Proteins

Inhibitors

Pironetin

Cat. No.: HY-116446 CAS No.: 151519-02-7 Molecular Formula: $C_{19}H_{32}O_4$ Molecular Weight: 324.45

Microtubule/Tubulin Target:

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage: Pure form -20°C 3 years

> In solvent -80°C 6 months

> > -20°C 1 month

Product Data Sheet

BIOLOGICAL ACTIVITY

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Doccr	ription	
DESCI	ipuon	

Pironetin is an α/β unsaturated lactone isolated from Streptomyces species. Pironetin binds to α -tubulin and is a potent inhibitor of microtubule polymerization, and has cell cycle arrest and antitumor activity [1][2].

IC₅₀ & Target

Microtubule^[1]

In Vitro

Pironetin (20-100 ng/mL; 24 hours; 3Y1 cells) treatment arrests the cell cycle progression at G2/M in 3Y1 cells^[1].

Pironetin (1-10000 ng/mL; 3 days; HeLa, A2780 and K-NRK cells) treatment inhibits the cell proliferation. IC₅₀ values against these cell lines are almost 10 ng/mL^[1].

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

Cell Cycle Analysis^[1]

Cell Line:	3Y1 cells
Concentration:	20 ng/mL, 50 ng/mL, 100 ng/mL
Incubation Time:	24 hours
Result:	Arrested the cell cycle progression at G2/M in3Y1 cells.
Cell Proliferation Assay ^[1]	
Cell Line:	Hel a A2780 and K-NRK cells

Cell Line:	HeLa, A2780 and K-NRK cells
Concentration:	1 ng/mL, 10 ng/mL, 100 ng/mL, 1000 ng/mL and 10000 ng/mL
Incubation Time:	3 days
Result:	Inhibited the cell proliferation.

In Vivo

Pironetin (0.78-6.25 mg/kg; intraperitoneal injection; daily; for 5 days; female CDF1-SLC mice) treatment shows a moderate antitumor effect, however, a severe weight loss is observed as a side effect $^{[1]}$.

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Animal Model:	Female CDF1-SLC mice (10 weeks) injected with P388 murine leukemia cells ^[1]
Dosage:	0.78 mg/kg, 1.56 mg/kg, 3.13 mg/kg, 6.25 mg/kg
Administration:	Intraperitoneal injection; daily; for 5 days
Result:	Showed a moderate antitumor effect.

REFERENCES

[1]. Kondoh M, et al. Cell cycle arrest and antitumor activity of pironetin and its derivatives. Cancer Lett. 1998 Apr 10;126(1):29-32.

[2]. Yang J, et al. Pironetin reacts covalently with cysteine-316 of α -tubulin to destabilize microtubule.] Nat Commun. 2016 Jun 30;7:12103.

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

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