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Research Article

Formulation and Evaluation of Flax Herbal Suppositories

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Abstract

The rectal route has proven its worth in terms of achieving successful drug delivery both locally and systematically. The primary goal of this invention was to develop and evaluate Flax suppositories. To administer its herbal powder to internal sites, suppositories are placed directly into the rectum. A suppository comprises flax as a main active ingredient, administered through the rectal route, used as a laxative, and treatment of haemorrhoids and bacterial infections of the anus. For the formulation of the present invention, the suppository preferably comprises flax in an inert base, which may comprise any suitable inert pharmaceutical carrier. The base may optionally be any suitable inert base that is solid at room temperature. The flax may optionally comprise the liquid or gel form or a dry extract of the juice, or any other form of flax, all of which are collectively termed "flax extract".

Keywords: Flax extract, suppository, Gelatin, Glycerine, Herbal suppositories.

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INTRODUCTION

Suppositories are ovoid or conical medicated solids intended for insertion into one of the several orifices of the body, excluding the oral cavity. These are often used for local or systemic effects in the rectum, vagina, and, to a lesser extent, the urethra. ¹ It has a rapid onset of action than the oral route since the medicine is absorbed directly into the bloodstream through the rectal mucosa (avoids the first-pass metabolism). It is a convenient way to administer medications that cause vomiting, irritate the GI tract, or are destroyed by the stomach's acidic pH.²

Drugs can be administered as suppositories for both local and systemic effects. The composition of the drug, its concentration, and the rate of absorption all affect its activity.¹

Rectal suppositories with a localised effect are usually used in the treatment of constipation or discomfort caused by haemorrhoids or other anorectal problems, as well as irritation, itching, and inflammation. Anti-hemorrhoidal suppositories commonly contain local anaesthetics, vasoconstrictors, astringents, analgesics, soothing emollients, and protective agents. Glycerin suppositories are a common laxative that produces local irritation of the mucous membranes, most likely due to the drying impact of the glycerin.³

Flax, often known as linseed or common flax, is a natural laxative. *Linum usitatissimum*, a blue flowering plant belonging to the Linaceae family, is known in Indian

languages as Alsī, Jawas, and Aksebija. Mature flaxseed is rectangular and flattened, with an embryo surrounded by two cotyledons, a thin endosperm, and a smooth, usually shiny yellow to dark brown Testa (hull). It is cultivated as a food, oil, and fibre crop in regions of the world with a temperate climate. Flaxseed is well-known for its high concentration of chemical constituents with specific biological activity and functions. It contains 53% α -Linolenic Acid (ALA), 30% omega-3 fatty acid, protein, dietary fibre, lignan specifically Secoisolaricresinol diglucoside (SDG). Flaxseed dietary fibre positively affects reducing constipation, keeping better bowel movement, and being a hypocholesterolemic agent. Flaxseed contains dietary fibres and omega-3 fatty acids in the form of ALA, which can help reduce the risk of cancer, particularly breast and colon, by blocking tumour formation. ⁴

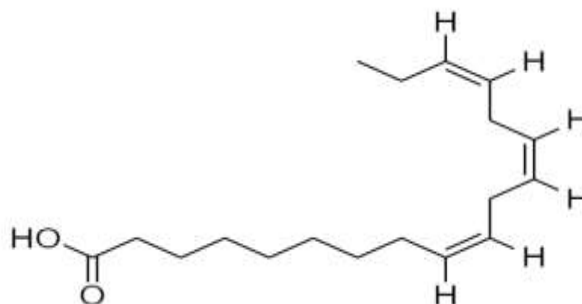


Figure 1: α -Linolenic Acid (ALA)

The suppository contains flax as a main active ingredient, intended for use as a laxative, and treatment of haemorrhoids, constipation and bacterial infections of the anus. The flax may optionally comprise the liquid or gel form or a dry extract of the juice, or any other form of flax, all of which are collectively termed “flax extract”.

MATERIALS AND METHODS

Materials

Method of isolation

All of the flaxseeds used in the extraction were acquired from a local store. For extraction, it was important to use a mechanical flaxseed preparation technique. Because hull separation could pose a technical challenge and crushing the seeds would result in the extraction of other substances, such as proteins, which are primarily found in the endosperm, lowering the quality of the mucilage extract, therefore the extraction from the whole seed was suitable. It is also not a good idea to extract mucilage from the meal after the oil has been extracted since this will result in protein extraction. As a consequence, flaxseed mucilage extraction from the entire seed was successful. Flaxseed mucilage was extracted using distilled water in an aqueous method.⁵ Weighed the flaxseeds and put them in distilled water. Heat this mixture as well as stir it for at least 12 to 15 minutes on the magnetic stirrer. Then filter the resulting gel/extract with a clean muslin cloth.

Preparation of suppositories

For the suppository base, glycerinated gelatin bases were prepared.

Table 1: Composition of suppository base

Purified water	10%
Gelatin	20%
Glycerin	70%

Table 2: Code and composition of formulations

Sr.no.	Ingredients	Use
1	Flaxseed extract	Laxative
2	Glycerin	Base
3	Gelatin	Base
4	Purified water	Base
5	Methylparaben	Preservative

Table 3: Visual characterization of the formulation.

Parameters	F1	F2	F3	F4	F5
Fissuring	No	No	No	No	No
Pitting	No	No	No	No	No
Fat blooming	No	No	No	No	No
Exudation	No	No	No	No	No
Migration of Active Ingredients	No	No	No	No	No

Formulation 1 (F1): Active ingredients for this example are 50% water-based extract of flaxseeds and 50% glycerinated gelatin base. This formulation provides a relatively rigid suppository having high glycerin content.



Figure 2: Glycerated gelatin suppositories with 50% drug content

Formulation 2 (F2): Active ingredients for this example include 16% water extract of flaxseeds in a 70% glycerin and 14% gelatin base.

Formulation 3 (F3): Active ingredients for this example include 40% water-based extract of flaxseeds and 60% glycerinated gelatin base. The use of gelatin as a carrier provides a more flexible suppository.

Formulation 4 (F4): Active ingredients for this example include a 30% water-based extract of flaxseeds and a 70% glycerinated gelatin base.

Formulation 5 (F5): Active ingredients for this example include 16% water-based extract of flaxseeds and 84% glycerinated gelatin base.

Formulation 6 (F6): Active ingredients for this example include 10% water-based extract of flaxseeds and 90% glycerinated gelatin base.

Formulation 7 (F7): Active ingredients for this example include 50% drug extract containing 7:3 of water-based extract of flaxseeds and aloe vera gel and 90% glycerinated gelatin base. Aloe vera gel is used for its antimicrobial properties.

Evaluation of Suppositories

Visual characterization: Select twenty suppositories from each batch randomly, cut them longitudinally, and then examine through naked eyes for the analysis of physical characters like the absence of fissuring, pitting, fat blooming, exudation, and migration of active ingredients.⁶

Length and width: Select twenty suppositories randomly from each batch, measure their length and width with the help of vernier calliper and micrometre screw gauge respectively. ⁶

Weight variation: Select twenty suppositories randomly and weigh them individually with the help of an electronic balance and then calculate the average weight of the suppositories. The suppositories should not deviate from average weight by more than 5% except two which may deviate not more than 7.5 %. ⁷

Friability: The Roche friabilator is used to calculate the friability of the suppositories. Weigh the twenty suppositories individually of each formulation mark the weight as an initial weight (W_1 gm). Then they were placed in the rotating drum of the friabilator. The drum was rotated 100 times at a speed of 25 revolutions per minute. The suppositories were removed from the drum and reweighed, marking them as the final weight (W_2 gm). Percentage friability was calculated using the following formula: ⁷

$$\% \text{ Friability} = \frac{W_1 - W_2}{W_1} \times 100$$

Where W_1 = initial weight of the 20 suppositories

W_2 = Final weight of the 20 suppositories after the rotation

$W_1 - W_2$ = Loss of weight

Melting point: The entire suppository was placed through a macro melting range test. Suppository from each formulation was inserted in a test tube containing phosphate buffer pH 7.2 and kept at constant temperature $37 \pm 0.5^\circ\text{C}$. The total time it took for the whole suppository to dissolve or disperse in the medium was measured. The melting time plays an important role in the release of active ingredients. ⁷

Hardness test: The tensile strength of the suppositories is determined by a hardness test. A Monsanto hardness tester was used to determine the hardness of the prepared suppositories. The potential to survive the risks of packaging and shipping is also shown by the hardness test. ⁸

Liquefaction: When a suppository is exposed to a maximum temperature of 37°C , liquefaction testing is used to determine how it will react. It should not take more than 30 minutes. A burette with a broad opening on one side and a narrow opening on the other was used to measure liquefaction time; the suppository was put inside from the broad end side to reach the narrow end. The burette was filled with 5 mL of phosphate buffer pH 7.2 and kept at $37 \pm 0.5^\circ\text{C}$. Liquefaction time was measured by placing a thin glass rod on top of the suppository and recording the time when the glass rod was simply inserted into the suppository. This is the time it takes for the formulation to liquefy under pressures similar to those encountered in the rectum. ⁷

Disintegration test: The disintegration test apparatus is used to calculate the time taken by the suppositories to fully disintegrate in the medium. Phosphate buffer pH 7.2 maintained at $37 \pm 0.5^\circ\text{C}$ was used for the disintegration. ⁹

In-vitro Dissolution study: The USP type I rotating basket device was used for the in-vitro release test. The dissolving media was 900ml of pH 7.2 Phosphate buffer kept at $37 \pm 0.5^\circ\text{C}$. At 50 revolutions per minute, the suppository was inserted in the metal basket. Then, every 10 minutes, 2ml of the sample was taken, filtered, and examined using a UV spectrophotometer set to 304 nm. The experiments were extended for another 30 minutes. ⁸

RESULT AND DISCUSSION

Table 4: Physicochemical Evaluation of α -Linolenic Acid (ALA)

S. N.	Characteristics	Result
1	Colour	Clear colourless
2	Taste	Bitter
3	Solubility	Soluble in ethanol, ether, and chloroform; insoluble in water.
4	Melting point	-11.3°C to -11°C

Flax suppositories were prepared by the Heat moulding method using a base like glycerinated gelatin. Tables 4, 5, and 6 show the data for the suppositories that were evaluated for the properties such as appearance, length, width, weight variation, melting time, hardness, Friability, Disintegration test.

Table 5: Physicochemical characteristics of the formulation

Formulation code	Length (cm)	Width (cm)	Weight variation (gm)
F1	1.74	0.65	1.689 ± 0.12
F2	1.75	0.70	1.679 ± 0.10
F3	1.73	0.65	1.712 ± 0.11
F4	1.76	0.68	1.668 ± 0.10
F5	1.71	0.70	1.753 ± 0.10
F6	1.80	0.90	1.675 ± 0.12
F7	1.79	0.89	1.649 ± 0.10

Table 6: Physicochemical characteristics of the formulation

Formulation code	Melting		Friability (%)
	Temp. ($^\circ\text{C}$)	Time (min)	
F1	37	25 ± 0.24	0.27
F2	38.1	24.5 ± 0.25	0.33
F3	37	25 ± 0.34	0.28
F4	38.2	24.4 ± 0.34	0.27
F5	37.5	25 ± 0.15	0.30
F6	37	26 ± 0.34	0.32
F7	38.2	27 ± 0.25	0.31

Table 7: Physicochemical characteristics of the formulation

Formulation code	Hardness (Kg/cm ²)	Liquefaction time (min)	Disintegration time (min)
F1	1.50±0.18	2.35±0.56	10±0.038
F2	2.01±0.24	2.53±0.01	9.58±0.05
F3	1.42±0.25	1.46±0.05	9.26±0.024
F4	1.50±0.11	2.52±0.21	9.28±0.031
F5	2.05±0.14	1.48±0.43	9.56±0.08
F6	2.06±0.14	1.78±0.06	9.87±0.11
F7	2.50±0.25	2.55±0.21	10±0.025

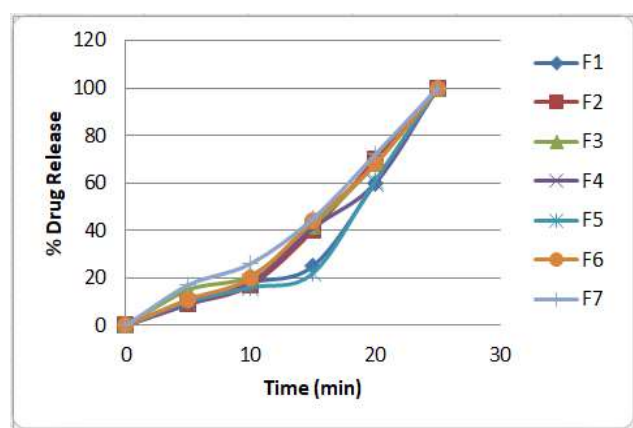
Dissolution Profile:**Figure 3: Comparative in-vitro drug release from the suppositories**

Fig. 3 shows the in-vitro drug release profile of several suppositories formulations. The suppositories melted in the dissolving media kept at $37 \pm 0.5^\circ\text{C}$, according to the dissolution study. Within 20 minutes, all seven formulations had more than 50% drug release. The maximum release of drug in F1, F2, F3, F4, F5, F6, and F7 showed 95.78%, 96.00%, 97.65%, 94.66%, 98.57%, 99.45%, and 96.56% within 23 min respectively.

CONCLUSION

Flax suppositories were formulated by heat moulding method and were tested for physical evaluation, weight variation, content uniformity, disintegration, melting point, mechanical strength, and in-vitro dissolution studies. All tests showed satisfactory results. Within 20 minutes, all seven formulations had released more than half of the

medication. Based on the results of the in-vitro release test, it can be concluded that glycerinated gelatin may be used as a substrate for the immediate release of flax suppositories because it is easily soluble in an aqueous solution, disperses quickly, and has a faster rate of release.

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