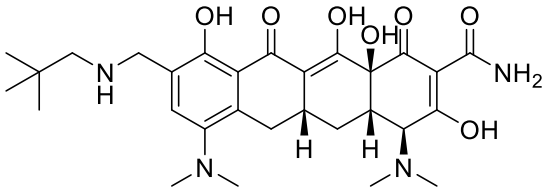
Product data sheet

MedKoo Cat#: 326705 Name: Omadacycline CAS#: 389139-89-3 (free base) Chemical Formula: $C_{29}H_{40}N_4O_7$ Exact Mass: 556.2897 Molecular Weight: 556.66	
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:

Omadacycline, also known as PTK 0796 and Amadacyclin, is a novel first-in-class aminomethylcycline with potent activity against important skin and pneumonia pathogens, including community-acquired methicillin-resistant Staphylococcus aureus (MRSA), β-hemolytic streptococci, penicillin-resistant Streptococcus pneumoniae, Haemophilus influenzae, and Legionella. Omadacycline is active against strains expressing the two main forms of tetracycline resistance (efflux and ribosomal protection). The primary effect of omadacycline is on bacterial protein synthesis, inhibiting protein synthesis with a potency greater than that of tetracycline. The binding site for omadacycline is similar to that for tetracycline.

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	1.0	1.8

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.80 mL	8.98 mL	17.96 mL
5 mM	0.36 mL	1.80 mL	3.59 mL
10 mM	0.18 mL	0.90 mL	1.80 mL
50 mM	0.04 mL	0.18 mL	0.36 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. Kohlhoff SA, Huerta N, Hammerschlag MR. In Vitro Activity of Omadacycline against Chlamydia pneumoniae. Antimicrob Agents Chemother. 2019 Jan 29;63(2):e01907-18. doi: 10.1128/AAC.01907-18. PMID: 30509942; PMCID: PMC6355552.
2. Tanaka SK, Villano S. In Vitro and In Vivo Assessments of Cardiovascular Effects with Omadacycline. Antimicrob Agents Chemother. 2016 Aug 22;60(9):5247-53. doi: 10.1128/AAC.00320-16. PMID: 27324778; PMCID: PMC4997885.

In vivo study

1. Tanaka SK, Villano S. In Vitro and In Vivo Assessments of Cardiovascular Effects with Omadacycline. Antimicrob Agents Chemother. 2016 Aug 22;60(9):5247-53. doi: 10.1128/AAC.00320-16. PMID: 27324778; PMCID: PMC4997885.
2. Steenbergen J, Tanaka SK, Miller LL, Halasohoris SA, Hershfield JR. In Vitro and In Vivo Activity of Omadacycline against Two Biothreat Pathogens, Bacillus anthracis and Yersinia pestis. Antimicrob Agents Chemother. 2017 Apr 24;61(5):e02434-16. doi: 10.1128/AAC.02434-16. PMID: 28223382; PMCID: PMC5404541.

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7. Bioactivity

Biological target:

Omadacycline (PTK 0796), a first-in-class active aminomethylcycline antibacterial.

In vitro activity

A series of nonclinical in vitro studies were undertaken with omadacycline with the objective of evaluating the potential for cardiovascular toxicity. The effects of omadacycline on the hERG tail current were recorded from human embryonic kidney (HEK293) cells. Omadacycline (10 μM, 5.57 μg/ml) inhibited the ligand binding activity of one subtype of muscarinic acetylcholine receptor (M2) by 82%; there was no substantial effect on muscarinic receptor M3 or nicotinic acetylcholine receptors. Omadacycline did not inhibit or stimulate the ligand binding activity of adrenergic receptors or any other receptor target by more than 20% (Table 1). In vehicle-treated cells (n = 4), approximately 10 min of exposure to 100% bath solution produced a residual tail current of 91.1% ± 5.0% of the control values. Omadacycline at 100, 250, 500, and 1,000 μg/ml inhibited the hERG tail current in a concentration-dependent manner, and the inhibition began to plateau at higher concentrations. A significant inhibition of the tail current was observed at concentrations of 250 μg/ml and above ($P < 0.01$) compared with the results obtained with the vehicle-treated group. When the results for the omadacycline- and vehicle-treated groups were compared, omadacycline at 100 μg/ml had no significant inhibitory effect on the hERG tail current. The IC25 value for omadacycline was 166 μg/ml (Fig. 1). Importantly, omadacycline has a low potential for cardiovascular toxicity in human subjects related to blockade of the hERG channel.

Antimicrob Agents Chemother. 2016 Sep; 60(9): 5247–5253. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4997885/

In vivo activity

Administration of omadacycline in conscious male cynomolgus monkeys was associated with a mildly increased arterial blood pressure (systolic, diastolic, and mean) for the 30-min interval ending at infusion termination (the time of the maximum plasma concentration [Cmax]) compared with that achieved with the vehicle (Fig. 5). The greatest increase was observed in the medium-dose and high-dose groups (20 and 40 mg/kg, respectively), with mean blood pressures being 23 and 17 mm Hg greater, respectively, than those in monkeys treated with the vehicle. Blood pressure trended toward baseline values after the maximum increases at 0.5 h after dose initiation. Increases in heart rate were observed among the animals in all omadacycline treatment groups (Fig. 5). The increase in heart rate compared with the heart rate in the vehicle-treated group was greatest (58 bpm) at 0.5 h postdosing in the group treated with an omadacycline dose of 20 mg/kg, but the increases for the groups treated with doses of 5 and 40 mg/kg were 37 and 27 bpm, respectively, compared with the heart rate for the animals in the vehicle-treated group. In summary, the findings from these nonclinical studies suggest that omadacycline can attenuate the parasympathetic influence on heart rate in a concentration-dependent manner.

Antimicrob Agents Chemother. 2016 Sep; 60(9): 5247–5253. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4997885/