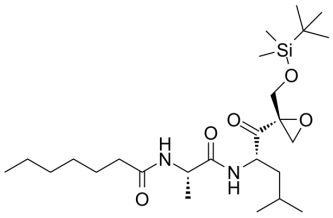
UK-101

Cat. No.:	HY-119037
CAS No.:	1000313-40-5
Molecular Formula:	$C_{25}H_{48}N_2O_5Si$
Molecular Weight:	484.74
Target:	Proteasome; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

UK-101 is a potent and selective immunoproteasome β1i (LMP2) inhibitor with an IC_{50} value of 104 nM, displays 144- and 10-fold selectivity over β1c (IC_{50}=15 μM) and β5 subunit (IC_{50}=1 μM), respectivey[1]. UK-101 induces cell apoptosis and can be used for the study of prostate cancer[2].

IC_{50} & Target

IC50: 104 nM (LMP2)
IC50: 15 μM (immunoproteasome β1c)
IC50: 1 μM (immunoproteasome β5)[1]

In Vitro

UK-101 (2-8 μM; 24 hours) induces cell cycle arrest and increases the number of the PC-3 cells arrest in G1 phase of the cell cycle[2].
UK-101 (2-8 μM; 24 hours) induces cell apoptosis, shows a minimal increase in late apoptosis, but has no significant increase in early apoptosis[2].
UK-101 (1-8 μM; 24 hours) induces cells accumulation in the G1 phase of the cell cycle, it increases p27 accumulation and significantly increases PARP cleavage as a dose-dependent manner[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	PC-3 cells
Concentration:	2 μM; 8 μM
Incubation Time:	24 hours
Result:	Induced G1 cell cycle arrest in PC-3 cells.

Apoptosis Analysis[1]

Cell Line:	PC-3 cells
Concentration:	2 μM; 8 μM
Incubation Time:	24 hours
Result:	Increased cell apoptosis as a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	PC-3 cells
Concentration:	1 μM; 2 μM; 8 μM
Incubation Time:	24 hours
Result:	Increased PARP cleavage and p27 accumulation as a dose-dependent manner.

In Vivo

UK-101 (intraperitoneal injection; 1-3 mg/kg; twice a week; 3 weeks) decreases tumor volume as a dose-dependent manner, it significantly decreases tumor volume at a dose of 3 mg/kg. Additionally, UK-101-treated mice is suffering less systemic toxicity and the weights of mice treated with UK-101 remains steady over the 3-week treatment period[2].

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

Animal Model:	Subcutaneously implanted PC-3 cells in 6-week-old male BALB/c athymic nude mice[2]
Dosage:	1 mg/kg; 3 mg/kg
Administration:	Intraperitoneal injection; twice a week; 3 weeks
Result:	Inhibited tumour growth in the prostate cancer mouse xenograft model.

REFERENCES

[1]. de Bruin G, et al. Structure-based design of β1i or β5i specific inhibitors of human immunoproteasomes. J Med Chem. 2014 Jul 24;57(14):6197-209.

[2]. Wehenkel M, et al. A selective inhibitor of the immunoproteasome subunit LMP2 induces apoptosis in PC-3 cells and suppresses tumour growth in nude mice. Br J Cancer. 2012 Jun 26;107(1):53-62.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

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