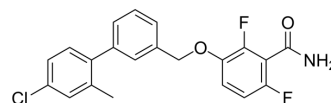


FtsZ-IN-4

Cat. No.:	HY-150754
Molecular Formula:	C ₂₁ H ₁₆ ClF ₂ NO ₂
Molecular Weight:	387.81
Target:	Bacterial
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FtsZ-IN-4 is an orally active FtsZ (filamenting temperature-sensitive mutant Z) inhibitor, exhibits excellent antibacterial activity. FtsZ-IN-4 shows good pharmaceutical properties with low cytotoxicity (CC ₅₀ >20 µg/mL) ^[1] .														
IC₅₀ & Target	Target: Filamenting temperature-sensitive mutant Z (FtsZ) ^[1]														
In Vitro	<p>MIC: Minimum inhibition concentration; MBC: Minimum bactericidal concentration.</p> <p>FtsZ-IN-4 (compound 30) shows potent antibacterial activity to <i>B. subtilis</i> and <i>S. aureus</i> with MICs of 0.008-0.25 µg/mL, respectively^[1].</p> <p>FtsZ-IN-4 (0.064 µg/mL or 0.5 µg/mL; 0-24 h) shows rapid bactericidal properties within 3 h, and the MBC/MIC ratios are ≤4, satisfying CLSI standards^[1].</p> <p>FtsZ-IN-4 (>20 µg/mL; 72 h) exerts low cytotoxicity towards Vero cells^[1].</p> <p>FtsZ-IN-4 (0.016 µg/mL; 3 h) increases the length of the <i>B. subtilis</i> ATCC9372, causes abnormal bacterial cell division and lead to bacterial cell death^[1].</p> <p>FtsZ-IN-4 (10 µg/mL; 0-15 min) induces SaFtsZ polymerization and (0-35 µg/mL; 30 min) inhibits the GTPase activity of SaFtsZ in a dose-dependent manner^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Vero cells (African green monkey kidney cells)</td> </tr> <tr> <td>Concentration:</td> <td>>20 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Exhibited the 50% cytotoxic concentration (CC₅₀) >20 µg/mL, much more than the inhibition of <i>B. subtilis</i> ATCC9372 (MIC =0.016 µg/mL).</td> </tr> </table> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td><i>S. aureus</i> ATCC25923 and <i>Bacillus</i> ATCC9372</td> </tr> <tr> <td>Concentration:</td> <td>1×, 2×, 4×, 8× MIC; MIC =0.125 µg/mL (<i>S. aureus</i>); 0.016 µg/mL (<i>Bacillus</i>)</td> </tr> <tr> <td>Incubation Time:</td> <td>3, 6, 12, 24 hours</td> </tr> </table>	Cell Line:	Vero cells (African green monkey kidney cells)	Concentration:	>20 µg/mL	Incubation Time:	72 hours	Result:	Exhibited the 50% cytotoxic concentration (CC ₅₀) >20 µg/mL, much more than the inhibition of <i>B. subtilis</i> ATCC9372 (MIC =0.016 µg/mL).	Cell Line:	<i>S. aureus</i> ATCC25923 and <i>Bacillus</i> ATCC9372	Concentration:	1×, 2×, 4×, 8× MIC; MIC =0.125 µg/mL (<i>S. aureus</i>); 0.016 µg/mL (<i>Bacillus</i>)	Incubation Time:	3, 6, 12, 24 hours
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Result: Reduced *B. subtilis* ATCC9372 and *S. aureus* ATCC25923 cells below the lowest detectable limit (103 CFU/ mL) in 3 h.

In Vivo

FtsZ-IN-4 (compound 30) (5 mg/kg; p.o.) exhibits moderate exposure ($AUC_{(0-t)} = 544.2 \text{ h} \cdot \text{ng/mL}$) and an oral bioavailability (F) of 61.2% in mice^[1].

FtsZ-IN-4 (25 mg/kg; i.v.) exerts good in vivo efficacy in mice. Murine pharmacokinetic profiles of FtsZ-IN-4^[1]

Route	Dose (mg/kg)	T _{1/2} (h)	T _{max} (h)	C _{max} (ng/mL)	AUC _(0-t) (h•ng/mL)	AUC _(0-∞) (h•ng/mL)	V _{ss} (ng/mL)	CL (mL/h/kg)	F (%)
i.v.	1	0.28	0.083	480.5	177.8	178.7	1545.5	5682.8	/
	5	2.26	0.5	429.3	544.2	/	/	61.2	

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

Animal Model:	Male ICR mice (infected with <i>S. aureus</i> ATCC25923) ^[1]
Dosage:	25 mg/kg
Administration:	Intraperitoneal injection; 0.5 mL
Result:	Significantly reduced the bacteria burden and showed comparable in vivo efficacy with vancomycin.

REFERENCES

[1]. Deng J, et al. Design, synthesis and biological evaluation of biphenyl-benzamides as potent FtsZ inhibitors. *Eur J Med Chem.* 2022 Sep 5. 239:114553.

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

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