To investigate the pharmacokinetics, pharmacodynamics, and safety of cetrorelix pamoate, a single oral dose of Avelox® on the morning of Day 15.

Pharmacokinetic Analysis

Following 2 injections of 26 mg CET (dose equivalent to 52 mg of peptide base). The mean testosterone concentration at the nadir was 1060 pg/mL following CET treatment, as compared to 2980 and 3300 pg/mL following treatment with placebo and moxifloxacin, respectively.

The mean recovery time for DHT concentrations to return to 80% of their baseline levels was 59.4 hours after the Day 15 CET dose. Only subjects who had a decrease of at least 20% from baseline were included in this analysis. One subject had DHT concentrations above 80% of baseline throughout the entire sampling schedule. In the placebo group, DHT concentrations remained above 80% of baseline for a total of 3 subjects following placebo and moxifloxacin treatments and DHT suppression was observed at 59.4 hours post dose following CET treatment.

The mean recovery time for estradiol concentrations to return to 80% of their baseline values was 49.5 hours after the Day 15 CET dose. Only subjects who had a decrease of at least 20% from baseline were included in this analysis. One subject had estradiol concentrations above 80% of baseline throughout the entire sampling schedule. In the placebo group, estradiol concentrations remained above 80% of baseline for a total of 4 subjects following placebo and moxifloxacin treatments and estradiol suppression was observed at 49.5 hours post dose following CET treatment.

The mean recovery time for estradiol concentrations to return to 80% of their baseline values was 49.5 hours after the Day 15 CET dose. Only subjects who had a decrease of at least 20% from baseline were included in this analysis. One subject had estradiol concentrations above 80% of baseline throughout the entire sampling schedule. In the placebo group, estradiol concentrations remained above 80% of baseline for a total of 4 subjects following placebo and moxifloxacin treatments and estradiol suppression was observed at 49.5 hours post dose following CET treatment.

RESULTS

Pharmacokinetic Analysis

Following the last IM injection of 26 mg CET (peptide base) on Day 15, peak plasma concentrations were reached at approximately 1 hour post injection. Following the oral administration of 100 mg Avelox® on Day 15, peak plasma concentrations were reached at approximately 1 hour post administration. The peak concentration for both treatments was approximately 5 ng/mL. The peak concentration for the oral dose was approximately 0.5 ng/mL.

Pharmacokinetic and Pharmacodynamic Assessments

Inclusion criteria: Male Investigator to be normal, healthy, male volunteers between the ages of 50 and 70 years old. They were required to be nonsmokers, without previous or present history of hepatic, renal, or endocrine disease, as well as without any significant medical or surgical condition that may affect the test results.

The mean recovery time for estradiol concentrations to return to 80% of their baseline values was 49.5 hours after the Day 15 CET dose. Only subjects who had a decrease of at least 20% from baseline were included in this analysis. One subject had estradiol concentrations above 80% of baseline throughout the entire sampling schedule. In the placebo group, estradiol concentrations remained above 80% of baseline for a total of 4 subjects following placebo and moxifloxacin treatments and estradiol suppression was observed at 49.5 hours post dose following CET treatment.