TAK-960

Cat. No.: HY-15160

CAS No.: 1137868-52-0

Molecular Formula: $C_{27}H_{34}F_3N_7O_3$

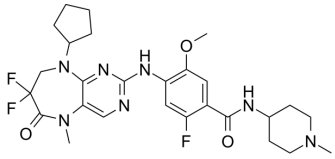
Molecular Weight: 561.6

Target: Polo-like Kinase (PLK)

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (29.68 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7806 mL	8.9031 mL	17.8063 mL
	5 mM	0.3561 mL	1.7806 mL	3.5613 mL
	10 mM	0.1781 mL	0.8903 mL	1.7806 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: ≥ 1.67 mg/mL (2.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (2.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAK-960 is an orally available, selective inhibitor of polo-like kinase 1 (PLK1), with an IC_{50} of 0.8 nM. TAK-960 also shows inhibitory activities against PLK2 and PLK3, with IC_{50}s of 16.9 and 50.2 nM, respectively. TAK-960 inhibits proliferation of multiple cancer cell lines and exhibits significant efficacy against multiple tumor xenografts[1].

IC_{50} & Target

PLK1 0.8 nM (IC_{50})	PLK2 16.9 nM (IC_{50})	PLK3 50.2 nM (IC_{50})	FAK/PTK2 19.6 nM (IC_{50})
MLCK/MYLK 25.6 nM (IC_{50})	FES/FPS 58.2 nM (IC_{50})		

In Vitro

TAK-960 treatment causes accumulation of G2-M cells, aberrant polo mitosis morphology, and increased phosphorylation of histone H3 (pHH3). TAK-960 (2-1000 nM; 72 hours) inhibits proliferation of multiple cancer cell lines, with mean EC_{50} values ranging from 8.4 to 46.9 nM, but not in nondividing normal cells[1].
TAK-960 (8 nM) leads to G2/M cell cycle arrest without significant cytotoxicity in HeLa cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HT-29, HCT116, COLO320DM, HCT-15, RKO, SW480, K-562….Hela, DU 145 cells
Concentration:	2-1000 nM
Incubation Time:	72 hours
Result:	Inhibited proliferation of human cancer cell lines regardless of TP53 and KRAS mutation and MDR1 expression status.

In Vivo

TAK-960 exhibits (10 mg/kg; p.o.; once daily for 2 weeks) significant efficacy against multiple tumor xenografts[1].
In animal models, TAK-960 (p.o.) increases pHH3 in a dose-dependent manner and significantly inhibits the growth of HT-29 colorectal cancer xenografts[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	nude mice or SCID mice (bearing HCT116, PC-3, BT474, A549, NCI-H1299, NCI-H1975, A2780, and MV4-11 cells)[1]
Dosage:	10 mg/kg
Administration:	P.o.; once daily for 2 weeks
Result:	Substantial antitumor activity and good tolerability.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cancer Lett. 2020 Oct 28;491:50-59.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hikichi Y, et al. TAK-960, a novel, orally available, selective inhibitor of polo-like kinase 1, shows broad-spectrum preclinical antitumor activity in multiple dosing regimens. Mol Cancer Ther. 2012 Mar;11(3):700-9.

[2]. Inoue M, et al. PLK1 blockade enhances therapeutic effects of radiation by inducing cell cycle arrest at the mitotic phase. Sci Rep. 2015 Oct 27;5:15666.

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