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**Product Data Sheet**

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Product Name: Ceftriaxone

Cat. No.: GC35648

**Chemical Properties**

Cas. No. 73384-59-5

SMILES O=C(C(N12)=C(CSC(N(C)NC3=O)=NC3=O)CS[C@]2([H])[C@H](NC(/C(C4=CSC(N)=N4)=N\OC)=O)C1=O)OFormula C<sub>18</sub>H<sub>18</sub>N<sub>8</sub>O<sub>7</sub>S<sub>3</sub>

M.Wt

554.58

Solubility DMSO: ≥100mg/mL

Storage

Store at -20°C

General tips

For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Protocol****Kinase****experiment [1]:**

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**Preparation Method** Ceftriaxone was dissolved in 100% (v/v) DMSO to form a 10mM stock solution (2ml). Ceftriaxone was initially screened at 100 $\mu$ M against GSK3 $\beta$ . The bioassay was conducted in black 384-well plates. For testing Ceftriaxone, 100nl of the stock solution (10mM) was mixed with 5 $\mu$ l of the peptide/kinase mixture, 2.4 $\mu$ l of the kinase buffer (50mM HEPES pH 7.5, 0.01% BRIJ-35, 10mM MgCl<sub>2</sub>, 1mM EGTA) and 2.5 $\mu$ l of the ATP solution. The final 10 $\mu$ l kinase reaction included the tested cephalosporin concentration (100 $\mu$ M), 1% (v/v) DMSO, kinase peptide substrate and 25 $\mu$ M ATP in 50mM HEPES pH 7.5, 0.01% BRIJ-35, 10mM MgCl<sub>2</sub>, and 1mM EGTA. The mixture was shaken for 30s then incubated at room temperature for 60min to complete the kinase reaction. Subsequently, 5 $\mu$ l of the development reagent solution was added to each reaction mixture, shaken for 30s and left for another 60min at room temperature. Ultimately, fluorescence emissions were measured at  $\lambda_{ex}$  of 445 and  $\lambda_{em}$  of 520nm. To assess the potential of Ceftriaxone to irreversibly inhibit GSK3 $\beta$ , it was initially incubated at 10 $\mu$ M with the kinase for 60min before adding the ATP solution.

**Reaction Conditions** 100 $\mu$ M; 30s+60min or 60min+60min

**Applications** Ceftriaxone resulted in more than 60% inhibition and were considered for further screening to calculate its IC<sub>50</sub> value. The IC<sub>50</sub> value for GSK3 $\beta$  without preincubation is 7.35 $\mu$ M. After 60 minutes preincubation with GSK3 $\beta$ , the IC<sub>50</sub> value decreased to 0.78 $\mu$ M.

### Cell experiment [2]:

**Cell lines** A549, H520 and H1650 cells

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Preparation Method Cells were cultured with different concentrations of Ceftriaxone for 10 days (A549), 7 days (H520) or 14 days (H1650) and then colonies were counted.

Reaction Conditions 500 or 1000 $\mu$ M; 7, 10 or 14d

Applications A549, H520 or H1650 cells treated with Ceftriaxone had a significant reduction in colony formation compared with untreated control cells.

### Animal experiment [2]:

Animal models Athymic nude mice (Cr:NIH(S), NIH Swiss nude, 6–9 weeks old)

Preparation Method Mice were randomly divided into three groups: (i) vehicle group; (ii) 100mg/kg Ceftriaxone-treated group; (iii) no cells-injected group. Treated with 100mg/kg Ceftriaxone A549 or H520 cells were inoculated subcutaneously ( $2 \times 10^6$  cells) into the left flank of each mouse in the first two groups. Treatment was started when the tumors reached a mean tumor volume of  $100\text{mm}^3$ . For the Ceftriaxone group, 2.5mg Ceftriaxone, formulated in 500 $\mu$ l physiological saline, was administered to each mouse three times per week by intraperitoneal (i.p.) injection. For the vehicle group, 500 $\mu$ l physiological saline was administered to each mouse three times per week by i.p. injection. The duration of the animal study was 57 days for A549 cells and 32 days for H520 cells.

Dosage form 100mg/kg; 3 times a week; i.p.

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### Applications

The tumors treated with 100mg/kg Ceftriaxone grew significantly more slowly and the sizes of the tumors were smaller compared with the vehicle group. Expression of phosphorylated histone H3 was substantially decreased in the ceftriaxone-treated group compared with the vehicle group. Ceftriaxone suppressed tumor growth by inhibiting Aurora B activity in vivo.

### References:

- [1] Nassar H, Sippl W, Dahab RA, Taha M. Molecular docking, molecular dynamics simulations and in vitro screening reveal cefixime and ceftriaxone as GSK3 $\beta$  covalent inhibitors. RSC Adv. 2023;13(17):11278-11290.
- [2] Li X, Li H, Li S, et al. Ceftriaxone, an FDA-approved cephalosporin antibiotic, suppresses lung cancer growth by targeting Aurora B. Carcinogenesis. 2012;33(12):2548-2557.

### Background

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Ceftriaxone is a  $\beta$ -lactam antibiotic with broad-spectrum bactericidal activity, which has good antibacterial activity against a variety of Gram-negative and Gram-positive bacteria. Ceftriaxone is used for a variety of infections such as community-acquired pneumonia, meningitis and gonorrhea. Ceftriaxone is a covalent inhibitor of Glycogen Synthase Kinase 3 $\beta$  (GSK3 $\beta$ ), with an IC<sub>50</sub> value of 0.78 $\mu$ M under the condition of 60 minutes preincubation. GSK3 $\beta$  is a serine/threonine kinase that has been suggested as a putative drug target for several diseases. In cancer, Ceftriaxone exerts antiproliferative, cell cycle arresting and apoptosis induction properties; in Alzheimer's Disease (AD), Ceftriaxone decreases amyloid- $\beta$  peptide production and upregulate glutamate transporter-1 mediated by the inhibition of tau hyperphosphorylation<sup>[1][2]</sup>.

In vitro, treatment with 500-1000 $\mu$ M Ceftriaxone for 10 days (A549), 7 days (H520), or 14 days (H1650) resulted in a significant and dose-dependent reduction in colony formation by targeting Aurora B<sup>[2]</sup>. Ceftriaxone (100 $\mu$ g/mL; 3h) upregulated NOD-like receptor family pyrin domain containing 3 (NLRP3) and pro-caspase-1 transcription but attenuated NLRP3 protein expression in LPS-induced A549 and PC3 cells<sup>[4]</sup>.

In vivo, Ceftriaxone (100mg/kg; i.p.; three times a week) significantly slowed tumor growth and reduced tumor size in athymic nude mice bearing A549 (57d) or H520 (32d) xenografts by inhibiting Aurora B activity<sup>[2]</sup>. Ceftriaxone (200mg/kg/d; i.p.; 6w) improved functional indicators, oxidative stress, and inflammatory parameters in the D-galactose (DGL)-induced liver and kidney injury rat model<sup>[3]</sup>.

### References:

- [1] Nassar H, Sippl W, Dahab RA, Taha M. Molecular docking, molecular dynamics simulations and in vitro screening reveal cefixime and ceftriaxone as GSK3 $\beta$  covalent inhibitors. RSC Adv. 2023;13(17):11278-11290.
- [2] Li X, Li H, Li S, et al. Ceftriaxone, an FDA-approved cephalosporin antibiotic, suppresses lung cancer growth by targeting Aurora B. Carcinogenesis. 2012;33(12):2548-2557.
- [3] Hakimizadeh E, et al. Ceftriaxone improves hepatorenal damages in mice subjected to D-galactose-induced aging. Life Sci. 2020 Oct 1;258:118119.
- [4] Tezcan G, Alsaadi M, Hamza S, Garanina EE, Martynova EV, Ziganshina GR, Farukshina ER, Rizvanov AA, Khaiboullina SF. Azithromycin and Ceftriaxone Differentially Activate NLRP3 in LPS Primed Cancer Cells. International Journal of Molecular Sciences.

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