Dalpiciclib

Cat. No.: HY-114338

CAS No.: 1637781-04-4

Molecular Formula: $C_{25}H_{30}N_6O_2$

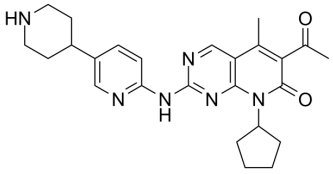
Molecular Weight: 446.54

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (111.97 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2394 mL	11.1972 mL	22.3944 mL
	5 mM	0.4479 mL	2.2394 mL	4.4789 mL
	10 mM	0.2239 mL	1.1197 mL	2.2394 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.60 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.60 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.60 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Dalpiciclib (SHR-6390) 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 shows antitumor activity against breast cancer and esophageal squamous cell carcinoma[1][2][3][4].

IC_{50} & Target

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

In Vitro

Dalpiciclib (0-4 μM, 72 h) inhibits cell proliferation in a dose-dependent manner[3].
Dalpiciclib (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 (oral gavage; 150 mg/kg; once weekly; 3 weeks) shows antitumor activity against ESCC xenografts[3].
Dalpiciclib combined with Paclitaxel (PTX) or Cisplatin (CDDP) offer synergistic inhibitory effects in ESCC xenografts[3].
Dalpiciclib (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.

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