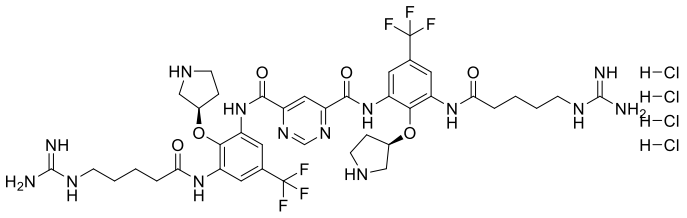
Product data sheet

MedKoo Cat#: 319690 Name: Brilacidin HCl CAS#: 1224095-99-1 (HCl) Chemical Formula: $C_{40}H_{54}Cl_4F_6N_{14}O_6$ Exact Mass: 936.3942 Molecular Weight: 1082.7544	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Brilacidin, also known as PMX30063, is an arylamide foldamer designed to replicate the amphiphilic properties of antimicrobial peptides while solving the problems encountered by peptide-based antimicrobials. Brilacidin, a broad-spectrum antibiotic, has potent Gram positive activity and Gram negative coverage, and is highly effective in treating the 'superbug' methicillin-resistant Staphylococcus aureus (MRSA). Brilacidin has low cytotoxicity against mammalian cells selectively targeting bacteria, directly and rapidly disrupting their membranes, resulting in the bacteria's death. Due to this unique mechanism of action (mimicking the host's natural immune response, proven to be successful in fighting off infections over millions of years of evolution), bacterial antibiotic resistance is less likely to develop.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	83.33	76.96

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	0.92 mL	4.62 mL	9.24 mL
5 mM	0.18 mL	0.92 mL	1.85 mL
10 mM	0.09 mL	0.46 mL	0.92 mL
50 mM	0.02 mL	0.09 mL	0.18 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Bakovic A, Risner K, Bhalla N, Alem F, Chang TL, Weston WK, Harness JA, Narayanan A. Brilacidin Demonstrates Inhibition of SARS-CoV-2 in Cell Culture. Viruses. 2021 Feb 9;13(2):271. doi: 10.3390/v13020271. PMID: 33572467; PMCID: PMC7916214.
2. Hu Y, Jo H, DeGrado WF, Wang J. Brilacidin, a COVID-19 drug candidate, demonstrates broad-spectrum antiviral activity against human coronaviruses OC43, 229E, and NL63 through targeting both the virus and the host cell. J Med Virol. 2022 May;94(5):2188-2200. doi: 10.1002/jmv.27616. Epub 2022 Feb 2. PMID: 35080027; PMCID: PMC8930451.

In vivo study

1. Kowalski RP, Romanowski EG, Yates KA, Mah FS. An Independent Evaluation of a Novel Peptide Mimetic, Brilacidin (PMX30063), for Ocular Anti-infective. J Ocul Pharmacol Ther. 2016 Jan-Feb;32(1):23-7. doi: 10.1089/jop.2015.0098. Epub 2015 Oct 26. PMID: 26501484; PMCID: PMC4742993.

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7. Bioactivity

Biological target:

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.

In vitro activity

The potential of brilacidin to exert an antiviral activity against SARS-CoV-2 was assessed using Vero cells as an infection model. The effect of brilacidin treatment on SARS-CoV-2 viral replication was then evaluated in Vero cells by plaque assay. Vero cells were pretreated with brilacidin for 2 h, after which media containing the drug were removed and replaced with virus inoculum (Washington strain 2019-nCoV/USA-WA1/2020). Infection was allowed to progress for 1 h, after which the inoculum was removed and replaced with brilacidin containing media. The data demonstrate that brilacidin treatment resulted in a dose-dependent decrease in infectious viral titer with a maximum of 53% inhibition of virus observed in the presence of the higher concentration of the compound (10 μM) that was tested

Reference: Viruses. 2021 Feb 9;13(2):271. https://pubmed.ncbi.nlm.nih.gov/33572467/

In vivo activity

Rabbits treated with BRI 1% wiped their eyes immediately upon instillation after the second dose and continued for every dose thereafter. This behavioral reaction suggested that BRI 1% appeared to be irritating to the eyes upon instillation. BRI 1% also demonstrated corneal (median score = 2.5) and iris toxicity (median score = 7.5). One rabbit treated with BRI 0.25%, vocalized shortly after the 5th dose. BRI also 0.5% reduced CFU in abraded corneas significantly more than in intact corneas (P = 0.005, M-W) suggesting that the corneal epithelium acts as a barrier for penetration.

Reference: J Ocul Pharmacol Ther. Jan-Feb 2016;32(1):23-7. https://pubmed.ncbi.nlm.nih.gov/26501484/