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Perspective

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Cyclobenzaprine Pills Pharmacokinetics and Comparability Analysis

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INTRODUCTION

Cyclobenzaprine, also known as 3-(5H-dibenzo (a,d)cyclohepten-5-ylidene)-N,N-dimethyl-1-propanamine, is a skeletal muscle relaxant and one of the most commonly prescribed medications for the treatment of musculoskeletal pain. It was first synthesized in 1961 and approved in 1977 as an adjunct to rest and physical therapy for the relief of muscle spasm associated with acute painful musculoskeletal conditions, influencing both the gamma and alpha motor systems. The sedation caused by this dose, however, limited its use until 2003, when the efficacy of cyclobenzaprine hydrochloride 5 mg was established in two well-designed clinical studies.

DESCRIPTION

Cyclobenzaprine is structurally similar to Tricyclic Antidepressants (TCAs) and was initially studied for efficacy and safety as an antidepressant. Its precise mechanism of action is unknown, but it is thought to operate at the brainstem level of the central nervous system rather than the spinal cord level. Its anticholinergic activity and main negative effects are explained by its chemical similarity to TCAs. Cyclobenzaprine hydrochloride 5 mg and 10 mg have comparable tolerability, but the 5 mg dose is associated with a lower incidence of somnolence (29% versus 38%) and dry mouth (21% versus 32%). Other common side effects with both doses include fatigue (both, 6%) and headache (both, 5%). It can also cause QT interval prolongation on an electrocardiogram and raise intraocular pressure. Cyclobenzaprine should be avoided in the elderly, patients with arrhythmias and pregnant women due to its side effects. In patients with glaucoma, cardiac conduction disturbances, heart block, heart failure or recent myocardial infarction, as well as those with glaucoma.

For most patients, the recommended dose of cyclobenzaprine hydrochloride for muscle spasm relief is 5 mg t.i.d. (immediate release tablets), but this can be increased to 10 mg t.i.d (immediate release tablets). Cyclobenzaprine has also been studied in Fibromyalgia Syndrome (FMS), with doses ranging from 10 mg near bedtime to 30 mg, either at night or divided throughout the day.

Cyclobenzaprine is absorbed after 10 mg immediate release tablet oral administration, with mean bioavailability estimates ranging from 33% to 55%. The drug is bound to plasma proteins in approximately 93% of cases. Cyclobenzaprine has linear pharmacokinetics over a dose range of 2.5 mg to 10 mg. The medication is 1A2 and

is primarily excreted by the kidney as glucuronides. The elderly and patients with hepatic impairment have a longer elimination half-life. The pharmacokinetics of cyclobenzaprine is not well understood. Some authors describe cyclobenzaprine elimination half-life as highly variable, ranging from 8 to 37 hours, whereas Darwish and colleagues describe average values of 30-35 hours and lower variability for cyclobenzaprine elimination half-life.

The rate and extent to which the active ingredient or therapeutic moiety is absorbed and becomes available at the site of drug action is defined as a drug's bioavailability. If two drug products are pharmaceutical equivalents (*i.e.* similar dosage forms made by different manufacturers) or pharmaceutical alternatives, they are considered bioequivalent (*i.e.* different dosage forms) and if their absorption rates and extents do not differ significantly when delivered at the same molar dose of the therapeutic moiety under equivalent experimental settings. Bioequivalence, also known as comparative bioavailability, has received increased attention in the last 40 years as it became clear that marketed medications containing the same dose of the same drug might have markedly different therapeutic effects. In many cases, these inequalities were effectively linked to disparities in medication blood levels caused primarily by poor absorption.

CONCLUSION

The goal of this study was to look at the pharmacokinetics of cyclobenzaprine and to compare the bioequivalence of two distinct tablet formulations containing the drug. Pharmacokinetics and statistical results show that the two cyclobenzaprine formulations are bioequivalent in terms of absorption rate and extent and that cyclobenzaprine pharmacokinetics can be described by a multicompartment open model with an average rapid elimination half-life of 3.1 hours and an average terminal elimination half-life of 31.9 hours.