Dalpiciclib hydrochloride

Cat. No.: HY-114338A

CAS No.: 2891598-76-6

Molecular Formula: $C_{25}H_{31}ClN_6O_2$

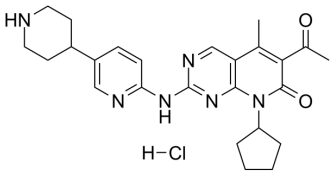
Molecular Weight: 483.01

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

DMSO : 5 mg/mL (10.35 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0704 mL	10.3518 mL	20.7035 mL
	5 mM	0.4141 mL	2.0704 mL	4.1407 mL
	10 mM	0.2070 mL	1.0352 mL	2.0704 mL

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

BIOLOGICAL ACTIVITY

Description

Dalpiciclib (SHR-6390) hydrochloride is an orally active and highly selective inhibitor of CDK4 and 6 with IC_{50} values of 12.4 nM and 9.9 nM, respectively[1][2]. Dalpiciclib hydrochloride shows antitumor activity against breast cancer and esophageal squamous cell carcinoma[1][2][3][4].

IC_{50} & Target

CDK6	CDK4
9.9 nM (IC_{50})	12.4 nM (IC_{50})

In Vitro

Dalpiciclib hydrochloride (0-4 μM, 72 h) inhibits cell proliferation in a dose-dependent manner[3].
Dalpiciclib hydrochloride (0-10 μM, 6 d) inhibits the proliferation of retinoblastoma-positive tumor cell lines[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	Eca 109, Eca 9706 and KYSE-510 ESCC cell lines
Concentration:	0-4 μM
Incubation Time:	72 hours

Result:	Inhibited cell proliferation in a dose-dependent manner, with Eca 109 being the relative sensitive one and Eca 9706 being the relative resistant one.

Cell Viability Assay[4]

Cell Line:	MCF7, MCF7/TR, BT-474/T cell lines
Concentration:	0-10 μM
Incubation Time:	6 days
Result:	Inhibited MCF7/TR cells, parental MCF7 cells and BT-474/T resistant cells with the IC_{50} values of 229.5, 115.4 and 210.7 nM, respectively.

In Vivo

Dalpiciclib hydrochloride (oral gavage; 150 mg/kg; once weekly; 3 weeks) shows antitumor activity against ESCC xenografts [3].

Dalpiciclib hydrochloride combined with Paclitaxel (PTX) or Cisplatin (CDDP) offer synergistic inhibitory effects in ESCC xenografts[3].

Dalpiciclib hydrochloride (oral gavage; 37.5 mg/kg, 75 mg/kg, 150 mg/kg; once daily; 30 days) shows antitumor activity in human xenograft models [4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID mice (ESCC PDXs models)[3]
Dosage:	150 mg/kg
Administration:	Oral gavage; 150 mg/kg; once weekly; 3 weeks
Result:	Suppressed the growth of tumor.

Animal Model:	5-week-old female Balb/cA-nude mice subcutaneously inoculated MCF7/ARO, COLO 205 and U87MG[4]
Dosage:	37.5 mg/kg, 75 mg/kg, 150 mg/kg
Administration:	Oral gavage; 37.5 mg/kg, 75 mg/kg, 150 mg/kg; once daily; 30 days
Result:	Caused regression of all tumor xenografts at the highest dose tested.

REFERENCES

[1]. Jose Manuel Perez-Garcia, et al. Perez-Garcia JM, Cortes J, Llombart-Cussac A. CDK4/6 inhibitors in breast cancer: spotting the difference. Nat Med. 2021 Nov;27(11):1868-1869.

[2]. Pin Zhang, et al. A phase 1 study of dalpiciclib, a cyclin-dependent kinase 4/6 inhibitor in Chinese patients with advanced breast cancer. Biomark Res. 2021 Apr 12;9(1):24.

[3]. Jiayuan Wang, et al. CDK4/6 inhibitor-SHR6390 exerts potent antitumor activity in esophageal squamous cell carcinoma by inhibiting phosphorylated Rb and inducing G1 cell cycle arrest. J Transl Med. 2017 Jun 2;15(1):127.

[4]. Fei Long, et al. Preclinical characterization of SHR6390, a novel CDK 4/6 inhibitor, in vitro and in human tumor xenograft models. Cancer Sci. 2019 Apr;110(4):1420-1430.

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

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