RESEARCH ARTICLE

Effect of Disintegrants on Spironolactone Tablet Stability

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ABSTRACT

Introduction: Spironolactone is a potassium-sparing diuretic, marketed as a tablet dosage form. Because of high lipophilicity, the bioavailability of spironolactone is affected by the type of excipients used in the formulation. The physical properties of the tablets, including disintegration and dissolution time, have a direct relationship with the bioavailability of spironolactone and its therapeutic activity. The current study aims to formulate a spironolactone tablet dosage form using different disintegrants and assess the disintegration and dissolution behavior upon storage at high temperatures and humidity.

Materials and methods: Spironolactone tablets were prepared by the wet granulation method in two doses (25 and 50 mg) using two disintegrants (starch 1500 and croscarmellose sodium). The resultant tablets were stored at 30°C ± 2°C and 65% ± 5% RH. The disintegration time and dissolution after 45 minutes (D45) were used to assess the stability.

Results: The prepared formulas were within the pharmacopeial specifications of spironolactone tablet. The disintegration time and dissolution of formulas prepared with croscarmellose were faster than those prepared with starch 1500.

Additionally, disintegration time and D45 for formulas prepared with starch 1500 showed significant change upon storage, whereas formulas prepared with croscarmellose did not show such changes.

Conclusion: Selection of the proper disintegrant is crucial in designing the formula for lipophilic drugs as it affects the disintegration and subsequently affects dissolution and bioavailability. Furthermore, it is necessary to study the behavior of disintegrants upon storage at stress condition to examine the ability to disintegrate tablet after exposure to environmental conditions.

Keywords: Disintegration, Dissolution, Spironolactone.

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INTRODUCTION

The oral route of administration is preferred over other routes because of its convenience and ease of administration. Tablet dosage form contains active ingredients and excipients that serve different functions such as causing bulkiness and altering the shape of the tablet, taste masking and enhancing palatability, facilitating disintegration, and improving the release of the drug from the dosage form. Therefore, optimizing the type and proportion of these excipients will play a critical role in the *in-vivo* performance of the dosage form.[1]

For the drug to be effective and has an acceptable bioavailability, it should be released at a reasonable rate from the formulation at the site of absorption, if the drug is not released or is released only slowly, bioavailability will be considered to be poor which makes it ineffective therapeutically.[2] In the absorption site inside the gastrointestinal tract (GIT), tablets disintegrate to produce smaller granules with a larger surface area allowing more contact with the dissolution medium, which is the GIT fluid; tablet disintegration is enhanced by the addition of some excipients called disintegration agents to the tablet formulation.[3]

Medicines are generally exposed to deterioration and change in properties under storage conditions like elevated temperature and moisture. Changes in properties might include chemical, physical, and microbial change.[4]

Spironolactone is a potassium-sparing diuretic. It is used for the treatment of fluid accumulation due to heart failure, renal diseases, and hepatic scarring. It is also used for hypertension, hypokalemia, premature male puberty, and for acne , and unnecessary hair development in females.[5] Its chemical name is 7α-acetylthiospirolactone, it is a steroidal 17α-spirolactone[6] (Figure 1).

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