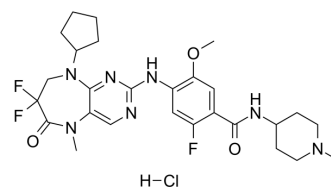


TAK-960 monohydrochloride

Cat. No.:	HY-15160C
CAS No.:	2108449-45-0
Molecular Formula:	C ₂₇ H ₃₅ ClF ₃ N ₇ O ₃
Molecular Weight:	598.06
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 monohydrochloride is an orally available, selective inhibitor of polo-like kinase 1 (PLK1), with an IC ₅₀ of 0.8 nM. TAK-960 monohydrochloride also shows inhibitory activities against PLK2 and PLK3, with IC ₅₀ s of 16.9 and 50.2 nM, respectively. TAK-960 monohydrochloride inhibits proliferation of multiple cancer cell lines and exhibits significant efficacy against multiple tumor xenografts ^[1] .								
In Vitro	<p>TAK-960 monohydrochloride treatment causes accumulation of G2-M cells, aberrant polo mitosis morphology, and increased phosphorylation of histone H3 (pHH3). TAK-960 monohydrochloride (2-1000 nM; 72 hours) inhibits proliferation of multiple cancer cell lines, with mean EC₅₀ values ranging from 8.4 to 46.9 nM, but not in nondividing normal cells^[1]. TAK-960 monohydrochloride (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.</p> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HT-29, HCT116, COLO320DM, HCT-15, RKO, SW480, K-562....Hela, DU 145 cells</td> </tr> <tr> <td>Concentration:</td> <td>2-1000 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited proliferation of human cancer cell lines regardless of TP53 and KRAS mutation and MDR1 expression status.</td> </tr> </table>	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.
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In Vivo	<p>TAK-960 monohydrochloride exhibits (10 mg/kg; p.o.; once daily for 2 weeks) significant efficacy against multiple tumor xenografts^[1].</p> <p>In animal models, TAK-960 monohydrochloride (p.o.) increases pHH3 in a dose-dependent manner and significantly inhibits the growth of HT-29 colorectal cancer xenografts^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>nude mice or SCID mice (bearing HCT116, PC-3, BT474, A549, NCI-H1299, NCI-H1975, A2780, and MV4-11 cells)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>P.o.; once daily for 2 weeks</td> </tr> </table>	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		
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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.

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

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