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

Palbociclib (isethionate)

Catalog No: tcsc3110

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
827022-33-3

Formula:
$C_{26}H_{35}N_7O_6S$

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Purity / Grade:
>98%

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Solubility:
H2O : ≥ 66.66 mg/mL (116.20 mM)

Alternative Names:
PD 0332991 isethionate

Observed Molecular Weight:
573.66

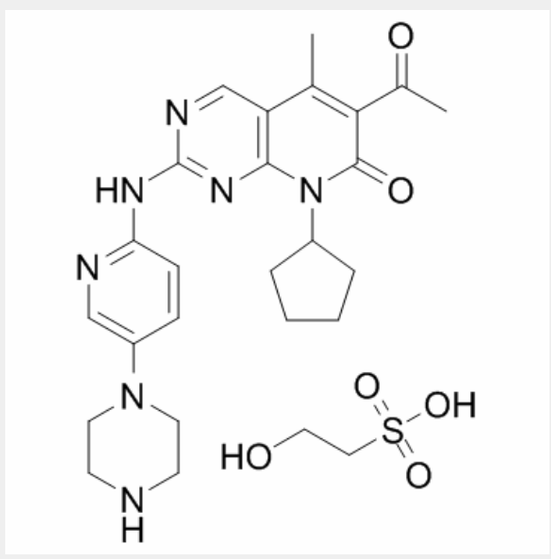
Product Description

Palbociclib (isethionate) is a highly selective inhibitor of **CDK4/6** with **IC_{50}**s of 11 nM/16 nM, and shows no activity against CDK1/2/5, EGFR, FGFR, PDGFR, InsR, etc.

IC50 & Target: IC50: 11 nM (CDK4), 16 nM (CDK6)[1]

In Vitro: Palbociclib exhibits absolute selectivity for CDK4/6 with little or no activity against other CDKs. Palbociclib is effective at reducing Rb phosphorylation at Ser^{780} and Ser^{795} in MDA-MB-435 breast carcinoma cells with IC_{50} of 66 nM and 63 nM, respectively. Palbociclib is a potent inhibitor of cell growth and suppresses DNA replication by preventing cells from entering S phase. Palbociclib inhibits thymidine incorporation into the DNA of Rb-positive human breast (such as MDA-MB-435, MCF-7), colon (H1299), and lung carcinomas (Colo-205) as well as human leukemias (CRRF-CEM and K562), with IC_{50} values ranging from 0.04-0.17 μM. Palbociclib significant increases the percentage of MDA-MB-453 in G1 period[1]. Palbociclib inhibits phosphorylation of Rb in cycling CD138+ primary bone marrow myeloma cells, nontransformed primary B cells, MM1.S and CAG HMCLs cells line with IC_{50} of 50 of appr 0.05 μM[2]. Palbociclib preferentially inhibits proliferation of luminal estrogen receptor-positive (including HER2-positive) human breast cancer cell lines. Palbociclib increases gene expression of pRb and cyclin D1 and decreases gene expression of CDKN2A (p16) in most sensitive lines. Palbociclib enhances sensitivity to tamoxifen in cell lines with conditioned resistance to ER blockade[3].

In Vivo: Palbociclib(150 mg/kg. p.o.) produces rapid Colo-205 colon carcinoma xenografts regressions and a corresponding tumor growth delay. Palbociclib (150 mg/kg, p.o.) induces complete tumor stasis and cell kill in MDA-MB-435 breast carcinoma. Palbociclib (150 mg/kg) also induces significant tumor regression in mice bearing the SF-295 glioblastoma xenografts, and in ZR-75-1 breast and PC-3 prostate tumor models (complete suppression of tumor growth). Palbociclib (150 mg/kg) suppresses Rb Ser^{780} phosphorylation in MDA-MB-435 breast carcinoma over the full 24-hour period. Palbociclib (150 mg/kg) down-regulates expression of four E2F-regulated genes CDC2, CCNE2, TK1, and TOP2A in Colo-205 carcinoma xenografts[1]. Palbociclib also rapidly inhibits myeloma tumor growth[2].



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