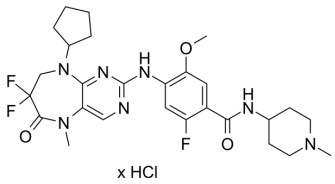
TAK-960 hydrochloride

Cat. No.:	HY-15160A
CAS No.:	1137868-96-2
Molecular Formula:	$C_{27}H_{34}F_3N_7O_3.ClH$
Target:	Polo-like Kinase (PLK)
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TAK-960 hydrochloride is an orally available, selective inhibitor of polo-like kinase 1 (PLK1), with an IC_{50} of 0.8 nM. TAK-960 hydrochloride also shows inhibitory activities against PLK2 and PLK3, with IC_{50}s of 16.9 and 50.2 nM, respectively. TAK-960 hydrochloride 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 hydrochloride treatment causes accumulation of G2-M cells, aberrant polo mitosis morphology, and increased phosphorylation of histone H3 (pHH3). TAK-960 hydrochloride (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 hydrochloride (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 hydrochloride exhibits (10 mg/kg; p.o.; once daily for 2 weeks) significant efficacy against multiple tumor xenografts [1].

In animal models, TAK-960 hydrochloride (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.

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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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