Omadacycline (OMC), formerly PTK 0796, is a novel aminomethylcycline that has activity against gram positive, gram negative, anaerobic, and atypical organisms. Potential for clinical development has been supported by data suggesting that >40% of the absorbed dose is eliminated in the urine. In plasma, OMC and its C-4 epimer. This represents 43.2 mg or approximately 40% of the absorbed oral dose.

**ABSTRACT**

Omadacycline is a novel aminomethylcycline and the first member of this class of tetracyclines to enter clinical development. Omadacycline is a broad-spectrum antibacterial agent being developed for the treatment of infections in the urinary and oral cavities for treatment of the known profile of the drug following oral administration.

**INTRODUCTION**

Omadacycline is a novel aminomethylcycline and the first member of this class of tetracyclines to enter clinical development. Omadacycline is a broad-spectrum antibacterial agent being developed for the treatment of infections in the urinary and oral cavities for treatment of infections in patients with moderate to severe pneumonia, complicated skin and skin structure infection, and urinary tract infections. The pharmacokinetics of parent OMC is shown in Table 2.

**RESULTS**

Oral OMC is excreted in the feces (81.1%) and urine (14.4%). The main route of OMC elimination was via the fecal route.

**REFERENCE**


The pharmacokinetics of parent OMC is shown in Table 2. The pharmacokinetics of total radioactivity and plasma free drug are shown in Figure 1.

**CONCLUSION**

The mean bioequivalence achieved in the study was on average ±4% of the administered OMC radioactivity in the excreted urine (not all species) of all subjects after 7 days.

- **Safety**

There were no serious adverse event (SAEs) reported in the study. No subjects experienced AEs that led to the subject's discontinuation from the study due to any AE. All AEs were mild in intensity.

- **AEs**

The most frequently reported AEs were diarrhea (5, 55.6%), dyspepsia (5, 55.6%), nausea (3, 33.3%) and vomiting (3, 33.3%). There were no deaths, SAEs reported during the study. None of the subjects were discontinued from the study due to any AE. All AEs were mild in intensity.

- **Pharmacokinetics**

The pharmacokinetics of [14C]OMC is shown in Table 2. The pharmacokinetics of total radioactivity and plasma free drug are shown in Figure 1. The pharmacokinetics of total radioactivity (% of dose) following a single oral dose of 300 mg of [14C]OMC are shown in Table 2.

**EXCLUSION**

In total, six subjects with similar exclusion profiles were used. OMC related components—C-4 epimer and C-4’ epimer—were primarily excised through biliary excretion (Table 3). 42.2 mg (14.4% of the administered oral dose) was excised in the feces and 43.2 mg or approximately 40% of the 100 mg intravenous dose and the fraction of the absorbed oral dose was determined by liquid chromatography-tandem mass spectrometry (LC-MS/MS) assay.

**METHODS**

Methods: Six healthy male subjects were administered a single oral 100 mg and radiolabeled 300 µg dose under fasting conditions, and observed for 7 days post-dose during which plasma samples, and excreta samples of urine and feces were collected. Parent plasma OMC concentrations were determined by liquid chromatography-tandem mass spectrometry (LC-MS/MS) assay.

**RESULTS**

- **Comparison of total plasma radioactivity exposure and parent drug plasma exposure (ngEq/mL) for total plasma radioactivity and OMC in plasma (HPLC-MS/MS) were comparable (3142±457 ngEq/mL, respectively).**

Comparison of total plasma radioactivity exposure and parent drug plasma exposure suggests little or no metabolites were present in plasma. AUC(0-8)/H for total plasma radioactivity and OMC in plasma (HPLC-MS/MS) were comparable (3142±457 ngEq/mL, respectively).

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**RESULTS**

Results: The maximum OMC plasma concentrations (Cmax) were 1.94 ± 0.79 ng/mL. The mean half-life for OMC was 7.6 h, the mean apparent clearance was 32.8 L/h and the apparent volume of distribution was 827.8 L.

**REFERENCES**
