

## Product Data Sheet

Product Name: Palbociclib hydrochloride

Cat. No.: GC74161

### Chemical Properties

Cas. No. 571189-11-2

Formula  $C_{24}H_{30}ClN_7O_2$  M.Wt 514.99

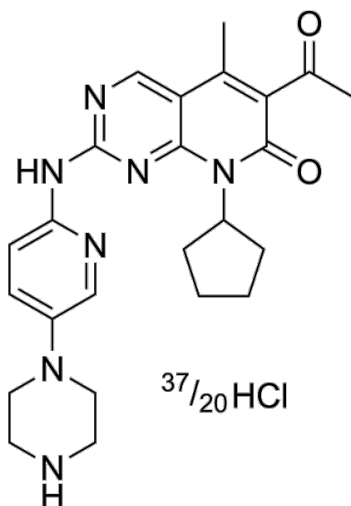
Solubility  $H_2O$  : 2 mg/mL (3.88 mM; ultrasonic and warming and heat to 60°C);  
DMSO : 1.25 mg/mL (2.43 mM; ultrasonic and warming and heat to 60°C)

Storage 4°C, sealed storage, away from moisture

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



### Background

Palbociclib (PD 0332991) drochloride is an orally active selective CDK4 and CDK6 inhibitor with IC50 values of 11 and 16 nM, respectively. Palbociclib drochloride has potent anti-proliferative activity and

**Caution: Product has not been fully validated for medical applications. For research use only.**

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induces cell cycle arrest in cancer cells. Palbociclib drochloride can be used in the research of HR-positive and HER2-negative breast cancer and hepatocellular carcinoma.

Palbociclib (0-1  $\mu$ M, 24 h) drochloride inhibits retinoblastoma phosphorylation at Ser795 in MDA-MB-435 cells with an IC50 value of 0.063  $\mu$ M, and obtains similar effects on both Ser780 and Ser795 phosphorylation in the Colo-205 colon carcinoma[1]. Palbociclib (0-10  $\mu$ M, 24 h) drochloride arrests MDA-MB-453 cells exclusively in G1 phase[1]. Palbociclib (500 nM, 7 days) drochloride increases expression of homologous genes (H2d1, H2k1, and B2m) in MDA-MB-453 and MDA-MB-361 cells[2]. Palbociclib (0-1  $\mu$ M, 6 days) drochloride inhibits growth of several luminal ER-positive as well as HER2-amplified breast cancer cell lines, with IC50 values ranging from 4 nM to 1  $\mu$ M[3]. Palbociclib (0-1  $\mu$ M, 3 days) drochloride inhibits the proliferation of human liver cancer cell lines with IC50 values ranging from 0.01  $\mu$ M to 3.49  $\mu$ M, and induces a reversible cell cycle arrest[4].

Palbociclib (oral administration, 75 or 150 mg/kg, daily for 14 days) drochloride produces rapid tumor regressions and delays tumor growth[1]. Palbociclib (oral administration, 90 mg/kg, daily for 12 days) drochloride reduces Treg numbers and the Treg:CD8 ratio in the spleen and lymph nodes in tumor-free mice, demonstrating the tumor-independent effects[2]. Palbociclib (oral administration, 100 mg/kg, daily for 1 week) drochloride has potent antitumour effects in genetically engineered mosaic mouse model of liver cancer[4].

### References:

- [1]. Fry DW, et al. Specific inhibition of cyclin-dependent kinase 4/6 by PD 0332991 and associated antitumor activity in human tumor xenografts. *Mol Cancer Ther.* 2004 Nov;3(11):1427-38.
- [2]. Goel S, et al. CDK4/6 inhibition triggers anti-tumour immunity. *Nature.* 2017 Aug 24;548(7668):471-475.
- [3]. Richard S Finn, et al. PD 0332991, a selective cyclin D kinase 4/6 inhibitor, preferentially inhibits proliferation of luminal estrogen receptor-positive human breast cancer cell lines in vitro. *Breast Cancer Res.* 2009;11(5):R77.
- [4]. Bollard J, et al. Palbociclib (PD-0332991), a selective CDK4/6 inhibitor, restricts tumour growth in preclinical models of hepatocellular carcinoma. *Gut.* 2017 Jul;66(7):1286-1296.

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