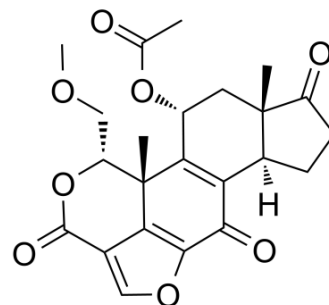


## Wortmannin

<b>Cat. No.:</b>	HY-10197		
<b>CAS No.:</b>	19545-26-7		
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>24</sub> O <sub>8</sub>		
<b>Molecular Weight:</b>	428.43		
<b>Target:</b>	PI3K; Polo-like Kinase (PLK); Autophagy		
<b>Pathway:</b>	PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Autophagy		
<b>Storage:</b>	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 Concentration	Mass		
		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

- 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
- 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
- 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<sub>50</sub> of 3 nM. Wortmannin also blocks autophagy formation, and potently inhibits Polo-like kinase 1 (Plk1) and Plk3 with IC<sub>50</sub>s of 5.8 and 48 nM, respectively [1][2][3].

#### IC<sub>50</sub> & Target

PI3K 3 nM (IC <sub>50</sub> )	DNA-PK 16 nM (IC <sub>50</sub> )	PLK3 48 nM (IC <sub>50</sub> )	ATM 150 nM (IC <sub>50</sub> )
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	ATR 1.8 $\mu$ M (IC <sub>50</sub> )	MLCK 200 nM (IC <sub>50</sub> )	Autophagy
<b>In Vitro</b>	<p>Wortmannin (0-100 nM; 24-72 hours) inhibits the proliferation of K562 cells in a time- and dose-dependent manner. The IC<sub>50</sub> values at 24 hour, 48 hour, and 72 hour are 25<math>\pm</math>0.10 nM, 12.5<math>\pm</math>0.08 nM, and 6.25<math>\pm</math>0.11 nM, respectively<sup>[4]</sup>. Wortmannin prevents nuclear entry of YAP<sup>[6]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay<sup>[4]</sup></p>		
	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 <sub>50</sub> value at 24 hour, 48 hour, and 72 hour was 25 $\pm$ 0.10 nM, 12.5 $\pm$ 0.08 nM, and 6.25 $\pm$ 0.11 nM.	
<b>In Vivo</b>	<p>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<sup>[5]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		
	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

- Adv Funct Mater. 2020, 2004940.
- ACS Nano. 2020 Apr 28;14(4):4890-4904.
- Small. 2020 Oct 8;e2004172.
- Anal Chem. 2020 Jan 21;92(2):2103-2111.
- Cell Mol Life Sci. 2018 Jul;75(14):2627-2641.

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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

- [2]. Moon EK, et al. Autophagy inhibitors as a potential antiamebic 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 mammalian polo-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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Caution: Product has not been fully validated for medical applications. For research use only.

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