

Sulbactam PRODUCT DATA SHEET

issue date 01/06/2020

Product Name: Sulbactam

Product Number: S010

CAS Number: 68373-14-8

Molecular Formula: $C_8H_{11}NO_5S$

Molecular Weight: 233.24

Form: Powder

Appearance: White crystalline powder

Source: Semi-synthetic

Water Content (Karl

Fischer):

Not more than 0.5%

Melting Point: 146°C - 151°C

Optical Rotation: +243° - +258°

Storage Conditions: 2-8 °C

Description:

Sulbactam is an irreversible inhibitor of several bacterial penicillinases and cephalosporinases. In the presence of low concentrations of sulbactam, ampicillin and other beta-lactams readily inhibit the growth of a variety of resistant bacteria that contain beta-lactamases. Sulbactam was first developed by the central research division of pfizer, Inc. and was first described in 1978.

Sulbactam used alone displays only weak antibacterial activity, with the notable exception of its potent effects on susceptible and resistant strains of *Neisseria gonorrhoeae*. Sulbactam sodium appears to be somewhat less potent but markedly more stable (in aqueous solution) than the beta-lactamase inhibitor clavulanic acid.

Sulbactam is able to inhibit the most common forms of β -lactamase but is not able to interact with the AmpC cephalosporinase. Thus, it confers little protection against bacteria such as Pseudomonas aeruginosa, Citrobacter, Enterobacter, and Serratia, which often express this gene.

Sulbactam is commonly combined with ampicillin to form <u>ampicillin/sulbactam</u> (2:1). It does possess some antibacterial activity when administered alone, but it is too weak to have any clinical importance.

Recently, sulbactam has been used in treating *Acinetobacter* septicemia and is receiving renewed interest.

Synonyms: (2S,5R)-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid 4,4-dioxide, Betamaze, CP 45899, CP-45,899, Penicillanic acid 1,1-dioxide, Penicillanic acid S,S-dioxide, Penicillanic acid dioxide, Penicillanic acid sulfone

Mechanism of Action:

Sulbactam contains a beta-lactam ring that binds strongly to beta-lactamase at or near its activation site, thereby permanently inhibiting enzymatic activity. This action protects other beta-lactam antibiotics (penicillins, cephalosporins, etc.) from beta-lactamase catalysis, thereby enhancing their antibacterial activity.

Sulbactam also shows antibacterial activity against various strains, sulbactam able to bind to and inhibit penicillin binding proteins PBP1 and PBP3. This antibacterial activity varies depending on species.

Spectrum:

Antimicrobial activity

Sulbactam has very weak antimicrobial activity against most bacteria. Its only notable activity is against *N. gonorrhoeae*, *N. meningitidisAcinetobacter baumannii*.

Beta-Lactamase activity

Sulbactam inhibits a wide range of group 2 β -lactamases, including those from Staph. aureus, K. pneumoniae and B. fragilis. It is a good-to-moderate inhibitor of the TEM enzymes of groups 2b and 2be but has little effect on group 1, group 2br or group 3 β -lactamases. It does not induce the activity of cephalosporinases from Gram-negative bacteria but is a weak inducer of penicillinases from Staph. aureus.

A concentration of 4–8 mg/L restores the activity of ampicillin for many β-lactamase-producing strains of *Staph. aureus*, *H. influenzae*, *Mor. catarrhalis*, enterobacteria and *B. fragilis*, but there is a large inoculum effect.

Microbiology Applications Sulbactam is commonly used in combination with B-lactam antibiotics to

prevent degredation by B-lactamase enzymes.

Plant Biology Applications Sulbactam can be used in combination with ampicillin and cefoperazone to suppress B-lactamase activity when used in Agrobacterium mediated plant

genetic modifications (Ogawa and Mii, 2004).

References: Sulbactam Sodium. Chemical Book. N.p., 2010. Web. 23 Aug. 2012.

Ogawa Y. and Mii M., Screening for highly active Ë-lactam antibiotics against Agrobacterium tumefaciens. Arch Microbiol, Vol. 181, pp. 331-336, 2004.

Noguchi JA, Gill MA. 1988. Sulbactam: a beta-lactamase inhibitor. Clin Pharm 7:37–51.

Penwell, William F et al. "Molecular mechanisms of sulbactam antibacterial activity and resistance determinants in Acinetobacter baumannii" *Antimicrobial agents and chemotherapy* vol. 59,3 (2015): 1680-9.

English, A. R., Retsema, J. A., Girard, A. E., Lynch, J. E., & Barth, W. E. (1978). CP-45,899, a beta-lactamase inhibitor that extends the antibacterial spectrum of beta-lactams: initial bacteriological characterization. *Antimicrobial agents and chemotherapy*, *14*(3), 414-9.

Qi Jie, et al. "Sulbactam Protects Hippocampal Neurons Against Oxygen-Glucose Deprivation by Up-Regulating Astrocytic GLT-1 via p38 MAPK Signal Pathway" *Frontiers in Molecular Neuroscience* vol. 11, 281 (2018)

If you need any help, contact us: info@toku-e.com. Find more information on: www.toku-e.com/