Epoxomicin

Cat. No.: HY-13821

CAS No.: 134381-21-8

Molecular Formula: $C_{28}H_{50}N_4O_7$

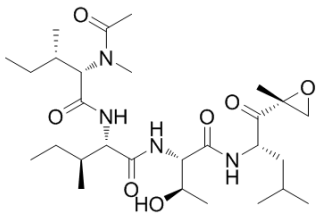
Molecular Weight: 554.72

Target: Proteasome; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (**180.27 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8027 mL	9.0136 mL	18.0271 mL
5 mM	0.3605 mL	1.8027 mL	3.6054 mL
10 mM	0.1803 mL	0.9014 mL	1.8027 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 (4.51 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epoxomicin (BU-4061T) is an epoxyketone-containing natural product and a potent, selective and irreversible **proteasome** inhibitor. Epoxomicin covalently binds to the LMP7, X, MECL1, and Z catalytic subunits of the **proteasome** and potently inhibits primarily the **chymotrypsin-like** activity. Epoxomicin can cross the blood-brain barrier. Epoxomicin has strongly antitumor and anti-inflammatory activity[1][2][3][4][5].

IC_{50} & Target

Proteasome[1]

In Vitro	Epoxomicin shows quite potent cytotoxicities against all of the cells tested. Epoxomicin inhibits the cells growth of B16-F10, HCT116, Moser, P388 and K562 cells of IC_{50} values of 0.002 μg/mL, 0.005 μg/mL, 0.044 μg/mL, 0.002 μg/mL and 0.037 μg/mL[1]. Epoxomicin has antiproliferative activity with an IC_{50} of 4 nM in EL4 lymphoma cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Epoxomicin (0.063-1 mg/kg; intraperitoneal injection; once daily; for 9 days; male BDFX mice) treatment shows significant antitumor effect with the minimumeffective dose of 0.13mg/kg/day[1]. Epoxomicin also effectively inhibits NF-κB activation in vitro and potently blocks in vivo inflammation in the murine ear edema assay[3]. Epoxomicin is injected into adult rats over a period of 2 weeks. After a latency of 1 to 2 weeks, animals developed progressive Parkinsonism with bradykinesia, rigidity, tremor, and an abnormal posture. Postmortem analyses shows striatal dopamine depletion and dopaminergic cell death with apoptosis in the substantia nigra pars compacta[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BDFX mice with B16 melanoma[1]
Dosage:	0.063 mg/kg, 0.13 mg/kg, 0.25 mg/kg, 0.5 mg/kg, 1 mg/kg
Administration:	Intraperitoneal injection; once daily; for 9 days
Result:	Exhibited strong therapeutic activity against B16 melanoma.

CUSTOMER VALIDATION

- **Mol Plant Pathol**. 2018 Dec;19(12):2623-2634.

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REFERENCES

[1]. Kim KB, et al. Proteasome inhibition by the natural products epoxomicin and dihydroeponemycin: insights into specificity and potency. Bioorg Med Chem Lett. 1999 Dec 6;9(23):3335-40.

[2]. Hanada M, et al. Epoxomicin, a new antitumor agent of microbial origin. J Antibiot (Tokyo). 1992 Nov;45(11):1746-52.

[3]. Garrett IR, et al. Selective inhibitors of the osteoblast proteasome stimulate bone formation in vivo and in vitro. J Clin Invest. 2003 Jun;111(11):1771-82.

[4]. McNaught KS, et al. Systemic exposure to proteasome inhibitors causes a progressive model of Parkinson's disease. Ann Neurol. 2004 Jul;56(1):149-62.

[5]. Meng L, et al. Epoxomicin, a potent and selective proteasome inhibitor, exhibits in vivo antiinflammatory activity. Proc Natl Acad Sci U S A. 1999 Aug 31;96(18):10403-8.

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