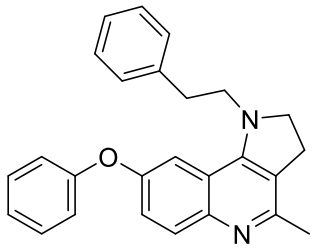
Product data sheet

MedKoo Cat#: 526868 Name: HT-61 CAS#: 936622-80-9 (free base) Chemical Formula: $C_{26}H_{24}N_2O$ Exact Mass: 380.1889 Molecular Weight: 380.491	
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:
HT-61, also known as HY-50A, is a pyrroloquinolone antibiotic potentially for the treatment of staphylococcal infections. HT61 was effective at reducing biofilm viability and was associated with increased expression of cell wall stress and division proteins, confirming its potential as a treatment for S. aureus biofilm infections. HT61 enhances the effect of tobramycin against Pseudomonas aeruginosa in vitro and in vivo.

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	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.63 mL	13.14 mL	26.28 mL
5 mM	0.53 mL	2.63 mL	5.26 mL
10 mM	0.26 mL	1.31 mL	2.63 mL
50 mM	0.05 mL	0.26 mL	0.53 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. Frapwell CJ, Skipp PJ, Howlin RP, Angus EM, Hu Y, Coates ARM, Allan RN, Webb JS. Antimicrobial Activity of the Quinoline Derivative HT61 against Staphylococcus aureus Biofilms. Antimicrob Agents Chemother. 2020 Apr 21;64(5):e02073-19. doi: 10.1128/AAC.02073-19. PMID: 32122902; PMCID: PMC7179629.
2. Hubbard AT, Barker R, Rehal R, Vandera KA, Harvey RD, Coates AR. Mechanism of Action of a Membrane-Active Quinoline-Based Antimicrobial on Natural and Model Bacterial Membranes. Biochemistry. 2017 Feb 28;56(8):1163-1174. doi: 10.1021/acs.biochem.6b01135. Epub 2017 Feb 13. PMID: 28156093.

In vivo study

1. Hu Y, Shamaei-Tousi A, Liu Y, Coates A. A new approach for the discovery of antibiotics by targeting non-multiplying bacteria: a novel topical antibiotic for staphylococcal infections. PLoS One. 2010 Jul 27;5(7):e11818. doi: 10.1371/journal.pone.0011818. PMID: 20676403; PMCID: PMC2910736.
2. Amison RT, Faure ME, O'Shaughnessy BG, Bruce KD, Hu Y, Coates A, Page CP. The small quinolone derived compound HT61 enhances the effect of tobramycin against Pseudomonas aeruginosa in vitro and in vivo. Pulm Pharmacol Ther. 2020 Apr;61:101884. doi: 10.1016/j.pupt.2019.101884. Epub 2019 Dec 27. PMID: 31887372.

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7. Bioactivity

Biological target:

HT-61, also known as HY-50A, is a pyrroloquinolone antibiotic potentially for the treatment of staphylococcal infections.

In vitro activity

The cellular response of planktonic and biofilm cultures following treatment with 0, 4, or 16 mg/liter HT61 was then investigated using ultraperformance liquid chromatography-mass spectrometryElevated Energy (UPLC/MSE). Treatment of planktonic cultures with a sub-MIC concentration of HT61 (4 mg/liter) revealed upregulation of MurD and MurI, two cell wall biosynthesis-associated proteins required for the incorporation of d-glutamate into cell wall peptidoglycans. Increasing the concentration of HT61 from 4 mg/liter to 16 mg/liter led to upregulation of 93% (14/15) of the proteins associated with cell wall biosynthesis, including 6 components of the mur ligase pathway. Proteins associated with DNA synthesis were also affected by HT61 treatment as well as treatment with 16 mg/liter HT61 led to increased expression of proteins associated with DNA maintenance, including three protein with helicase activity (PcrA, GyrA, and ParE).

Reference: Antimicrob Agents Chemother. 2020 Apr 21;64(5):e02073-19. https://pubmed.ncbi.nlm.nih.gov/32122902/

In vivo activity

In an attempt to develop HT61 as a topical agent to clear MSSA and MRSA, it was investigated if HT61 killed MSSA and MRSA on mouse skin. Log phase or stationary phase MSSA or MRSA were applied onto the intact skin of live mice at 107 CFU per 2 cm2 followed by immediate treatment with 45 µl of HY50A (gel containing 1% HT61) or 45 µl of Bactroban ointment (GlaxoSmithKline containing 2% mupirocin) or 45 µl of placebo. After two hours of treatment, HT61 removed 100% stationary phase MSSA and 93% stationary phase MRSA on the mouse skin. HT61 killed 55% of the bacteria on the skin for log phase MSSA.

Reference: PLoS One. 2010 Jul 27;5(7):e11818. https://pubmed.ncbi.nlm.nih.gov/20676403/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.