Cefsulodin sodium

Cat. No.: HY-13588

CAS No.: 52152-93-9

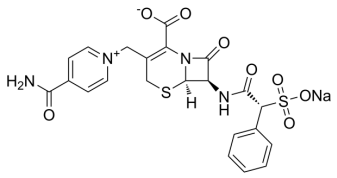
Molecular Formula: $C_{22}H_{19}N_4NaO_8S_2$

Molecular Weight: 554.53

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (90.17 mM; Need ultrasonic)
DMSO : 13.5 mg/mL (24.34 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8033 mL	9.0166 mL	18.0333 mL
5 mM	0.3607 mL	1.8033 mL	3.6067 mL
10 mM	0.1803 mL	0.9017 mL	1.8033 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (180.33 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (4.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (4.06 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cefsulodin (SCE-129) sodium is a third generation β lactam antibiotic and member of the cephems subgroup of antibiotics. Cefsulodin sodium inhibits cell wall synthesis by competitively inhibiting penicillin binding protein (PBP) cross-linking and transpeptidation of peptidogly. Cefsulodin sodium is a potent tyrosine phosphatase inhibitor against mPTPB, a virulent phosphatase from Mycobacterium tuberculosis, with an IC_{50} value of 16 μM[1][2][3][4].

IC_{50} & Target

β-lactam

In Vitro	Cefsulodin sodium (0.5-64 mg/mL; 18 h) is active in minimum inhibitory concentrations (MICs) of 0.5-64 mg/mL, is about 16- to 32-fold more active than Carbenicillin (HY-B0525) against Psuedomonas aeruginosa[1]. Cefsulodin sodium (8-16 μg/mL; 4.5 h) is not hydrolyzed by the beta-lactamase induced in P. aeruginosa by growth in the presence of benzylpenicillin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cefsulodin sodium (1 g/kg/tag; i.p.; 5 d, 9 single doses with intervals of 12 h) shows a increasing excretion of tubule cells in the rat, as a measure of nephrotoxicity, and displays tubule toxic threshold doses of 250 mg/kg (s.c.; 12 d) with nine single doses[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Wistar rats (200 g)[4]
Dosage:	1000 mg/kg/tag
Administration:	Intraperitoneal injection; 5 days; nine single doses, with intervals of 12 h (injection volume: 10 mL)
Result:	Increased in excretion of tubule cells in the 12-hour night urine during five-day treatment.

REFERENCES

[1]. He R, et al. Cefsulodin Inspired Potent and Selective Inhibitors of mPTPB, a Virulent Phosphatase from Mycobacterium tuberculosis. ACS Med Chem Lett. 2015 Nov 3;6(12):1231-5.

[2]. Sack K, et al. Renal tolerance of imipenem/cilastatin and other beta-lactam antibiotics in rats. Infection. 1985;13 Suppl 1:S156-60.

[3]. King A, et al. In vitro antibacterial activity and susceptibility of cefsulodin, an antipseudomonal cephalosporin, to beta-lactamases. Antimicrob Agents Chemother. 1980 Feb;17(2):165-9.

[4]. Gotoh N, et al. Resistance of Pseudomonas aeruginosa to cefsulodin: modification of penicillin-binding protein 3 and mapping of its chromosomal gene. J Antimicrob Chemother. 1990 Apr;25(4):513-23.

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