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Original Article

IN VIVO GASTRIC RESIDENCE OF GASTRO RETENTIVE FLOATING TABLET OF DIPHENHYDRAMINE HYDRO-CHLORIDE IN ALBINO RABBIT

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Abstract: Gastro retentive drug delivery system can retain the dosage form in the gastric region for prolong period of time. Gastric retention helps in improving bioavailability and solubility of drugs that are less soluble in a high pH environment. In the present study designing of GRDDS was carried out using diphenhydramine hydrochloride as a model drug. It is widely used anti-emetic drug acting by an inhibition of the histamine H1 receptor. Floating tablet was prepared by direct compression method and evaluated for various in vitro parameters. To study the gastrointestinal transit of the optimized gastroretentive formulation, the in vivo gastric retention study was carried out in healthy albino rabbit. The X-ray photographs revealed that the tablet remained inside that rabbit's stomach for 6 h maintaining its integrity and at the 9th h the tablet is seen disintegrating. The study signifies the potential of the developed system for gastro retentive drug delivery of diphenhydramine hydrochloride with improved bioavailability of the drug.Thus reduces the dose frequency and improve patient compliance.

Keywords: Floating tablet, Diphenhydramine hydrochloride

INTRODUCTION

Now a day's most of the pharmaceutical scientists are involved in developing the ideal drug delivery system (DDS). This ideal system has advantage of reducing the dosing frequency and also delivering the active drug directly at the specific site. Dosage forms with prolonged gastric residence time (GRT), i.e. gastro remaining or gastro retentive drug delivery system (GRDDS) will bring about new and important

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therapeutic options. For instance, these will significantly extend the period of time over which drugs may be released, and thus prolong dosing intervals and increase patient compliance beyond the compliance level of existing controlled release dosage forms [1].

Gastro retentive drug delivery systems have great potentials, for formulating both hydrophobic and hydrophilic active substance into promising deliverable dosage form [2]. If the drugs are poorly soluble in intestine due to alkaline pH, gastric retention may increase solubility before they are emptied, resulting in improved gastrointestinal absorption of drugs with narrow absorption window as well as for controlling release of drugs having site-specific absorption limitation [3]. Floating drug delivery systems (FDDS) have bulk density lesser than gastric fluids, so they remain buoyant in the stomach without affecting the gastric emptying rate for a prolonged period of time. While the system is floating on the gastric contents, the drug is released slowly at the desired rate from the system as shown in figure 1. Diphenhydramine hydrochloride is a first generation antihistamine and a H1 receptor antagonist. It inhibits most responses of smooth muscle to histamine and the vasoconstrictor effects of histamine. Diphenhydramine hydrochloride is widely used anti-emetic drug acting by an inhibition of the histamine H1 receptor. Following oral administration diphenhydramine hydrochloride is well absorbed from the gastrointestinal tract, distributed though out the body and is able to pass through the blood brain barrier [4].

MATERIALS AND METHODS

***In vivo* gastric retention study [5-7]:** *In vivo* animal studies was performed using X-ray imaging technique for evaluating the Mean gastric retention period for optimized floating tablets of diphenhydramine hydrochloride. Prior permission was taken from institutional animal ethical committee of K.LE.S College of Pharmacy, Vidyanagar, Hubli (Proposal No.01Nov/2015 has been approved by the IAEC). Application for approval of IAEC and CPCSEA (KLEU.HBL/2015) This X-ray study was performed in a healthy Albino rabbits of either sex, weighing 2-2.5 kg. Animals were fasted for 12 h before study apart from drinking water. The total weight of the tablet was reduced to 120 mg containing barium sulphate. Prepared diphenhydramine hydrochloride tablets of various concentration of barium sulphate were evaluated for *in vivo* floating study. The tablets containing 15% barium sulphate were selected for *in vivo* study and administered to rabbits followed by 30 ml water. Rabbit was placed

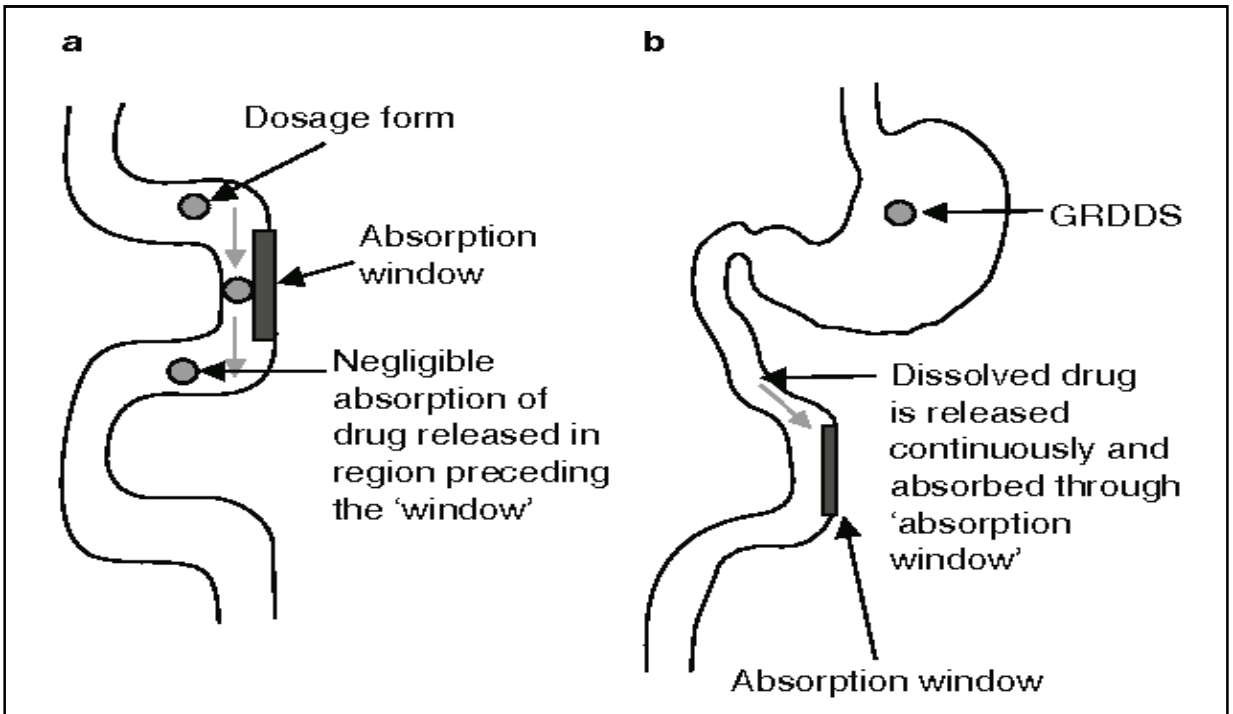

Fig.1: Drug absorption in the case of (a) Conventional dosage forms (b) GRDDS

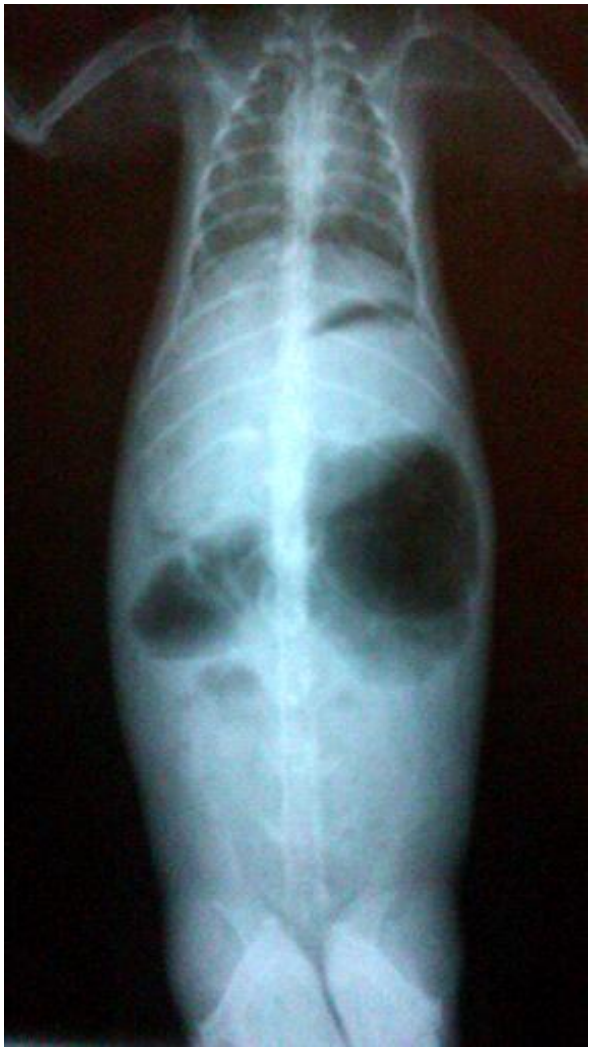

Fig. 2: X-ray photography. Before administration at 0 h

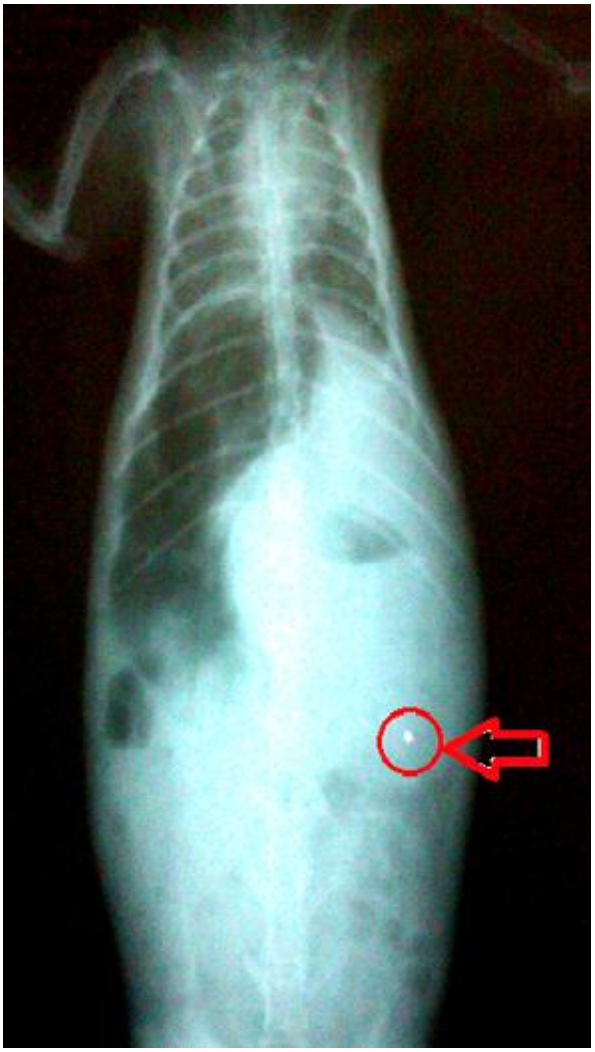

Fig. 3: X-ray photography. After 3 h administration of drug

upright posture for checking the position of tablet in gastric region by using X-ray machine Siemens, Multimobil (Capacity of 6.4mA.sec, 46 KV operating settings, 60mA default movable suits for animal X-rays at K.L.E ICU, Hubli) at different time intervals like 0 hrs (without administration of tablet), 3 h, 6 h and 9 h after administration of tablet.

RESULTS AND DISCUSSION

***In vivo* gastric retention study:** The *in vivo* evaluation of floating tablets of Diphenhydramine Hydrochloride was carried out in healthy Albino rabbit.This study aimed to confirm that the tablet would remain floating in the gastric region and after lag time release the core tablet. As the core tablet comes in contact with the gastric content, it gets disintegrated to release the drug. The X-ray photographs were taken after administering floating tablet to the rabbit under fasting conditions. Figure 2 shows the X-ray photographs taken at different time intervals. It was revealed that the tablet remained inside that rabbit's stomach for 6 h maintaining its integrity and at the 9^{th}h, the tablet is seen disintegrating (Figs. 3,4,5).This study also confirm our previous investigation [8].

CONCLUSION

In the present study the formulated floating tablets of diphenhydramine hydrochloride was subjected to *in vivo* gastric retention study. Due to retention of the tablet for longer period of time in stomach, there is increased bioavailability of the drug. Thus reduces the dose frequency and improve patient compliance.

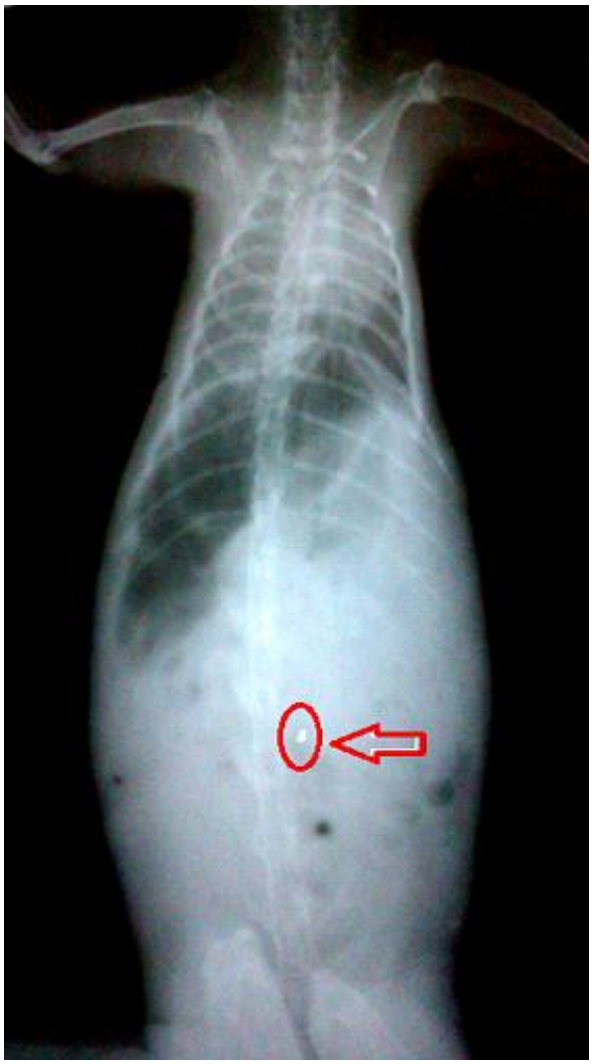

Fig. 4: X-ray photography. After 6 h administration of drug

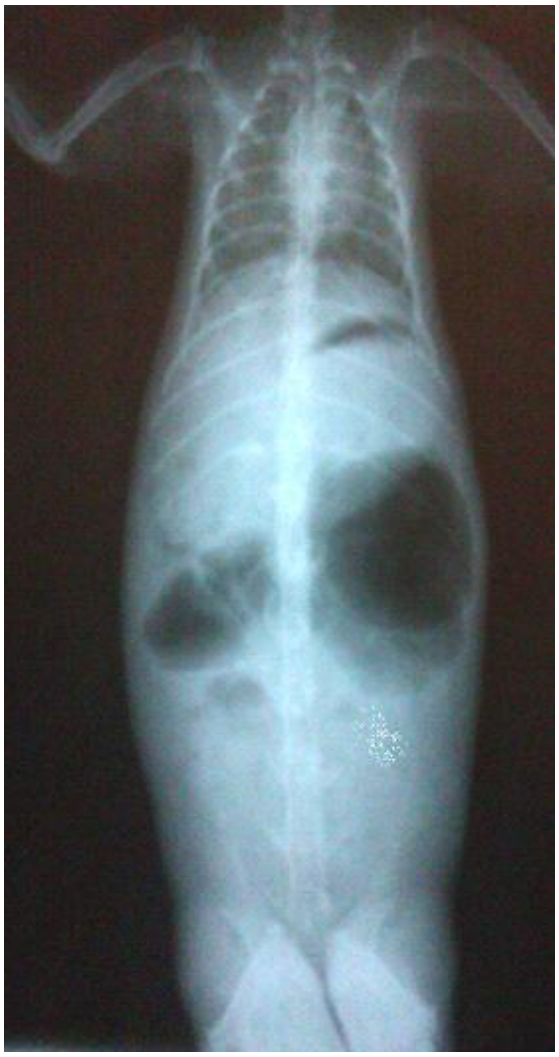

Fig. 5: X-ray photography. After 9 h administration of drug

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REFERENCE

[1] Kendre, P.N., Lateef, S.N. and Godge, R.K.: Int. J. Pharm. Tech. Res. 2(1): 131-139 (2010).

[2] Sandina S., Gowda D.V. and Ravi Teja A.: Int. J. Res. Pharm. Biomed. Sci., 3(3): 1285-1293 (2012).

[3] Kumar J.R., Geetha A. and Sateesh, V.: Int. J. Pharm. Res. Biomed. Anal. 1(1): 1-13 (2012).

[4] Gowtham, M., Muhammed Sharis, C.P. and Meharunneesa K.: Int. J. Drug Formula Res. 2(5): 309-319 (2011).

[5] Londhe, S. and Gattani, S.: Development of Floating J. Pharma. Sci. and Tech. 2(11): 361-367 (2010).

[6] Ozdemir, N., Ordu, S. and Ozkan, Y.: Drug Dev. Ind. Pharm. 2(8): 857-866 (2000).

[7] Jain, N.K.: *Progress in Controlled and Novel drug delivery systems*, 1st ed. CBS Publishers, New Delhi (2004).

[8] Shivathaya, N., Hiremath, S.P. and Patil, A.: J. Cell Tissue Res., 21(1): 7037-7044 (2021)