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Produktinformation

- Forschungsprodukte & Biochemikalien
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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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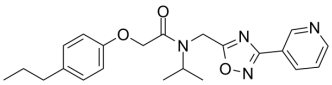
PI-1840



Cat. No.: HY-12286

CAS No.: 1401223-22-0

Molecular Formula: $C_{22}H_{26}N_4O_3$

Molecular Weight: 394.47

Target: Proteasome; Apoptosis; Autophagy; Caspase; Bcl-2 Family; NF-κB; PARP

Pathway: Metabolic Enzyme/Protease; Apoptosis; Autophagy; NF-κB; Cell Cycle/DNA Damage; Epigenetics

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 : 100 mg/mL (253.50 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.5350 mL	12.6752 mL	25.3505 mL
5 mM	0.5070 mL	2.5350 mL	5.0701 mL
10 mM	0.2535 mL	1.2675 mL	2.5350 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: ≥ 2.5 mg/mL (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PI-1840 is a potent and selective chymotrypsin-like (CT-L) inhibitor for with an IC_{50} value of 27 nM. PI-1840 inhibits cell proliferation and arrest cell cycle at G2/M phase. PI-1840 induces apoptosis and induces autophagy. PI-1840 induces the accumulation of proteasome substrates p27, Bax, and IκB-α[1][2].

In Vitro

PI-1840 (5-60 μM; 24 and 48 h) inhibits the proliferation of MG-63 and U2-OS cells[1].
PI-1840 (40 μM (U2-OS cells) and 60 μM (MG-63 cells); 24 and 48 h) induces cell cycle arrest at the G2/M phase[1].
PI-1840 (15-60 μM (MG-63 cells), 10-40 μM (U2-OS cells); 48 h) induces apoptosis through NF-κB pathway in MG-63 and U2-OS cells. PI-1840 induces autophagy in MG-63 and U2-OS cells[1].

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

Cell Viability Assay[1]

Cell Line:	MG-63 and U2-OS cells
Concentration:	5, 10, 20, 40, 80, and 160 μM
Incubation Time:	24 and 48 hours
Result:	Inhibited cell growth in a dose-dependent manner with IC_{50} values of 108.40 μM (MG-63, 24 h), 59.58 μM (MG-63, 48 h), 86.43 μM (U2-OS, 24 h), and 38.83 μM (U2-OS, 48 h), respectively.

Apoptosis Analysis[1]

Cell Line:	MG-63 and U2-OS cells
Concentration:	15, 30, and 60 μM (MG-63 cells), 10, 20, and 40 μM (U2-OS cells)
Incubation Time:	48 hours
Result:	Increased the apoptotic rates of the two cell lines in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	MG-63 and U2-OS cells
Concentration:	40 μM (U2-OS cells) and 60 μM (MG-63 cells)
Incubation Time:	24 and 48 hours
Result:	Increased in the G2/M phase cell population.

Western Blot Analysis[1]

Cell Line:	MG-63 and U2-OS cells
Concentration:	40 μM (U2-OS cells) and 60 μM (MG-63 cells)
Incubation Time:	24 and 48 hours
Result:	Increased the cell cycle regulation-associated proteins about p21, p27 and WEE1.

Western Blot Analysis[1]

Cell Line:	MG-63 and U2-OS cells
Concentration:	15, 30, and 60 μM (MG-63 cells), 10, 20, and 40 μM (U2-OS cells)
Incubation Time:	48 hours
Result:	Increased the ratio of the expression level of (p-IκBα/control)/(IκBα/control), and decreased the ratio of (p-p65/control)/(p65/control). Decreased the expression level of Bcl-2 and the mitochondrial proteins Cyto c. Increased the expression levels of Bax, and the ratios of (cleaved caspase-3/caspase-3, cleaved PARP/PARP, cleaved caspase-8/caspase-8 and cleaved caspase-9/caspase-9. Increased the ratio of LC3 II to LC 3 I, and the expression level of Beclin1.

In Vivo

PI-1840 (150 mg/kg; i.p.; daily, for 14 d) inhibits the growth of human breast tumor xenografts in nude mice[2].

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

Animal Model:	Female nude mice with MDA-MB-231 xenografts[2]
Dosage:	150 mg/kg
Administration:	Intraperitoneal injection; daily, for 14 days
Result:	Inhibited the growth of MDA-MB-231 tumor xenografts by 76%.

REFERENCES

[1]. Kazi A, et, al. Discovery of PI-1840, a novel noncovalent and rapidly reversible proteasome inhibitor with anti-tumor activity. J Biol Chem. 2014 Apr 25;289(17):11906-11915.

[2]. Chen Y, et, al. Non covalent proteasome inhibitor PI 1840 induces apoptosis and autophagy in osteosarcoma cells. Oncol Rep. 2019 May;41(5):2803-2817.

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