Gatifloxacin hydrochloride

Cat. No.: HY-10581A

CAS No.: 121577-32-0

Molecular Formula: $C_{19}H_{23}ClFN_3O_4$

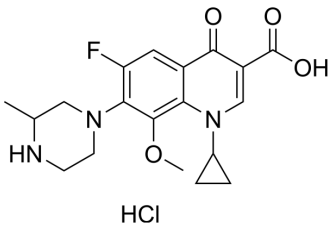
Molecular Weight: 411.86

Target: Bacterial; Topoisomerase; Antibiotic

Pathway: Anti-infection; Cell Cycle/DNA Damage

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 : 10 mg/mL (24.28 mM; Need ultrasonic)

DMSO : 4 mg/mL (9.71 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4280 mL	12.1400 mL	24.2801 mL
5 mM	0.4856 mL	2.4280 mL	4.8560 mL
10 mM	0.2428 mL	1.2140 mL	2.4280 mL

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

In Vivo

1. Add each solvent one by one: PBS

Solubility: 6.67 mg/mL (16.19 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Gatifloxacin hydrochloride (AM-1155; BMS-206584; PD135432) is a potent fluoroquinolone antibiotic with broad-spectrum antibacterial activity. Gatifloxacin hydrochloride inhibits bacterial type II topoisomerases (IC_{50}=13.8 μg/ml for S. aureus topoisomerase IV) and E. coli DNA gyrase (IC_{50} = 0.109 μg/ml)[1]. Gatifloxacin hydrochloride can be used to treat bacterial conjunctivitis in vivo.

IC_{50} & Target

Quinolone	Topoisomerase II 36.7 μM

In Vitro

Gatifloxacin hydrochloride is against S. aureus MS5935 topoisomerase IV, E. coli NIHJ JC-2 DNA gyrase and HeLa cell topoisomerase II with IC_{50} values of 13.8 μg/ml, 0.109 μg/ml, and 265 μg/ml, respectively[1].

Gatifloxacin hydrochloride is against S. aureus MS5935 topoisomerase IV, E. coli NIHJ JC-2 DNA gyrase and HeLa cell topoisomerase II with MIC values of 0.05 μg/ml, 0.0063 μg/ml, and 122 μg/ml, respectively[1].

Gatifloxacin hydrochloride exhibits antibacterial activities for wild-type strains (MS5935, MS5952, MR5867 and MR6009) the

	first-, second-, third-, and fourth-step mutants with MIC values of 0.05 to 0.10 μg/ml, 0.20 μg/ml, 1.56 to 3.13 μg/ml, 1.56 to 6.25 μg/ml, and 50 to 200 μg/ml, respectively. Gatifloxacin hydrochloride displays the most potent activity against the second- and third-step mutants (MS5952, MR5867 and MR6009) except for the second-step mutant of strain MS5935[2]. Gatifloxacin hydrochloride has potent activity against norA transformant NY12 (MIC, 0.39 μg/ml)[2]. Gatifloxacin hydrochloride (20-100 μM; 72 hours) significantly decreases insulin content to 60% at Day 1, and continues to be reduced to 50.1% and 44.7% at Day 3 by 20 μM and 100 μM Gatifloxacin hydrochloride, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Gatifloxacin hydrochloride (subcutaneous injection; 100 mg/kg; 3 times a day; 30 days) significantly decreases the number of lesions in mouse footpad with Nocardia brasiliensis[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice with Nocardia brasiliensis in the right hind footpad[1]
Dosage:	100 mg/kg
Administration:	Subcutaneous injection; 3 times a day; 30 days
Result:	Reduced the production of lesions in mice.

CUSTOMER VALIDATION

- bioRxiv. 2020 Jun.
- Patent. US20180263995A1.

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REFERENCES

[1]. Takei M, et al. Inhibitory activities of Gatifloxacin hydrochloride (AM-1155), a newly developed fluoroquinolone, against bacterial and mammalian type II topoisomerases.Antimicrob Agents Chemother. 1998 Oct;42(10):2678-81.

[2]. Fukuda H, et al. Antibacterial activity of Gatifloxacin hydrochloride (AM-1155, CG5501, BMS-206584), a newly developed fluoroquinolone, against sequentially acquired quinolone-resistant mutants and the norA transformant of Staphylococcus aureus. Antimicrob Agents Chemother. 1998 Aug;42(8):1917-22.

[3]. Yamada C, et al. Gatifloxacin hydrochloride acutely stimulates insulin secretion and chronically suppresses insulin biosynthesis. Eur J Pharmacol. 2006 Dec 28;553(1-3):67-72. Epub 2006 Sep 28.

[4]. Daw-Garza A, et al. In vivo therapeutic effect of Gatifloxacin mesylate on BALB/c mice infected with Nocardia brasiliensis.Antimicrob Agents Chemother. 2008 Apr;52(4):1549-50.

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