Product Data Sheet

Product Name: Sitafloxacin
Cat. No.: GC15081

Chemical Properties

Cas. No.	127254-12-0		
Chemical Name	7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-8-chloro-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-4-oxoquinoline-3-carboxylic acid		
SMILES	C1CC12CN(CC2N)C3=C(C=C4C(=C3Cl)N(C=C(C4=O)C(=O)O)C5CC5F)F		
Formula	$C_{19}H_{18}ClF_2N_3O_3$	M.Wt	409.81
Solubility	DMF: slightly soluble,DMSO: slightly soluble,Methanol: slightly soluble	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Sitafloxacin		

Protocol

Cell experiment [1]:

Cell lines	LPS-stimulated THP-1 cells
Preparation Method	THP-1 cells were cultured with LPS in the presence or absence of antibiotics (Sitafloxacin) for 4 h. Following the incubation, supernatants were collected.

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Reaction Conditions	1-50 μg/mL Sitafloxacin for 4h
Applications	Sitafloxacin significantly reduced the concentration of TNFα in the supernatants of LPS-stimulated THP-1 cells than other quinolone antibiotics did; Sitafloxacin also reduced the levels of IL-8, IP-10, MCP-1, MIP-1α and MIP-1β.

Animal experiment [2]:

Animal models	Six-week-old male, ddY, specific-pathogen-free mice (body weight 16-20 g)
Preparation Method	From 24 h after infection, antibiotics were administered orally twice a day to the Sitafloxacin and CPFX treatment groups for 3 days.Each single dose was 10 mg/kg
Dosage form	10 mg/kg Sitafloxacin twice a day for 3 days
Applications	In Sitafloxacin-treated mice, H. influenzae was decreased by 3 days after starting oral administration of Sitafloxacin.

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References:

[1]. Sakamaki I, Fukushi M, et,al. Sitafloxacin reduces tumor necrosis factor alpha (TNFα) converting enzyme (TACE) phosphorylation and activity to inhibit TNFα release from lipopolysaccharide-stimulated THP-1 cells. Sci Rep. 2021 Dec 17;11(1):24154. doi: 10.1038/s41598-021-03511-5. PMID: 34921186; PMCID: PMC8683466.

[2]. Nakamura S, Yanagihara K, et,al. In vivo efficacy of sitafloxacin in a new murine model of non-typeable Haemophilus influenzae pneumonia by sterile intratracheal tube. Int J Antimicrob Agents. 2009 Sep;34(3):210-4. doi: 10.1016/j.ijantimicag.2009.03.011. Epub 2009 Apr 24. PMID: 19394203.

Background

Sitafloxacin is a new fluoroquinolone offering a broader spectrum , as a broad-spectrum antimicrobial agent[2],has more potent activity against Gram-positive, Gramnegative and atypical pathogens than other quinolones such as ofloxacin, CPFX and sparfloxacin[7,8].

Sitafloxacin suppressed TNFα production more strongly than the other quinolone antibiotics. It did not suppress the signaling pathways that produced TNFα but increased phosphorylated ERK. Sitafloxacin inhibited the extracellular release of TNFα[5,6].TACE specifically cleaves pro-TNFα to release TNFα from cell. Sitafloxacin reduced the phosphorylation and activity of TACE[1]. Sitafloxacin is effective against pneumococcal infections, and incidence of drug-resistant mutants is low in vitro conditions[3].

Sitafloxacin was effective against Haemophilus influenzae pneumonia in a murine model. In Sitafloxacin-treated mice, H. influenzae was decreased by 3 days after starting oral

administration of Sitafloxacin, total cell counts and neutrophil counts in BALF were considerably decreased, and histopathologically inflammatory changes were greatly improved with Sitafloxacin treatment [4]. Sitafloxacin can achieve a higher tissue concentration than CPFX[9]. Besides, Sitafloxacin monotherapy might be effective against low-risk FN in lung cancer patients[10].

References:

[1]: Sakamaki I, Fukushi M, et,al. Sitafloxacin reduces tumor necrosis factor alpha (TNFα) converting enzyme (TACE) phosphorylation and activity to inhibit TNFα release from lipopolysaccharide-stimulated THP-1 cells. Sci Rep. 2021 Dec 17;11(1):24154. doi: 10.1038/s41598-021-03511-5. PMID: 34921186; PMCID: PMC8683466.
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[5]: Black RA, Rauch CT, et,al. A metalloproteinase disintegrin that releases tumour-necrosis factor-alpha from cells. Nature. 1997 Feb 20;385(6618):729-33. doi: 10.1038/385729a0. PMID: 9034190.
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novel des-fluoro(6) quinolone, against Streptococcus pneumoniae in a mouse lung infection model. Antimicrob Agents Chemother. 2006 Jan;50(1):121-5. doi: 10.1128/AAC.50.1.121-125.2006. PMID: 16377676; PMCID: PMC1346772.
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