K-7174 dihydrochloride

Cat. No.: HY-12743A

CAS No.: 191089-60-8

Molecular Formula: $C_{33}H_{50}Cl_2N_2O_6$

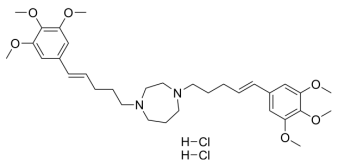
Molecular Weight: 641.67

Target: Proteasome; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 15 mg/mL (23.38 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5584 mL	7.7922 mL	15.5843 mL
5 mM	0.3117 mL	1.5584 mL	3.1169 mL
10 mM	0.1558 mL	0.7792 mL	1.5584 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (15.58 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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

In Vitro

K-7174 dihydrochloride (10 μM; 1 h) inhibits the adhesion by VCAM-1 and its ligand[1].
K-7174 dihydrochloride (1-30 μM; 1 h) dose-dependently suppresses the VCAM-1 expression with an IC_{50} value of 14 μM[1].
K-7174 dihydrochloride (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 dihydrochloride (10-20 μM; 24 h) dose-dependently rescues Epo production by Hep3B cells[2].
K-7174 dihydrochloride (2.5-30 μM; 24 h) inhibits the binding activity of GATA[2].
K-7174 dihydrochloride (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 dihydrochloride (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 dihydrochloride (75 mg/kg; i.p. once daily for 14 days) inhibits the tumor growth in vivo[3].
K-7174 dihydrochloride (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. Porved 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.

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