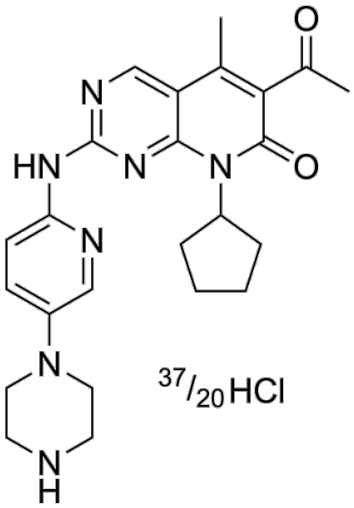
Product Data Sheet

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

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 μM, 24 h) drochloride inhibits retinoblastoma phosphorylation at Ser795 in MDA-MB-435 cells with an IC50 value of 0.063 μM, and obtains similar effects on both Ser780 and Ser795 phosphorylation in the Colo-205 colon carcinoma[1].Palbociclib (0-10 μM, 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 μM, 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 μM[3].Palbociclib (0-1 μM, 3 days) drochloride inhibits the proliferation of human liver cancer cell lines with IC50 values ranging from 0.01 μM to 3.49 μM, and induces a reversible cell cycle arrest[4].

Palbociclib (oral adminstration, 75 or 150 mg/kg, daily for 14 days) drochloride produces rapid tumor regressions and delays tumor growth[1].Palbociclib (oral adminstration, 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.