INTRODUCTION

Dissolution characteristics are vital for the selection of an appropriate API. The activity of an API is affected by its availability and its stability in solution, which can be influenced by factors such as pH, ionic strength, and the presence of other substances in the solution. The dissolution rate is often used as a measure of the bioavailability of an API because it is directly related to the rate at which the API is released from the dosage form and becomes available for absorption by the body.

The current study aims to investigate the relationship between drug loading and tablet robustness using a novel disintegration apparatus. The results show that the drug loading and tablet CQAs, specifically linking them to practical targets that go beyond those discussed in the FDA Guidance. This novel research provides guidance for the specific challenge related to higher dose API tablet formulation.

AIMS AND OBJECTIVES

The objectives of this study were to investigate the relationship between drug loading and tablet robustness in terms of Disintegration Time (DT) and Tablet Robustness. The formulations were compared for different doses of a challenging taste masked API and the excipient system. In addition, other important parameters such as the porosity of the tablets and the energy of compaction were obtained and compared to values reported elsewhere.

RESULTS AND DISCUSSION

The formulations were compressed using 11.28 mm flat face tooling. Results show that higher drug loadings increase the compression force and the tablet thickness. The Disintegration Time (DT) and Tablet Robustness, measured as Tablet Strength (TS) and Friability were compared for different doses of a challenging taste masked API and the excipient system. In addition, other important parameters such as the porosity of the tablets and the energy of compaction were obtained and compared to values reported elsewhere.

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CONCLUSIONS

Full mechanical and physical characterization of a formulation can be used in the design of ODTs with higher drug loading (> 20%). The development of a direct compression ODT formulation requires a balance between achieving the requisite tablet robustness and meeting a low DT. We have shown that by using Pharmaburst 500 as a co-processed ODT platform an acceptable dissolution profile of all APIs are possible for drug loadings of 50% while maintaining acceptable organoleptic properties. This formulation strategy is useful for both larger tablets with higher drug loads or decreasing tablet size for patient compliance.

The Styl’One tableting instrument proved an efficient and flexible tool to enable rapid screening, characterization of the formulation components and to help in the development and understanding of an optimised formulation.