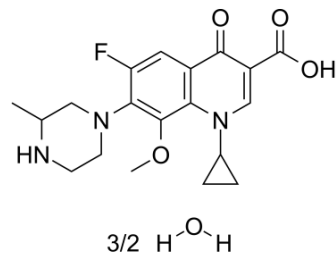


Gatifloxacin sesquihydrate

Cat. No.:	HY-10581C
CAS No.:	180200-66-2
Molecular Formula:	C ₁₉ H ₂₂ FN ₃ O _{4.3/2} H ₂ O
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 <i>S. aureus</i> topoisomerase IV) and <i>E. coli</i> DNA gyrase (IC ₅₀ = 0.109 µg/ml) ^[1] . Gatifloxacin sesquihydrate can be used to treat bacterial conjunctivitis in vivo.								
IC₅₀ & Target	Topoisomerase II 36.7 µM (IC ₅₀)								
In Vitro	<p>Gatifloxacin sesquihydrate is against <i>S. aureus</i> MS5935 topoisomerase IV, <i>E. coli</i> NIHJ JC-2 DNA gyrase and HeLa cell topoisomerase II with IC₅₀ values of 13.8 µg/ml, 0.109 µg/ml, and 265 µg/ml, respectively^[1].</p> <p>Gatifloxacin sesquihydrate is against <i>S. aureus</i> MS5935 topoisomerase IV, <i>E. coli</i> 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].</p> <p>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 <i>norA</i> transformant NY12 (MIC, 0.39 µg/ml)^[2].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Gatifloxacin sesquihydrate (subcutaneous injection; 100 mg/kg; 3 times a day; 30 days) significantly decreases the number of lesions in mouse footpad with <i>Nocardia brasiliensis</i>^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female BALB/c mice with <i>Nocardia brasiliensis</i> in the right hind footpad^[4]</td> </tr> <tr> <td>Dosage:</td> <td>100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Subcutaneous injection; 3 times a day; 30 days</td> </tr> <tr> <td>Result:</td> <td>Reduced the production of lesions in mice.</td> </tr> </table>	Animal Model:	Female BALB/c mice with <i>Nocardia brasiliensis</i> 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.
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Dosage:	100 mg/kg								
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Result:	Reduced the production of lesions in mice.								

CUSTOMER VALIDATION

- bioRxiv. 2020 Jun.
- Patent. US20180263995A1.

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REFERENCES

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- [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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Caution: Product has not been fully validated for medical applications. For research use only.

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