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BANGKOK 2019 THAILAND

The International Conference and Exhibition on Pharmaceutical Sciences and Technology 2019

"Pharmaceutical Engineering and Pharmaceutical Sciences for Human Health"

18-19 June 2019 ■ The Ambassador Bangkok Hotel, Bangkok, Thailand

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Formulation and *in-vitro* evaluation of fast dissolving tablets using superdisintegrant blend with effervescent material

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Abstract. The objective of this study was to formulate fast dissolving tablets (FDTs) using superdisintegrant and effervescent material. The tablets were prepared by direct compression method. The effect of superdisintegrant content was studied. The weight and hardness were controlled within the range of 500±20 mg and 50±10 N, respectively. Tableting properties including weight, thickness, diameter, friability, hardness, wetting time, water absorption ratio and *in-vitro* dispersion time were evaluated. As a result, the physical properties of tablets were within the required limit. As increasing the amount of sodium starch glycolate, the water absorption ratio had a tendency to increase. However, the wetting and dispersion time took more longer. By effect of adding effervescent material (tartaric acid and sodium bicarbonate), the wetting and dispersion time were lower. The time showed less than 3 min that represented a good characteristic of FDTs. This study showed that, among the designed formulations, the formulation containing effervescent material emerges as the overall best formulation based on drug dissolving characteristics.

Introduction

The tablet is the most preferred dosage form due to its common and convenience. However, geriatric, pedriatric and mentally ill patients experiences difficulty in swallowing conventional tablets, which lead to poor patient compliance [1]. To solve this problem, the developed drug delivery system known as fast dissolving/disintegrating tablets (FDTs) is introduced. These tablets are dissolved/disintegrated in saliva within few seconds without water. To fabricate FDTs, various techniques are used such as lyophilization, direct compression method, melt granulation, etc [2]. Therefore the objectives of this research were to formulate FDTs by using combination technique (direct compression method and gas generating system) to enhance the properties of FDTs.

Experimental

Materials

Microcrystalline cellulose, sodium starch glycolate and spray dried lactose were purchased from DFE Pharma (Netherlands). Talcum, citric acid, tartaric acid and sodium bicarbonate were obtained from Vidhyasom (Thailand). Aspartame and magnesium stearate were purchased from Srichand (Thailand).

Preparation of tablets

The formula with different amount of excipient were compressed by direct compression method as show in **Table 1**. All ingredient were grounded into fine particles and passed through screen number 40 mesh before used. The first steps, tablets without drug were prepared to find out the optimum formula and then selected the best formula to further investigation with drug. The excipients were mixed for 5 min and then magnesium stearate was subsequently added to powder mixture and mixed for another 5 min. The total time of mixing was 10 min. The powder mixture was then compressed with flat-faced single punch tabletting machine. The weight and hardness of tablets were controlled within 500±20 mg and 50±10 N, respectively. All tablets were kept in the ambient temperature before evaluated.

Table 1. Formulation of blank tablets (% by weight)

Ingredient	F1	F2	F3	F4
Microcystalline cellulose	20	20	20	20
Sodium starch glycolate	10	20	30	10
Citric acid	1	1	1	1
Talcum	1	1	1	1
Aspatame	1	1	1	1
Magnesium stearate	1	1	1	1
Tartaric acid	-	-	-	2
Sodium bicarbonate	-	-	-	3.4
Spray dried lactose	66	56	46	60.6

Tablet evaluation

Weight variation was measured by analytical balance (Sartorious CP224S, Germany). Hardness, diameter and thickness were comparatively evaluated by digital thickness guage (Mitutoyo, Japan) and hardness tester (Pharmatest PTB311, Germany), respectively. Friability was measured by USP-type Roche friabilitor. Wetting time, water absorbtion ratio and *in-vitro* dispersion time were determined by Gohel *et al.* (2004) method [3]. Water absorbtion ratio (R) was calculated by using Eq.1. Where W_b was the weight of tablet before study and W_a was the weight of tablet after study.

$$R = 100 \times (W_a - W_b)/W_b \quad (1)$$

Result and Discussion

Physical properties of blank tablets

The powder mixture has a good free flowing by considering Carr's index and Hausners's ratio. The blank tablets were successfully fabricated by direct compression method. The weight and hardness of blank tablets were within the the required range. All formulation passed % friability limit. All data are represented in Table 2.

Table 2. Physical properties of blank tablets .

Formulation	Weight variation (mg)	Thickness (mm)	Diameter (mm)	Hardness (N)	Friability (%)
F1	505.26± 10.98	3.01±0.09	12.01±0.02	53.17±8.65	0.94
F2	503.67± 8.53	3.04±0.05	12.10±0.01	57.37±5.04	0.83
F3	509.81± 13.49	2.99±0.05	12.06±0.01	58.83±7.85	0.67
F4	500.83± 7.95	2.93±0.05	12.02±0.01	55.43±4.02	0.75

Absorption ratio.

The blank tablets were evaluated in water and artificial saliva. The percentage of absorption ratio is show in **Fig.1.** The result revealed that the amount of superdisintegrant increased (F1-F3), the absorption ratio had tendency to increase proportionally. This might be the effect of sodium starch glycolate allowing rapid water uptake. In case of tablet containing effervescent material (F4), the absorption ratio was lower than the earlier formulation. After F4 contacted with solution, the solution could penetrate and react with effervescent material to generate gas [4,5]. The weight of tablet was lost after the reaction resulting in reduction of absorption ratio.

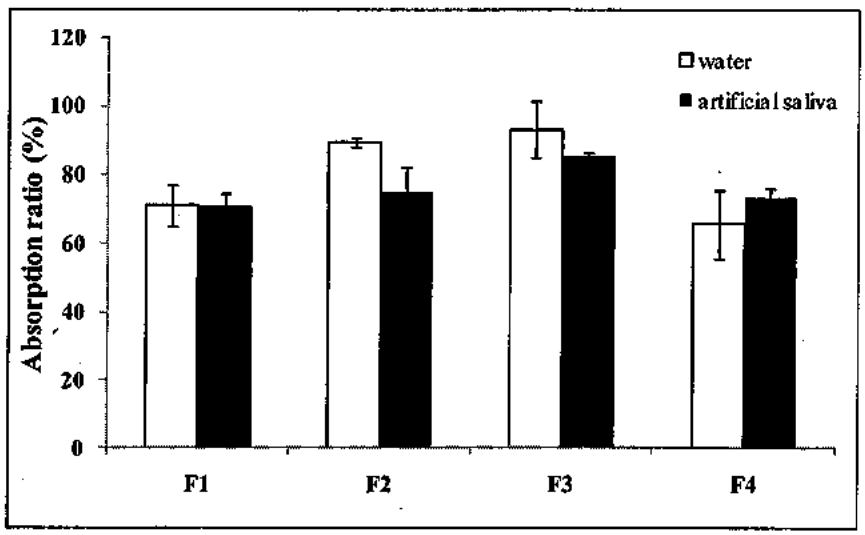

Figure 1. Absorption ratio

Table 3. Wetting time and dispersion time

Formulation	Wetting time (sec.)		Dispersion time (sec.)	
	water	artificial saliva	Water	artificial saliva
F1	99.17±19.69	323.35±12.13	71.67±1.52	213.35±5.93
F2	178.42±23.20	468.35±32.72	90.12±2.32	275.35±3.62
F3	219.67±21.17	693.73±6.70	167.33±3.76	482.73±7.50
F4	31.97±3.05	213.12±18.29	31.37±1.79	102.12±11.24

Wetting time and dispersion time.

The most important parameter that needs to be optimized in the development of FDTs are wetting and dispersion time.The tablets were evaluated in water and artificial saliva. The data are showed in **Table 3.** The result showed that as the amount of superdisintegrant increased, the wetting and dispersion time also increased. This might be the property of sodium starch glycolate in terms of less tendency toward gel formation [6]. In case of tablet containing effervescent, the wetting and dispersion time were reduced.

Summary

In the present work, fast dissolving tablets were prepared by direct compression method. The low values of the standard deviation of average weight, thickness, diameter and hardness of tablets indicated uniformity within the batches prepared. The reduced dispersion time of formulation containing effervescent material showed the characteristic advantages of FDTs over conventional dosage form.

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