

Pharmacokinetics and Bioequivalence Comparison of 600 mg Single-Dose Linezolid Oral Suspension and Tablet Formulation in Healthy Chinese Subjects

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abstract

study heritage: Linezolid is indicated for the treatment of infections resulting from cardio Gram-high-quality bacteria. An oral suspension formula poses an opportunity to strong oral formulations in patients with swallowing difficulties, especially pediatric and geriatric sufferers, or sufferers with feeding tubes.

introduction

Linezolid is a synthetic antibacterial agent from the oxazolidinone elegance of antibiotics that selectively inhibits bacterial protein synthesis. thru a unique mechanism of action, linezolid prevents the formation of a vital component of the bacterial translation system that entails binding to a site on the bacterial 23S ribosomal ribonucleic acid of the 50S subunit and inhibiting the assembly of a practical 70S initiation complex. cross-resistance among linezolid and different classes of antibiotics is unlikely. Linezolid is approved for the treatment of infections resulting from aerobic Gram-superb micro organism, including nosocomial pneumonia; network-acquired pneumonia; complicated pores and skin and skin shape infections, consisting of diabetic foot infections, without concomitant osteomyelitis; clear-cut pores and skin and skin structure infections; and vancomycin-resistant *Enterococcus faecium* infections. Linezolid is to be had in three formulations: intravenous answer, oral film-coated pill, and oral suspension. the usual oral doses of linezolid are 10 mg/kg each 8 or 12 h for pediatric patients

methods:

This randomized, open-label, two-manner pass-over, clinical pharmacology take a look at in healthful chinese language male topics evaluated the bioequivalence of unmarried-dose six hundred mg linezolid oral suspension to unmarried-dose 600 mg linezolid film-covered tablet underneath fasted conditions. Pharmacokinetic blood sampling become finished at numerous time points inside forty eight h submit-dosing and plasma samples were analyzed the use of a validated high-performance liquid chromatography tandem mass spectrometric method. The number one endpoints had been area under plasma attention-time curve (AUC) from time 0 to the time of the final quantifiable concentration (AUClast) and maximum plasma concentration (Cmax) for linezolid.

dialogue

The number one objective of this have a look at became to establish bioequivalence of a single dose of linezolid 600 mg oral suspension to six hundred mg pill formula in healthy chinese male topics to reap regulatory popularity of the oral suspension formulation. while administered as an oral suspension (600 mg), the entire publicity (AUC) to linezolid was nearly same to that following management as an oral tablet (600 mg). the bounds of the ninety% CIs for the ratios of adjusted geometric manner for all 3 number one publicity comparisons AUClast, AUCinf, and Cmax, were absolutely inside the hooked up equivalence limits of 80 to 125%. primarily based on those outcomes, the linezolid six hundred mg oral suspension is bioequivalent to the six hundred mg pill system and they may be anticipated to be therapeutically equivalent and might be switched in topics without any want for dose change.

results:

All 20 enrolled male topics finished the look at (suggest age 25 years, suggest body mass index 22 kg/ m²). The ninety% self assurance intervals (CIs) for the ratios of the adjusted geometric manner of the number one endpoints, AUClast (97.81% [90% CI, 93.11-102.75%]) and Cmax (113.67% [90% CI, 105.26-122.75%]), for the oral suspension formulation compared with the oral tablet have been completely in the installed bioequivalence limits of 80-125%. the two linezolid formulations had been well tolerated and no serious negative occasion or other large adverse event was noted.

Conclusions

based totally at the results of our observe, linezolid 600 mg oral suspension and linezolid 600 mg tablets are anticipated to be therapeutically equivalent in healthful chinese topics and might be switched in topics without any want for dose amendment. both formulations had been secure and properly tolerated on this take a look at.