treated with vehicle) and treatment group (n=10, treated with 3 cycles of palbociclib at a dose of 120 mg/kg/day for 2 weeks on and 10 weeks off, through oral gavage). Palbociclib treatment was able to reduce inflammation in the facial skin and lymph nodes, two main clinical features of lupus in the female mice. Splenomegaly was obvious in both female and male mice. However, palbociclib treatment did not inhibit the spleen enlargement. It was noted that palbociclib treatment slightly decreased the spleen weight of the female mice, but the decrease was not statistically significant. Palbociclib treatment was only able to inhibit nephritis in the male mice, but not the female mice. These findings suggest that palbociclib has certain gender-/organ-specific actions, the underlying mechanisms of which are unknown. Both female and male mice showed mild inflammatory cell infiltration in the lungs. Palbociclib treatment did not significantly reduce or increase the mild pulmonary inflammation. In conclusion, the findings suggest that palbociclib treatment reduces inflammation in lupus-prone mice in a gender-specific manner, targeting the facial skin and lymph nodes in the female mice and the kidneys in the male mice.

Am J Clin Exp Urol. 2021; 9(1): 32–43. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8012823/

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.