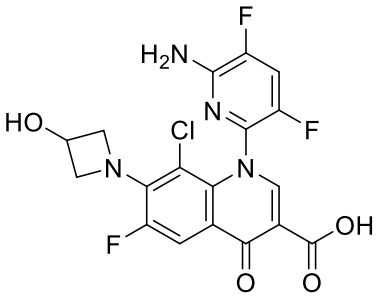


Product data sheet



MedKoo Cat#: 319852 Name: Delafloxacin CAS#: 189279-58-1 (free acid) Chemical Formula: C ₁₈ H ₁₂ ClF ₃ N ₄ O ₄ Exact Mass: 440.0499 Molecular Weight: 440.76	
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:

Delafloxacin, also known as ABT-492, RX-3341 and WQ-3034, is a non-zwitterionic fluoroquinolone antibiotic under development for the treatment of respiratory and skin infections. Delafloxacin demonstrates excellent antibacterial potency and exhibits a low probability for the selection of resistant mutants in MRSA. Although mutants can be selected at low frequencies in vitro from quinolone-resistant isolates, delafloxacin MICs and MPCs remain low and a fitness cost can be observed. Consequently delafloxacin warrants further investigation for the potential treatment of drug-resistant MRSA infections.

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	88.0	199.66

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.27 mL	11.34 mL	22.69 mL
5 mM	0.45 mL	2.27 mL	4.54 mL
10 mM	0.23 mL	1.13 mL	2.27 mL
50 mM	0.05 mL	0.23 mL	0.45 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. Flamm RK, Rhomberg PR, Huband MD, Farrell DJ. In Vitro Activity of Delafloxacin Tested against Isolates of *Streptococcus pneumoniae*, *Haemophilus influenzae*, and *Moraxella catarrhalis*. *Antimicrob Agents Chemother*. 2016 Sep 23;60(10):6381-5. doi: 10.1128/AAC.00941-16. PMID: 27458220; PMCID: PMC5038254.
2. Soge OO, Salipante SJ, No D, Duffy E, Roberts MC. In Vitro Activity of Delafloxacin against Clinical *Neisseria gonorrhoeae* Isolates and Selection of Gonococcal Delafloxacin Resistance. *Antimicrob Agents Chemother*. 2016 Apr 22;60(5):3106-11. doi: 10.1128/AAC.02798-15. PMID: 26976873; PMCID: PMC4862482.

In vivo study

1. Lepak AJ, Andes DR. In Vivo Pharmacodynamic Target Assessment of Delafloxacin against *Staphylococcus aureus*, *Streptococcus pneumoniae*, and *Klebsiella pneumoniae* in a Murine Lung Infection Model. *Antimicrob Agents Chemother*. 2016 Jul 22;60(8):4764-9. doi: 10.1128/AAC.00647-16. PMID: 27216072; PMCID: PMC4958193.

Product data sheet



7. Bioactivity

Biological target:

Delafloxacin (RX-3341; WQ-3034; ABT492) is a broad-spectrum fluoroquinolone antibiotic. Delafloxacin has a broad spectrum of activity that includes drug-resistant *Staphylococcus aureus*, *Streptococcus pneumoniae*, and *Klebsiella pneumoniae*.

In vitro activity

Delafloxacin exhibited excellent in vitro activity against 117 *N. gonorrhoeae* strains (110 clinical *N. gonorrhoeae* isolates and 7 GISP reference strains). In general, MICs of delafloxacin (≤ 0.001 to $0.25 \mu\text{g/ml}$) were lower than those of 5 comparator antimicrobials but comparable to those of ceftriaxone (≤ 0.001 to $0.25 \mu\text{g/ml}$) and cefixime (≤ 0.001 to $0.5 \mu\text{g/ml}$) (Table 1). The highest delafloxacin MIC observed was $0.25 \mu\text{g/ml}$ in a single clinical isolate. Although resistant mutants arose at a frequency between 1.7×10^{-7} and 8.9×10^{-8} at $2\times$ the original delafloxacin MICs for the other two ciprofloxacin-resistant *N. gonorrhoeae* strains (13199 and 131011), the mutation frequencies were below the limit of detection at $4\times$, $8\times$, and $16\times$ the MIC ($<1.4 \times 10^{-9}$ to $<1.6 \times 10^{-9}$) (Table 2). The multistep delafloxacin resistance selection of 30 daily passages resulted in mutants with 8-fold to 16-fold increases in delafloxacin MICs (Table 3). In conclusion, delafloxacin was highly active against clinical *N. gonorrhoeae* isolates with diverse antimicrobial susceptibility profiles, including isolates with high-level ciprofloxacin resistance, high-level azithromycin resistance, reduced cephalosporin susceptibility, and multidrug resistance

Reference: Antimicrob Agents Chemother. 2016 May; 60(5): 3106–3111. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4862482/>

In vivo activity

The objectives of our experiments were to characterize the in vivo efficacy of delafloxacin using a neutropenic murine lung infection model for three common respiratory tract pathogen groups, including *S. aureus*, *S. pneumoniae*, and *K. pneumoniae*. At the doses studied, exposure to delafloxacin increased in a dose-dependent manner across the dose range. C_{max} concentrations ranged from 2 to 71 mg/liter. $AUC_{0-\infty}$ values ranged from 2.8 to 152 mg h/liter and were linear across the 2.5- to 160-mg dosing range (R^2 of 0.99). Delafloxacin was highly effective against *S. aureus* (Fig. 2A). Against all four strains we observed a decrease of 1.5 to 2.2 \log_{10} CFU in organism burden from untreated controls at even the lowest dose studied, and for two strains (MW2 and R2527) there was net bactericidal activity at the lowest dose. At the maximal doses studied, there was a >4 - \log_{10} kill from initial burden for all *S. aureus* strains. Likewise, delafloxacin was very potent against *S. pneumoniae* (Fig. 2B). The data presented will be useful for delafloxacin dosing regimen optimization for the treatment of respiratory tract infections and for setting the preliminary breakpoints.

Reference: Antimicrob Agents Chemother. 2016 Aug; 60(8): 4764–4769. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4958193/>

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.