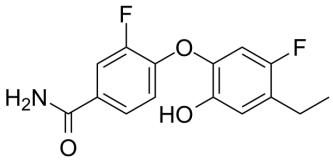
MUT056399

Cat. No.:	HY-18169
CAS No.:	1269055-85-7
Molecular Formula:	$C_{15}H_{13}F_2NO_3$
Molecular Weight:	293.27
Target:	Bacterial
Pathway:	Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (105.70 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4098 mL	17.0491 mL	34.0983 mL
	5 mM	0.6820 mL	3.4098 mL	6.8197 mL
	10 mM	0.3410 mL	1.7049 mL	3.4098 mL

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

BIOLOGICAL ACTIVITY

Description

MUT056399 (Fab-001) is a highly potent inhibitor of the FabI enzyme of both S. aureus and E. coli with 50% inhibitory concentration IC_{50}s of 12 nM and 58 nM, respectively.

IC_{50} & Target

IC50 value: 12 nM (for S. aureus), 58 nM (for E. coli)[1]

In Vitro

MUT056399 (Fab-001) is a highly potent new inhibitor of the FabI enzyme of both Staphylococcus aureus and Escherichia coli. MUT056399 is very active against S. aureus strains, including methicillin-susceptible S. aureus (MSSA), methicillin-resistant S. aureus (MRSA), linezolid-resistant, and multidrug-resistant strains, with MIC90s between 0.03 and 0.12 μg/ml. MUT056399 is also active against coagulase-negative staphylococci, with MIC90s between 0.12 and 4 μg/ml. MUT056399 is very active against the 118 S. aureus strains tested, including MSSA and MRSA isolates and linezolid-resistant and multidrug-resistant strains, with MIC90s between ≤0.03 and 0.12 μg/ml.

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

In Vivo

MUT056399 (Fab-001), administered subcutaneously, protected mice from a lethal systemic infection induced by MSSA,

MRSA, and vancomycin-intermediate S. aureus strains (50% effective doses ranging from 19.3 mg/kg/day to 49.6 mg/kg/day). In the nonneutropenic murine thigh infection model, the same treatment with MUT056399 reduced the bacterial multiplication of MSSA and MRSA in the thighs of immunocompetent mice.

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

REFERENCES

[1]. Escaich S, et al. The MUT056399 inhibitor of FabI is a new antistaphylococcal compound. Antimicrob Agents Chemother. 2011 Oct;55(10):4692-7.

[2]. Schiebel J, et al. An ordered water channel in Staphylococcus aureus FabI: unraveling the mechanism of substrate recognitionand reduction. Biochemistry. 2015 Mar 17;54(10):1943-55.

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