Preparation and Evaluation of Optimized Zolmitriptan Niosomal Emulgel.

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Abstract

Novel niosomal formulation may be successfully applied to treat a systemic disease such as migraine through transdermal drug delivery system (TDDS) , moreover, the treatment of tropical diseases such as mycotic infections by targeting and localizing the drug to the stratum corneum . The current study aims to formulate zolmitriptan (Zt) in niosomal vesicles to potentiate its transdermal effect

Introduction

The transdermal route of administration was considered for several years “patient-friendly” because several GIT complications are minimized. Moreover, it keeps plasma drug concentration stable without oscillations that help to minimize adverse effects and therapeutic defects [3,4]. The most challenge is to tackle the ~ 30-µm thick layer of stratum corneum, which functions as the most barrier for drug diffusion and penetration [5]. The objective of this investigation was to deliver zolmitriptan (Zt) transdermally via niosomal nanovesicles dispersed into emul-gel to stabilize the drug at its action site followed by enhancing in its systemic absorption via skin to alleviate migraine and avoid complications accompanied by other routes of administration

Methods

Preparation of niosomes (thin film hydration method)

Niosomes were prepared from a mixture of Span 60, Span 80 with

cholesterol. The different formulating factors together with 20mg

Zt illustrated in Table 2 were dissolved in 12 ml chloroform in a

volumetric round flask with a long neck. A thin dry film of the

components was formed on the inner wall of the rotating flask by

slow evaporation of chloroform at 60 C under reduced pressure,

using a rotary evaporator (Heidolph, vv Micro, Germany) at

135 rpm. The dried film was hydrated by 10 ml of phosphate

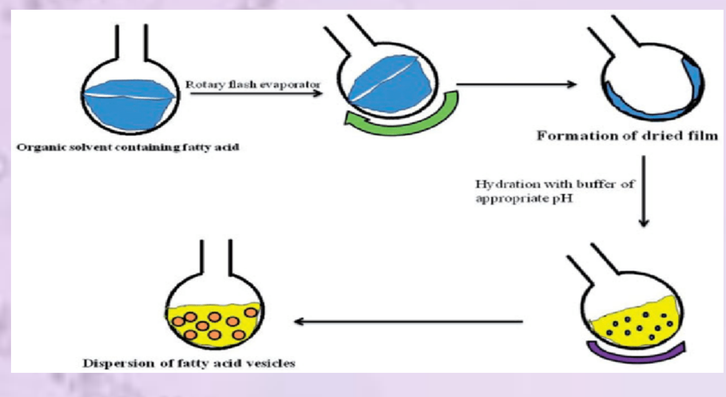
The calculated weight of optimized formulation equivalent to 2mg of Zt (20 ug Zt/gm

emulgel) was incorporated into 100 gm hydrated emulgel. For the

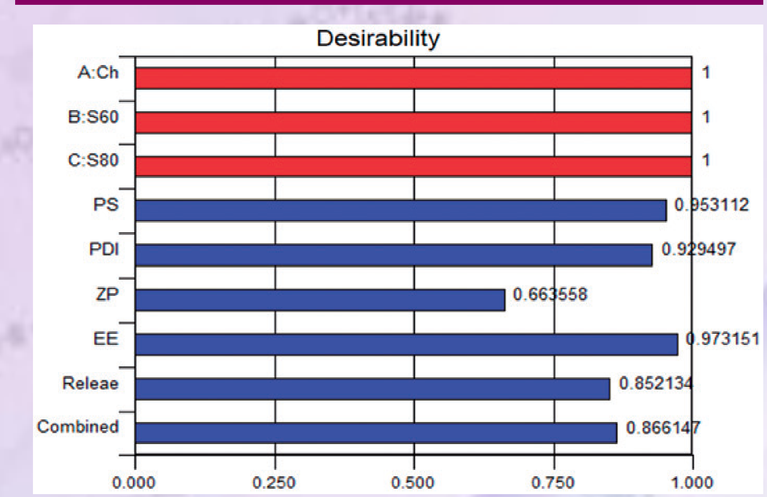
purpose of comparison, plain Zt suspension loaded emulgel was

prepared in the same manner and amounts.

Preparation of niosomal zolmitriptan formulations using (thin film hydration technique)



Results



.Figure 1: Bar representation of all responses to determine desirability.

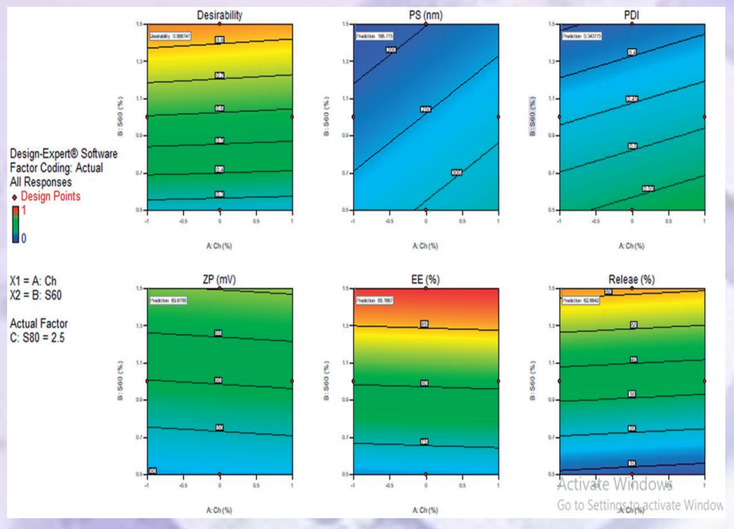


Figure 2 Contour plot of different responses

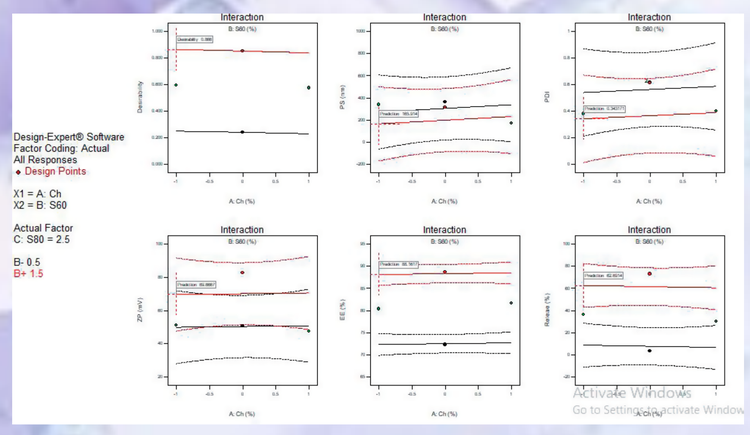


Figure 3 Interaction effect of formulating factors on different responses.

Table 1 Composition of Zt niosomal Dispersion Using Different Types of Surfactants(Box -Behnken design 3^3)

Formulation	Zt(mg)	Factor 1 Ch(mg)	Factor 2 S60(mg)	Factor 3 S80(mg)
1	20	1.5	1.5	3
2	20	1	2	2
3	20	2	1.5	2.5
4	20	2	2	2
5	20	1	2	3
6	20	2	2	3
7	20	1.5	1.5	2
8	20	1.5	2.5	3
9	20	1	2.5	2.5
10	20	1	1.5	2.5
11	20	2	2.5	2.5
12	20	1.5	2.5	2

Table 2 Dependent factors of different niosomal formulations

Formulation	Responses				
	VS(nm)	PDI	ZP(mv)	EE(%)	R%(4h)
1	363.1	0.615	-50.8±4.36	72.30	9.2
2	586.7	0.852	-43.8±6.85	68.8	7.2
3	798.8	0.981	-51.6±6.85	79.56	27.4
4	697.6	0.642	-59.8±9.5	80.35	36.5
5	338.7	0.380	-51.3±6.85	67.65	30.3
6	172	0.401	-47.3±4.37	81.65	30.3
7	832.6	1.000	-78.2±7.3	70.42	5.3
8	313.4	0.614	-82.8±8.66	87.44	73
9	441	0.528	-79.2±9.04	69.90	39
10	170.3	0.372	-61.3	66.72	4.6
11	133.1	0.294	-80.6	88.68	61.5
12	851.3	0.742	-78.2	85.62	67

Conclusions

-Niosomal F11 depicted higher permeation compared to plain Zt and niosomal F11 loaded emulgels.

- F11 loaded emulgel was selected for in vivo study(due to the ease of application of emulgel on the skin).

References

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