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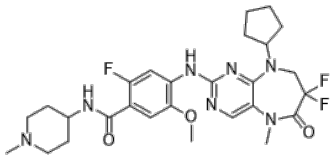
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TAK-960
Cat. No.	:	PC-25813
CAS No.	:	1137868-52-0
Molecular Formula	:	$C_{27}H_{34}F_3N_7O_3$
Molecular Weight	:	561.61
Target	:	Polo-like Kinase (PLK)
Solubility	:	10 mM in DMSO



Biological Activity

TAK-960 is a potent, selective, ATP-competitive, orally available inhibitor of polo-like kinase 1 (PLK1) with IC50 of 1.6 nM in TR-FRET assays.
TAK-960 inhibits full-length PLK1 protein with IC50 of 0.8 nM, relatively inhibits PLK2 and PLK3 with IC50 of 16.9 and 50.2 nM, weakly inhibits FAK, MLCK, and FES kinases against a panel of 288 kinases.
TAK-960 (10-30 nM) induces accumulation of aberrant mitotic cells in HT-29 cells.
TAK-960 inhibits proliferation of human cancer cell lines regardless of TP53 and KRAS mutation and MDR1 expression status.
TAK-960 treatment caused accumulation of G2–M cells, aberrant polo mitosis morphology, and increased phosphorylation of histone H3 (pHH3) in vitro and in vivo.
TAK-960 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.
TAK-960 significantly inhibited the growth of HT-29 colorectal cancer xenografts, exhibited significant efficacy against multiple tumor xenografts, including an adriamycin/paclitaxel-resistant xenograft model and a disseminated leukemia model.

References

Hikichi Y, et al. Mol Cancer Ther. 2012 Mar;11(3):700-9.

Nie Z, et al. Bioorg Med Chem Lett. 2013 Jun 15;23(12):3662-6.

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