Cefditoren sodium

Cat. No.: HY-17452

CAS No.: 104146-53-4

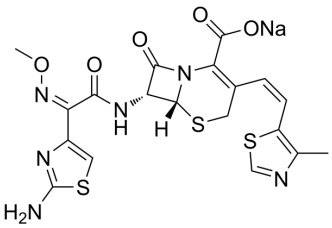
Molecular Formula: $C_{19}H_{17}N_6NaO_5S_3$

Molecular Weight: 528.56

Target: Bacterial; Beta-lactamase

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (189.19 mM; Need ultrasonic)
DMSO : 31.25 mg/mL (59.12 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8919 mL	9.4597 mL	18.9193 mL
5 mM	0.3784 mL	1.8919 mL	3.7839 mL
10 mM	0.1892 mL	0.9460 mL	1.8919 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cefditoren sodium (ME 1206) is a broad-spectrum, third-generation, oral cephalosporin antibacterial with enhanced stability against many common β lactamases. Cefditoren sodium has activity against Gram-negative organisms and Gram-positive organisms. Cefditoren sodium can be used in the research of infection diseases such as acute exacerbations of chronic bronchitis, community-acquired pneumonia (CAP), streptococcal pharyngitis/tonsillitis, or uncomplicated skin and skin structure infections[1][2].

In Vitro

Cefditoren (sodium) shows good activity against pneumoniae, S. pyogenes, Staphylococcus aureus, H. influenzae and H. parainfluenzae, M. catarrhalis[1].

Organism	MIC50 (mg/L)	MIC90 (mg/L)
Gram-positive organisms		
Streptococcus pneumoniae (untyped)[a]	0.015-0.25	0.12-1
PS	≤0.008-0.03	0.015-0.25
PI	0.06-0.5	0.25-0.5
PR	0.25-1	0.5-2
S. pyogenes	≤0.008-0.03	0.015-0.03
Staphylococcus aureus (MS)	0.12-0.5	0.5-1
Gram-negative organisms		
Haemophilus	≤0.008-≤0.03	0.015-0.13
influenzae (untyped)[b]		
β-Lactamase +	≤0.008-0.03	0.015-0.06
β-Lactamase -	≤0.008-0.03	0.015-0.06
H. parainfluenzae[b]	≤0.03	0.06
Moraxella	0.03-0.5	0.25-1
catarrhalis (untyped)[b]		
β-Lactamase +	≤0.03-0.25	0.12-0.5
β-Lactamase -	≤0.008-0.03	0.015-0.06

[a] Including PS, PI and PR strains.
[b] Including both β-lactamase-positive and -negative strains.
$MIC_{50/90}$=mean minimum inhibitory concentrations required to inhibit the growth of 50% or 90% of bacterial strains; MS =susceptible to meticillin; PI=intermediate susceptibility to penicillin; PR=resistant to penicillin; PS=susceptible to penicillin.

In Vivo

Pharmacokinetics in mice[1]

Cefditoren (mg/kg)	C_0 (μg/ml)	t1/2 (h)	AUC_{last} (μg× h/ml)

6.25	53.0	0.9	30.4
12.5	168.4	1.1	64.1
25	232.5	0.9	101.3
50	290.6	1.1	124.4

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wellington K, et al. Cefditoren pivoxil: a review of its use in the treatment of bacterial infections. Drugs. 2004;64(22):2597-618.

[2]. Cafini F, et al. Enhanced in vivo activity of cefditoren in pre-immunized mice against penicillin-resistant S. pneumoniae (serotypes 6B, 19F and 23F) in a sepsis model. PLoS One. 2010 Aug 10;5(8):e12041.

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