Pefloxacin
PRODUCT DATA SHEET

issue date 01/06/2020

Product Name:	Pefloxacin
Product Number:	P015
CAS Number:	70458-92-3
Molecular Formula:	$C_{17}H_{20}FN_3O_3$
Molecular Weight:	333.36
Form:	Powder
Appearance:	Light yellow powder
Solubility:	Water: slightly soluble; 0.1N NaOH (10mg/mL): Clear and very faint yellow solution.
Source:	Synthetic
Water Content (Karl Fischer):	≤ 3.0%
Storage Conditions:	2-8 °C, protect from light
Description:	Pefloxacin is broad-spectrum, synthetic, third-generation fluoroquinolone antibiotic developed in 1979. It is an analog of Norfloxacin. It inhibits bacterial DNA gyrase and Topoisomerase IV, which disrupts bacterial cell division. Novel derivatives of Pefloxacin were found to have anti-cancer properties. Pefloxacin is slightly soluble in aqueous solution. We also offer: • Pefloxacin Mesylate Dihydrate (P004)
Mechanism of Action:	Fluoroquinolone antibiotics like Pefloxacin target bacterial DNA gyrase, a type II topoisomerase enzyme which reduces DNA strain during replication. Because DNA gyrase is required during DNA replication, subsequent DNA synthesis and ultimately cell division is inhibited. This enzyme is the primary target for Gram-negative bacteria. Pefloxacin also inhibits topoisomerase IV, the primary target for Gram-positive bacteria. Since this enzyme is required to separate replicated DNA, the inhibition results in strand breakage of the bacterial chromosome, which ultimately inhibits DNA replication and transcription.
Spectrum:	Pefloxacin is a broad-spectrum antibiotic which targets a wide range of Gram-positive and Gram-negative organisms including a few *Mycoplasma* species (including *M. bovis, M. tuberculosis, and M. africanum).*

Microbiology Applications

Pefloxacin is commonly used during *in vitro* microbiological antimicrobial susceptibility tests (panels, discs, and MIC strips) against Gram-positive, Gram-negative, and *Mycoplasma* microbial isolates. Medical microbiologists use AST results to recommend antibiotic treatment options. Representative MIC values include:

- *Helicobacter pylori* 1 µg/mL - 8 µg/mL
- *Mycoplasma bovis* 8 µg/mL

For a representative list of Pefloxacin MIC values, click here.

Cancer Applications

The *in vitro* effect of Pefloxacin on growth of normal hematopoietic progenitor stem cells and on leukemic cell lines was investigated. It was found to have a dose-dependent inhibition of colony formation both from normal bone marrow cells and from the leukemic line K-562 cells and HL-60 cells when used at ≥ 25 µg/ml (Somekh et al, 1989).

An evaluation of Pefloxacin derivatives and their biological activity was screened against human Pc-3 cancer cell lines and the compounds demonstrated anti-cancer properties (Allaka et al, 2016).

References:

Allaka T et al (2016) Design, synthesis and biological activity evaluation of novel Pefloxacin derivatives as potential antibacterial agents. Med. Chem. Res. 25(5):977-993

Drlica K and Zhao X (1997) DNA gyrase, topoisomerase IV, and the 4-quinolones. Microbiol. Molec. Biol. Rev. MMBR 6(3):377-392

Nordmann P, Pechinot A and Kazmierczak A (1989) Cytotoxicity and uptake of pefloxacin, ciprofloxacin, and ofloxacin in primary cultures of rat hepatocytes. J. Antimicrob. Chemother. 24(3):355-363 PMID 2808191

Pallavicini F, ANtinori A, Federico G, Fantoni M and Nervo P (1989) Influence of two quinolones, ofloxacin and pefloxacin, on human myelopoiesis in vitro. Antimicrob. Agents. Chemother. 33(1):122-123 PMID 2712545

Somekh E, Shaked N and Rubinstein E (1989) In vitro effects of Ciprofloxacin and Pefloxacin on growth of normal human hematopoietic progenitor cells and on leukemic cell lines. J. Pharmacol. and Exp. Ther. 248(1):415-418 PMID 2913285

Wolfson, JS and David C. Hooper DC (1985) The fluoroquinolones: Structures, mechanisms of action and resistance, and spectra of activity *in vitro*. Antimicrob. Agents Chemother. 28(4):581-586 PMID 3000292