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Concept of Gudavarti w.s.r. to Rectal Suppositories

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ABSTRACT

Suppositories are solid dosage preparations prepared by moulding or compression intended for its insertion into body orifices like the rectum, vagina and the urethra. Suppositories melts and exhibits local or systemic effects. Rectal route of drug administration encorporates absorption of the drug through rectal blood vessels to exhibit desired therapeutic action. Rectal suppositories can be the best choice for drugs that are either too irritative to the gut or more effective when partly metabolized by the liver. Varti Kalpana is a variant of Vati Kalpana with similar method of preparation. Varti are solid and are wick shaped with tapering ends intended for easy insertion into body orifices. Based on the site of insertion, Ayurveda explains Guda Varti, Yoni Varti and Sishna Varti. Different types of Varti have been explained based on its size, site of application, composition and action. Various methods of its preparation has been explained both in the classical literature and in contemporary science. Rectal suppositories offer patients an option that is less invasive and less discomforting and which proves to be a convenient drug delivery option especially in patients with rectal complaints. It can be appreciated that Varti Kalpana was used in practice since ancient times and that recent advancement of suppositories is just its slight modification. A detailed study of both the Varti and the suppositories help us draw many similarities between the two.

Key words: Suppositories, Varti Kalpana, Guda Varti, Ano Rectal Surgery.

INTRODUCTION

Suppositories are solid dosage preparations prepared by moulding or compression intended for its insertion into body orifices like the rectum, vagina and the urethra. Suppositories contain one or more active ingredients dispersed or dissolved in a suitable base that may be soluble or dispersible in water or may melt at body temperature. Suppositories melt and exert local or systemic effects. They are used to deliver both systemically and locally acting

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medications.^[1]

Rectal administration involves the rectum as a route of administration for medication and other fluids, which are absorbed by the rectal blood vessels. A drug that is administered rectally will in general have a faster onset, higher bio availability, shorter peak and shorter duration of action than oral route. Another added advantage is that it produces less nausea and gastric irritation compared to oral route and prevents the amount of drug being lost due to emesis.^[2]

Rectal route bypasses around 2/3rd of the first-passmetabolism. The rectal venous drainage is 2/3rd systemic and 1/3rd hepatic. This means that the drug delivered through the rectal route reaches the circulatory system quickly with significantly less alteration and in higher concentration. Many of the oral forms of medications can be crushed and suspended in water to be given through a rectal route.^[2]

Varti Kalpana is a variant of Vati Kalpana. The method of preparation of a Varti is same as that of Vati but

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differs in its shape, use and indication. *Vartis* are solid and are wick shaped with elongated tapering ends. They are thus shaped for its ready insertion into the orifices of the body other than oral cavity.^[3] Based on their site of application and action, they are of different types viz., *Yoni Varti, Guda Varti, Netra Varti, Sishna Varti, Dhuma Varti* and *Vranavarti*.^[3]

Varti is mainly intended for local use and are designed to dissolve at body temperature. These can be correlated to suppositories defined as the medicated solid dosage form generally intended for use in the rectum, vagina and to lesser extent the urethra.

Types of Suppostories^[4]

Suppositories are classified based on their solubility and the binding agent (base) used.

- a) Fat Soluble: The fatty base is made from cocoa butter or any hydrogenated vegetable oil.
- b) Water Soluble: Poly ethylene glycol, glycerinated gelatin.

Varti^[3]

Different types of *Varti* have been explained in the classical texts of *Ayurveda*. They are as follows:

- a) Depending upon size Gudavarti -Angushtapramana, Yoni Varti- Pradeshini Angulivat Pramana
- b) Depending upon its indication at particular site -Guda varti, Vrana Varti, Yoni Varti
- c) Depending upon its composition *Phala varti* Prepared with *Madanaphala*
- d) Depending upon its actions Soumya for Snehana, Ropana karma and Teekshna for Rechana and Lekhana Karma

Method of preparation of Rectal Suppositories^[5]

a) Hand Rolling Technique

It is the oldest and the simplest method using cocoa butter as the base. Advantage is that this preparation avoids the necessity of heating the cocoa butter. A mass is prepared by triturating grated cocoa butter and active ingredients in a mortar. The mass is formed into a ball and then rolled into a uniform cylinder with a large spatula or a small flat board. The cylinder is then cut into appropriate number of pieces which are rolled on one end to produce conical shape. Effective hand rolling technique needs practice and skill.

b) Compression Moulding

It is a method of preparing suppositories from a mixed mass of grated suppository base and medicaments which is forced into a special compression mold.

c) Fusion Moulding

This is the most commonly adopted technique. It involves initially, melting the suppository base and then dispensing or dissolving the drug in the melted base. The mixture is removed from heat and poured into a suppository mold. The fusion method is the most common method adopted amongst the three and can be used with all types of suppositories. When they are mixed, melted and poured into suppository mold cavity, they occupy a volume i.e. the volume of mold cavity.

Method of preparation of Varti^[3]

a) Paka Method

Jaggery is boiled with water on a moderate fire until *Paka* of two to three thread consistency is obtained. Later the fine powder of medicinal drugs is added slowly and stirred well to a homogenous mixture. Once cooled, *Varti* of desired size is prepared.

b) Bhavana Method

Fine powder of medicinal drugs are mixed together and triturated along with the desired *Bhavana Dravya* mentioned in the preparation. *Varti* of desired size is prepared once the consistency is obtained.

General consideration while preparing Rectal Suppositories^[6]

Homogenous distribution of the intended drug in the base, its release and absorption into the circulation at a desired a rate are the general considerations to be kept in mind during the preparation of rectal suppositories. Base opted for preparation plays a major role in exhibiting therapeutic action. In case of

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rectal suppository, an ideal base should melt at rectal temperature of about 38° celsius, should be nonirritating to rectal mucosa, must be compatible with large number of drugs, must be stable at room temperature and should be easily moldable.^[6] Considering all the above factors usually, theobroma oil (cocoa butter) that melts between 34.4° Celsius to 38° Celsius having high lipophylicity and non miscibility is used an ideal base.

Guda Varti

These are meant for its insertion into the Guda Maarga (anus). It facilitates Vata Anulomana and there by eases the expulsion of Mala. They are also intended to exhibit Shoolahara and Udavartahara Karma. When used, the Varti is first soaked in Ghrita and then is inserted slowly into the rectum with patient in left lateral position.

Ex : Pinyakadi Varti, Nimbukadi Varti in Udavarta.

Factors affecting bioavailabilty of drugs from Rectal Suppositories^[5]

There are several therapeutic reasons mentioned and one of these is to partly avoid hepatic first-pass elimination/metabolism following rectal administration. The rectal venous drainage is such that the upper one third (Superior rectal vein) is continued to the portal system and the middle and lower one third is drained directly to the systemic circulation. It has been studied that 50-70% of a drug suitable for rectal administration is absorbed via the same pathway.

Colorectal absorption is a simple diffusion process through the lipoidal membrane in which there is carrier mediated mechanism. It is also believed that the rectal PH of about 7.3 provides a convenient environment for the drug to be chemically stable on insertion.

In addition the drug absorption by rectal route is governed by particle size, solubility in water and interfacial tension.

Advantages of rectal route of drug administration^[7]

a) No issues with oral compliance of the patient thus avoiding nausea and vomiting.

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- Achievement of rapid systemic effect by giving a drug with a suitable solution.
- c) First pass elimination of drugs may be partly eliminated.
- d) Drug absorption is not influenced by ingestion of food or the rate of gastric emptying.

DISCUSSION

Rectal administration is truly explored as a potential drug delivery system particularly for drugs that either causes too much of irritation to the gut or more effective when not metabolized by the liver. Suppositories offer patients an option that is less invasive and less discomforting. It also proves to be a convenient drug delivery option in patients especially with rectal complaints.^[5]

Varti Kalpana was in vogue since ancient times and contemporary suppositories are a slight modification of this ancient formulation. A detail study of the *Varti* and suppository help us to draw parallel lines of similarities.

It can be appreciated that the method of preparation of suppositories is in close synchronization with that of *Varti*. Explanations can help us identify the close resemblance of *Paka Vidhi* with that of Fusion Molding technique and *Bhavana* method with Hand Rolling technique.

Ancient and modern literatures have advocated similar shapes and sites. Ancient literatures suggests *Varti* to be in the form of a wick where modern literatures suggests torpedo shape intentions serving the same purpose of easy insertion. Variants of *Varti* mentioned in our classics according to the site of administration as *Guda Varti, Shishna Varti* and *Yoni Varti* are similar to the variants of contemporary suppositories.^[6]

Though direct phrases about its utility to achieve quick therapeutic action is not quoted in the classics yet an indirect understanding of its implementation in cases of *Udavarta, Mutra Krichrata, Baddha Koshta* can help us understand the ideology behind it to demand a quick therapeutic action through local drug

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delivery in comparison to the oral route. This renders us with the fact that *Acharyas* of Ayurveda also appreciated the *Dravyakarmukata* and *Prabhava* based on the *Marga* it is administered.

CONCLUSION

Medicinal plants are huge repertory of numerous bioactive molecules. Keeping in mind all the factors aiding bio-availability of drug through the rectal route and keeping in mind the principles of Ayurveda many preparations can be converted as *Varti* and can be administered rectally to achieve desired therapeutic results.

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