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Formulation and evaluation of sublingual tablet of Venlafaxine hydrochloride for the treatment of depression

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ABSTRACT

The objective of preparing Venlafaxine hydrochloride as sublingual formulations as it is very patient friendly compared to the conventional tablets. Sublingual tablet formulation was proposed to be developed for Venlafaxine hydrochloride to enhance the bioavailability by avoiding first pass effect. Crospovidone and sodium starch glycolate used as superdisintegrants. Lactose was used as glidant and mannitol as directly compressible filler. Microcrystalline cellulose used as tablet disintegrant. Direct compression method found best and easy for preparing the sublingual tablets. Seven formulations (S1-S7) were prepared and evaluated for thickness which ranges from 1.91 to 2.21, hardness ranges from 2.6 to 3.4 kg/cm^2, friability 0.71% to 0.90%, weight variations, wetting time ranges from 32-69 seconds disintegration time ranges from 29 to 57 seconds and *in vitro* drug release ranges from 70.7 to 98%. Formulation F-7 containing crospovidone (15mg) emerged as best formulation based on drug release characteristics. From the present study, it can be concluded that the superdisintegrants increased the solubility and *in vitro* drug release of Venlafaxine hydrochloride. Sublingual formulation (tablets) increased the onset of action and bioavailability of Venlafaxine Hydrochloride and prevent them from extensive first-pass effect.

Keywords: Sublingual tablets, Venlafaxine hydrochloride, Depression, Crospovidone, sodium starch glycolate

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INTRODUCTION

In Sublingual route, a drug is administered by the mouth in such way that the drug is rapidly absorbed by the blood vessels rather than absorbing through gastrointestinal tract.[1] Drug releases the content directly into mucosal lining of the mouth beneath the tongue.Venlafaxine is an antidepressant drug that comes under the class serotonin-norepinephrine reuptake inhibitor. Venlafaxine is indicated for the treatment of depressive illness including depression accompanied by anxiety and panic attacks.

Pre- systemic elimination

The first-pass effect also called as pre systemic elimination of drug is only occurred with oral formulations. When the drug absorbed from gastrointestinal track and reaches to liver through portal circulation degradation of drug take place.[2] Higher the degradation of drug occurred, the less amount of drug reaches to blood circulation (systemic) which directly affect its bioavailability and if the bioavailability is less than it affects onset of action and dosing size of drug. [3]

Objective

The objective of preparation and evaluation of the Sublingual formulation(tablets) to increase the onset of action and bioavailability of Venlafaxine Hydrochloride and prevent them from extensive first-pass effect.

MATERIALS AND METHOD

Materials

Venlafaxine hydrochloride (Anwita Drugs and chemicals, Hyderabad), crospovidone (Chemco laboratories, Rajkot), Sodium starch glycolate (HiMedia Laboratories Pvt. Ltd. Mumbai), Microcrystalline cellulose (Loba Chemie, Mumbai), Magnesium stearate (Remedy Labs, Gujrat), Mannitol (Loba Chemie, Mumbai and Talc (Loba Chemie, Mumbai) were used. The composition of FDTs was mentioned in Table 2.

Method

- Melting point: Melting point was determined by capillary method. It was found to be 213-214°c.
- Absorption Maxima: The maximum absorption of the drug shown at 220nm in phosphate buffer (pH6.8)

- Solubility study: Results were mentioned in **table 1**
- Calibration curve: The calibration curve was prepared in phosphate buffer (pH6.8) at 220 nm. (Figure.1)

Sublingual tablets of Venlafaxine Hydrochloride were prepared by direct compression method.

RESULTS AND DISCUSSION

Formulation of all the seven batches of sublingual tablets was prepared by changing the concentration of sodium starch glycolate and crospovidone. Results of pre-compression studies were mentioned in Table no3. Hardness of tablets was in the range of 2.6 to 3.4 kg/cm² and friability was in the limit of acceptance. Uniformity of weight of all the formulations was also within the range. Results of post compression studies such as Wetting time, disintegration time, and content uniformity reported in Table 4. The *in vitro* drug release of tablets was determined and formulation F7 showed maximum cumulative percentage drug release in 30 min.

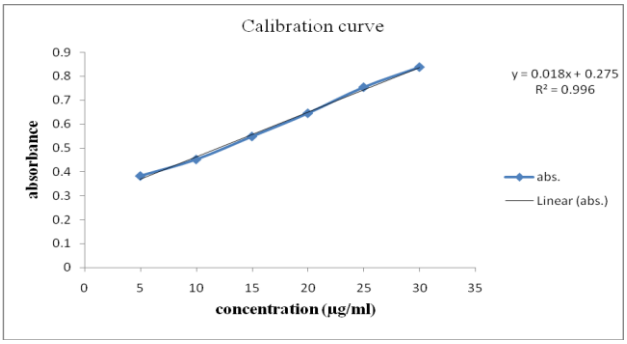

Figure 1: Calibration curve of Venlafaxine Hydrochloride

Table1: Solubility of drug

S. No.	Solvent	Solubility(mg/ml)
1	Water	480
2	Ethanol	294
3	pH6.8 buffer	265

Table2: Composition of Sublingual Tablets

Ingredients	F1	F2	F3	F4	F5	F6	F7
Venlafaxine HCl	25	25	25	25	25	25	25
SSG	---	5	10	15	---	---	---
CP	---	---	---	---	5	10	15
Mannitol	105	100	95	90	100	95	90
Sucrose	15	15	15	15	15	15	15
MCC	50	50	50	50	50	50	50
Talc	5	5	5	5	5	5	5

Table3: Pre compression parameters

Parameters	F1	F2	F3	F4	F5	F6	F7
Angle of Repose(°)	21.62	23.07	20.74	20.69	17.87	22.13	17.15
Bulk density(gm/ml)	0.45	0.43	0.44	0.49	0.47	0.50	0.41
Tapped density(gm/ml)	0.59	0.54	0.53	0.60	0.55	0.61	0.56
Carr's index (%)	22.3	19.5	15.4	17.6	11.9	22.4	14.4
Hausner's ratio	1.28	1.23	1.18	1.20	1.12	1.21	1.19

Table 4: Post compression parameters

Parameters	F1	F2	F3	F4	F5	F6	F7
Diameter(mm)	10.2	10.2	10.6	10.4	10.1	10	10.2
Hardness(Kg/cm²)	3.4	3.0	2.9	2.7	2.9	2.8	2.6
Thickness(mm)	2.1	1.94	1.96	1.91	2.21	1.99	1.92
Friability (%)	0.71	0.76	0.81	0.84	0.73	0.85	0.90
Weight variation(mg)	199±0.82	198±0.31	199±0.99	198±0.11	199±0.40	198±0.54	199±0.38
Wetting time	69	46	37	50	36	51	32
Disintegration time	57	41	32	39	30	44	29
Content uniformity	99.10	98.87	99.53	99.07	99.77	99.50	99.36

Table 5: *In vitro* drug release study

Time / Formulation code	0 min.	5 min.	10 min.	15 min.	20 min.	25 min.	30 min.
F1	0	47.5	62.23	67.27	74.62	81.3	88.57
F2	0	48.46	63.41	70.58	75.63	83.71	92.75
F3	0	57	78.39	84.22	89.47	92.14	96.29
F4	0	52	73.11	81.36	84.19	91	96.55
F5	0	64.5	79.44	85	89.67	94.89	97.28
F6	0	30.48	37.62	42.61	48	55.7	70.7
F7	0	59	80.11	86.24	90	94	98

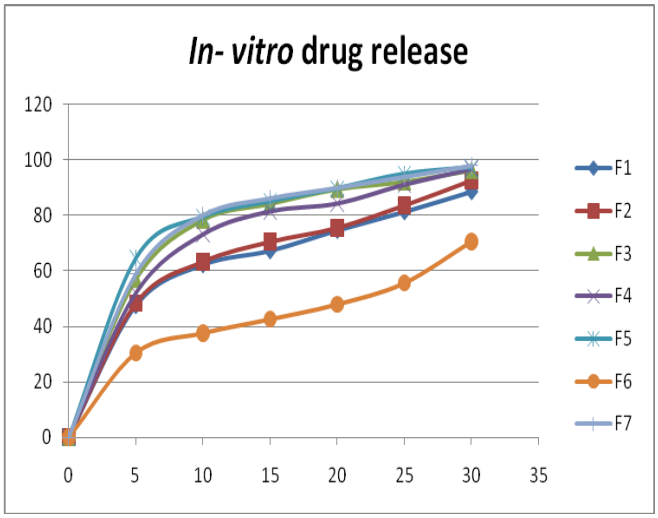

Figure 2: *In vitro* drug release of tablets

CONCLUSION

Sublingual tablets of Venlafaxine hydrochloride were successfully prepared. From the present study, it can be concluded that the superdisintegrants increased solubility and *in vitro* drug release of Venlafaxine hydrochloride. Preparing sublingual formulation (tablets) increased the bioavailability of Venlafaxine Hydrochloride and prevent them from extensive first-pass effect.

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