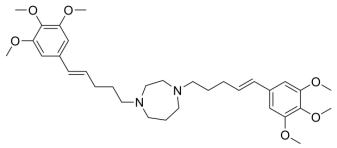
K-7174

Cat. No.:	HY-12743
CAS No.:	191089-59-5
Molecular Formula:	$C_{33}H_{48}N_2O_6$
Molecular Weight:	568.74
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

K-7174 is an orally active proteasome and GATA inhibitor. K-7174 is a cell adhesion inhibitor. K-7174 induces cell apoptosis. K-7174 shows antitumor activities, it can be used for the research of cancer[1][2][3].

In Vitro

K-7174 (10 μM; 1 h) inhibits the adhesion by VCAM-1 and its ligand[1].
K-7174 (1-30 μM; 1 h) dose-dependently suppresses the VCAM-1 expression with an IC_{50} value of 14 μM[1].
K-7174 (1-30 μM; 1 h) dose-dependently suppresses the induction of VCAM-1 mRNA by TNFα with an IC_{50} value of 9 μM[1].
K-7174 (10-20 μM; 24 h) dose-dependently rescues Epo production by Hep3B cells[2].
K-7174 (2.5-30 μM; 24 h) inhibits the binding activity of GATA[2].
K-7174 (0-25 μM; 72 h) inhibits MM cells growth and induces cell apoptosis[3].

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

Cell Viability Assay[3]

Cell Line:	KMS12-BM, U266, and RPMI8226 cell lines
Concentration:	0-25 μM
Incubation Time:	72 h
Result:	Inhibited MM cells growth.

Apoptosis Analysis[3]

Cell Line:	KMS12-BM, U266, and RPMI8226 cell lines
Concentration:	10 μM
Incubation Time:	48 h
Result:	Significantly increased apoptosis of MM cells with the increasing percentage of annexin-V-positive cells.

In Vivo

K-7174 (30 mg/kg; i.p. once daily for 9 days) reverses the decreasing of hemoglobin concentrations and reticulocyte counts by IL-1β or TNF-α[2].
K-7174 (75 mg/kg; i.p. once daily for 14 days) inhibits the tumor growth in vivo[3].
K-7174 (50 mg/kg; p.o. once daily for 14 days) inhibits the tumor growth in vivo and shows a better effect than

intraperitoneal injection[3].

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

Animal Model:	ICR mice with IL-β or TNF-α injection[2]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection; 30 mg/kg once daily for 9 days
Result:	Increased erythropoietin (Epo) production, reticulocyte counts, and hemoglobin (Hb) concentrations

Animal Model:	NOD/SCID mice with murine xenograft[3]
Dosage:	75 mg/kg
Administration:	Intraperitoneal injection; once daily for 14 days
Result:	Significantly decreased tumor volume, but showed a significant body weight reduction after 10 days.

Animal Model:	NOD/SCID mice with murine xenograft[3]
Dosage:	50 mg/kg
Administration:	Oral gavage; once daily for 14 days
Result:	Showed an anti-myeloma activity. Proved oral administration is more effective than intraperitoneal injection.

CUSTOMER VALIDATION

- Cell Rep Med. 2022 Mar 15;3(3):100561.
- Biomaterials. 2021, 120967.
- FASEB J. 2020 Mar;34(3):4462-4481.
- Brain Res. 2022.
- FEBS Open Bio. 2020 Sep;10(9):1880-1890.

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REFERENCES

[1]. Umetani M, et al. A novel cell adhesion inhibitor, K-7174, reduces the endothelial VCAM-1 induction by inflammatory cytokines, acting through the regulation of GATA. Biochem Biophys Res Commun. 2000 Jun 7;272(2):370-4.

[2]. Imagawa S, et al. A GATA-specific inhibitor (K-7174) rescues anemia induced by IL-1beta, TNF-alpha, or L-NMMA. FASEB J. 2003 Sep;17(12):1742-4.

[3]. Kikuchi J, et al. The novel orally active proteasome inhibitor K-7174 exerts anti-myeloma activity in vitro and in vivo by down-regulating the expression of class I histone deacetylases. J Biol Chem. 2013 Aug 30;288(35):25593-602.

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

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