

PRODUCT INFORMATION

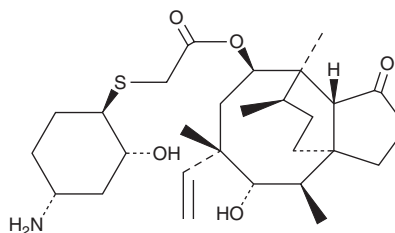


Lefamulin

Item No. 36285

CAS Registry No.: 1061337-51-6
Formal Name: 2-[[[(1R,2R,4R)-4-amino-2-hydroxycyclohexyl]thio]-acetic acid, (3aS,4R,5S,6S,8R,9R,9aR,10R)-6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester

Synonym: BC-3781
MF: C₂₈H₄₅NO₅S
FW: 507.7
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Lefamulin is supplied as a solid. A stock solution may be made by dissolving the lefamulin in the solvent of choice, which should be purged with an inert gas. Lefamulin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of lefamulin in these solvents is approximately 3, 12, and 16 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of lefamulin can be prepared by directly dissolving the solid in aqueous buffers. The solubility of lefamulin in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Lefamulin is a pleuromutilin antibiotic.¹ It is active against methicillin-resistant *S. aureus* (MRSA), *S. pyogenes*, *E. faecium*, *H. influenzae*, *M. catarrhalis*, *L. pneumonophila*, *C. pneumoniae*, and *M. pneumoniae* (MIC₅₀s = 0.006-1 µg/ml). Lefamulin is also active against fluoroquinolone- and macrolide-resistant strains of *N. gonorrhoeae* (MIC₉₀s = 1 mg/L for all). *In vivo*, lefamulin (10-40 mg/kg) reduces the number of colony-forming units (CFUs) in a mouse model of *S. pneumoniae* thigh infection.² It also reduces LPS-induced production of TNF-α, IL-6, IL-1β, and GM-CSF, bronchoalveolar lavage fluid (BALF) neutrophil infiltration, and lung matrix metalloproteinase-9 (MMP-9) levels in a mouse model of LPS-induced neutrophilia.³ Formulations containing lefamulin have been used in the treatment of community-acquired bacterial pneumonia (CABP).

References

1. Veve, M.P. and Wagner, J.L. Lefamulin: Review of a promising novel pleuromutilin antibiotic. *Pharmacotherapy* **38**(9), 935-946 (2018).
2. Wicha, W.W., Craig, W.A., and Andes, D. *In vivo* pharmacodynamics of lefamulin, the first systemic pleuromutilin for human use, in a neutropenic murine thigh infection model. *J. Antimicrob. Chemother.* **74**(Suppl 3), iii5-iii10 (2019).
3. Veve, M.P. and Wagner, J.L. Lefamulin: Review of a promising novel pleuromutilin antibiotic. *Pharmacotherapy* **38**(9), 935-946 (2018).

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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