YLT-11

Cat. No.: HY-115589

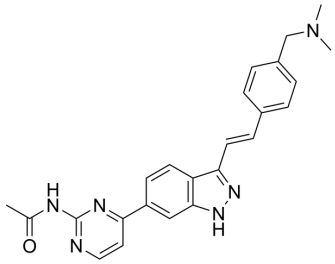
Molecular Formula: $C_{24}H_{24}N_6O$

Molecular Weight: 412.49

Target: Polo-like Kinase (PLK); Apoptosis

Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

YLT-11 is a potent, selective and orally active PLK4 inhibitor with K_d values of >10000, 653, >10000, 5.2 nM for PLK1, PLK2, PLK3, PLK4, respectively. YLT-11 shows antiproliferative activity. YLT-11 induces Apoptosis and cell cycle arrest at G2/M phase. YLT-11 show anticancer activity[1].

IC_{50} & Target

PLK4	PLK2	PLK1	PLK3
5.2 nM (Kd)	653 nM (Kd)	>10000 nM (Kd)	>10000 nM (Kd)

In Vitro

YLT-11 (0-1 μM; 48 h) decreases the expression of p-PLK4 in a dose-dependent manner[1].

YLT-11 (0-0.5 μM; 0-48 h) induces apoptosis and cell cycle arrest at G2/M phase[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231, MDA-MB-468, BT549, MCF-7 cells
Concentration:	0-10 μM
Incubation Time:	24-96 h
Result:	Showed antiproliferative activity in a time-dependent and concentration-dependent manner with IC_{50}s of 120, 68, 73, 74 nM for MDA-MB-231, MDA-MB-468, BT549, MCF-7 cells, respectively.

Western Blot Analysis[1]

Cell Line:	MDA-MB-468, MDA-MB-231 cells
Concentration:	0, 0.25, 0.5, 1 μM
Incubation Time:	48 h
Result:	Decreased the expression of p-PLK4 in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	MDA-MB-468, MDA-MB-231 cells

Concentration:	0.25 μM
Incubation Time:	0-48 h
Result:	Induced cell cycle arrest at G2/M phase.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-468, MDA-MB-231 cells
Concentration:	0, 0.125, 0.25, 0.5 μM
Incubation Time:	24, 48 h
Result:	Induced apoptosis of cancer cells in a time-dependent and concentration-dependent manner with the expression of cleaved caspase-3 and cleaved PARP1 increased.

In Vivo

YLT-11 (30, 90 mg/kg; p.o.; daily for 20 days) shows anti-cancer activity in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old female BALB/c nude mice[1]
Dosage:	30, 90 mg/kg
Administration:	P.o.; daily for 20 days
Result:	Remarkably inhibited the growth of tumor xenografts in a dose-dependent manner.

REFERENCES

[1]. Lei Q, et al. YLT-11, a novel PLK4 inhibitor, inhibits human breast cancer growth via inducing maladjusted centriole duplication and mitotic defect. Cell Death Dis. 2018 Oct 18;9(11):1066.

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