Product Data Sheet

Product Name: KB-5246
Cat. No.: GC32334

Chemical Properties

Cas. No.	119474-55-4		
SMILES	[H]Cl.CN1CCN(C2=C(F)C=C3C4=C2OCC5=CSC(N45)=C(C(O)=O)C3=O)CC1		
Formula	$C_{18}H_{17}ClFN_3O_4S$	M.Wt	425.86
Solubility	Soluble in DMSO	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	KB-5246		

Protocol

Cell experiment:	Bactericidal activity is tested by evaluating the reduction of viable cells during exposure to KB-5246 for 24 h. An overnight culture of microorganisms in sensitivity test broth is diluted to about 104 CFU/mL in the same medium and incubated at 37°C on a shaker. After 2 h of incubation, KB-5246 is added to the cultures at final concentrations of one half, one, two, or four times the MIC[1].

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Animal experiment:

In vivo antibacterial activity against systemic infections in mice is determined. Ten male ddY mice weighing 19 to 23 g each are used for each dose level. An overnight culture in brain heart infusion broth at 37°C is diluted appropriately in the same medium with 4% gastrin mucin. A 0.2 mL sample of a bacterial suspension, corresponding to a dose 1 to 25 times higher than the minimal lethal dose, is injected intraperitoneally. Immediately after infection, mice are treated orally with a single dose of KB-5246. The number of mice surviving at each dose is counted 7 days after infection. The 50% effective dose is calculated by the probit method[1].

References:

[1]. Kotera Y, et al. In vitro and in vivo antibacterial activities of KB-5246, a new tetracyclic quinolone. Antimicrob Agents Chemother. 1989 Nov;33(11):1896-900.

Background

KB-5246 is a tetracyclic quinolone and displays antibacterial activities.

KB-5246 is a tetracyclic quinolone and displays antibacterial activities. The MICs for 90% of isolates tested (MIC90s) of KB-5246 against gram-positive microorganisms such as Staphylococcus aureus, including methicillin-resistant S. aureus, Staphylococcus epidermidis, Streptococcus pneumoniae, and Streptococcus pyogenes, are 0.39 μg/mL.

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KB5246 inhibits 90% of isolates of Escherichia coli, Klebsiella pneumoniae, Klebsiella oxytoca at a concentration of 0.10 μg/mL or less. When a concentration of KB-5246 at the MIC or higher is added, no regrowth after 24 h of incubation is observed[1].

The 50% effective dose values of KB-5246 against S. pneumoniae 2132 infections are 50.5 mg/kg of body weight. The activities of KB-5246 against S. aureus Smith, P. aeruginosa GN11189, and Serratia marcescens GN7577 infections are comparable to those of ofloxacin and greater than those of norfloxacin[1].

[1]. Kotera Y, et al. In vitro and in vivo antibacterial activities of KB-5246, a new tetracyclic quinolone. Antimicrob Agents Chemother. 1989 Nov;33(11):1896-900.

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