Differentiated pharmacokinetics of levoketoconazole (COR-003), the single 2S,4R-enantiomer of ketoconazole, a new investigational drug for the treatment of Cushing’s syndrome

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Introduction

Background: Levoketoconazole, COR-003, is a 2S,4R-enantiomer of ketoconazole, a broad-spectrum antifungal agent. Clinical trials have shown COR-003 has similar efficacy to ketoconazole in Cushing’s syndrome.

Objectives

To determine the pharmacokinetics of levoketoconazole (COR-003) in healthy volunteers using non-invasive breath analysis and compare to ketoconazole.

Methods

36 healthy volunteers were randomized in a 2:1:1 ratio to receive COR-003, ketoconazole, or placebo. Participants were assigned to one of three dose groups: 100 mg COR-003, 100 mg ketoconazole, or 50 mg ketoconazole. Blood samples were collected for up to 48 hours post-dose. Breath samples were collected for up to 24 hours post-dose. A mathematical model was developed to predict the pharmacokinetics of COR-003 in patients with Cushing’s syndrome.

Results

The pharmacokinetics of COR-003 in healthy volunteers were similar to ketoconazole. The area under the curve (AUC) for COR-003 was approximately 2-fold higher compared to the ketoconazole group.

Conclusion

COR-003 has a similar pharmacokinetic profile to ketoconazole in healthy volunteers.

Summary

The differentiated pharmacokinetics of COR-003, the single 2S,4R-enantiomer of ketoconazole, demonstrate its potential for the treatment of Cushing’s syndrome.

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