Wortmannin

Cat. No.: HY-10197

CAS No.: 19545-26-7

Molecular Formula: $C_{23}H_{24}O_8$

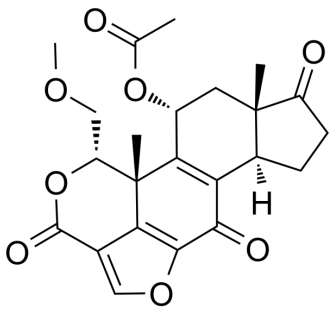
Molecular Weight: 428.43

Target: PI3K; Polo-like Kinase (PLK); Autophagy; Antibiotic

Pathway: PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Autophagy; Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (116.71 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3341 mL	11.6705 mL	23.3410 mL
5 mM	0.4668 mL	2.3341 mL	4.6682 mL
10 mM	0.2334 mL	1.1671 mL	2.3341 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (4.85 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Wortmannin (SL-2052; KY-12420) is a potent, selective and irreversible PI3K inhibitor with an IC_{50} of 3 nM. Wortmannin also blocks autophagy formation, and potently inhibits Polo-like kinase 1 (PlK1) and Plk3 with IC_{50}s of 5.8 and 48 nM, respectively [1][2][3].

IC_{50} & Target

PI3K	DNA-PK	PLK3	ATM
3 nM (IC_{50})	16 nM (IC_{50})	48 nM (IC_{50})	150 nM (IC_{50})

	ATR 1.8 μM (IC_{50})	MLCK 200 nM (IC_{50})	Autophagy

In Vitro

Wortmannin (0-100 nM; 24-72 hours) inhibits the proliferation of K562 cells in a time- and dose-dependent manner. The IC_{50} values at 24 hour, 48 hour, and 72 hour are 25±0.10 nM, 12.5±0.08 nM, and 6.25±0.11 nM, respectively[4].Wortmannin prevents nuclear entry of YAP[6].

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

Cell Proliferation Assay[4]

Cell Line:	K562 cells
Concentration:	0, 6.25, 12.5, 25, 50 and 100 nM
Incubation Time:	0, 24, 48 and 72 hours
Result:	Inhibited the K562 cells proliferation. The IC_{50} value at 24 hour, 48 hour, and 72 hour was 25±0.10 nM, 12.5±0.08 nM, and 6.25±0.11 nM.

In Vivo

Wortmannin (oral gavage; daily; in Scid mice; one group of eight mice is dosed with Wortmannin 1 mg/kg for all 14 days. The second group of eight mice is dosed with Wortmannin 1.5 mg/kg for the first 5 days and the dose is decreased to 1 mg/kg for the remaining treatment period) treatment significantly slower the growth rate of murine C3H mammary tumor and human MCF-7 breast cancer xenograft. A dose of 1 mg/kg Wortmannin for 7 days decrease the tumor burdens in mice with established murine C3H mammary tumors by 54% relative to controls. Human MCF-7 breast cancer xenograft burdens are decreased by 97% relative to controls after 14 days of 1 mg/kg Wortmannin beginning 1 day after tumor implantation[5].

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

Animal Model:	Scid mice with the murine C3H mammary tumor or human MCF-7 breast cancer xenograft [5]
Dosage:	1 mg/kg and 1.5 mg/kg
Administration:	Oral gavage; daily; one group 1 mg/kg for 14 days; second group 1.5 mg/kg for 5 days then 1.0 mg/kg for 9 days.
Result:	The growth rate of the treated tumors was significantly slower during drug administration than that of nontreated tumors.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2022 Dec 9;7(1):388.
- Adv Funct Mater. 2020, 2004940.
- Sci Transl Med. 2021 Jan 27;13(578):eaba7308.
- ACS Nano. 2020 Apr 28;14(4):4890-4904.
- Nat Commun. 2021 Jun 8;12(1):3444.

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REFERENCES

[1]. Yano H, et al. Inhibition of histamine secretion by wortmannin through the blockade of phosphatidylinositol 3-kinase in RBL-2H3 cells. J Biol Chem. 1993 Dec

5;268(34):25846-56.

[2]. Moon EK, et al. Autophagy inhibitors as a potential antiamoebic treatment for Acanthamoeba keratitis. Antimicrob Agents Chemother. 2015 Jul;59(7):4020-5.

[3]. Liu Y, et al. Polo-like kinases inhibited by wortmannin. Labeling site and downstream effects. J Biol Chem. 2007 Jan 26;282(4):2505-11.

[4]. Wu Q, et al. Wortmannin inhibits K562 leukemic cells by regulating PI3k/Akt channel in vitro. J Huazhong Univ Sci Technolog Med Sci. 2009 Aug;29(4):451-6.

[5]. Lemke LE, et al. Wortmannin inhibits the growth of mammary tumors despite the existence of a novel wortmannin-insensitive phosphatidylinositol-3-kinase. Cancer Chemother Pharmacol. 1999;44(6):491-7.

[6]. Liu Y, et al. Wortmannin, a widely used phosphoinositide 3-kinase inhibitor, also potently inhibits mammalianpolo-like kinase. Chem Biol. 2005 Jan;12(1):99-107.

[7]. Pobbati AV, et al. A combat with the YAP/TAZ-TEAD oncoproteins for cancer therapy. Theranostics. 2020 Feb 18;10(8):3622-3635.

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