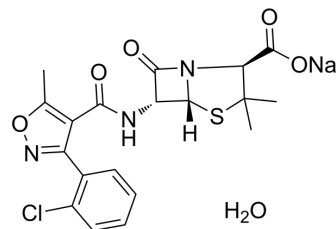


Cloxacillin sodium monohydrate

| | |
|---------------------------|--|
| Cat. No.: | HY-B0466 |
| CAS No.: | 7081-44-9 |
| Molecular Formula: | C ₁₉ H ₁₉ ClN ₃ NaO ₆ S |
| Molecular Weight: | 475.88 |
| Target: | Bacterial; Antibiotic; Beta-lactamase |
| Pathway: | Anti-infection |
| Storage: | 4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen) |



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (210.14 mM; Need ultrasonic)
H₂O : 50 mg/mL (105.07 mM; Need ultrasonic)

| Concentration | Solvent | Mass | | |
|---------------------------|---------|-----------|------------|------------|
| | | 1 mg | 5 mg | 10 mg |
| Preparing Stock Solutions | 1 mM | 2.1014 mL | 10.5069 mL | 21.0137 mL |
| | 5 mM | 0.4203 mL | 2.1014 mL | 4.2027 mL |
| | 10 mM | 0.2101 mL | 1.0507 mL | 2.1014 mL |

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (210.14 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.25 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.25 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cloxacillin sodium monohydrate is an orally active antibacterial agent and β-lactamase inhibitor with an IC₅₀ of 0.04 μM. Cloxacillin sodium monohydrate can suppress the *S. aureus*-induced inflammatory response by inhibiting the activation of MAPKs, NF-κB and NLRP3-related proteins^{[1][2][3]}.

IC₅₀ & Target

Tetracycline

In Vitro

Cloxacillin sodium monohydrate (0-2048 µg/mL; 20-24 h) shows good antibacterial activity for *S. aureus* 8325-4 and DU1090 with MIC values both of 0.125 µg/mL^[1].

Cloxacillin sodium monohydrate (0.015625 µg/mL; 6 h) inhibits the hemolytic activity of Hla in vitro, and this inhibition is not only more pronounced when combined with TZ and TZ, but also suppresses the inflammatory response by inhibiting the activation of MAPKs, NF-κB and NLRP3-related proteins^[1].

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

Cell Viability Assay^[1]

| | |
|------------------|---|
| Cell Line: | <i>S. aureus</i> 8325-4, <i>S. aureus</i> DU1090 (an Hla-deleted strain) |
| Concentration: | 0-2048 µg/mL |
| Incubation Time: | 20-24 h |
| Result: | Inhibited <i>S. aureus</i> 8325-4 and DU1090 with MIC values both of 0.125 µg/mL. |

Western Blot Analysis^[1]

| | |
|------------------|--|
| Cell Line: | <i>S. aureus</i> 8325-4 |
| Concentration: | 0.015625 µg/mL (combines with Thioridazine (TZ, 0.25 µg/mL) and Tetracycline (TC, 0.03125 µg/mL)). |
| Incubation Time: | 6 h |
| Result: | Inhibited the expression of Hla and the inhibition was more pronounced when combined with TZ and TC. |

Western Blot Analysis^[1]

| | |
|------------------|--|
| Cell Line: | RAW264.7 cells (exposes to <i>S. aureus</i> 8325-4/DU1090 or pure Hla) |
| Concentration: | 0.015625 µg/mL (combines with TZ (0.25 µg/mL) and TC (0.03125 µg/mL)). |
| Incubation Time: | 6 h |
| Result: | Inhibited the activation of MAPKs, NF-κB and NLRP3-related proteins thereby inhibiting the inflammatory response when combined with TC and TZ. |

In Vivo

Cloxacillin sodium monohydrate (1.6125 mg/kg; s.c.; 12-h intervals for 72 h) protects mice from *S. aureus* peritonitis in vivo when combines with Thioridazine and Tetracycline^[1].

Cloxacillin sodium monohydrate (7.5 mg/per; i.p.; twice daily from day 3 for 3 days) develops less severe synovitis and reduces bone erosions when combines with anti-IL-15 antibodies^[3].

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

| | |
|-----------------|--|
| Animal Model: | Female BALB/c mice (6-week-old; peritonitis model) ^[1] . |
| Dosage: | 1.6125 mg/kg (combines with TC (3.125 mg/kg) and TZ (25 mg/kg)) |
| Administration: | Subcutaneous injection; 12-h intervals for 72 h. |
| Result: | Reduced the degree of inflammatory cell infiltration in the mouse lung tissue and alveolar structures tended to be normal. Significantly reduced the pathological changes in spleen and liver tissue, as well as decreased the CFU counts of <i>S. aureus</i> in the peritoneal cavity. |

| | |
|-----------------|--|
| Animal Model: | Female wildtype C57BL/6 mice (8-week-old; systemic <i>S. aureus</i> -induced arthritis model) |
| Dosage: | 7.5 mg/per (combines with 25 µg/per anti-IL-15 antibodies) |
| Administration: | Intraperitoneal injection; twice daily from day 3 (after bacterial inoculation) and stopped at day 6. |
| Result: | Showed activities of reducing severe synovitis and bone erosions when combined with anti-IL-15 antibodies. |

CUSTOMER VALIDATION

- Chemosphere. 2019 Jun;225:378-387.
- Int J Biol Macromol. 25 December 2021.
- Biomed Res Int. 2018 Jul 2;2018:3579832.

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REFERENCES

- [1]. Zhou H, et al. The combination of cloxacillin, thioridazine and tetracycline protects mice against *Staphylococcus aureus* peritonitis by inhibiting α -Hemolysin-induced MAPK/NF- κ B/NLRP3 activation. *Int J Biol Macromol.* 2022 Feb 15;198:1-10.
- [2]. Bergmann B, et al. Antibiotics with Interleukin-15 Inhibition Reduce Joint Inflammation and Bone Erosions but Not Cartilage Destruction in *Staphylococcus aureus*-Induced Arthritis. *Infect Immun.* 2018 Apr 23;86(5):e00960-17.
- [3]. Lupiola-Gómez PA, et al. Group 1 beta-lactamases of *Aeromonas caviae* and their resistance to beta-lactam antibiotics. *Can J Microbiol.* 2003 Mar;49(3):207-15.

Caution: Product has not been fully validated for medical applications. For research use only.

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