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FORMULATION AND EVALUATION OF MOUTH DISSOLVING TABLET OF CAFFEINE

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***Abstract*— Over the past ten years, there has been a daily increase in demand for mouth-dispensing tablets. In the current planned investigation, the effectiveness of natural superdisintegrants in mouth-disposing caffeine tablets was compared to that of synthetic and conventional superdisintegrants. Strong muscle relaxant caffeine helps control elevated muscular tone linked to spasticity. The current study analyzed and compiled nine formulations of mouth dissolving tablets, or FDTs, containing caffeine that were made utilizing super disintegrants in accordance with official guidelines and specifications. By employing the direct compression method, four different superdisintegrants (sodium starch glycolate, kyron T-104, and cross carmelose sodium) were used to generate several formulations at three different concentrations (2%, 4%, and 6%). Pre-compression parameters such as Angle of repose, bulk density, and tapped density were assessed for the formulation blend, and post-compression parameters such as thickness, drug content per tablet, hardness, weight variation, friability, disintegration time, and drug release study were assessed for the tablets. In in vitro dissolving experiments, Formulation 8 had the lowest disintegration time and the maximum release. After three minutes, formulation 8 was found to have 96.96% drug release.**

***Keywords*— Mouth dissolving tablet, CNS Stimulant, Caffeine, Starch glycolate, Kyron T-104, direct, disintegration time.**

I. INTRODUCTION

Due to their simplicity of self-administration, precise dosing, and ease of manufacture, tablets are the most widely used dosage form in the world. Despite all of these benefits, children and elderly patients may find it challenging to swallow traditional tablets.1-2The mouth dissolving tablet is a unique medicine delivery mechanism that scientists have created to overcome these problems. The mouth dissolving pills dissolve in the mouth when they come into touch with salt, necessitating no more water in a matter of seconds. MDT (mouth dissolving tablet) benefits include faster onset of action, better patient acceptability, and higher bioavailability.3-4 Coffee, tea, and analgesic medications are all sources of caffeine, a stimulant that is known to boost alertness. Additionally, it is used to treat and prevent pulmonary problems resulting from preterm birth.5. A medication in the methylxanthine class, caffeine is used to treat a number of ailments, such as respiratory disorders in premature babies, pain management, and preventing sleepiness.As caffeine stimulates the central nervous system, it increases alertness and can occasionally lead to agitation and restlessness.

II. MATERIAL AND METHOD

A. Material

Caffeine mouthwash tablets were made using the direct compression method. For each formulation, the necessary quantity of medication and excipients was taken (Table No. 1). Using a mortar and pestle, the powdered medication, mannitol, and lactose were thoroughly combined while triturating continuously. After adding the necessary amount of aspartame and super disintegrates to each recipe, and thoroughly mixing them, magnesium stearate and talc powder were added. For every intended formulation, a batch of 50 tablets of each formulation was made. Prior to tablet production or punching, compatibility studies (IR) and pre-compression characteristics such as Hauser's ratio, bulk density, taped density, compressibility index, and angle of repose were applied to the mixture blend of all suggested formulations.7–10.

B. Pre-formulation studies

Angle of Repose (θ):

The maximum angle that can exist between the powder pile's surface and its horizontal plane is known as the angle of repose.11

The angle of repose ascertained using the funnel method that scientist Newman proposed. The following formula determines the angle of repose.12

Bulk Density:

Weight per unit volume is the definition of density. The mass of the powder divided by its bulk volume yields the bulk density, which is represented as gm/cm^3.A powder's bulk density is mostly determined by the size, shape, distribution, and inclination of its constituent particles to stick together. Two varieties of bulk density exist.13

Tapped Density (Dt):

It was the index representing the ratio of the powder's total mass to its tapped volume. By tapping the powder 500 times and recording the tapped volume, volume was reported. It was stated as follows, with an expression in g/ml.

Dt= M/Vt

Where, M is the mass of powder, Vt is the tapped volume of the powder.14

Carr’s index (or) % compressibility:

Carr’s index indicates powder flow properties. It is expressed by percentage and is given by:

I=Dt−Db/Dt×100 Where, Dt denotes the tapped density of the powder

And Db is the bulk density of the powder.15

Hausner ratio:

Hausner ratio is an indirect index of ease of powder flow properties. It is calculated by the following formula:

Hausner ratio=Dt/Db Where, Dt show the tapped density, Db is the bulk density.

Lower hausner ratio (<1.25) indicates better flow properties than higher ones (>1.25)16

III. EVALUATATION OF TABLET

The following parameters were assessed for all manufactured caffeine tablets in accordance with IP guidelines; the results of all calculations are shown in table No. 3.

WEIGHT VARIATION:-

From each formulation, twenty tablets containing the caffeine formulation were chosen at random, and each tablet's weight was recorded using Citizen Digital Balance.17

HARDNESS:-

Hardness of the Caffeine tablet was measured with the tablet hardness testing apparatus known as Monsanto tablet harness tester.18

FRIABILITY:-

The friability of the Caffeine tablet, a sample of twenty tablets was measured using USP type Roche Friabilator. The tablets were dusted reweighed and percentage weight-loss was calculated.19

%Friability= Initial Weight−Final Weight * 100/ Initial Weight

Wetting Time

A tiny Petri dish (ID = 9 cm) filled with 6 ml of pH 6.8 phosphate buffer was used to hold a piece of tissue paper (12 cm by 10.75 cm) that had been folded twice. A tablet was placed on the paper, and the amount of time it took for it to fully wet was recorded. Every formulation had three tablets chosen at random, and the average wetting time was recorded.20

DISINTEGRATION STUDY:-

Disintegration time study was carried out by selecting 6 tablets of Caffeine and performed disintegration test using 900 ml distilled water at temperature (370C±20C)21

DISSOLUTION STUDY:-

Phosphate buffer was used as the dissolution medium in the in-vitro dissolution study, which was conducted in the USP (United States Pharmacopeia) dissolution test apparatus type 2, also known as the paddle dissolution apparatus. A vessel containing 900 ml of PH 6.8 was filled, and the temperature was kept at 37±0.50C.

Table No. 1

Formulation of Mouth dissolving tablet of Caffeine

Ingredients(mg)	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**	**F9**	
Caffeine	10	10	10	10	10	10	10	10	10	
Cross carmellose Sodium	2	4	6	-	-	-	-	-	-	
Sodium Starch Glycolate	-	-	-	2	4	6	-	-	-	
Kyron T-104	-	-	-	-	-	-	2	4	6	
Aspartame	1	1	1	1	1	1	1	1	1	
Flavour	3	3	3	3	3	3	3	3	3	
Talc	2	2	2	2	2	2	2	2	2	
Magnesium Stearate	2	2	2	2	2	2	2	2	2	
Mannitol	25	25	25	25	25	25	25	25	25	
Lactose	15	15	15	15	15	15	15	15	15	
MCC	10	15	20	10	15	20	10	15	20	
Sorbitol	30	23	16	30	23	16	30	23	16	
TOTAL	**100**	**100**	**100**	**100**	**100**	**100**	**100**	**100**	**100**	

IV. RESULT AND DISCUSSION

Table No. 2

Pre-compression parameters of Caffeine FDTs

Parameters / Formulation	Bulk Density (mg/ml)	Tapped Density (mg/ml)	Hausners Ratio	Compressibility Index (%)	Angle of Repose
F_1	0.472±0.012	0.521±0.011	1.103±0.051	09.40±0.15	24.19±1.38
F_2	0.461±0.021	0.543±0.019	1.177±0.090	15.10±0.03	25.32±1.35
F_3	0.451±0.018	0.506±0.014	1.121±0.019	10.86±0.18	24.45±1.40
F_4	0.401 ± 0.09	0. 425± 0.02	1.270 ± 0.02	21.66 ± 0.60	24.14 ± 1.20
F_5	0.401 ± 0.15	0.327 ± 0.03	1.311 ± 0.04	23.24 ± 0.75	24.98 ± 1.55
F_6	0.396 ± 0.02	0.556 ± 0.02	1.200 ± 0.01	16.98 ± 1.23	23.12 ± 1.42
F_7	0.481±0.017	0.529±0.012	1.099±0.015	09.07±0.05	24.52±0.55
F_8	0.492±0.015	0565.±0.019	1.148±0.021	12.92±0.03	28.11±1.25
F_9	0.466±0.011	0.541±0.017	1.160±0.025	13.86±0.19	24.19±1.89

Table No. 3

Post-Compression parameters of Caffeine FDTs

Parameters / Formulation	Diameter (mm)	Thickness (mm)	Weight (mg)	Hardness (Kg/cm^2)	Friability (%)	Disintegration Time (Sec)	Swelling Time (Sec)
F_1	4	3	98.05±0.55	3.25±0.15	0.51±0.84	44±1.44	15±1
F_2	4	3	97.57±0.78	3.19±0.01	0.59±0.25	39±1.14	14±2
F_3	4	3	99.01±0.11	3.34±0.09	0.57±0.17	45±1.46	16±1
F_4	4	3	100.02±0.25	3.10±0.12	0.61±0.16	48±1.25	21±1
F_5	4	3	97.01±0.11	3.08±0.01	052.±0.12	30±1.52	22±2
F_6	4	3	98.05±0.15	3.20±0.10	0.42±0.32	36±1.36	17±2
F_7	4	3	100.01±0.15	3.35±0.05	0.65±0.13	31±1.01	13±2
F_8	4	3	99.50±0.04	3.50±0.09	0.62±0.23	30±1.59	22±2
F_9	4	3	101.02±0.22	3.40±0.18	0.68±0.19	33±1.58	13±1

Table No. 4

Drug Content in the Mouth Dissolving Tablet of Caffeine

Parameters **Formulation**	**Drug Content** (mg per Tablet)	**% Drug Content**
F_1	90.66±0.025	90.66
F_2	93.83±0.041	93.83
F_3	93.32±0.125	93.32
F_4	88.33±0.720	88.33
F_5	90.20±0.385	90.20
F_6	92.37±0.251	92.37
F_7	93.33±0.558	93.33
F_8	96.96±0.385	96.96
F_9	95.25±0.250	95.25

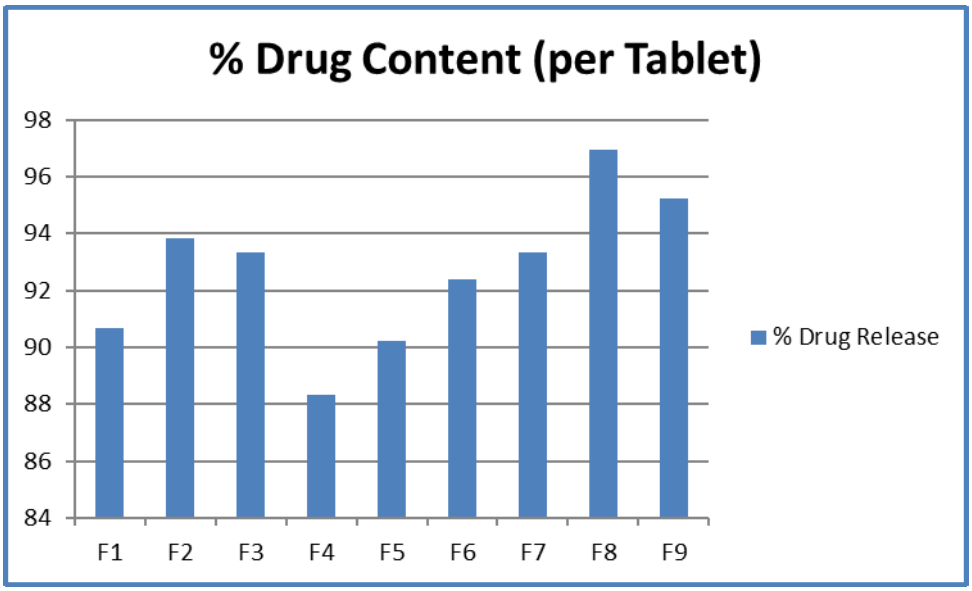

Fig. 1. Drug Content in the Mouth Dissolving Tablet of Caffeine

V. RESULTS AND DISCUSSION

The powder blend's bulk density and tapped density have been assessed. It was discovered that the blend of all formulas had an angle of repose between 23.12 and 28.11°. Angles of repose in formulations using Crosscarmelose Sodium (F1-F3) as a disintegrant were ≤ 25.32o. Only a fair flow property of the powder blend was indicated by the angle of repose values ≤28.11o for Kyron T-104 (F7-F9) and <24.98o

for the other formulation comprising sodium starch glycolate (F4-F6). It was discovered that the compressibility index ranged from 9.07% to 23.75%. Every formulation exhibited good flow characteristics. The results showed that all formulations had good flow qualities, with Hausner's ratio falling between 1.09 and 1.31. F5 and F8 batches displayed varying levels of hardness. Reduced friability F6 (0.42%) and increased friability F9. Every characteristic displays weight fluctuation, thickness, and disintegration time (sec) within the set range. Every formulation underwent dissolution. Based on the aforementioned observations, it was determined that formulation F8, which contains 4% Kyron T-104 powder, had a faster dissolve rate than formulation F5, which contains 4% Kyron T-104 powder. However, formulation F5 yielded a maximum percentage drug release of 96.96%.

VI. CONCLUSION

It can be concluded from the whole study that Mouth dissolving tablets of Caffeine drug. Superdisintegrants can be used as pharmaceutical excipients for oral drug delivery. So Co-proceed superdisintegrant like Kyront-104 exhibited Mouther drug dissolution which leads to improve bioavailability, effective therapy (Therapeutic ratio), improve patient compliance, and satisfies all the standards as Mouth dissolving tablet. It was concluded formulation F8 maximum percentage drug release was found 96.96, with Kyron T-104.

From the study, it was concluded that Super disintegrate like Kyron T-104 showed better disintegrating property over the synthetic super disintegrate like, SSG (Sodium starch glycolate) and CCS (Crosscarmelose Sodium)

Hence the Kyron T-104 can be used at higher concentration at it has advantage of being non-toxic, low cost, biodegradable and biocompatible with no side effect.

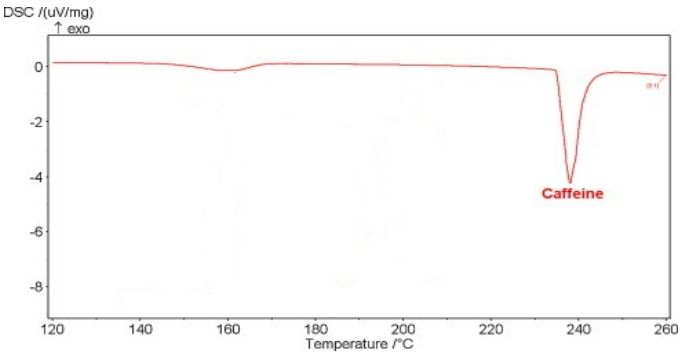

Fig. 2. DSC Thermogram of Caffeine

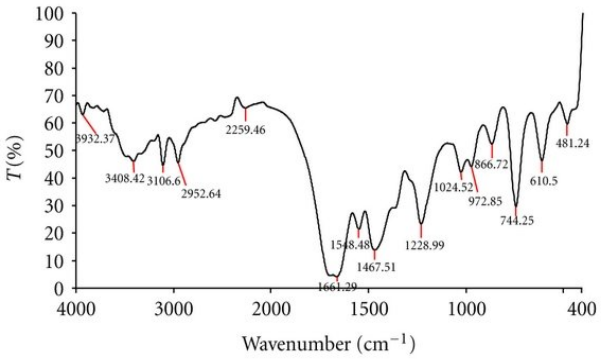

Fig. 3. FTIR of Caffeine

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