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Original Research Article

Formulation, development and evaluation of fast disintegrating tablet of dapsone by using natural super disintegrates

Nandhini M^{1*}, Suganya T¹, Yamuna R¹, Keerthika A¹, Sindhu C¹, Anisha B¹, Voleti Vijaya Kumar¹, P. Shanmugapandiyar¹

¹School of Pharmacy, Sathyabama Institute of Science and Technology, Chennai, Tamil Nadu, India



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ABSTRACT

The need of the fast-disintegrating tablets ever increasing day by day during the last decade. In this current research study, the effect of the natural super disintegrating agents in the Dapsone fast disintegrating tablets was compared. The natural super disintegrating agents were characterized for different physico chemical methods like Loss on drying, moisture content and Ash values. Dapsone was selected as a model drug, where the dapsone has low bioavailability due to this reason, dapsone solid dispersions were developed and the same was used to formulate the tablets. The formation of the solid dispersions was confirmed by the XRD studies. Dapsone solid dispersions showed the better solubility than to the pure dapsone. The dapsone FDT were prepared by use of the Direct compression method by use of the three natural super disintegrating tablets in different proportions. Total of 9 formulations were developed. The components were evaluated for the pre compressional parameters like Angle of repose, bulk density, tapped density and post compressional parameters like drug content, hardness, weight variation, wetting time, friability, disintegration time, dissolution time, drug release study. The formulation F9 showed the lowest disintegration time, less wetting time, less dispersion time and in-vitro dissolution studies recorded that formulation F9 (Banana Powder) showed 99.43% drug release. It was proved that the formulations with highest concentration of the super disintegrating agents showed the highest drug release than to the lowest concentrations.

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1. Introduction

Oral Administration of the tablets is the advantageous dosage form for because of many factors like its convenience in term of self-administration, accurate dosage and ease in manufacturing. Swallowing by the pediatric and geriatric patients is the limitation for the oral tablet dosage forms. The quick disintegration of the tablet in the oral cavity facilitates the quick drug release and quick onset of action. For this reason, the formulation of the Fast-disintegrating tablets plays a major role, which can be prepared by the use of the super disintegrating agents.

The drug product dissolving in oral cavity with in few seconds of the time when it comes in contact with the salivary fluid without the consumption of the additional water.¹ The advantage of FDT is high patient acceptance, compliance and increase the oral bioavailability. Due to abundant availability and less interactions natural super disintegrating agents are advantageous over the synthetic super disintegrating agents. Dapsone is selected as API to formulate the FDTs. Dapsone is Class-II drug, shows less bioavailability. For this reason, Dapsone solid dispersions were prepared by the use of the solvent evaporation method. In this work, Banana powder, Plantago Ovata and Fenugreek Seed Mucilage were selected as natural super disintegrating agents. The tablets were prepared by the

* Corresponding author.

E-mail address: nandhinipharma6@gmail.com (Nandhini M).

direct compression method.² Three super disintegrating agents were used in different proportions. The objective the current work is to improve the bioavailability of the dapsone by improving its solubility. The powder blend was subjected for the pre and post compressional parameters. Fenugreek gum and banana powder act by swelling mechanisms, which are responsible for drug release. Thus, the Three super disintegrating agents were compared to find out which gives the best results.

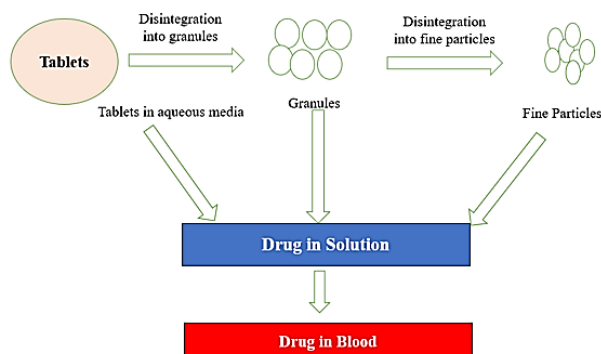


Figure 1: Mechanism of drug product absorption

2. Materials and Methods

The API Dapsone was procured as a gift sample from Chennai. Fenugreek seeds, Plantago Ovata and Banana powder was procured from the local market in Chennai. All the other chemicals and reagents used in the research work are of analytical grade and procured from SD fine chemicals, Mumbai.

2.1. Methodology

Physicochemical Characterization of Natural Super Disintegrants

Micrometric properties: The purified and dried extracted powders were evaluated for its organoleptic characteristics like colour, odour, taste, shape, texture by visual and Microscopical observations.³

Swelling index: The study was carried out by using a 100 mL stop Pere graduated cylinder. The initial bulk volume of 1 g of Powder was noted. Water was added in sufficient quantity to ensure 25 mL of uniform dispersion by vigorously shaking every 10 min for 1 h and then allowed to stand for 24 h. The dispersion was stored at room temperature and the sediment volume of the swollen mass was measured after 24 hours.

$$\text{Swelling index} = 100 \times (V_2 - V_1 / V_1)$$

Where, V_1 = Initial volume of material before hydration;

V_2 = Volume of hydrated material

Determination of total ash: 1g of powder accurately weighed, in a suitable tared dish. Incinerate the material by

gradually increasing the heat, not exceeding 450°C, until free from carbon, cooled, and weighed.⁴ Total ash content can be calculated from

$$\% \text{ash content} = c/a/b - a \times 100$$

Weight of empty silica crucible = (a),

Weight of crucible with 3g of sample = (b), and

Weight of crucible with ash = (c)

Loss on drying: The loss on drying technique is used to determine high levels of moisture or solvents present in the sample. The material sample was weighed (W_1) and heated in a oven for 2 h. It was cooled in the dry atmosphere of desiccators and then finally weighed (W_2).

$$\% \text{ Loss on drying} = [(W_1 - W_2) / W_1] \times 100$$

Where, W_1 = Initial weight of the powder; W_2 = Final weight of the powder

Moisture content: The moisture content was then determined as the ratio of weight of moisture loss to weight of sample expressed as a percentage

$$2.2. \% \text{ Moisture Content} = b - c / b - a \times 100$$

1. (a) Weight of weighing bottle,
- (b) Weight of weighing bottle with 1g of sample,
- (c) Weight of weighing bottle with sample after drying at 105°C for 2h

2.3. Preformulating studies

1. **Melting Point:** The melting point of the drug substances was determined by using melting point apparatus (PMP-D, Veego). The melting point was determined by introducing small amount of substance in the capillary attached to graduated thermometer and constant heat was applied with the assembly suspended in the paraffin bath. The drug sample was tested in temperature range 100-250°C and point at which drug melts was noted. The melting point is reported in section.
2. **Solubility:** Solubility of the Dapsone was determined in different solvents like water, 0.1 N HCl, phosphate buffer pH 6.4, alcohol, acetone etc.
3. **UV absorption maxima of Dapsone:** UV scanning was done in Shimadzu double beam UV/Visible spectrophotometer using 10 µg/ml drug solutions in the wave length range of (200-400 nm). Phosphate buffer 6.4 used as a blank.
4. **Preparation of Standard Curve:** From the stock solution 2, 4, 6, 8 and 10 ml were transferred to 10 ml standard volumetric flasks and diluted with phosphate buffer the (PH6.4) upto the mark to obtain Dapsone concentration of 2, 4, 6, 8 and 10 µg/ml respectively. Absorbance of each solution was measured at 260 nm.
5. **Preparation of Solid Dispersion:** Solid dispersions of Dapsone were prepared by solvent evaporation method. Accurate amount of dapsone was weighed

dandtakenina Chinadish, dissolve dimethanol and then carrier was added (Urea in ratio of 1:1, 1:2 & 1:3). The solvent was evaporated at room temperature and dried in hot air oven at 50°C for 4 hours. The resultant mass was passed through sieve no. 60 and stored in desiccator.^{4,5}

2.4. Characterization of solid dispersions

Drug content: An accurately weighed quantity of solid dispersion equivalent to 25mg Dapsone was taken into 100ml of volumetric flask. Dissolved in phosphate buffer pH 6.4 and the volume were made up with the same. An aliquot of the filtrate was diluted and analyzed spectrophotometrically (UV-1700, Shimadzu Corporation) at 260 nm.

2.5. Dissolution study

In vitro dissolution studies of Dapsone in powder form, Dapsone Solid Dispersions (DSD) and Dapsone Physical Mixture (DPMs) were performed by using the USP XXIII type-II dissolution apparatus (Electrolab TDT-06N) employing a paddle stirrer at 50 rpm.⁶

900 ml of pH 6.4 phosphate buffer was used as dissolution medium. The temperature of dissolution medium was maintained at $37 \pm 0.5^\circ\text{C}$ throughout the experiment. Samples of dissolution medium (5ml) were withdrawn for 20 min by means of syringe fitted with pre-filter at known intervals of time and analyzed for drug release by measuring the absorbance at 260 nm. The volume withdrawn at each time interval was replaced with fresh quantity of dissolution medium. Cumulative percent released was calculated and plotted against time.⁷

3. Formulation of Dapsone FDT by use of Natural Super Disintegrants

Fast dissolving tablet of Dapsone were prepared by direct compression method. Pure drug and natural super disintegrants were passed through 60 No. mesh, required amount of drug and excipients were taken for every formulation. The powdered drug and excipients were mixed uniformly with continuous trituration using mortar and pestle. Then weighed quantity of natural super disintegrants properly mixed, finally magnesium stearate and talc powder were added and mixed well. The mixed blend of drug and excipients were compressed using 10 station tablet punching machine. Before the tablet compression the mixture blend of all designed formulations were subjected to pre-compression parameters like- Angle of repose, Bulk density, Tapped density, compressibility index, Hauser's ratio.

3.1. Evaluation of the Prepared Tablets

All prepared tablets of Meclofenamate Sodium were evaluated for the following parameters as per IP guideline.

- 1. Weight Variation:** Twenty tablets were selected at random and average weight was determined. Then individual tablets were weighed and the individual weight was compared with an average weight.
- 2. Content uniformity test:** Ten tablets were weighed and powdered, a quantity of powder equivalent to 50 mg of Dapsone was transferred to a 25ml volume flask and 15ml water is added. The drug is extracted in water by vigorously shaking the stoppered flask for 15 minutes. Then the volume is adjusted to the mark with distilled water and the liquid is filtered. The Dapsone content was determined by measuring the absorbance at 260 nm after appropriate dilution. The drug content was calculated using the standard calibration curve. The mean percent drug content was calculated as an average of three determinations.
- 3. Disintegration test:** Tablets were taken and introduced in each tube of disintegration apparatus, and the tablet rack of the disintegration apparatus was positioned into a 1-liter beaker containing 900 ml of distilled water and the time of disintegration was recorded. To discriminate between the formulation's disintegration was done at room temperature and disk was not used for the study.⁸
- 4. In vitro dispersion time:** Tablet was added to 10 ml of pH 6.4 phosphate buffer solution at $37 \pm 0.5^\circ\text{C}$. Time required for complete dispersion of a tablet was measured.
- 5. Wetting time and Water absorption ratio:** A piece of tissue paper folded twice was placed in a small petridish (internal diameter 5 cm) containing 6 ml of water. A tablet was put on the paper and the time required for complete wetting was measured, the wetted tablet was then weighed.
- 6. Dissolution study:** In vitro dissolution of Dapsone mouth dissolving tablet was studied in USP XXIII type-II dissolution apparatus (Electrolab TDT-06N) employing a paddle stirrer at 50 rpm. 900 ml of pH 6.4 phosphate buffer was used as dissolution medium. The temperature of dissolution medium was maintained at $37 \pm 0.5^\circ\text{C}$ throughout the experiment. One tablet was used in each test. Samples of dissolution medium (5ml) were withdrawn by means of syringe fitted with pre-filter at known intervals of time and analyzed for drug release by measuring the absorbance at 260 nm. The volume withdrawn at each time interval was replaced with fresh quantity of dissolution.^{9,10}

Table 3: Comparative in vitro dissolution profiles of the pure drug, solid dispersions and physical mixture of the dapsone in pH 6.4 phosphate Buffer.

S. No	Formulation	Cumulative % drug release after 20 min.
1	DRUG	32.16±2.45%
2	DPM1:1	39.14±1.05%
3	DPM1:2	51.18±1.27%
4	DPM1:3	62.18±2.11%
5	DSD1:1	92.16±1.34%
6	DSD1:2	97.22±1.24%
7	DSD1:3	***99.62±1.02%

Table 4: Pre-compression parameters of dapsone tablets

Formulation code	Bulk Density (g/cc)	Tapped density (g/cc)	Angle of repose (degree)	Carr's index (%)	Hausner's ratio
F1	0.47	0.57	25.40	14.04	1.14
F2	0.43	0.56	25.06	12.72	1.16
F3	0.45	0.57	24.38	13.20	1.14
F4	0.42	0.49	25.72	12.24	1.15
F5	0.42	0.43	25.94	12.76	1.13
F6	0.38	0.42	25.48	11.36	1.12
F7	0.43	0.66	26.21	14.06	1.12
F8	0.52	0.62	25.74	13.11	1.15
F9	0.46	0.56	23.02	13.79	1.14

Table 5: Post compression parameters of dapsone tablets

Formulation Code	Hardness (kg/cm ²)	% Friability	In vitro Dispersion Time (Sec)	In vitro Disintegration Time (Sec)	% Drug Content	Weight variation
F1	2.93	0.33	30.15	32	88.26	246.81
F2	2.76	0.32	32.23	30	84.02	248.72
F3	2.91	0.35	31.55	29	85.45	248.81
F4	2.88	0.36	31.23	28	91.94	249.83
F5	2.46	0.37	32.15	28	89.84	248.15
F6	2.35	0.32	27.12	25	91.41	246.45
F7	2.35	0.35	23.14	25	93.41	249.81
F8	2.37	0.36	23.14	23	96.41	249.73
F9	2.61	0.32	21.15	20	98.41	248.82

Table 1: Macroscopic properties of the dried extract powders

S. No	Physical Property	Fenugreek Seed Mucilage	Banana powder	Plantago Ovata
1	Colour	White	White	Light Brownish
2	Odour	Odourless	Odourless	Odourless
3	Taste	Tasteless	Tasteless	Tasteless
4	Shape	Irregular	Irregular	Irregular
5	Texture	Soft	Soft	Soft

Table 2: Physico chemical characterization of natural super disintegrates

S. No	Parameter	Fenugreek Seed Mucilage	Banana powder	Plantago Ovata
1	% Swelling Index	68±0.27	55±0.27	74±0.27
2	% Loss on Drying	1.81±0.27	1.55±0.27	0.74±0.27
3	% Moisture Content	3.81±0.14	2.15±1.11	2.74±0.23
4	Total Ash	2.61%	3.15%	2.14%

4. Results

5. Discussions

All the Natural super disintegrant's extracted from the natural sources characterized by their morphological characteristics and it was observed that all the super

disintegrant's showed the good results. The swelling index was found to be more for the Plantago ovata i.e 74 %

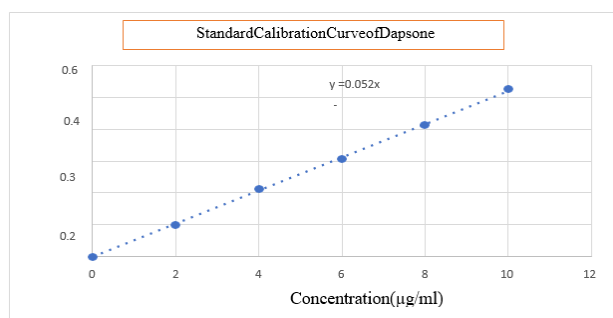


Figure 2: Standard curve of Dapsone in phosphate buffer pH6.4

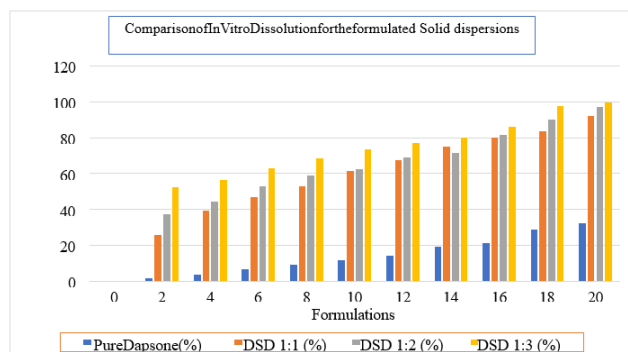


Figure 3: Comparison of in vitro dissolution for the formulated solid dispersions

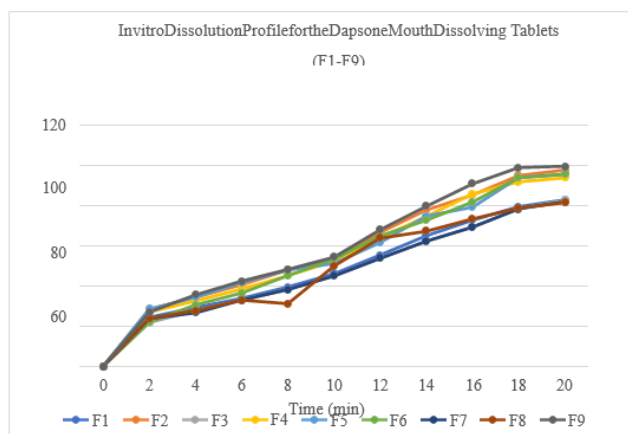


Figure 4: In Vitro dissolution data of formulations (F1-F9)

which may indicate of the more porosity, which is required for the drug release. The moisture content, Loss on drying and Total Ash was performed for the natural excipients, all the values are within the limits as per the standards. The purity also characterized by the determination of the melting point by the capillary tube method the value is within the limits. The solubility of the dapsone was done by use of different solvents, it proved that, dapsone showed poor solubility in the water and soluble in some organic solvents. Base dothiepin, it was decided to improve the

solubility of the dapsone by preparing the solid dispersions with the help of urea by solvent evaporation method. The formulated solid dispersions characterized for the drug content, dissolution, X-ray diffractions and FTIR studies. The solid dispersions prepared by the solvent evaporation with the ratio of 1:3 showed better drug content, dissolution (99.62 %) at the 20 min. The angle of repose for the entire formulations blend was found to be in the range 23.02 to 26.21°. Hausner ratio was found to be in the range 1.12 to 1.16 and that indicated that all formulations have good flow properties. Carr's index was found to be in the range 11.36% to 14.06% that indicated all formulations have good flow properties. All the formulated (F1 to F9) tablets were passed weight variation test as the % weight variation was within the IP limits. The maximum friability of the formulation was found to be 0.37%. The minimum friability of the formulation was found to be 0.32%. The % friability was less than 1% in all the formulations ensuring that the tablets were mechanically stable. The maximum drug content for all formulations was found to be 98.41% and minimum % drug content from all formulations was found to be 84.02%. The results were within the limit specified by the IP. In vitro Disintegration time was found to be in the range 20 to 32 sec. From all formulations, F9 (Banana Powder) has minimum disintegration time 20 sec. Dissolution data shows that formulation F9 having (Banana Powder) gave improved dissolution as compared to other formulations and total drug release of 99.43% was found at 20 min.

6. Source of Funding

None.

7. Conflict of Interest

None.

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Yamuna R, Student

Keerthika A, Student

Sindhu C, Student

Anisha B, Student

Voleti Vijaya Kumar, Assistant Professor  <https://orcid.org/0000-0003-4735-3105>

P. Shanmugapandiyan, Dean & Professor  <https://orcid.org/0000-0002-1432-8221>

Author biography

Nandhini M, Assistant Professor  <https://orcid.org/0009-0084-2988>

Suganya T, Student

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