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Optimization Effect of Superdisintegrants and Subliming Agent on Orodispersible Tablet of Loratidine

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Abstract

Loratidine is an anti-histamine drug. Bitter taste of the Loratidine is a problem in ensuring patient compliance. Resinates of Loratidine were prepared with Indion 234 and loading process was optimized. A 3^2 factorial design was used for formulation development of orodispersible tablet of these taste masked resinates. The amount of subliming agent (camphor) and superdisintegrants (sodium starch glycolate) were taken as formulation variables (factors) for optimizing disintegration time, drug release after 15 minutes and friability as dependent or response variables. A mathematical model was generated for each response parameter. The disintegration time was found to linearly increase with the increase in the amount of superdisintegrants. The percentage friability showed no definite relationship with either amount of subliming agent or superdisintegrants. The optimum formulations were chosen by grid search method and their predicted results were found to be in close agreement with experimental findings.

Keywords : *oral disintegrating tablets, Loratidine, factorial design, contour plots, response surface methodology*

Introduction

Fast disintegrating /dissolving drug delivery system is a novel system which has advantages such as administration without water anytime and anywhere specially for geriatric and pediatric patients. Various superdisintegrants like crosscarmellose sodium, sodium starch glycolate and crosspovidone are used. However; various other techniques are reported such as lyophillization [6] and vacuum drying[7, 8] these involve maximization of the pore structure of tablet matrix, thus leading to enhanced disintegration. But it yields tablets, which are fragile and hygroscopic. Sublimation, a useful technique that gives less fragile tablets by formation of a porous hydrophilic matrix which picks up disintegrating medium and disintegrates quickly[7.] Various other patented technologies like Zydis, Oraquick, Durasolv, Flash dose; Wowtab etc are also been used to prepare fast disintegrating tablets.[8] The main aim of the current study was to develop and optimize the fast disintegrating tablets of taste-masked ondansetron resinates prepared by wet granulation. 3^2 factorial design was employed to investigate the effect of two independent variable (factors) i.e.; amount of subliming agent:: and amount of superdisintegrants.[9,10] The disintegration time, release after 15 minutes and percentage friability were taken as the response variables.

Materials and Methods

Method of Analysis[4]

The drug was estimated spectrophotometrically at 240 nm using JASCO-V520 UV VIS spectrophotometer over concentration range of 2-12 µg/ml.

Drug Loading

For drug loading batch method[1] was used.

Taste Evaluation

Two methods are used for taste evaluation including Determination of threshold bitterness concentration & *In-vitro* evaluation of bitter taste of Resinates. [5, 6]

Result & Discussion

Formulation Development of Orodispersible Tablets

Table1. *Selection of Resin*

RESIN	CONCENTRATION		PERCENTAGE DRUG BOUND TO RESIN
	Drug	Resin	
Indion 204	100	100	87.66 ± 0.12
Indion 234	100	100	93.31 ± 0.22
Indion 264	100	100	46.19 ± 1.05

Indion-234 give best loading efficiency and also amount to drug present in resinate is more in loratidine- Indion: 234 resinate. Taste evaluation is done by in vitro taste determination of threshold bitterness concentration and most of the volunteers selected 20 mcg/ml threshold bitterness concentrations in 2 min. hence satisfactorily taste masked occur.

Table 2. *Evaluation test for nine optimized formulation*

% friability	Disintegration time(secs)±SD	% assay	Wetting time.
0.595±0.044	160±2.588	98.64	142±1.14
0.630±0.046	105±2.236	99.21	81±1.673
0.583±0.058	67±3.536	99.42	52±2.00
0.822±0.029	55±2.303	98.41	43±1.483
0.782±0.031	34±1.673	98.53	25±1.581
0.813±0.023	28±2.881	98.02	19±1.541
1.048±0.019	40±2.775	97.93	28±2.236
0.957±0.047	32±2.387	99.78	21±3.050
1.035±0.086	15±3.209	97.23	9±1.673
0.595-1.048	15-160	97.23-99.78	9±142

Table 3. *Six intensive search formulation*

Code	Formulation composition (mg)		Weight (mg) Mean ± S.D.	Hardness (kg) Mean ± S.D.	Diameter (mm) Mean ± S.D.	% Assay
	Camphor	SSG				
OS1	29.75	19.4	200.13 ± 1.35	3.1±0.27	8 ± 0.02	98.45
OS2	26.50	21.4	201.09 ± 1.24	3.5±0.62	8 ± 0.01	99.71
OS3	30	24	200.32 ± 1.52	3.2±0.71	8 ± 0.04	98.18
OS4	26	21.2	200.45 ± 1.16	3.4±0.35	8 ± 0.02	98.57
OS5	22	23.8	200.17 ± 1.28	3.7±0.59	8 ± 0.03	97.94
OS6	24	19.20	200.27 ± 1.61	3.6±0.52	8± 0.05	98.82
Broad range			200.13 – 01.09	3.1-3.7	8 -8	99.71

Drug Release

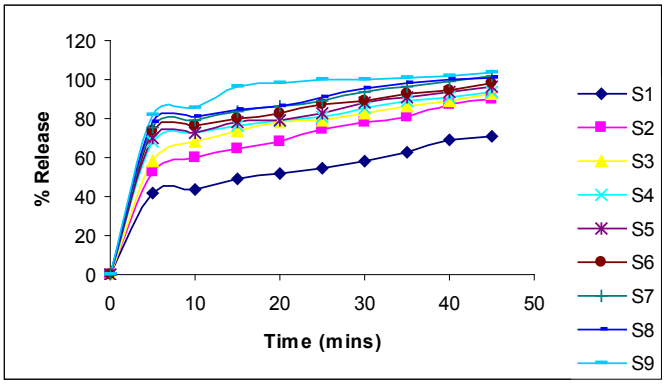
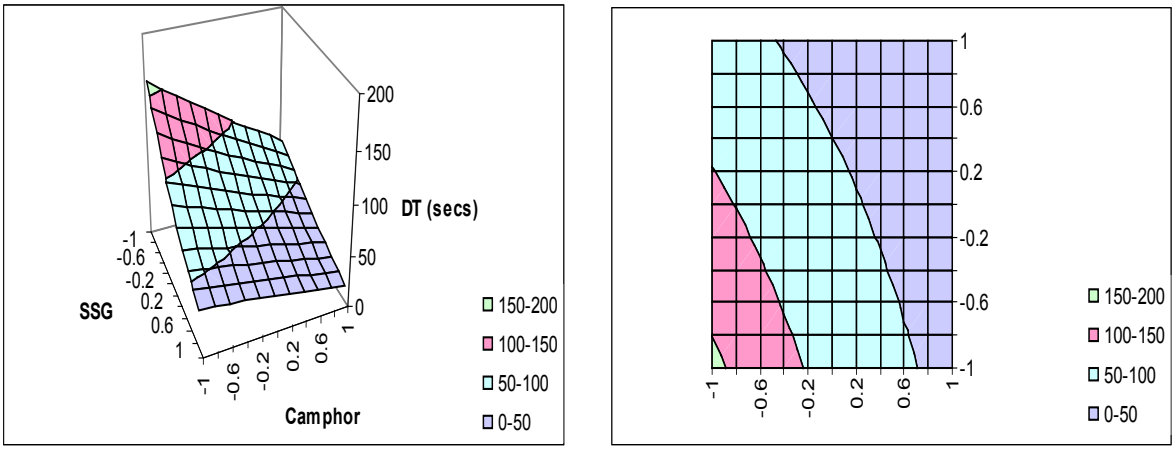
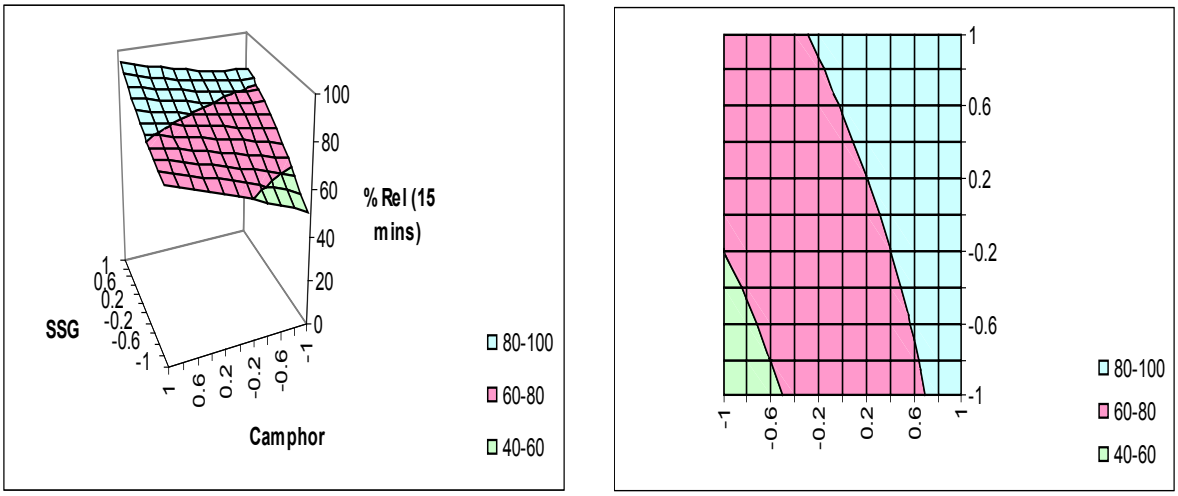


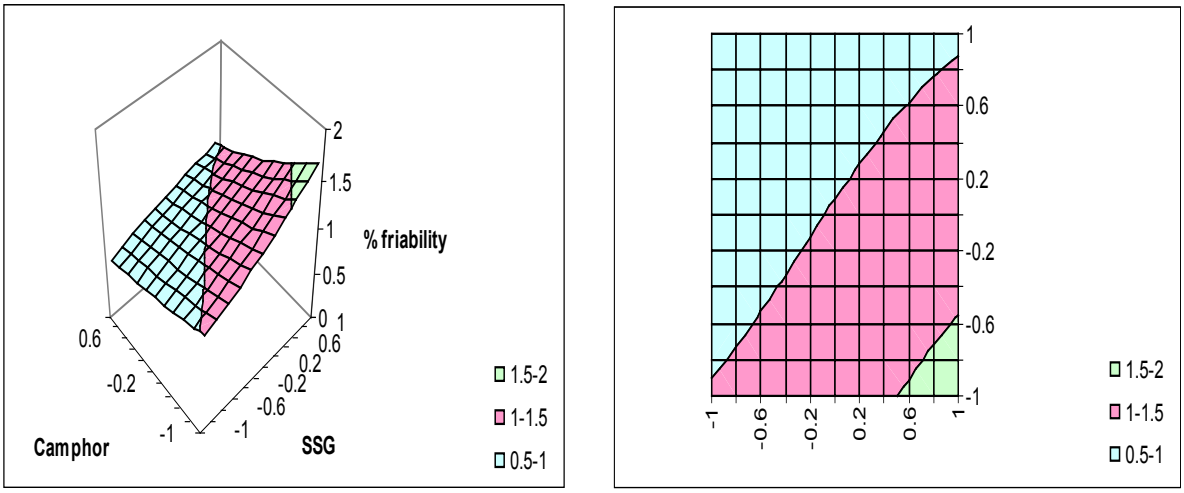
Figure 1. *Drug Release Profile in Nine Optimized Formulation*



Response surface plot and contour plot of DT



Response surface plot and contour plot of % Release in 15 mins.



Response surface plot and contour plot of DT

Figure 2. *Response surface & contour plot*

Response surface is 3D dimensional plot, and contour plot is 2 D dimensional plot of response variable verses two independent variable.

Comparison of Optimum formulation with conventional tablets of Loratidine

Based on the least disintegration time and faster drug, one optimum formulation each was selected from sublimation and effervescent technique. Viz OS4 and OE1.

These formulations were compared with the conventional marketed tablets of Loratidine having an equivalent dose of 30 mg.

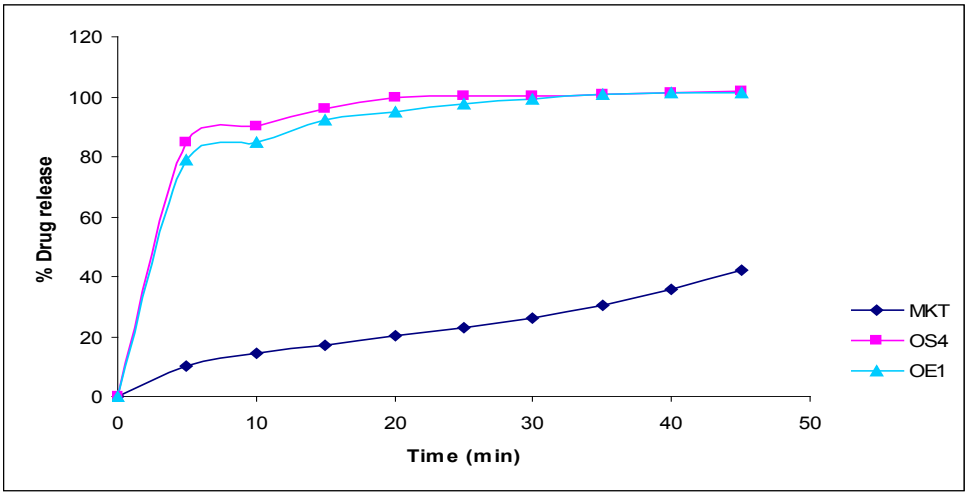


Figure 3. *Comparison of sublimation and effervescent method with marketed product*

Above graphical illustration represents both methods (OS & OE) that gives better release as compare to marketed products.

Conclusion

Indion-234 give best loading efficiency and also amount to drug present in resinate is more in loratidine-Indion: 234 resinate. Taste evaluation is done by in vitro taste determination of threshold bitterness concentration and most of the volunteers selected 20 mcg/ml threshold bitterness concentrations in 2 min. hence satisfactorily taste masked occur. The reason behind this was because of significantly faster disintegration of Orodispersible tablets i.e. OE4 and OE1 as compared to the marketed formulation the release of the drug was faster. In Orodispersible tablets, the disintegration process starts in the oral cavity itself, thereby accelerating the dissolution process in the GIT which further bring about faster absorption of the drug. Both methods (OS & OE) that gives better release as compare to marketed products. The stability studies of the Orodispersible tablets revealed that no significant changes in the physical parameters when stored at temperature and humidity conditions of 40 ± 2°C/ 75 ± 5 % RH and at room temperature. No significant reduction in the content of the active drug was observed over a period of two months hence shelf life of the formulation could extrapolate to a minimum of two years.

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