Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Palbociclib (hydrochloride)

Catalog No: tcsc1327

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
827022-32-2

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

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Form:
Light yellow to yellow (Solid)

Purity / Grade:
99.15%

Solubility:
DMSO : 2 mg/mL (4.13 mM; Need ultrasonic); H2O : 50 mg/mL (103.31 mM; Need ultrasonic)

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Storage Instruction:
Powder: -20°C for 3 years ; 4°C for 2 years In solvent: -80°C for 6 months; -20°C for 1 month

Alternative Names:
PD 0332991 hydrochloride; Pyrido[2,3-d]pyrimidin-7(8H)-one, 6-acetyl-8-cyclopentyl-5-methyl-2-[[5-(1-piperazinyl)-2-pyridinyl]amino]-, hydrochloride (1:1)

Observed Molecular Weight:
483.99

Product Description

Palbociclib hydrochloride is a highly specific inhibitor of **Cdk4** (**IC_{50}**=11 nM) and **Cdk6** (**IC_{50}**=16 nM), having no activity against a panel of 36 additional protein kinases.

IC50 & Target: IC50: 11 nM (Cdk4), 16 nM (Cdk6)[1]

In Vitro: The IC_{50} of Palbociclib (PD 0332991) for reduction of retinoblastoma (Rb) phosphorylation at Ser^{780} in MDA-MB-435 breast carcinoma cells is 66 nM. Palbociclib is equally effective at reducing Rb phosphorylation at Ser^{795} in this tumor with an IC_{50} of 63 nM, and similar effects on both Ser^{780} and Ser^{795} phosphorylation are obtained in the Colo-205 colon carcinoma[1]. The MP-MRT-AN (AN), KP-MRT-RY (RY), G401, and KP-MRT-NS (NS) cell lines are effectively inhibited by Palbociclib (PD) in a concentration-dependent manner in a WST-8 assay. The IC_{50}s are 0.01 μM, 0.01 μM, 0.06 μM, and 0.6 μM, respectively. In contrast, the KP-MRT-YM (YM) cell line is resistant to Palbociclib (IC_{50}>10 μM). The flow cytometry results show that Palbociclib at concentrations between 0 to 1.0 μM induces G1 arrest in the AN, RY, G401 and NS cell lines in a concentration-dependent manner, but has no effect on YM cells. The BrdU incorporation results are consistent with the WST-8 and flow cytometry results: PD reduces BrdU incorporation (indicating G1 arrest) in the AN, RY, G401 and NS cell lines, but not in the YM cell line. Palbociclib, even at a concentration of 0.05 μM, significantly reduces BrdU incorporation in the AN, RY, and G401 cell lines (p[2].

In Vivo: Palbociclib (PD 0332991) exhibits significant antitumor efficacy against multiple human tumor xenograft models. In mice bearing Colo-205 colon carcinoma xenografts (p16 deleted), daily p.o. dosing for 14 days with Palbociclib (150 or 75 mg/kg) produces rapid tumor regressions and a corresponding tumor growth delay of ~50 days with >1 log of tumor cell kill at the highest dose tested. At 37.5 mg/kg, the tumor slowly regressed during treatment. Even at doses as low as 12.5 mg/kg, a 13-day growth delay is obtained indicating a 90% inhibition of tumor growth rate. Likewise, robust antitumor activity is seen in the MDA-MB-435 breast carcinoma (p16 deleted) where complete tumor stasis is apparent at 150 mg/kg and some cell kill is evident at the highest dose[1].

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