EVALUATION, OPTIMIZATION AND COMPARATIV ANALYSIS OF DIFFERENT EXCIPIENTS FOR THE FORMULATION OF DAPSONE AND MOUTH DISSOLVING TABLETS

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Abstract

Dapsone is used to treat leprosy and associated disorders because it is said to be active against the Mycobacterium leprae. The goal of this study was to create mouth-dispersing Dapsone tablets using the direct compression method and excipients such as sodium starch glycolate (SSG), crospovidone (CP), croscarmellose sodium (CCS), and others that are directly compressible. The hardness, friability, weight variation, in vitro dispersion time, wetting time, water absorption ratio, drug content uniformity, and in vitro dissolve rate of the developed oral mouth dissolving of Dapsone were all assessed. Based on the findings of an in vitro drug release research done in 0.1N HCL (PH 1.2), F- 6 was chosen as the formulation that was optimized among all the others, and the in vitro release profiles were 99% accurate.

Keywords: Dapsone, Sodium Starch Glycolate, Crospovidone, croscarmellose sodium, Mycobacterium leprae, Mouth dissolve tablets.

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1. Introduction

1.1 Tablets

Tablets are solid pharmaceutical dosage forms containing drug substances with or without suitable diluents and prepared either by compression or molding methods. Today, tablets are the most popular dosage form because of its ease of self administration, compactness and simple manufacturing; however, in many cases immediate onset of action is required when compared to conventional therapy. To overcome these drawbacks mouth dissolving pharmaceutical dosage form has emerged as alternative oral dosage forms. There dosage forms are novel types that act quickly after administration of them. The basic approach used in the development of such tablets super disintegrates like Cross linked carboxyl methyl cellulose, Sodium starch Polyvinyl pyrrolidone (Polyplasdone) etc. which provide instantaneous degeneration of tablets after administration.[1]

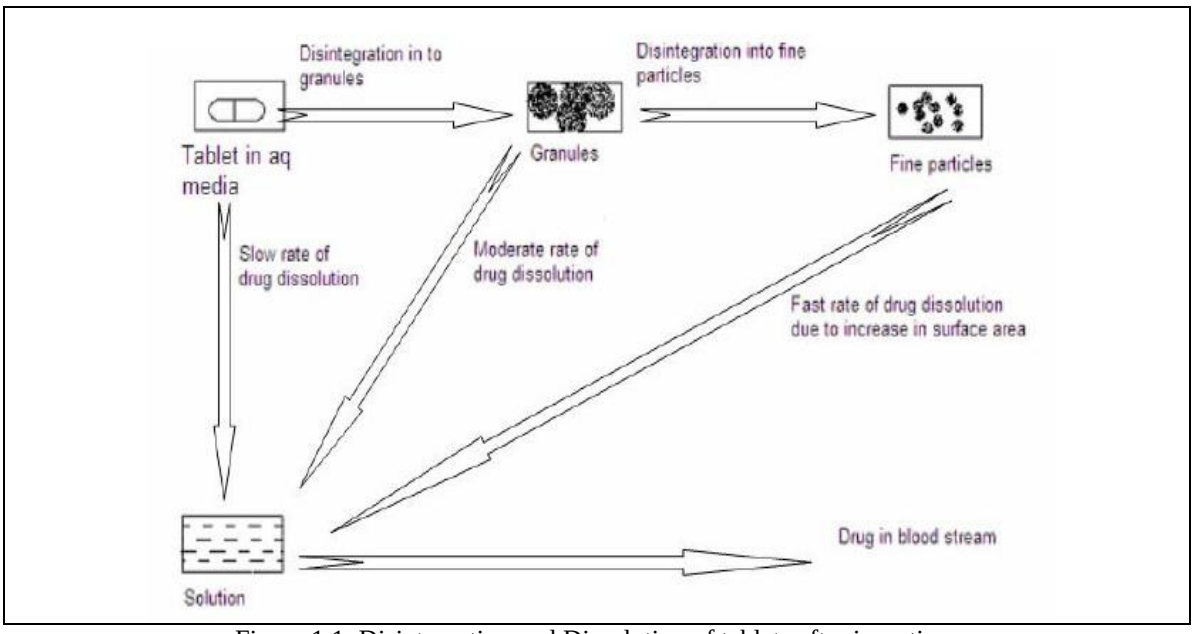


Figure 1.1: Disintegration and Dissolution of tablets after ingestion.

1.1.1 Advantages

- Tablets are easy to use, handle and carry by the patient.
- Tablets provide prolonged stability to medicament.
- Tables are attractive and elegant in appearance.
- Tablets are providing a sealed covering which protects the tablets from atmospheric conditions like air, moisture and light etc.
- The manufacturing costs of tablets are low as compared to other dosage form.
- The unpleasant taste and odor of medicament can be easily masked by sugar.

1.1.2 Limitations

- Drug that is amorphous in nature or a low density character are difficult to compress into a tablet.
- Hygroscopic drugs are not suitable for compressed tablets.
- Drugs having a bitter taste and unpleasant odor require special treatment like coating or encapsulation which might increase their production cost.
- Drugs that are sensitive to oxygen or mat also require special coating.
- Some drug which preferably gets absorbed from the upper part of GIT may cause bioavailability problem in tablet dosage form.
- Some drug which preferably get absorbed from the upper part of GIT may cause bioavailability problem in tablet dosage form.
- Swallowing of tablets, especially by children and critically ill patients is very difficult.

1.2 Classification of different types of tablets

According to the drug release rate from the tablet
According to the method of manufacturing
According to the route of administration or function

According to the drug release rate from the tablet:

a. Conventional tablets[2]:

The tablets are intended to be released rapidly after administration or the tablets are dissolved and

administered as a solution. It is the most common type and includes:

- Disintegrating tablet e.g. Acetaminophen tablet
- Chewable tablet e.g. Antacid tablet
- Sublingual tablet e.g. Vicks menthol tablet
- Buccal tablet e.g. Vitamin-C tablet
- Effervescent tablet e.g. Dispirin tablet (Aspirin)

b. Controlled release tablets

The currently employed CR technologies for oral drug delivery are diffusion-controlled systems; solvent activated systems and chemically controlled systems. Diffusion controlled systems include monolithic and reservoir devices in which diffusion of the drug is the rate limiting step, respectively, through a polymer matrix or a polymeric membrane. Solvent-activated systems may be either osmotically controlled or controlled by polymer swelling. Chemically controlled system release drugs via polymeric degradation (surface or bulk matrix erosion) or cleavage of the drug from a polymer chain. It is worth mentioning here that the so called programmed-release (tailored-release) profile of a final CR product is rarely the outcome of a single pharmaceutical principle. Depending on the specific physicochemical properties of the drug in question and desired therapeutic objectives, different formulation and CR principles may be proportionally combined within the same dosage form. This task appears to be simpler when realized in terms of appropriate selection of polymers and excipients that incorporate desired principles.

c. Targeted release tablets

Site specific oral drug delivery requires spatial placement of a drug delivery device at a desired site within the GI tract. Although it is virtually possible to localize a device within each part of GI tract the attainment of site-specific delivery in the oral cavity and the rectum is relatively easier than in the stomach and the small and large intestines. The latter requires consideration of both longitudinal and transverse aspects of GI constraints.

According to the method of manufacturing

a. Compressed tablet e.g. Paracetamol tablet
b. Molded tablet e.g. Nitroglycerin tablet

According to the route of administration or function:

a. Tablets ingested orally

These tablets are meant to be swallowed intact, along with a sufficient quantity of water. An exception is a chewable tablet. Over 99% of the tablets manufactured today are ingested orally.

- Compressed tablets e.g. Paracetamol tablet
- Multiple compressed tablets e.g. Antacid tablet- Double compressed/tablet in tablet
- Multilayered tablets e.g. Ephedrine hydrochloride tablet
- Sustained action tablet e.g. Verapamil HCL tablet
- Enteric coated tablets e.g. Aspirin tablet
- Sugar coated tablets e.g. Multivitamin tablet
- Film coated tablets e.g. Metronidazole tablet
- Chewable tablets e.g. Antacid tablet
- Floating tablets

b. Tablets used in oral cavity

The tablets under this group are aimed to release an API in oral cavity or to provide local action in this region. The tablets under this category avoids first pass metabolism, decomposition in the gastric environment, nauseates sensations and gives rapid onset of action. The tablets formulated in this region are designed to fit in the proper region of the oral cavity.

- Buccal tablets e.g. Vitamin C tablet
- Sublingual tablets e.g. Vicks menthol tablet
- Lozenge tablets and troches e.g. : Clotrimazole tablet
- Dental cones

c. Tablets administered by other routes

These tablets are administered by another route except for oral cavity and so the drugs are avoided passing through the GI tract. These tablets may be inserted into other body cavities or directly placed under the skin to be absorbed into the systemic circulation from the site of application.

- Implantation tablets e.g. Adrenaline
- Vaginal tablets e.g. Clotrimazole tablet

d. Tablets used to prepare solutions

The tablets under this category are required to be dissolved first in water or other solvents before administration or application. This solution may be for ingestion or parenteral application or topical use depending upon the type of medicament used.

- Effervescent tablet e.g. Dispirin tablet (Aspirin)

e. Molded tablets or tablet triturates:

- Dispersing tablets and hypodermic tablets.

1.3 Mouth Dissolving Tablet[4-5]:

This is an innovative tablet technology where the dosage form containing active pharmaceutical ingredients disintegrates rapidly, usually in a matter of seconds, without the need for water, providing optimal convenience to the patient. Innovators and inventor companies have given these tablets various names such as orally disintegrating tablets

(ODT), mouth dissolving (MD), fast melting, fast dissolving or Orodisperse.

The European Pharmacopoeia defines Orodisperse as a tablet that can be placed in the mouth where it disperses rapidly before swallowing. Researchers have formulated ODT for various categories of drugs, which are used for therapy in which rapid peak plasma concentration is required to achieve desired pharmacological response. These include neuroleptics, cardiovascular agents, analgesics, anti-allergic and drugs for erectile dysfunction.

1.3.1 Characteristics of Mouth Dissolving Tablets:

- Convenient and easy to administer as does not require water for oral administration
- Durable and sufficient strength to withstand the rigors of the manufacturing process and manufacturing handling
- Pleasant mouth feel
- Insensitive to environmental conditions such as humidity and temperature.
- Improved taste without any residue in the mouth after disintegration
- Adaptable and amenable to existing processing and packaging machinery
- Cost effective
- Compatible with taste masking

Mouth Dissolving Tablet[6-9]

With this cutting-edge tablet technology, the patient benefits from the maximum level of convenience since the dose form containing the active medicinal components dissolves quickly, typically in a matter of seconds, without the need for water. These tablets go under a variety of names, including orally disintegrating tablets (ODT), mouth dissolving (MD), quick melting, fast dissolving, and Orodisperse, thanks to inventors and invention firms. Orodisperse, according to the European Pharmacopoeia, is a tablet that can be taken by mouth and quickly dissolves before being ingested. ODT has been developed by researchers for a variety of drug classes that are employed in therapy when a quick peak plasma concentration is needed to produce the desired pharmacological response. These include erectile dysfunction medications, cardiovascular meds, analgesics, and anti-allergic medications.

Drug Profile

Dapsone

Description: A sulfone is active against a wide range of bacteria but is mainly employed for its actions against mycobacterium lepra. Its mechanism of action is probably similar to that of the sulfonamides which involves inhibition of folic acid synthesis in susceptible organisms. It is also used with pyrimethamine in the treatment of malaria.

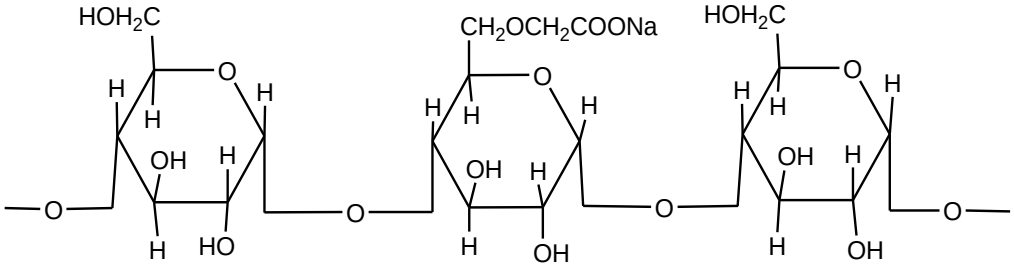
Solubility: Dapsone is a white or slightly yellowish-white, odourless, crystalline powder with a slightly bitter taste. Dapsone is practically insoluble in water (1 in 7000 of water), soluble in alcohol (1 in 30), methanol,and freely soluble in acetone. Dapsone is also soluble in diluted hydrochloric acid

Absorption: Bioavailability is 70 to 80% following oral administration.

Excipient Profile

Sodium Starch Glycolate:

Synonyms: Sodium carboxy methyl starch, explotab, primojel.



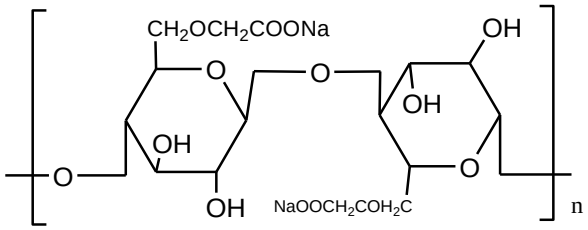
i. **Description:** It is white to off – white, odorless, tasteless, free flowing powder. It consists of oval or spherical granules.

ii. **Functional category**: Tablet and capsule disintegrant.

iii. **Pharmaceutical applications:** It is widely used in oral pharmaceuticals as a disintegrant in capsule and tablet formulations in the concentration of 2 to 8%. Disintegration occurs of by rapid uptake of water followed by rapid enormous swelling.

Crosscarmellose Sodium:

Synonyms: AC-Di-sol, cross linked carboxyl methylcellulose sodium, explocel.

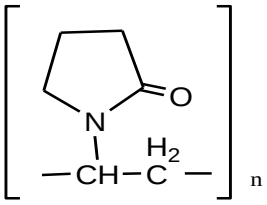


CROS CARMELLOSE SODIUM

i. **Description:** It is odourless, white or greyish white powder.
ii. **Functional category**: Tablet and capsule disintegrant.
iii. **Pharmaceutical applications**:

It is widely used in oral pharmaceutical formulations as a disintengrant for capsules tablets & granules. In capsules it is used as a disintegrant in the concentration of 10 to 25% and tablets in the concentration of 0.5 to 5.0%.

Crospovidone: Synonyms: cross linked povidone, kollidon CL, polyvinyl pyrrolidone



CROSPOVIDONE

Description: It is a white to creamy white, finely divided, free flowing practically tasteless, odorless or nearly odorless hygroscopic powder

i. **Solubility:** Practically insoluble in water and most common organic solvents.
ii. **Pharmaceutical applications:**

- It is a water insoluble tablet disintegrants and dissolution agent used at 2-5%concentratiion in tablets it rapidly exhibits high capillary activity and pronounced hydration capacity, with little tendency to form gels.
- It can also be used as a solubility enhancer.

Materials Used

Ingredients and Manufactures:

Dapsone was purchased from Glaxo SmithKline Pvt limited, Sodium starch glycolate, Crospovidone, Croscarmellose sodium, Mannitol, Lactose, Avicel PH102, Aspartame, and Peppermentflavourpurchased from SD Fine chemicals, Mumbai.

2. Methodology

4.2.1Analytical Method Development

Preparation of 0.1 N Hydrochloric Acid (pH 1.2)

8.5 ml of concentrate hydrochloric acid was taken and diluted with distilled water up to 1000 ml.

Determination of λ_{max} of Dapsone in 0.1N HCL:

Procedure:

Working standard: 100mg of Dapsone was weighed and dissolved in 10ml methanol and then make up to a volume of 100ml with 0.1N HCL it gives 1000µg/ml concentrated stock solution.

Dilution 1: From the working standard solution 10ml was diluted to 100ml with 0.1N HCL it will give 100µg/ml concentrated solution.

Dilution 2: From the dilution-1 10ml was diluted to 100ml with 0.1N HCL it will give 10µg/ml concentrated solution. These solutions was scanned at the range of 200-400nm wavelength light corresponding scan spectrum curve was noted . The corresponding wavelength havingthe highest absorbance is noted as λ_{max}

Construction of calibration curve of Dapsone in 0.1N HCL:

Procedure:

Working standard: 100mg of Dapsone was weighed and dissolved in 10ml methanol and then make up to a volume of 100ml with 0.1N HCL it give 1000µg/ml concentrated stock solution.

Dilution 1: From the working standard solution 10ml was diluted to 100ml with 0.1N HCL it will give 100µg/ml concentrated solution.

From dilution 1, take 0.2, 0.4, 0.6, 0.8 and 1ml of solution and was diluted up to mark in 10ml volumetric flask to obtain 2, 4, 6, 8 and 10 µg/ml concentrated solutions. This solutions absorbance was noted at $\lambda_{max=257}$

Preparation of Oral Disintegrating Tablets

Direct compression method: [14-15]

Mouth disintegrating tablets of Dapsone were prepared by direct compression method.

All the ingredients were powdered separately and passed through # 40 mesh sieve separately. The drug and directly compressible excipient were mixed by adding small portion of each at a time and blending it to get a uniform mixture and keptaside. Then the other ingredients were mixed in geometrical order, in an inflated polyethylene pouch magnesium stearate and talc were added last and mixed for further two minutes and the tablets were compressed using 6 mm flat round punches to get tablets of 100 mg weight.

Table No. 4. 1: Formulation of Mouth Disintegrating Tablets of Dapsone

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
Dapsone	10	10	10	10	10	10	10	10	10	10
SSG	20	40	60							
Crospovidone				20	40	60				60
CCS							20	40	60	
Mannitol	60	60	60	60	60	60	60	60	60	60
Lactose	-	-	-	-	-	-	-	-	-	67
MCC pH 102	71	69	67	71	69	67	71	69	67	-
Aspartame	5	5	5	5	5	5	5	5	5	5
Peppermintflavour	1	1	1	1	1	1	1	1	1	1
Talc	1	1	1	1	1	1	1	1	1	1
Magnesium stearate	1	1	1	1	1	1	1	1	1	1
Total weight (mg)	169	187	205	169	187	205	169	187	205	205

Evaluation of Tablets

The formulated tablets were evaluated for the following Pre, post compression quality control studies and dissolution studies

A) Pre Compression studies:

1. **Angle of Repose:** It is defined as the maximum angle possible between the surface of a pile of powder and the horizontal plane.

Angle of Repose of granules was determined by the funnel method. Accurately weighed powder blend was taken in the funnel. Height of the funnel was adjusted in such a way the tip of the funnel just touched the apex of the powder blend. Powder blend was allowed to flow through the funnel freely on to the surface. Diameter of the powder cone was measured and angle of repose was calculated using the following equation[16].

$$\theta = \tan^{-1} (h/r)$$

Where: θ = angle of repose

h = height in cms

r = radius in cms

The angle of repose has been used to characterize the flow properties of solids. It is a characteristic related to inter particulate friction or resistance to movement between particles

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Table No. 4.2Angle of Repose Limits; Flow Properties and Corresponding Angles of Repose

Flow Property	**Angle of Repose (degrees)**
Excellent	25–30
Good	31–35
Fair—aid not needed	36–40
Passable—may hang up	41–45
Poor—must agitate, vibrate	46–55
Very poor	56–65
Very, very poor	>66

Density:

a. **Bulk density (BD):** It is the ratio of total mass of powder to the bulk volume of powder Weigh accurately 25 g of granules, which was previously passed through 22#sieve and transferred in 100 ml graduated cylinder. Carefully level the powder without compacting, and read the unsettled apparent volume. Calculate the apparent bulk density in gm/ml by the following formula[11].

Bulk density = weight of powder/ Bulk volume.

$$BD = \frac{M}{V0}$$

M = mass of the powder

V_0 = bulk volume of the powder.

b. Tapped density (TD): It is the ratio of total mass of powder to the tapped volume of powder.

Weigh accurately 25 g of granules, which was previously passed through 22# sieve and transferred in 100 ml graduated cylinder of tap density tester which was operated for fixed number of taps until the powder bed volume has reached a minimum, thus was calculated by formula[11].

Tapped density = Weigh of powder / Tapped volume

$$Dt = \frac{M}{Vf}$$

M = mass of the powder

V_f = tapped volume of the powder

.

Carr's Index:

Compressibility index of the powder blend was determined by Carr's compressibility index. It is a simple test to evaluate the BD and TD of a powder and the rate at which it packed down[19]. The formula for Carr's index is as below:

Compressibility index = 100 x $\frac{\text{Tappeddensity - Bulk density}}{\text{Tappeddensity}}$

Hausner's Ratio:

Hausner's Ratio is a number that is correlated to the flow ability of a powder[12].

Hausner's Ratio $= \frac{\text{TappedDensity}}{\text{Bulk Density}}$

Table No. 4.3Compressibility Index Limits Scale of Flow ability (USP29-NF34)

Compressibility Index (%)	Flow Character	Hausner's Ratio
≤ 10	Excellent	1.00-1.11
11-15	Good	1.12-1.18
16-20	Fair	1.19-1.25
21-25	Passable	1.26-1.34
26-31	Poor	1.35-1.45
32-37	Very Poor	1.46-1.59
> 38	Very, very Poor	> 1.60

Post compression studies:

1. **General appearance:** The formulated tablets were assessed for its general appearance and observations were made for shape, colour, texture, and odour.
2. **Average weight/Weight Variation:** 20 tablets were selected and weighed collectively and individually. From the collective weight, the average weight was calculated. Each tablet weight was then compared with the average weight to assure whether it was within permissible limits or not. Not more than two of the individual weights deviated from the average weight by more than 7.5% for 300 mg tablets and none by more than double that percentage.

Average weight = weight of 20 tablets / 20

%weight variation = (average weight - weight of each tablet) ×100 / Average weight

Table No. 4. 4Weight Variation Tolerance for Uncoated Tablets Acceptance criteria for tablet weight variation (USP 29-NF 34)

Average weight of tablet(mg)	% difference allowed
130 or Less than	± 10
130-324	± 7.5
More than 324	± 5

3. **Thickness:** Thickness of the tablets (n=3) was determined using a Verniercalipers.
4. **Hardness test:** Hardness of the tablet was determined by using the Monsanto hardness tester (n=3) the lower plunger was placed in

contact with the tablet and a zero reading was taken. The plunger was then forced against a spring by turning a threaded bolt until the tablet fractured. As the spring was compressed a pointer rides along a gauge in the barrel to indicate the force.

5. **Friability test:**This test is performed to evaluate the ability of tablets to withstand abrasion in packing, handling and transporting.Initial weight of 20 tablets is taken and these are placed in the Friabilator, rotating at 25rpm for 4min.The difference in the weight is noted and expressed as percentage.It should be preferably between 0.5 to 1.0%.
   %Friability = $[(W_1-W_2)/W_1]$ X 100
   Where, W_1= weight of tablets before test,
   W_2 = weight of tablets after test
6. **Wetting time:** Five circular tissue papers were placed in a petridish of 10cm diameter. Ten millimeters of water was added to the petridish. A tablet was carefully placed on the surface of the tissue paper in the petridish at 25^0C. The time required for water to reach the upper surface of the tablets and to completely wet them was noted as the wetting time. These measurements were carried out in replicates of six. Wetting time was recorded using a stopwatch.
7. **In- Vitro Dispersion Time:** In vitro dispersion time was measured by dropping a tablet in a beaker containing 50 ml of 0.1N HCL. Tablets from each formulation were randomly selected and in vitro dispersion time was performed.
8. **Water absorption ratio(%):** A piece of tissue paper folded twice was placed in a small petridish (Internal diameter=6.5 cm) containing 6ml of water. A tablet was placed on the paper and the time required for complete wetting was then measured. The water absorption ratio (R) wasw determined using the following equation.

$$\boldsymbol{Waterabsorptionratio(R)} = \frac{\boldsymbol{Wa-Wb}}{\boldsymbol{Wb}} * \mathbf{100}$$

Where, Wb is the weight of the tablet before water absorption and Wa is the weight of the tablet after absorption.

9. **Assay Procedure:** Ten tablets were weighed and powdered, a quantity of powder equivalent to 100 mg of Dapsone was transferred to a 100 ml volumetric flask and 10 ml methanol is added. The drug is extracted in methanol by vigorously shaking the stoppered flask for 15 minutes. Then the volume is adjusted to the mark with 0.1N HCL and the liquid is filtered. From prepared solution take 0.1ml soluion in 10ml volumetric flask and make up to mark with 0.1 N HCL. The Dapsone content was determined by measuring the absorbance at 257 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.
   Calculate the quantity in mg of drug in the portion taken by the formula
   Assay = test absorbance/standard absorbance*standard concentration/sample concentration*purity of drug/100*100
10. **In vitro Dissolution Study:** 900 ml of 0.1N HCL was placed in the vessel and the USP-II apparatus (Paddle method) was assembled. The medium was allowed to equilibrate to temperature of 37^0C±0.5^0C. A tablet was placed in the vessel and was covered; the apparatus was operated up to 30mins at 50 rpm. At definite time intervals, 5 ml of dissolution medium was withdrawn; filtered and again replaced with 5 ml of fresh medium to maintain sink conditions. Suitable dilutions were done with dissolution medium and were analyzed spectrophotometrically at λ_{max} =257 nm using a UV-spectrophotometer (Lab India).

Table No. 4.5 Dissolution Parameters

Parameter	Details
Dissolution apparatus	USP -Type II (paddle)
Medium	0.1N HCL
Volume	900 ml
Speed	50rpm
Temperature	37± 0.5 ºC
Sample volume withdrawn	5ml
Time points	2, 4, 6, 8, 10, 15, 20 and 30mins
Analytical method	Ultraviolet Visible Spectroscopy
Λmax	257

Release Kinetics

The analysis of drug release mechanism from a pharmaceutical dosage form is an important but complicated process and it is practically evident in case of matrix system. As a model dependent approach the dissolution data are fitted to three

popular release models such as zero order, first order, diffusion equations which have been described in the literature. The order of drug release from matrix system was described by zero order kinetics or first order kinetics. The mechanism of drug release from matrix system was studied by Higuchi equation.

A. Zero Order Release:

It defines a linear relationship between the fraction of drug release

Q=KoT

Q=Fraction of drug release at time t.

A plot of fraction drug release against time will be linear if the release obeys zero order release kinetics.

B. First Order Release Kinetics:

Wagner assuming that the exposed surface area of the tablet decreased exponentially with time during dissolution process suggested that drug release from most slow release tablets could be described adequately by apparent first order kinetics.

The equation used is

Log (1-Q)= -K1T

Thus a plot of logarithm of fraction of drug remained against time will be

linear if the release obeys first order kinetics.

3. Results and Discussion

5.1.Construction of Standard Calibration Curve of Dapsone in 0.1 N HCL

The absorbance of the solution was measured at 257nm, using UV spectrometer with 0.1N HCL as blank. The values are shown in table. A graph of absorbance Vs Concentration was plotted which indicated in compliance to Beer's law in the concentration range 2 to 10 µg/ml

Table No. 5.1: Standard Calibration Graph Values of Dapsone in 0.1 N HCl

Concentration (µg/ml)	Absorbance
0	0
2	0.141
4	0.26
6	0.372
8	0.507
10	0.64

Standard plot of Dapsone by taking absorbance on Y – axis and concentration (µg/ml) on X – axis, the plot is shown Fig. No. 5.1

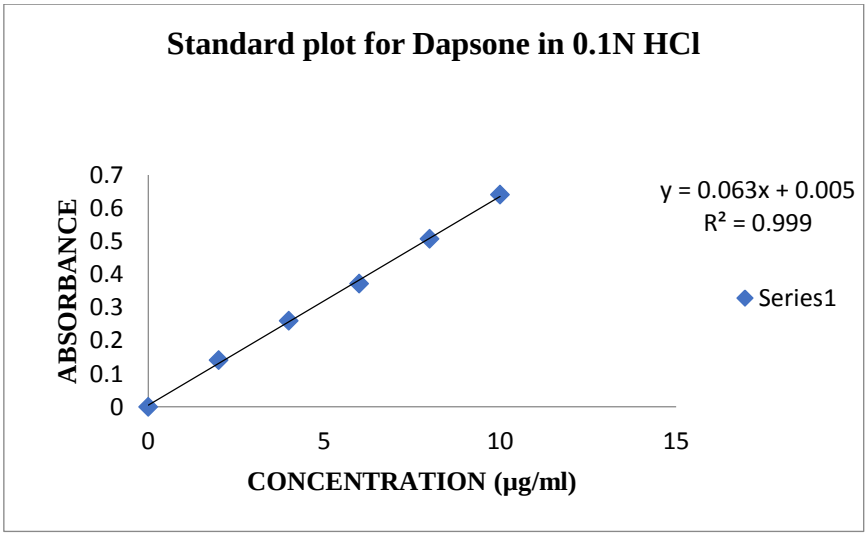

Figure No.5.1: Standard Calibration Curve of Dapsone in 0.1 N HCl

Evaluation of Blend

A) Pre Compression studies

Table No. 5.2: Pre Compression Studies of Dapsone Oral Disintegrating Tablets

Formulation code	**Bulk density (Kg/cm^3)**	**Tapped density (Kg/cm^3)**	**Cars index**	**Hausners ratio**	**Angle of repose (˚)**
F1	0.40	0.48	16	1.2	32.73
F2	0.39	0.48	18	1.23	34.96
F3	0.50	0.58	13	1.16	28.58
F4	0.44	0.50	12	1.1	27.92
F5	0.37	0.41	9.75	1.1	25.35
F6	0.37	0.41	9.75	1.1	33.14
F7	0.36	0.39	7.6	1.0	27.03
F8	0.41	0.45	8.8	1.0	31.85
F9	0.39	0.48	18	1.23	28.96
F10	0.41	0.45	8.8	1.0	27.85

B) Post Compression Studies

Table No. 5.3: Post Compression Studies ForOral Disintigrating Tablets of Dapsone

Batch	Hardness (kg/cm2)	Friability (%)	Drug Content (%)	Thickness (mm)	Disintegration Time (sec)	Wetting Time (sec)	In vitro dispersion time	Wt. variation	Water absorption ratio
F1	3.1	0.45	99.12	2.5	30	45	29	pass	61.3
F2	2.9	0.62	100.73	2.8	25	42	34	pass	69.8
F3	3.3	0.71	99.74	2.6	20	35	25	pass	73.4
F4	2.5	0.32	98.98	2.5	31	31	32	pass	86.2
F5	2.8	0.51	99.67	2.6	27	36	31	pass	84.12
F6	2.8	0.52	99.83	2.8	25	43	33	pass	93.4
F7	2.9	0.38	101.32	2.8	31	41	36	pass	64.3
F8	3.2	0.48	100.87	2.5	26	36	33	pass	74.8
F9	3.5	0.63	99.74	2.7	24	48	39	pass	76.1
F10	3.0	0.54	99.86	2.6	32	39	28	pass	82.3

Invitro Dissolution Studies of Dapsone Tablets

Table No. 5.4: Dissolution Data of Oral Disintegrating Tablets of Dapsone

Time points (mins)	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
0	0	0	0	0	0	**0**	0	0	0	0
2	17	22	23	25	30	**34**	21	28	29	32
4	25	32	34	34	44	**50**	28	36	34	48
6	30	44	46	49	61	**69**	34	48	49	64
8	48	55	58	68	75	**80**	53	60	62	75
10	60	69	72	86	84	**89**	64	74	75	81
15	85	89	91	97	94	**96**	88	90	91	93
20	99	97	99	98	99	**98**	100	98	97	98
30	99	96	99	98	99	**99**	99	99	99	99

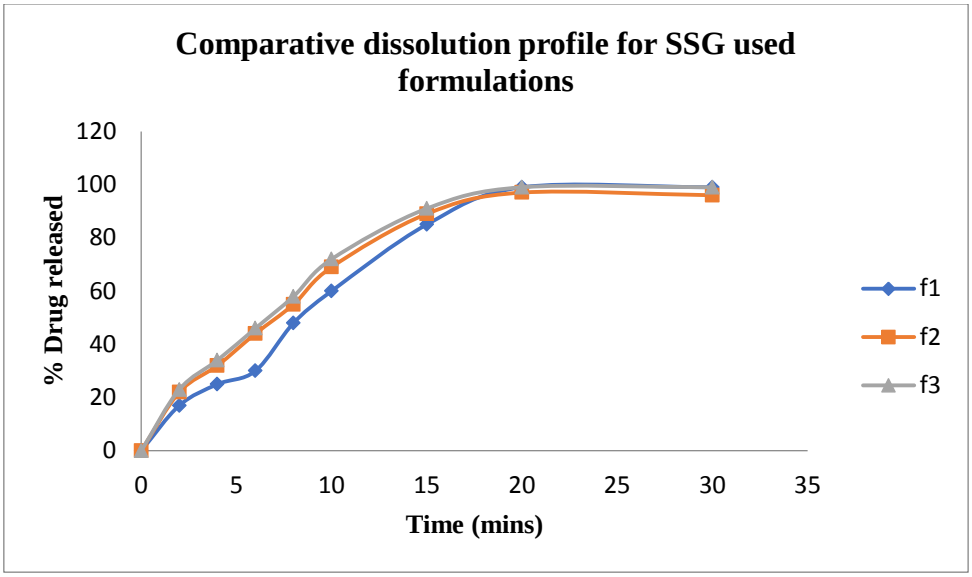

Figure No. 5.2: Comparative dissolution profiles for SSG used Formulations

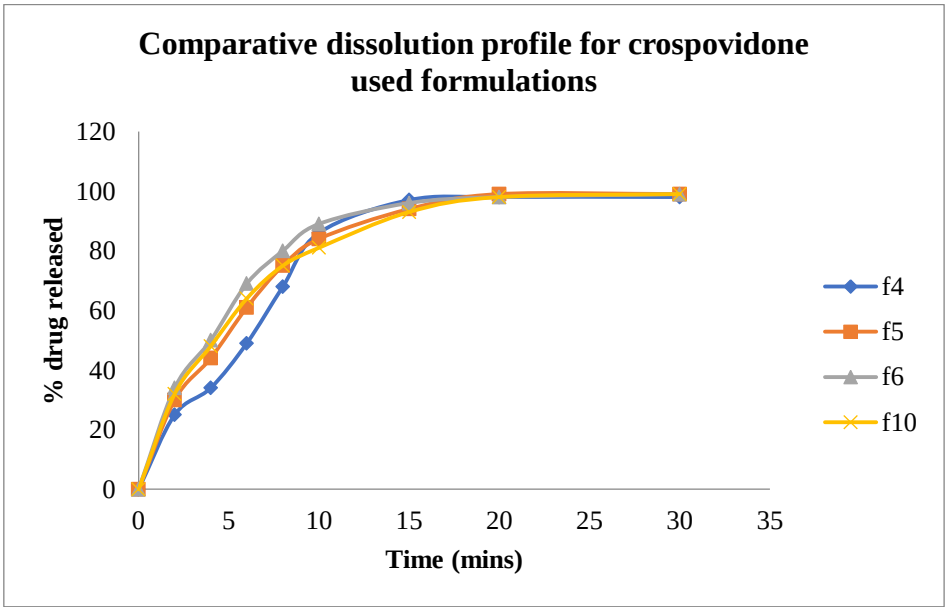

Figure No. 5.3: Comparative dissolution profiles for crospovidone used Formulations

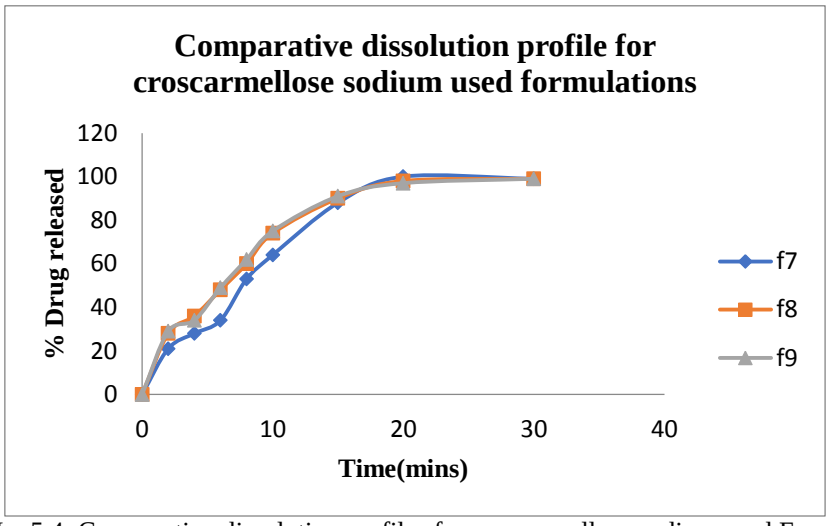

Figure No. 5.4: Comparative dissolution profiles for croscarmellose sodium used Formulations

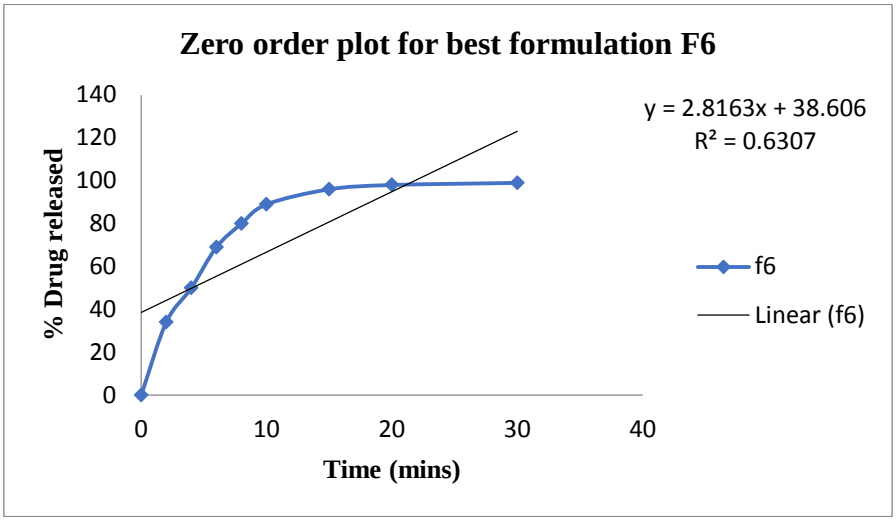

Figure No. 5.5: Zero order plot for best formulation F6

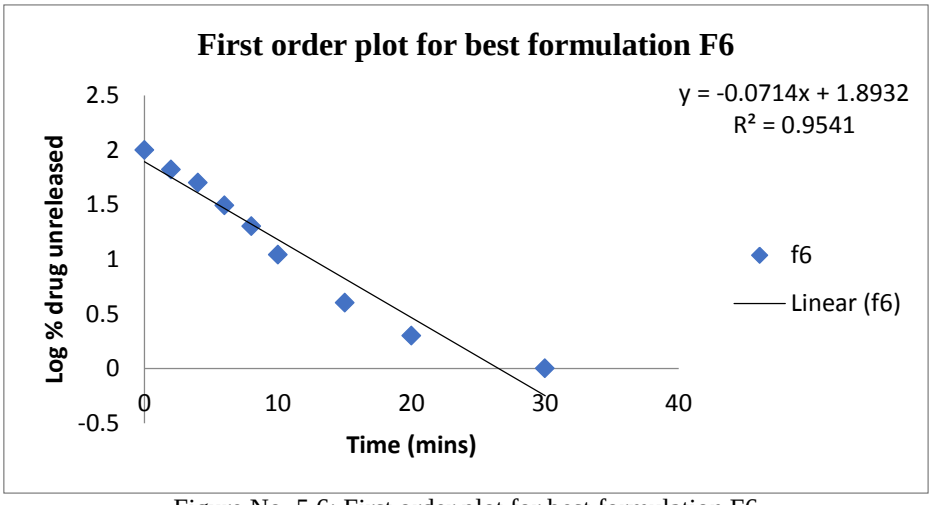

Figure No. 5.6: First order plot for best formulation F6

4. Conclusion

An appropriate analytical technique based on a UV-Visible spectrophotometer was created for Dapsone. 0.1N HCL was found to have a max of 257 nm. To produce Dapsone oral disintegrating pills, the direct compression method was devised.SSG, Crospovidone, and Croscarmellose sodium were effectively used to create Dapsone mouth disintegrating pills.The direct compression method was used in the current investigation to make oral disintegating tablets. Hardness, friability, weight variation, and drug content are evaluation characteristics that show that all formulations' values fell within acceptable ranges. A study on the in vitro release of drugs was done, and the results showed that the F-6 formulation was the best among all the others. The in vitro release profiles were 99% within 30 minutes.Comparing the release profile of the employed formulation of crospovidone to other formulations, it has proved to be better.

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