Palbociclib hydrochloride

Cat. No.: HY-50767C

CAS No.: 571189-11-2

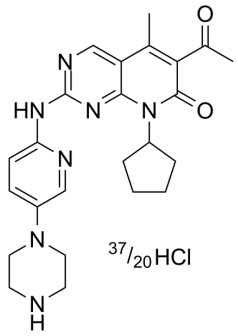
Molecular Formula: $C_{24}H_{30}ClN_7O_2$

Molecular Weight: 514.99

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

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)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9418 mL	9.7089 mL	19.4179 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Palbociclib (PD 0332991) hydrochloride is an orally active selective CDK4 and CDK6 inhibitor with IC_{50} values of 11 and 16 nM, respectively. Palbociclib hydrochloride has potent anti-proliferative activity and induces cell cycle arrest in cancer cells. Palbociclib hydrochloride can be used in the research of HR-positive and HER2-negative breast cancer and hepatocellular carcinoma[1][3][4].

IC_{50} & Target

DYRK1A 2000 nM (IC_{50})	MAPK 8000 nM (IC_{50})	Cdk4/cyclin D3 9 nM (IC_{50})	Cdk4/cyclin D1 11 nM (IC_{50})
Cdk6/cyclin D2 16 nM (IC_{50})			

In Vitro

Palbociclib (0-1 μM, 24 h) hydrochloride inhibits retinoblastoma phosphorylation at Ser^{795} in MDA-MB-435 cells with an IC_{50} value of 0.063 μM, and obtains similar effects on both Ser^{780} and Ser^{795} phosphorylation in the Colo-205 colon carcinoma[1].
Palbociclib (0-10 μM, 24 h) hydrochloride arrests MDA-MB-453 cells exclusively in G1 phase[1].
Palbociclib (500 nM, 7 days) hydrochloride increases expression of homologous genes (H2d1, H2k1, and B2m) in MDA-MB-453 and MDA-MB-361 cells[2].

Palbociclib (0-1 μM, 6 days) hydrochloride inhibits growth of several luminal ER-positive as well as HER2-amplified breast cancer cell lines, with IC_{50} values ranging from 4 nM to 1 μM[3].
Palbociclib (0-1 μM, 3 days) hydrochloride inhibits the proliferation of human liver cancer cell lines with IC_{50} values ranging from 0.01 μM to 3.49 μM, and induces a reversible cell cycle arrest[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	ER-positive as well as HER2-amplified breast cancer cell lines (MDA-MB-175, ZR-75-30, CAMA-1, etc.)
Concentration:	0-1 μM
Incubation Time:	6 days
Result:	Inhibited growth of luminal ER-positive as well as HER2-amplified breast cancer cell lines.

Cell Cycle Analysissup>[1]

Cell Line:	MDA-MB-453 cells
Concentration:	0-1 μM
Incubation Time:	24 h
Result:	Arrested MDA-MB-453 cells in G1.

In Vivo

Palbociclib (oral adminstration, 75 or 150 mg/kg, daily for 14 days) hydrochloride produces rapid tumor regressions and delays tumor growth[1].
Palbociclib (oral adminstration, 90 mg/kg, daily for 12 days) hydrochloride 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) hydrochloride has potent antitumour effects in genetically engineered mosaic mouse model of liver cancer[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice bearing Colo-205 colon carcinoma xenografts (p16 deleted)[1]
Dosage:	75, 150 mg/kg
Administration:	Oral administration; daily for 14 days
Result:	Produced rapid tumor regressions and a corresponding tumor growth delay of ~50 days.

Animal Model:	Tumor-free female FVB mice[2]
Dosage:	90 mg/kg
Administration:	Oral administration; daily for 12 days
Result:	Reduced total thymic mass and immature $CD4^+$ and $CD8^+$ double-positive thymocytes, and increased the fractions of $CD4^+$ and $CD8^+$ single-positive thymocytes.

Animal Model:	Genetically engineered mosaic mouse model of liver cancer (Myc;p53-sgRNA)[4]
Dosage:	100 mg/kg

Administration:	Oral administration; daily for 1 week
Result:	Decreased the luminescence signal in liver and delayed tumour growth.

CUSTOMER VALIDATION

- Nature. 2020 Jul;583(7817):620-624.
- Nature. 2017 Aug 24;548(7668):471-475.
- Nature. 2017 Jun 15;546(7658):426-430.
- Cancer Cell. 2017 Apr 10;31(4):576-590.e8.
- Nat Methods. 2022 Mar;19(3):331-340.

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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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