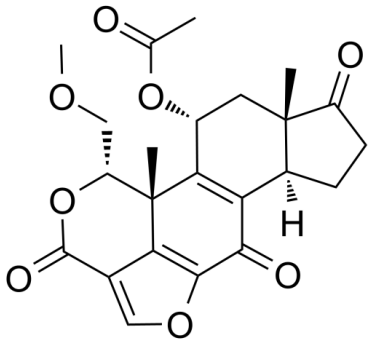
Data Sheet

Product Name:	Wortmannin
Cat. No.:	CS-5073
CAS No.:	19545-26-7
Molecular Formula:	C23H24O8
Molecular Weight:	428.43
Target:	Autophagy; PI3K; Polo-like Kinase (PLK)
Pathway:	Autophagy; Cell Cycle/DNA Damage; PI3K/Akt/mTOR
Solubility:	DMSO : ≥ 50 mg/mL (116.71 mM)



BIOLOGICAL ACTIVITY:

Wortmannin (SL-2052) is a potent, selective and irreversible **PI3K** inhibitor with an **IC_{50}** of 3 nM. wortmannin (SL-2052) 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]. IC50 & Target: IC50: 3 nM (PI3K), 200 nM (MLCK)[1]

IC50: 16 nM (DNA-PK), 150 nM (ATM), 1.8 μM (ATR)[2] **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Wortmannin is formulated in PBS and dimethyl sulfoxide.

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.

CAIndexNames:

3H-Furo[4,3,2-de]indeno[4,5-h]-2-benzopyran-3,6,9-trione, 11-(acetyloxy)-1,6b,7,8,9a,10,11,11b-octahydro-1-(methoxymethyl)-9a,11b-dimethyl-, (1S,6bR,9aS,11R,11bR)-

SMILES:

O=C1C([C@@](CC2)([H])[C@@]3(C)C2=O)=C([C@H](OC(C)=O)C3)[C@]4(C)C5=C1OC=C5C(O[C@@H]4COC)=O

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA