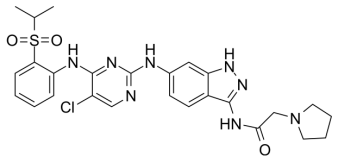
ALK-IN-23

Cat. No.:	HY-151155
CAS No.:	3033549-18-4
Molecular Formula:	$C_{26}H_{29}ClN_8O_3S$
Molecular Weight:	569.08
Target:	Anaplastic lymphoma kinase (ALK)
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ALK-IN-23 is a potent ALK inhibitor with IC_{50} values of 1.6 nM, 0.71 nM and 1.3 nM for ALK^{WT}, ALK^{L1196M} and ALK^{G1202R}. ALK-IN-23 can block cell cycle in G2 phase and induce apoptosis. ALK-IN-23 inhibits cancer cell migration and colony formation in vitro. ALK-IN-23 exhibits antitumor activity in H2228 xenograft nude mice model with hypotoxicity[1].

IC_{50} & Target

IC_{50}: 1.6 nM (ALK^{WT}), 0.71 nM (ALK^{L1196M}), 1.3 nM (ALK^{G1202R})[1]

In Vitro

ALK-IN-23 (compound Y28) (0-5 μM; 72h) has highly inhibitory activity against H3122, H2228, Karpas299 and A549[1].
ALK-IN-23 (25-100 nM; 3 days) clearly reduces the number of H2228 cell colonies, and almost completely abolishes the formation of colonies at 100 nM[1].
ALK-IN-23 (100-200 nM; 48 h) facilitates the apoptosis of H2228 cells[1].
ALK-IN-23 (5-10 nM; 24 and 48 h) is effective to block the migration of most cells at a dose of 10 nM[1].
ALK-IN-23 (25-100 nM; overnight) significantly increases the percentage of cells in the G2 phase[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	H3122, H2228, Karpas299 and A549
Concentration:	0-5 μM
Incubation Time:	72 h
Result:	Exhibited highly inhibitory activity against H3122, H2228, Karpas299 and A549 with IC_{50}s of 12 nM, 17 nM, 15 nM and 1.33 μM.

Apoptosis Analysis[1]

Cell Line:	H2228 cells
Concentration:	100 nM, 200 nM
Incubation Time:	48 h
Result:	Facilitated the apoptosis of H2228 cells in a dose dependent manner and exhibited a more pro-apoptotic effect than that of Ceritinib (HY-15656).

Cell Migration Assay [1]

Cell Line:	H2228 cells
Concentration:	5 nM and 10 nM
Incubation Time:	24 and 48 h
Result:	Blocked the migration of most cells at a dose of 10 nM (migration rate: 24 h 2.31%, 48 h: 5.01%).

Cell Cycle Analysis[1]

Cell Line:	H2228 cells
Concentration:	25 nM, 50 nM, 100 nM
Incubation Time:	Overnight
Result:	Significantly increased the percentage of cells in the G2 phase from 11.28% to 73.23% in a dramatic dose-dependent manner, accompanied by a resultant loss of G1-and S-phase populations.

In Vivo

ALK-IN-23 notes a moderate half-life of 16.3 min and a high intrinsic liver clearance of 152.9 mL/min/kg in rats[1].
ALK-IN-23 (25 and 50 mg/kg; IG; once every 2 days; for 14 days) exhibited gentle antitumor efficacy and no significant weight loss in H2228 xenograft mice model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c nude mice (5×10^6 cells H2228 cells suspended in serum-free media were injected into the flanks)[1]
Dosage:	25 and 50 mg/kg
Administration:	IG; once every 2 days; for 14 days
Result:	Presented moderate antitumor efficacy with the tumor growth inhibition (TGI) of 70.46% at 50 mg/kg. Possessed gentle antitumor efficacy and exhibited no significant weight loss.

REFERENCES

[1]. Yang J, et al. Design, synthesis and antitumor evaluation of ATP dual-mimic 2,4-diarylaminopyrimidine and aminoindazole conjugates as potent anaplastic lymphoma kinase inhibitors. Eur J Med Chem. 2022 Jul 31;241:114626.

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