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Pharmacokinetics of intranasal mometasone in the fixed-dose combination GSP301 versus twomonotherapy intranasal mometasone formulations.

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BACKGROUND:

GSP301 is a fixed-dose combination (FDC) of the antihistamine olopatadine hydrochloride and the corticosteroid mometasonefuroate developed as a single nasal spray (NS).

OBJECTIVE:

To assess the relative bioavailability of mometasone administered as GSP301 FDC versus two mometasone monotherapy NS formulations.

METHODS:

In this single-dose, open-label, crossover study, healthy adults (age range, 18-65 years) were randomized equally to one of six treatment sequences for three 72-hour treatment periods with GSP301 (olopatadine 665 μg-mometasone 50 μg), the mometasonefuroatemonotherapy component of GSP301 (MF-sponsor, 50 μg), and U.S. Food and Drug Administration-approved mometasone (MF, 50 μg); all the treatments were administered as two sprays per nostril. To evaluate the relative bioavailability of mometasone, pharmacokinetic (PK) estimates, the maximum plasma concentration (Cmax), the area under the plasma concentration time curve (AUC) from time 0 to the last time point with measurable concentration (AUC0-t), and AUC from time 0 to time infinity (AUC0-∞) were compared by analysis of variance. Safety and tolerability were also assessed.

RESULTS:

A total of 30 healthy subjects were randomized. Most subjects were white men who were not obese, mean age of ?43 years. The geometric mean ratios for natural log transformed Cmax, AUC0-t, and AUC0-∞ of mometasone in GSP301 to MF-sponsor were 113.83, 118.36, and 118.50, respectively. For GSP301 and MF, geometric mean ratios for Cmax, AUC0-t, and AUC0-∞ were 141.84, 109.92, and 115.14, respectively. The percentages of subjects who reported treatment-emergent adverse events (TEAE) were 10.0%, 13.3%, and 10.3% for GSP301, MF-sponsor, and MF treatments, respectively. All TEAEs were mild, and none resulted in discontinuation.

CONCLUSION:

Mometasone bioavailability with GSP301 was comparable with MF-sponsor and MF monotherapies. A slightly higher Cmax was observed with GSP301 than with MF, but AUC was comparable. The addition of olopatadine to mometasone in GSP301 did not considerably affect the PK of mometasone. GSP301 was well tolerated, with only mild adverse events reported.