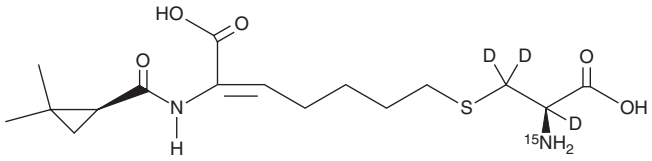
PRODUCT INFORMATION

Cilastatin-^{15}N-d$_3$

Item No. 29098



CAS Registry No.: 2738376-83-3
Formal Name: (Z)-7-((2-(amino-15N)-2-carboxyethyl-1,1,2-d^3)thio)-2-((S)-2,2-dimethylcyclopropane-1-carboxamido)hept-2-enoic acid
MF: $C_{16}H_{23}D_3N[^{15}N]O_5S$
FW: 362.5
Chemical Purity: ≥95% (Cilastatin)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤1% d_0
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

Cilastatin-^{15}N-d$_3$ is intended for use as an internal standard for the quantification of cilastatin (Item No. 23511) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Cilastatin-^{15}N-d$_3$ is supplied as a solid. A stock solution may be made by dissolving the cilastatin-^{15}N-d$_3$ in the solvent of choice. Cilastatin-^{15}N-d$_3$ is soluble in organic solvents such as methanol and DMSO, which should be purged with an inert gas.

Description

Cilastatin is an inhibitor of dipeptidase (dehydropeptidase I), a renal dipeptidase.[1] It inhibits human renal dipeptidase (K_i = 0.7 µM), porcine dipeptidase (IC_{50} = 0.11 µM), and bacterial metallo-β-lactamase CphA from *A. hydrophila* (IC_{50} = 178 µM).[1-3] Cilastatin (200 µg/ml) protects primary porcine renal proximal tubular epithelial cells from nephrotoxicity and apoptosis induced by vancomycin (Item No. 15327).[4] In a mouse model of systemic infection, cilastatin in combination with imipenem (Item No. 16039) protects mice from *S. aureus*, *E. coli*, and *P. aeruginosa* infection.[5] Cilastatin was designed to inhibit renal metabolism of imipenem and prolong its half-life.[2] Formulations containing cilastatin in combination with imipenem have been used to treat susceptible bacterial infections.

References

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2. Kahan, F.M., Kropp, H., Sundelof, J.G., *et al. J. Antimicrob. Chemother.* **12(Suppl. D)**, 1-35 (1983).
3. Keynan, S., Hooper, N.M., Felici, A., *et al. Antimicrob. Agents Chemother.* **39(7)**, 1629-1631 (1995).
4. Humanes, B., Jado, J.C., Camaño, S., *et al. Biomed Res. Int.* 704382 (2015).
5. Petersen, P.J., Jacobus, N.V., Weiss, W.J., *et al. Antimicrob. Agents Chemother.* **35(1)**, 203-207 (1991).

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