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# FORMULATION AND EVALUATION OF ASPIRIN LOZENGES

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## ABSTRACT

The word "Lozenge" is derived from French word "Lozenge", which means a diamond shaped geometry having four equal sides. Lozenges are solid preparations that contain one or more medicaments, usually in a flavored, sweetened base, and are intended to dissolve slowly in the mouth. In short lozenge is a small medicated candy intended to be dissolved slowly in the mouth to lubricate and soothe irritated tissues of throat. Patient compliance is one of the important aspect for administration of drug especially those which are bitter in taste. For patient compliance attractive taste masking formulation are the needs of hour. In the present study aspirin sweetened tablet lozenges were designed for the effective treatment of tooth ache. which is used to reduce fever and relieve mild to moderate pain from conditions such as common cold, and headaches, muscle aches, tooth ache, cough relief to reduce throat pain. The main interest was for the development of new dosage forms and the effect of different concentration on the in-vitro release. At the outset, estimation of drug by UV spectrophotometer was carried out. The possible interaction between the drug and excipient can be studied by FTIR spectroscopy. Lozenges could be successfully prepared by fusion method using sucrose, liquid glucose, aspartame, sucrose, dextrose, flavor and color. In-vitro release rate studies showed that the drug release for lozenges was maximum in formulation F1. And at end the drug content is compared with the marketed 75mg tablet which was found to be obtained in F1.

**KEYWORDS:** Lozenge, Patient compliance, aspirin, liquid glucose, Fusion method.

## 1. INTRODUCTION[1]

The word "Lozenge" is derived from French word "Lozenges", which means a diamond shaped geometry having four equal sides. Development of lozenges dates back to 20th

century and is still in commercial production (S Maw., 1903). Lozenges are solid preparations that contain one or more medicaments, usually in a flavored, sweetened base, and are intended to dissolve slowly in the mouth. In short lozenge is a small medicated candy intended to be dissolved slowly in the mouth to lubricate and soothe irritated tissues of throat. Most of the lozenge preparations are available as Over the Counter medications. Lozenge provides a palatable means of dosage form Administration and enjoys its position in pharmaceutical market owing to its several advantages but it suffers from certain disadvantages too. They are intended to be dissolved on the back surface of the tongue to provide drug delivery locally to the mouth, tongue, throat, etc., to minimize systemic and maximize local drug activity.

The dosage form can be adopted for local as well as systemic therapy and a wide range of actives can be incorporated in them. They can deliver drug multi directionally into the oral cavity or to the mucosal surface (Lachman et al., 1991). Lozenges currently available in market are of four types: Caramel based medicated lozenges, soft lozenges, hard candy lozenges and compressed tablet lozenges. Hard candy lozenges are prepared by molding.

Molded lozenges are sometimes referred to as pastilles, whereas compressed lozenges prepared on tablet compression machine, may be referred to as troches (Mendes RW et al., 2006). Lozenges are placed in oral cavity, since the sublingual lozenges may be impractical due to their size, buccal lozenges are formulated and have been extensively used and are intended to be placed between the cheek and the gums. Though the lozenge dissolution time is about 30 minutes, it also depends on the patient, as patient controls the rate of dissolution and absorption by sucking on Lozenge until it dissolves.

The consequence of this can be high variability's in amounts of drug delivered each time the lozenge is administered. Sucking and the subsequent production of saliva may also lead to increased dilution of the drug and accidental swallowing.

Drug candidates which can be incorporated in lozenges, belong to one of the following categories: antimicrobials and local anesthetics for throat pain; aromatics, herbals, zinc salts, decongestants, anti-histamines and cough suppressants for colds, allergy, cough, and congestion and nicotine like substances for smoking cessation.

Cough Drop (Throat Lozenges): A throat lozenge (also known as a cough drop, pastille or

cough sweet) is a small, typically medicated tablet intended to be dissolved slowly in the mouth to temporarily stop coughs, lubricate, and soothe irritated tissues of the throat (usually due to a sore throat), possibly from the common cold or influenza. Cough tablets have taken the name lozenge, based on their original shape, a diamond.

## 2. AIM AND OBJECTIVE

The aim of present investigation is to design and develop the Lozenges of Aspirin is used to reduce fever and relieve mild to moderate pain from conditions such as common cold, and headaches, muscle aches, cough relief. Lozenges are unit dosage forms intended to be sucked in the oral cavity.

These very effective dosage forms for incorporating the drugs that act in the oral cavity and drugs that readily absorbed from cavity.

Aspirin Lozenges are prepared by using different polymer at different concentrations were evaluated by in-vitro drug release and also evaluated for other physical parameters.

## 3. MATERIALS AND METHOD

### Material

Aspirin, Hyd. Mannitol, Sugar, liquid Glucose Dextrose, Flavors Colors.

*The key ingredients included in the formulation*

***Candy base:*** Sucrose and liquid glucose are used in 55-35% ratio, which produces lozenges with adequate sweetness, resistance to moisture, graining and reactivity with medicinal components.

***Colours:*** FDA approved food colours are used in aqueous solution to prevent non uniformity. Artificial sweeteners and Flavours: Aspartame, Saccharin sodium, orange, lemon, grape fruit is used for effective taste masking.

### Method of preparation

- Firstly, take 15 gm sucrose in a beaker
- Than it is heated by using double boiler method until it completely melts.
- Then drug is added
- Mixed it for 1-2 minutes and poured in lubricated molds.

### 3.1 PRE FORMULATION STUDY

**PF1**: Formulating lozenges using sugar and water qs.

Procedure: Weigh 10 gm sugar and heated by using double boiler method until it completely melts. Then Poured it in mold.

**Figure 1: PF1 preparation.**

**PF2:** Formulating lozenges using sugar, lemon juice and water qs.

Procedure: Weigh 20 gm sugar and add 9 ml lemon juice and heated by using double boiler method until it completely melts. Then poured it in mould and let it dry. Sprinkle powder sugar to avoid moisture.

**Figure 2: PF2 preparation.**

**PF3:** Formulating lozenges using sugar, Gelatin and water qs Weigh all ingredients and mix it. API is added of three different percentages 1, 2 & 3 (10%, 25%, and 40%). mix well then

heated by using double boiler method until it completely melts. Poured it in molds and let it dry.

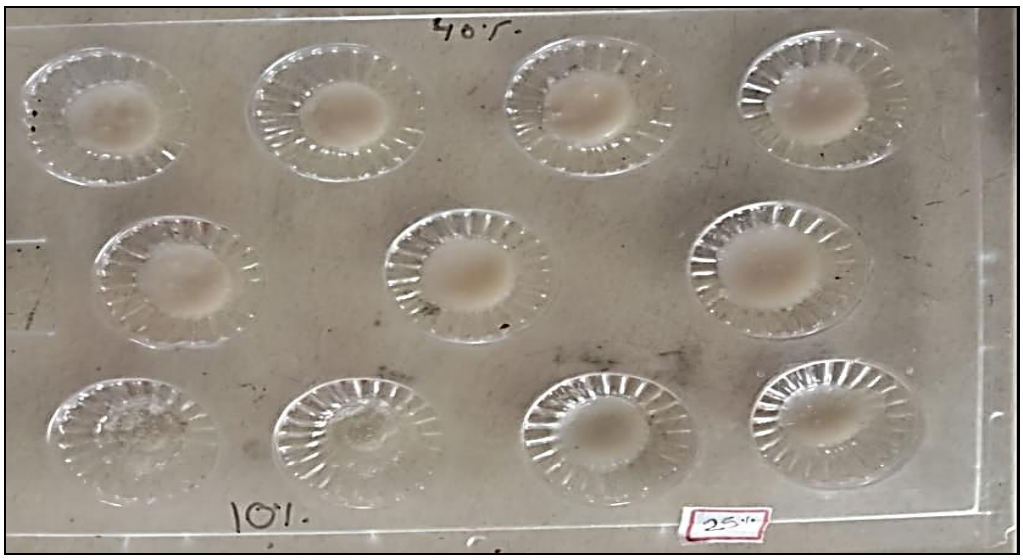


**Figure 3: PF3 Prepartions.**

**Table 1: Formulae for F1, F2 & F3. Ie;10%, 25%, and 40% Lozenges formulation.**

| formulation | API | Gelatin | Sugar |
|---|---|---|---|
| *1 (10%)* | 0.50 gm | 0.071 gm | 6 gm |
| *2 (25%)* | 1.25 gm | 0.17857 gm | 5 gm |
| *3 (40%)* | 2 gm | 0.2856 gm | 4 gm |

**PF4:** Formulating lozenges using sugar.

Procedure: Weigh 10 gm sugar and heated by using double boiler method until it completely melts. Then

Poured it in mold.

**Figure 4: pf4 Preperation.**

***CONCLUSION OF PREFORMULATION STUDY***

From the above pre-formulation study it was concluded that PF4 was having giving desired result in its hardness and disintegration so we choose to work with this further.

**4. Formulation of aspirin lozenges and its evaluation**

**Method of preparation**

- Firstly, take 15 gm sucrose in a beaker
- Than it is heated by using double boiler method until it completely melts.
- Aspirin is added of two different percentages (5% and 10%) i.e. (0.75 g and 1.5 g).
- Mixed it for 1-2 minutes and poured in lubricated molds.

**Table 2: Formulae to prepare hard candy lozenges.**

| INGREDIENTS | F1 | F2 |
|---|---|---|
| Drug | 5% | 10% |
| Sucrose | 95% | 80% |
| Preservative | - | - |
| Color | - | - |
| Flavor | - | - |
| TOTAL | 100% | 100% |

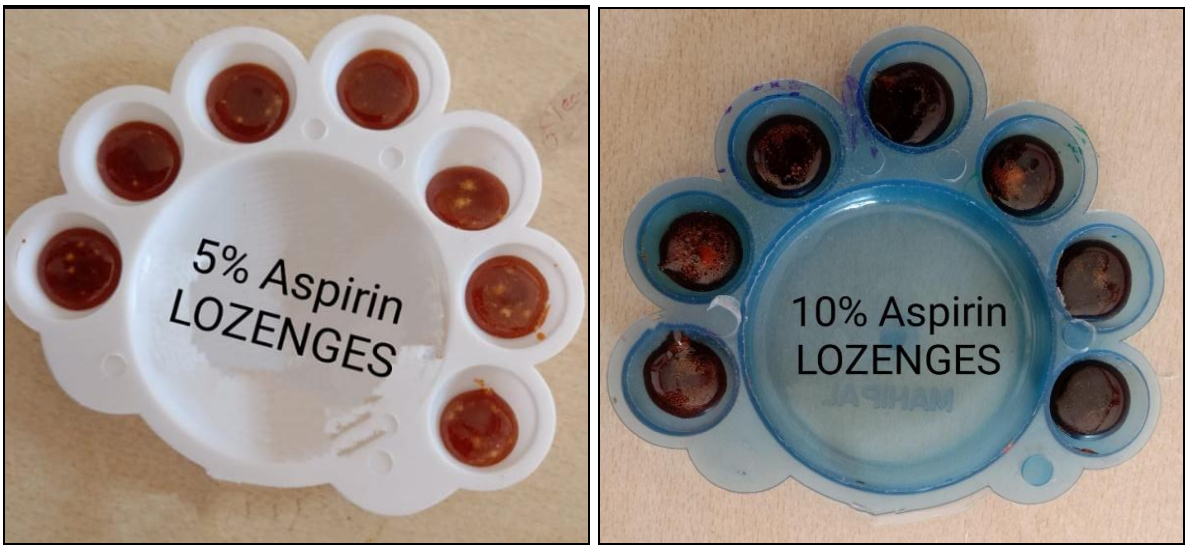



**FIGURE 5: Aspirin lozenges (5%, 10% drug concentration).**

**4.1 EVALUATION OF LOZENGES**

**1. Weight variation**

The weight variation was conducted by weighing 20 lozenges individually and calculating the average weight and comparing the individual lozenges weight to the average value.

**2. Hardness**

The hardness (Kg/ cm2) of the prepared lozenges was determined using Monsanto hardness tester.

**3. Drug content**

a. Appropriate number of lozenges are crushed and dissolved in an appropriate solvent and the absorbance of the solution is measured spectrophotometrically.
b. Ten lozenges from each batch were selected and weighed individually and crushed in a mortar. Drug was extracted with 50 ml of methanol. The drug content was determined spectrophotometrically at 237 nm with blank lozenge extract as the reference.

**4. Physical appearance**

The general appearance of a tablet, its visual identity and overall elegance is essential for consumer acceptance, for control of lot-to-lot and tablet-to-tablet uniformity and monitoring trouble-free manufacturing. It involves the measurement of following Thickness test, Diameter, Weight Variation test, Hardness test, Friability test, Drug Content Uniformity, Moisture Content, and *In-vitro* Drug Release Studies.

**5. Test parameters**

Medium: 250ml of phosphate buffer pH6.8
Rotation speed: 50 rpm
Temperature: 37±0.5°c
Sampling Volume: 5 ml
Sampling Time: 5, 10, 15, 20, 25 minutes

At predetermined time intervals samples (5 ml) were collected and replenished with same volume of fresh media. The drug content in the samples was estimated using UV-spectrophotometer at 237nm.

**5. RESULT**

Standard graph of Aspirin at λmax at 237nm Standard solution of pure drug containing 100mg of Aspirin /100ml was prepared using buffer solution 6.8 ph phosphate buffer. The working standards were obtained by dilution of the stock solution in corresponding buffer. The standard curve for Aspirin was prepared in concentration range of 2-12µg/mL at the selected wave length 237nm. Their absorptivity values were to determine the linearity. Solutions were scanned and beer lamberts law limit was obeyed in concentration range of 0,

2, 4, 6, 8, 10, 12µg/Ml.

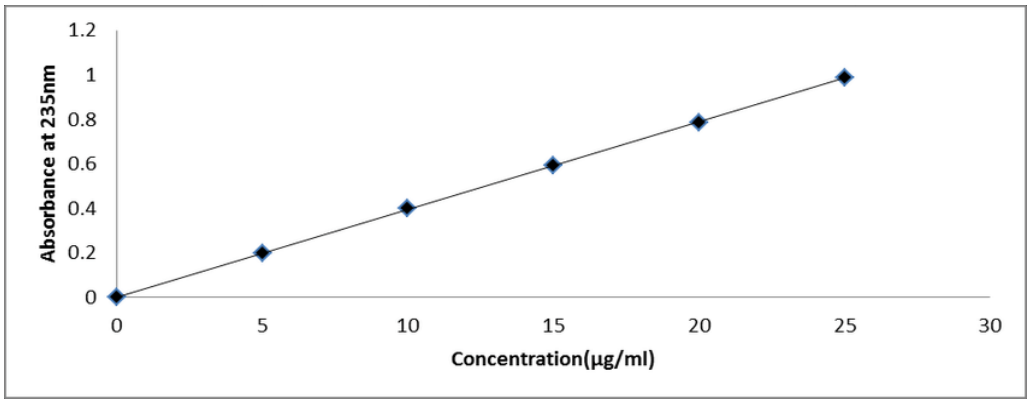



**Figure 6: Standard graph of Aspirin in phosphate buffer PH.[2]**

**Table 3: Standard graph of Aspirin at 237nm.**

| Sr. No | Concentration (µg/ml) | Absorbance 6.8 pH buffer |
|---|---|---|
| | **Formulation 1 (5% ASPIRIN)** | |
| 1 | 64.73 | 0.647 |
| 2 | 62.05 | 0.620 |
| | **Formulation 2 (10% ASPIRIN)** | |
| 1 | 46.13 | 0.461 |
| 2 | 45.50 | 0.455 |

**FORMULATION 1 (5%)** was having the same drug content as compared with marketed 75mg aspirin tablet.

If 100% = 1.5gm (1500mg) than 5% = ?

5x 1500/100 = 75mg.

Therefor F1 having 5% DRUG CONTENT is equal to marketed aspirin having 75mg drug.

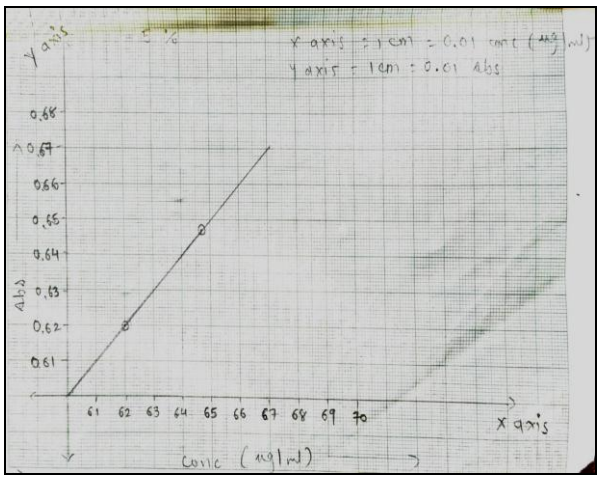
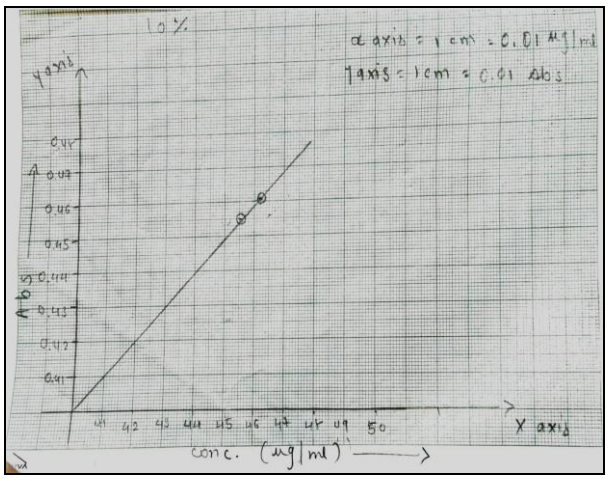


**Figure 7: 5% ASPIRIN (absorption vs conc.)**



**10% ASPIRIN (absorption vs conc.)**

**Table 4: Evaluation of Aspirin lozenges having different drug concentration.**

| Formulation | Weight (mg) | Hardness (kg/cm2) | Thickness (mm) | Drug content (%) |
|---|---|---|---|---|
| FL1 | 1500mg | 5.5+-0.25 | 3.605+-0.02 | 5% 75mg |
| FL2 | 1700mg | 5.9+-0.75 | 4.002+-0.08 | 10% 170mg |

## 6. DISCUSSION

The main objective of this study is to formulate and characterize Aspirin lozenges for anti-inflammatory activity suitable for patient suffering from tooth ache and swelling. The suggested ratio of the sugar to liquid glucose is 55-45% for attaining transparency and smoothness. This is due to prevention of sugar crystallization by liquid glucose. This suggests that even low concentration of liquid glucose has the ability to retain the capacity to prevent crystallization of sugar.

Dextrose is used instead of liquid glucose. Use of 40% dextrose instead of liquid glucose effected the transparency. This may be due to failure of dextrose to retard crystallization of the sugar. Even use of gelatin which was transparent when heated with water (forms transparent soft gel like consistency) also failed to attain the Transparency alone as well as combination with liquid glucose. Use of honey instead of liquid glucose resulted in the transparent lozenges but was not satisfactory. The formulation developed using honey was sticky due to the hygroscopic nature of honey. Crystallization sugar (navodu) is used instead of sugar. This gives better transparency and loss of sticky nature, but after some days' loss transparency.

***Problem with the developed formulation***

Developed formulation failed to prevent stickiness of lozenges though it was dusted with sugar powder or talc and they are stored in double wrapped aluminum foil after formulation of lozenges. Moreover, dusting process affected the transparency. The formulation with crystallization sugar (Navodu) instead of sugar prevented the stickiness and resulted better transparency, but after some days loss of transparency was observed but there was no stickiness.

Finally, it can have concluded that, considering the ease of preparation, attractiveness and the drug release characteristics, hard candy lozenges are ideal and attractive alternatives for drug delivery from Aspirin lozenges for its anti-inflammatory action.

## 7. CONCLUSION

Patient compliance is one of the important aspect for administration of drug especially those which are bitter in taste. For patient compliance attractive taste masking formulation are the needs of hour. In the present study Aspirin sweetened tablet lozenges were designed for the effective treatment to reduce fever and relieve mild to moderate pain from conditions such as common cold, and headaches, muscle aches, cough relief. The main interest was for the development of new dosage forms and the effect of different concentration on the *in-vitro* release. At the outset, estimation of drug by UV spectrophotometer was carried out. Lozenges could be successfully prepared by fusion method using sucrose, liquid glucose, aspartame, sucrose, dextrose, flavor and colour.

*In vitro* studies showed that the drug content of lozenges compared with marketed tablet is achieved in formulation F1 and it is having positive release rate.

## 8. REFERENCES

1. FORMULATION AND EVALUATION OF CETRIZINE LOZENGES, International Journal of Innovative Pharmaceutical Sciences and Research. Adavelly Manasa, Amma Venu, Velpula Rajesh Wari.
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