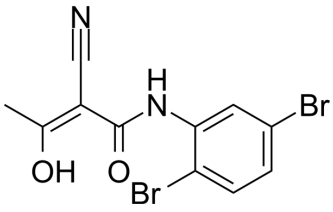
LFM-A13

Cat. No.:	HY-110002
CAS No.:	62004-35-7
Molecular Formula:	$C_{11}H_8Br_2N_2O_2$
Molecular Weight:	360
Target:	Polo-like Kinase (PLK); Btk; JAK
Pathway:	Cell Cycle/DNA Damage; Protein Tyrosine Kinase/RTK; Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description

LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Plx1 and PLK3 with IC_{50}s of 2.5 μM, 10 μM and 61 μM. LFM-A13 has antiproliferative activity and anticancer activity. LFM-A13 can be used in cancer-related research[1][3][4]

IC_{50} & Target

Plx1 10 μM (IC_{50})	PLK3 61 μM (IC_{50})	BRK 267 μM (IC_{50})	BMX 281 μM (IC_{50})
FYN 240 μM (IC_{50})	Met 215 μM (IC_{50})	Btk 2.5 μM (IC_{50})	

In Vitro

LFM-A13 (100 μM; 4 h) inhibits Epo-induced phosphorylation of EpoR, JAK2, BTK, STAT5, and ERK1/2 in R10 cells[2].
LFM-A13 (100 μM; transfection 48 h) inhibits the autophosphorylation of JAK2, Tec and BTK in COS cells without affecting the autophosphorylation of Lyn kinase[2].
LFM-A13 potently inhibits Plx1 with IC_{50} of 10 μM; also inhibits BRK, BMX, FYN and Met with IC_{50}s of 267, 281, 240 and 215 μM, respectively[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	PTK1 cells
Concentration:	100 μM
Incubation Time:	2 h
Result:	Significantly arrested cycle progression.

In Vivo

LFM-A13 (10 or 50 mg/kg; i.p.) exhibits anti-tumor effects dose dependently in the MMTV/Neu transgenic mouse model of breast cancer[3].FM-A13 (50 mg/kg; tiw; i.p.) attenuates DMBA-induced mammary tumorigenesis in mice by modulating a variety of factors associated with cell cycle, survival and apoptosis[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MMTV/neu transgenic mouse model[3]
Dosage:	50 or 100 mg/kg
Administration:	Intraperitoneal injection (i.p.); twice a day for 5 consecutive days a week
Result:	Attenuated mammary tumor formation in mice.

Animal Model:	DMBA-induced breast cancer mouse model[4]
Dosage:	50 mg/kg (or combinated with Paclitaxel (HY-B0015) (10 mg/kg; once per week intraperitoneally))
Administration:	Intraperitoneal injection (i.p.); 3 times a week
Result:	Inhibited DMBA-induced mammary tumor incidence, average tumor number, average tumor weight, and size in BALB/c mice. Significantly decreased PLK1, cyclin D1, CDK-4, P53 and Bcl-2 expression, but increased the expression of p21, IκB, Bax and caspase 3 expression in mice.

REFERENCES

[1]. Mahajan S, et al. Rational design and synthesis of a novel anti-leukemic agent targeting Bruton's tyrosine kinase (BTK), LFM-A13 [alpha-cyano-beta-hydroxy-beta-methyl-N-(2, 5-dibromophenyl)propenamide]. J Biol Chem. 1999 Apr 2;274(14):9587-99.

[2]. van den Akker E, et al. The Btk inhibitor LFM-A13 is a potent inhibitor of Jak2 kinase activity. Biol Chem. 2004 May;385(5):409-13.

[3]. Uckun FM, et al. Anti-breast cancer activity of LFM-A13, a potent inhibitor of Polo-like kinase (PLK). Bioorg Med Chem. 2007 Jan 15;15(2):800-14.

[4]. Sahin K, et al. LFM-A13, a potent inhibitor of polo-like kinase, inhibits breast carcinogenesis by suppressing proliferation activity and inducing apoptosis in breast tumors of mice. Invest New Drugs. 2018 Jun;36(3):388-395.

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