Gatifloxacin sesquihydrate

Cat. No.: HY-10581C

CAS No.: 180200-66-2

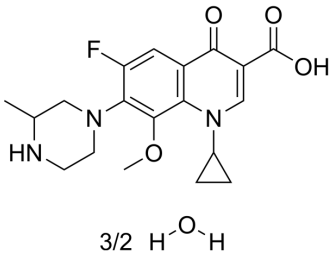
Molecular Formula: $C_{19}H_{22}FN_3O_4 \cdot {}_3/_2H_2O$

Molecular Weight: 402.43

Target: Bacterial; Topoisomerase; Antibiotic

Pathway: Anti-infection; Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Gatifloxacin sesquihydrate (AM-1155; BMS-206584; PD135432) is a potent fluoroquinolone antibiotic with broad-spectrum antibacterial activity. Gatifloxacin sesquihydrate 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 sesquihydrate can be used to treat bacterial conjunctivitis in vivo.

IC_{50} & Target

Quinolone	Topoisomerase II 36.7 μM (IC_{50})

In Vitro

Gatifloxacin sesquihydrate 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 sesquihydrate 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 sesquihydrate 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 sesquihydrate 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 sesquihydrate has potent activity against norA transformant NY12 (MIC, 0.39 μg/ml)[2].

Gatifloxacin sesquihydrate (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 sesquihydrate, respectively[3].

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

In Vivo

Gatifloxacin sesquihydrate (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[4]
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 mesylate (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 mesylate (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 mesylate 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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