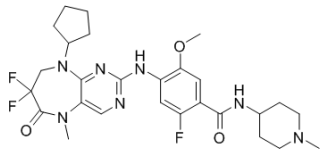
TAK-960

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 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (3.56 mM; Need ultrasonic)

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	---	---	---
	10 mM	---	---	---

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

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.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA