

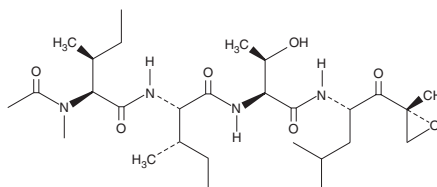
PRODUCT INFORMATION



Epoxomicin

Item No. 10007806

CAS Registry No.: 134381-21-8
Formal Name: N-acetyl-N-methyl-L-isooleucyl-L-isooleucyl-N-[(1S)-3-methyl-1-[[[(2R)-2-methyloxiranyl]carbonyl]butyl]-L-threoninamide
Synonym: BU 4061T
MF: C₂₈H₅₀N₄O₇
FW: 554.7
Purity: ≥98%
Supplied as: A solution in DMSO
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Description

Epoxomicin is a potent anti-tumor agent isolated from *Actinomycetes* that is used as a selective and irreversible inhibitor of the 20S proteasome. It inhibits proteasome activity in cell growth assays with an IC₅₀ value of 4 nM and demonstrates potent cytotoxicity against B16-F10, HCT116, and Moser solid tumor cells, as well as P388 and K562 leukemia cells with IC₅₀ values ranging from 2-44 nM.^{1,2} By inhibiting osteoblast proteasome activity, epoxomicin stimulates bone formation at concentrations as low as 10 nM.³ Intraperitoneal injection of 1.5 mg/kg epoxomicin given daily for two weeks induces Parkinson's-like symptoms in rats and addition of 100 nM epoxomicin to rat ventral midbrain cultures results in apoptosis specific to dopaminergic neurons.^{4,5} Epoxomicin-induced parkinsonism can be a useful model to examine mechanisms and therapies for the disease.

References

1. Kim, K.B., Myung, J., Sin, N., *et al.* Proteasome inhibition by the natural products epoxomicin and dihydroeponemycin: Insights into specificity and potency. *Bioorg. Medicinal Chem. Letters* **9(23)**, 3335-3340 (1999).
2. Hanada, M., Sugawara, K., Kaneta, K., *et al.* Epoxomicin, a new antitumor agent of microbial origin. *J. Antibiotics* **45(11)**, 1746-1752 (1992).
3. Garrett, I.R., Chen, D., Gutierrez, G., *et al.* Selective inhibitors of the osteoblast proteasome stimulate bone formation *in vivo* and *in vitro*. *J. Clin. Invest.* **111(11)**, 1771-1782 (2003).
4. McNaught, K.St.M., Perl, D.P., Brownell, A.-L., *et al.* Systemic exposure to proteasome inhibitors causes a progressive model of Parkinson's disease. *Ann. Neurol.* **56(1)**, 149-162 (2004).
5. Rideout, H.J., Lang-Rollin, I.C.J., Savalle, M., *et al.* Dopaminergic neurons in rat ventral midbrain cultures undergo selective apoptosis and form inclusions, but do not up-regulate iHSP70, following proteasomal inhibition. *J. Neurochem.* **93(5)**, 1304-1313 (2005).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM