Taiclone®
Quality Guarantee

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Wortmannin

Catalog No: tcsc5073

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:
19545-26-7

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

Pathway:
PI3K/Akt/mTOR;Cell Cycle/DNA Damage;PI3K/Akt/mTOR;Cell Cycle/DNA Damage;PI3K/Akt/mTOR

Target:
DNA-PK;DNA-PK;PI3K;ATM/ATR;ATM/ATR

Form:
Powder : Yellow Solid

Purity / Grade:
99.3%

Solubility:
DMSO : 50 mg/mL (116.7 mM; Need ultrasonic)
Water :Insoluable

Storage Instruction:
Powder -20°C for 3 years Insolvent -80°C for 12 months

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Alternative Names:
SL-2052;KY-12420

Observed Molecular Weight:
428.43

Product Description

Wortmannin is a multi-target inhibitor of **PI3K** and MLCK with **IC_{50}**s of 3 nM and 200 nM, respectively. Wortmannin is also a potent inhibitor of **DNA-PK** (**IC_{50}**, 16 nM) and ATM (IC_{50}, 150 nM). Wortmannin is also a potent inhibitor of Polo-like kinase (**Plk**).

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 irreversibly inhibits phosphatidylinositol 3-kinase (PI3-kinase) activity with binding to the 110-kDa protein (IC_{50} of 3 nM) and has no effect PI4-kinase in RBL-2H3 cells. Wortmannin also inhibits both Fc epsilon RI-mediated histamine secretion and leukotriene release, with no effect on the activation of the tyrosine kinase Lyn[1]. In intact A549 lung adenocarcinoma cells, wortmannin inhibits both DNA-PK and ATM at concentrations that correlated closely with those required for radiosensitization. Furthermore, pretreatment of A549 cells with wortmannin results in radioresistant DNA synthesis, a characteristic abnormality of ATM-deficient cells[2]. The inhibition of MLCK by Wortmannin is not affected by calmodulin or peptide substrat, while reduced by high concentration of ATP. Wortmannin directly interacts with the catalytic domain of MLCK and leads to an irreversible loss of the enzyme activity. Wortmannin has no inhibitory to cAMP-dependent protein kinase, cGMP-dependent protein kinase, and calmodulin-dependent protein kinase II, and has little effect on protein kinase C activity[3]. Wortmannin is also a potent inhibitor of Polo-like kinase 3 (Plk3). Wortmannin potently inhibits the activity of purified Plk3 with an IC_{50} of 48 nM. Wortmannin is a potent inhibitor of Plk1 and AX7503, a tetramethylrhodamine-Wortmannin conjugate, is an activity-dependent probe for labeling Plk1. Wortmannin inhibits Plk1-AX7503 reactivity with a IC_{50} of 5.8 nM. Wortmannin inhibits Plk3 reacting with AX7503 in a dose-dependent manner. The IC_{50} value of Wortmannin for inhibiting labeling of Plk3 by AX7503 is determined to be 49 nM. Wortmannin covalently labels Plk1 and Plk3 by targeting conserved lysine residues in their ATP binding sites. Wortmannin inhibits Plk1 and Plk3 with a potency similar to its inhibition of PI3K. Wortmannin also inhibits Plk2 and Plk4[6].

In Vivo: Wortmannin inhibits phosphatidylinositide 3-kinase-protein kinase B (PKB)/Akt phosphorylation in both normal tissues (lung, heart and brain homogenates) and tumor tissue in mice, without mortality or acute toxicity at 0.7 mg/kg. Combination with LY188011, wortmannin significantly increases apoptosis and inhibits tumor growth in orthotopic tumor, while both monotherapy can not[4]. Wortmannin (1 mg/kg) inhibits peritoneal metastasis of SW1990 in mice, without any weight loss[5].

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