Brilacidin tetrahydrochloride

Cat. No.: HY-19892A

CAS No.: 1224095-99-1

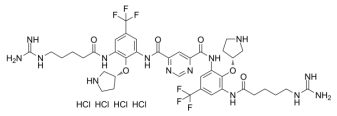
Molecular Formula: $C_{40}H_{54}Cl_4F_6N_{14}O_6$

Molecular Weight: 1082.75

Target: Bacterial; Antibiotic

Pathway: Anti-infection

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

DMSO : 83.33 mg/mL (76.96 mM; Need ultrasonic)
Methanol : 10 mg/mL (9.24 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9236 mL	4.6179 mL	9.2357 mL
	5 mM	0.1847 mL	0.9236 mL	1.8471 mL
	10 mM	0.0924 mL	0.4618 mL	0.9236 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6.25 mg/mL (5.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (5.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (5.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Brilacidin tetrahydrochloride (PMX 30063 tetrahydrochloride) is an anti-infective antimicrobial with MIC90s of 1 and 8 μg/mL for Gram-positive bacteria Streptococcus pneumonia and Streptococcus viridans, and MIC90 of 8 and 4 μg/mL for Gram-negative bacteria Haemophilus influenza and Pseudomonas aeruginosa. Brilacidin tetrahydrochloride is a defensin mimetic antibiotic compound[1][2].

In Vitro

Both Staphylococcus aureus (SA) and Staphylococcus epidermidis (SE) have the lowest minimum inhibitory concentrations among the bacterial groups. The MIC_{90}s to Brilacidin for Streptococcus pneumonia (SP), Streptococcus viridians (SV), Moraxella (MS), Haemophilus influenza (HI), Pseudomonas aeruginosa (PA), and Serratia marcescens (SM) are 4, 32, 256, 32,

	16, and 128-fold higher, respectively, than SA and SE. Brilacidin has Gram-positive in vitro activity; topical Brilacidin 0.5% is minimally irritating; and Brilacidin 0.5% was equally efficacious as Vancomycin (VAN) in a methicillin-resistant S. aureus (MRSA) keratitis model when the corneal epithelium is removed. Brilacidin acts primarily on the bacterial cell membrane by depolarization. Brilacidin is more potent for Gram-positive bacteria (except SV) than Gram-negative bacteria[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Brilacidin demonstrates dose-dependent ocular toxicity after 7 topical instillations (every 30 min for 3 h) in the NZW rabbit ocular toxicity model. Brilacidin 1% is determined to be Mildly Irritating (23.0), Brilacidin 0.5% (6.5), and Brilacidin 0.25% (4.0) are determined to be Minimally Irritating, while Brilacidin 0.1% (2.0) and TBS (1.0) are determined to be Practically Nonirritating and 0.01% Brilacidin (0.5) is determined to be Nonirritating based on their Maximum mean total scores (MMTS) values[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bruk Mensa , et al. Comparative Mechanistic Studies of Brilacidin, Daptomycin, and the Antimicrobial Peptide LL16. Antimicrob Agents Chemother. 2014 Sep;58(9):5136-45.

[2]. Regis P Kowalski, et al. An Independent Evaluation of a Novel Peptide Mimetic, Brilacidin (PMX30063), for Ocular Anti-infective. J Ocul Pharmacol Ther. Jan-Feb 2016;32(1):23-7.

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