Comparative study between buccal tablets and buccal hard gelatin capsules in order to improve the bioavailability of Zolmitriptan

Hadel A Abo Enin
National Organization of Drug Control and Research, Egypt

Introduction: Zolmitriptan (Zl) is a selective serotonin receptor agonist. It is used in the acute treatment of migraine attacks with or without aura and cluster headaches. It is affected by extensive first-pass effect (>60%) in addition concomitant food intake delays its absorption.

Aim: The purpose of this study is to formulate a buccal preparation of Zl as (buccal tablets and buccal hard gelatin capsule). They have been associated with numerous advantages over oral administration as avoidance of both hepatic and high bioavailability.

Methods: A 23 full factorial design was adopted for the optimization of different formulae. The effects of the filler type, the binder molecular weight and of tablets, and capsules, and the effects of the disintegrant type (tablets) and the drying method (capsules) were studied. The prepared formulae were evaluated according to wetting properties and disintegration time using the new modified method. In-vitro drug dissolution, permeation through the buccal mucosa and the effect of storage were analyzed by a new valid HPLC method. Bioavailability study was done on the best selected formulae.

Results: Lyophilizations drying method and vacuum drying method are considered the best drying methods for preparation of buccal hard gelatin capsules. The disintegration times of tablets were lower than capsules’ time (from 36 to 178 sec). The presence of AC Di Sol as a disintegrant enhances the dissolution rate in tablets. In addition the formulations were found to be stable after twelve months at 25°C and 75% RH.

Conclusion: The results revealed that buccal tablet formula of Bu would maintain rapid onset of action, and increased bioavailability.

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