Poloxin

Cat. No.: HY-12134

CAS No.: 321688-88-4

Molecular Formula: $C_{18}H_{19}NO_3$

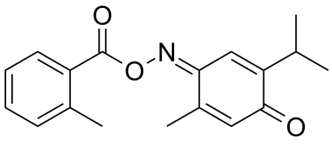
Molecular Weight: 297.35

Target: Polo-like Kinase (PLK)

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (48.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3630 mL	16.8152 mL	33.6304 mL
	5 mM	0.6726 mL	3.3630 mL	6.7261 mL
	10 mM	0.3363 mL	1.6815 mL	3.3630 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: ≥ 1.43 mg/mL (4.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (4.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Poloxin is a non-ATP competitive Polo-like Kinase 1 (PLK1) inhibitor that targets the polo-box domain, with an IC_{50} of appr 4.8 μM.

IC_{50} & Target

PLK1 PBD	PLK2 PBD	PLK3 PBD
4.8 μM (IC_{50})	18.7 μM (IC_{50})	53.9 μM (IC_{50})

In Vitro

Poloxin (25 μM) induces defects in centrosome integrity, spindle formation, and chromosome alignment in mitosis. Centrosomal fragmentation induced by Poloxin is partially rescued by Kiz T379E. Poloxin (25 μM) activates the mitotic checkpoint, induces apoptosis and inhibits proliferation of MDA-MB-231 cells[1]. Poloxin inhibits proliferation in both cell lines with a comparable efficiency through 72 h period[2]. Poloxin inhibits the polo-box domain (PBD) interaction with an

	apparent IC_{50} of ~4.8 μM. Poloxin exhibits a loose Plk1 PBD specificity with 4-10 times higher IC_{50} values for Plk2 and Plk3, and does not significantly inhibit other types of phosphopeptide-binding domains such as FHA, WW, and SH2 domains[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Poloxin (40 mg/kg) decreases the proliferation of MDA-MB-231 cells, and surpresses the growth of the tumor nude mice bearing established xenografts of MDA-MB-231[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cell Viability Assay on treated cells in 96-well plates, based on viable cells. 20 μL of CellTiter-Blue® reagent is added to each well and then incubated at 37°C with 5% CO_2 for 4h before fluorescence reading using a Victor 1420 Multilabel Counter. All experiments are performed in triplicate and at least three independent experiments are performed. Data are presented as percentage compared with control. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Viable MDA-MB-231 or HeLa cells (1×10^6) are resuspended in 300 μL of 0.9% NaCl and s.c. injected into both flanks of nude mice (MDA-MB-231: n=8 mice in each group, total N=16; HeLa: n=7 mice in each group, total N=14). Approximately 3 weeks after inoculation, mice are treated with Poloxin (40 mg/kg) or TQ (20 mg/kg) by intratumoral injection on Mondays, Wednesdays, and Fridays for 5 to 6 weeks. The tumor area is calculated by multiplication of the greatest diameter with the perpendicular diameter every 2 to 3 days. Measurements of all tumors within the group are represented by the mean value. U-tests and Student's t-tests are performed for statistical evaluation among MDA-MB-231 groups and between HeLa groups, respectively. All mice are properly treated in accordance with the guidelines of the local animal committee. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2016 Aug 11;59(15):7089-96.
- Eur J Med Chem. 2016 Nov 29;124:229-236.
- Sci Rep. 2016 Nov 22;5:37581.

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REFERENCES

[1]. Yuan J, et al. Polo-box domain inhibitor poloxin activates the spindle assembly checkpoint and inhibits tumor growth in vivo. Am J Pathol. 2011 Oct;179(4):2091-9.

[2]. Sanhaji M, et al. p53 is not directly relevant to the response of Polo-like kinase 1 inhibitors. Cell Cycle. 2012 Feb 1;11(3):543-53.

[3]. Lee KS, et al. Pinning down the polo-box domain. Chem Biol. 2008 May;15(5):415-6.

[4]. Reindl W, et al. Inhibition of polo-like kinase 1 by blocking polo-box domain-dependent protein-protein interactions. Chem Biol. 2008 May;15(5):459-66.

Caution: Product has not been fully validated for medical applications. For research use only.

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