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FORMULATION DEVELOPMENT AND EVALUATION OF FAST RELEASE FILMS OF GALANTAMINE

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Abstract:

In the present work efforts have been made to prepare the fast dissolving films of Galantamine using water soluble semi synthetic polymers such as HPMC 3cps, Na CMC and KollicoatIR using plasticizer by means of sodium starch glycolate, super-disintegrants by using the solvent casting method. By comparing with the marketed tablet, the FDFs formulated by means of using superdisintegrant showed fast release for quicker onset of action within minutes. The prepared films were evaluated for physico-chemical properties and invitro release kinetics. The selected formulations produced clear, uniform, flexible and desired thickness. The thickness of the film varied from 0.27 mm - 0.68 mm, folding endurance varied from 10 - 20, surface pH varied from 6 - 7. The DSC thermogram showed endothermic peak for optimized formulation, within the limits of pure drug melting point range. The prepared FDFs of Galantamine using different polymers showed good promising results for the evaluated parameters. Based on the rate of drug release, among all the formulations, the formulation F10 containing KollicoatIR was concluded as optimized formulation, which showed 84.01% of drug release within 10 minutes.

Key Words: *Galantamine, Fast Dissolving Films (FDFs), KollicoatIR, Super Disintegrants.*

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INTRODUCTION:

Oral Transmucosal Drug Delivery:

Absorption of drug via mucous membranes of the oral cavity was noted as early as 1847 by Sobvero, the discoverer of nitroglycerin, and systemic studies of oral cavity absorption was first reported by Walton in 1935 and 1944. Due to its excellent accessibility and reasonable patient compliance oral mucosal cavity offers attractive route of drug administration. Within the oral mucosal cavity delivery of drug is classified into two categories (i) Sublingual delivery which is a systemic delivery of drug through the mucosal membrane18 lining the floor of the mouth (ii) Buccal delivery & local delivery, for the treatment of conditions of the oral cavity. The buccal mucosa however appears well suited to attachment of retentive delivery system [1-5].

The oral cavity is foremost part of digestive system of human body. It is also referred to as "buccal cavity". It is accountable for various primary functions of body. The careful examination of various features of oral cavity can help in development of a suitable buccoadhesive drug delivery system.

Drug Delivery via Oral Cavity [6-10]

The oral cavity can be used for local and systemic therapy. Examples of local therapy would be the treatment of oral infections, dental caries, mouth ulcers and stomatitis. The buccal route is of particular interest with regard to the systemic delivery of small molecules that are subjected to first pass metabolism, or for the administration of proteins and peptides.

The two main-routes for administration with oral cavity are:

• Sublingual route

• Buccal route.

Drug Delivery via Sublingual Route [11-15]

Sublingual administration implies systemic administration of drugs via the membranes that line the floor of the mouth and ventral surface of the tongue. A rapidly dissolving tablet is generally given by the sublingual route. The sublingual route offers some distinct advantages.

1. The sublingual mucosa is thinner than buccal mucosa and hence has comparatively higher permeability to drugs.
2. Rapid onset of action.
3. Quick termination of drug effect by spitting tablets.

Other advantages associated to this route are common to those of buccal absorption and discussed in later sections. The sublingual region suffers with one major drawback. The two major salivary glands (submandibular and sublingual glands) open their ducts in sublingual area to release saliva. There is constant flushing of saliva in this region because of which it is difficult to retain drugs and delivery system and build or maintain high concentration of drug, in the sublingual region.

Drug delivery via buccal route[15-20]

Buccal delivery refers to drug release which can occur when a dosage form is placed in the outer vestibule between the buccal mucosa and gingiva. Various advantages and other aspects of this route are elucidated in latter sections.

MATERIALS USED:

Galantamine, HPMC 3cps, Sodium CMC, KollicoatIR, Potassium dihydrogen ortho phosphate, Sodium hydroxide, Polyethylenglycol-400, Glycerine.

RESULTS:

Table 1: Physical properties of Galantamine (F1 to F12) films

Formu-lation code	Appearance	Texture	Average weight(mg)±S.D(n =3)	Average thickness (mm)±S.D (n=3)	Folding endu-rance	Surface pH (n=3)	Assay± S.D (%)
F1	Transparent	Smooth	312.00± 13.95	0.68±0.062	15	6 - 7	88.66± 1.69
F2	Transparent	Smooth	313.66± 14.38	0.64±0.065	20	6 - 7	87.00± 1.41
F3	Transparent	Smooth	259.00± 9.41	0.64±0.049	20	6 - 7	90.23± 0.55
F4	Transparent	Smooth	172.00± 2.82	0.49±0.014	20	6 - 7	88.00± 1.63
F5	Transparent	Smooth	168.33± 14.88	0.40±0.040	20	6 - 7	91.33± 2.05
F6	Transparent	Smooth	195.00± 2.44	0.27±0.020	20	6 - 7	91.33± 1.24
F7	Transparent	Smooth	211.00± 6.23	0.43±0.023	18	6 - 7	89.00± 3.25
F8	Transparent	Smooth	179.33± 3.29	0.31±0.029	20	6 - 7	88.66± 1.24
F9	Very thin, Transparent	Smooth	235.00± 70.07	0.60±0.060	15	6 - 7	86.63± 0.94
F10	Very thin, Transparent	Smooth	258.30± 10.27	0.50±0.032	10	6 - 7	90.90± 2.66
F11	Very thin, Transparent	Smooth	152.33± 2.05	0.43±0.33	10	6 - 7	90.03± 0.81
F12	Very thin, Transparent	smooth	263.30± 6.23	0.55±0.024	14	6 - 7	89.66± 2.62

Table 2: *In vitro* % drug release studies of Galantamine films

	CUMULATIVE % DRUG RELEASE± RSD											
TIME (Min)	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**	**F9**	**F10**	**F11**	**F12**
1	6.03 ±0.67	4.22 ±0.61	5.10 ±0.17	4..33 ±0.48	3.74 ±0.28	4.90 ±0.13	3.72 ±0.49	3.77 ±0.93	8.19 ±0.56	8.92 ±0.31	6.21 ±1.90	5.48 ±1.31
3	14.43 ±0.51	11.27 ±0.74	10.80 ±0.79	13.01 ±0.35	12.23 ±0.46	11.10 ±0.88	10.68 ±1.12	9.00± 0.73	20.53 ±0.84	26.24 ±0.29	29.14 ±4.09	18.67 ±0.59
5	23.63 ±0.21	19.41 ±0.46	18.28 ±0.56	18.57 ±1.04	24.82 ±0.92	21.60 ±1.50	21.73 ±3.95	15.08 ±0.48	34.55 ±1.78	46.00 ±1.19	58.56 ±5.25	34.21 ±3.89
7	29.04 ±0.29	25.51 ±0.49	25.47 ±0.81	24.06 ±1.42	36.72 ±0.96	31.13 ±4.20	40.65 ±5.00	23.62 ±0.52	52.74 ±2.65	73.47 ±1.35	67.97 ±2.90	49.06 ±5.41
10	36.17 ±0.86	38.76 ±1.79	36.03 ±1.75	29.20 ±1.50	51.55 ±3.45	48.87 ±5.83	53.02 ±0.81	36.02 ±0.55	67.34 ±1.74	84.01 ±3.66		72.40 ±1.31
15	47.83 ±7.25	49.63 ±1.62	55.08 ±0.61	33.81 ±2.93	62.68 ±7.12	63.65 ±2.45	56.04 ±2.00	57.40 ±1.63				
30	57.86 ±2.49	62.12 ±0.95	73.94 ±2.59	44.94 ±2.65				63.04 ±0.78				
45		62.93 ±0.51	77.49 ±0.26	45.97 ±2.78								

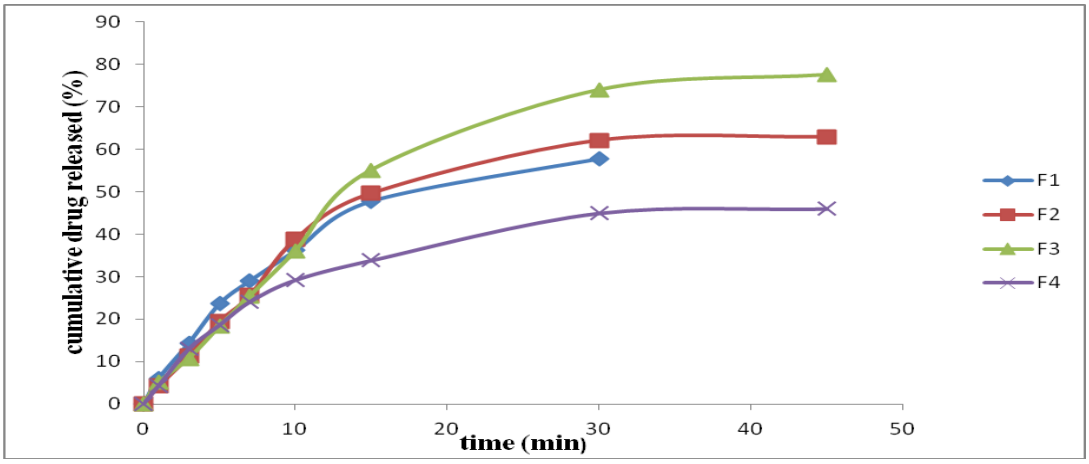

Fig 1: Dissolution profiles of F1, F2, F3 and F4 in pH 6.8 phosphate buffer.

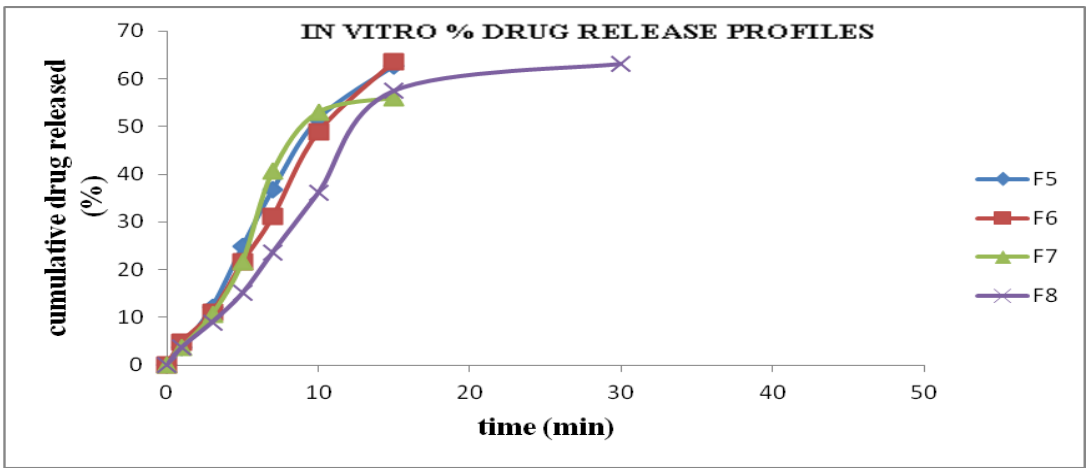

Fig 2: Dissolution profiles of F5, F6, F7 and F8 in pH 6.8 phosphate buffer.

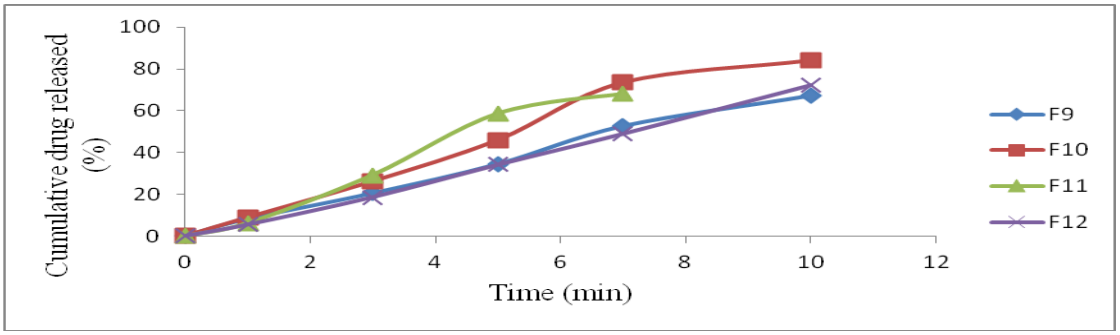

Fig 3: Dissolution profiles of F9, F10, F11 and F12 in pH 6.8 phosphate buffer.

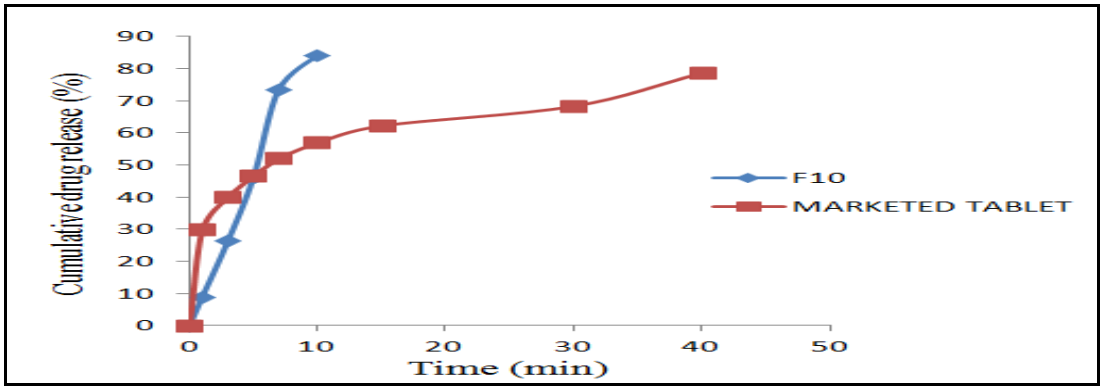

Fig 4: Comparison of dissolution profile of optimized formulation (F10) with marketed tablet

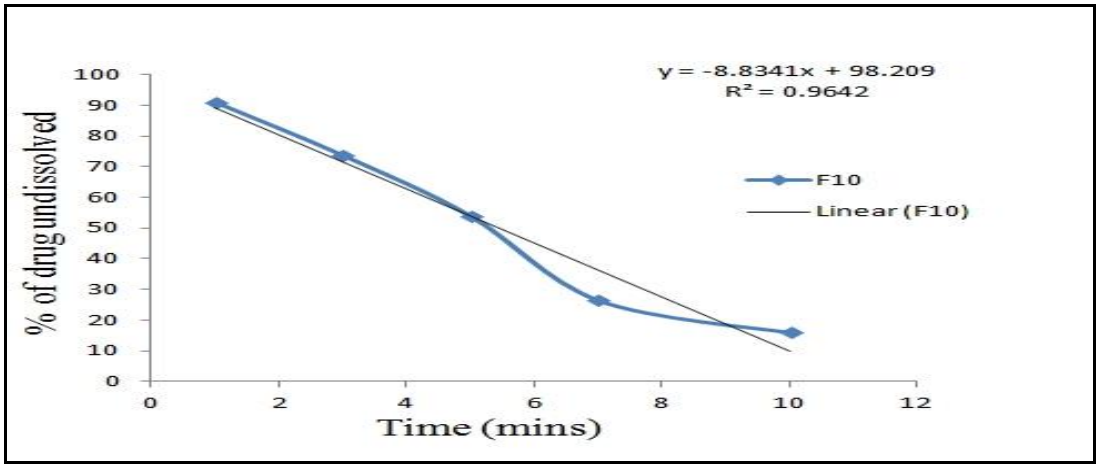

Fig 5: Zero order release plot of optimized formulation.

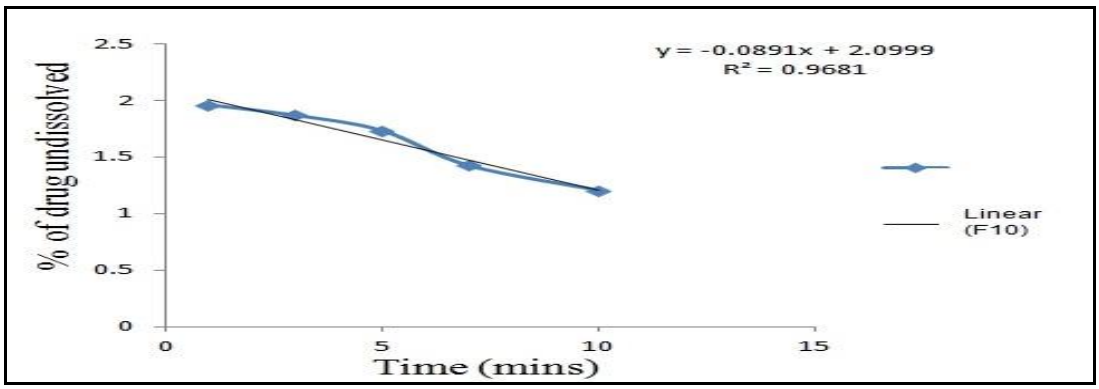

Fig 6: First order release plot of optimized formulation.

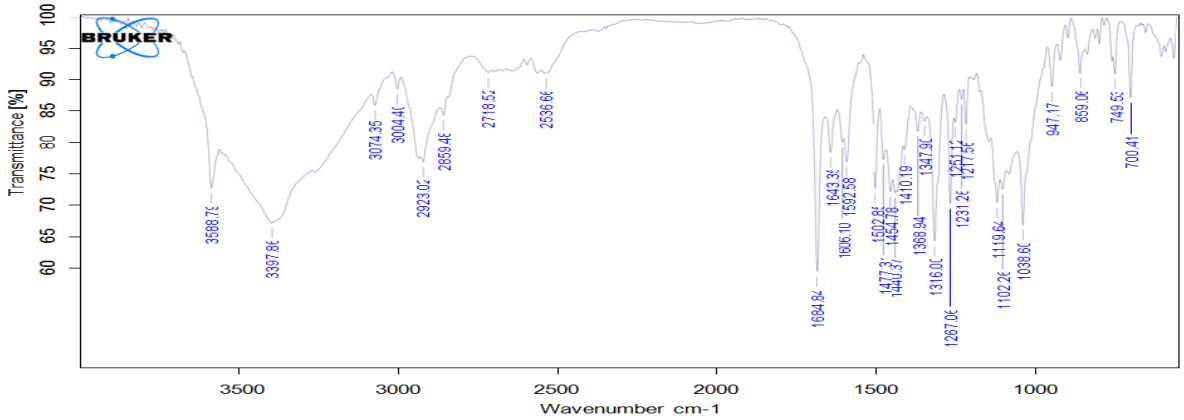

Fig 7: FTIR spectrum of optimized formulation.

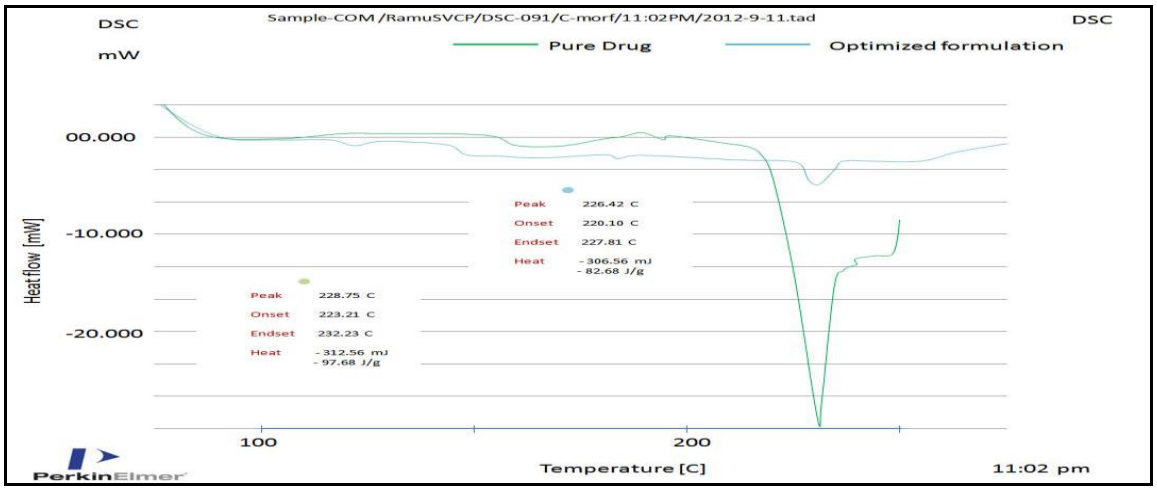

Fig 8: DSC thermogram of pure drug and optimized formulation.

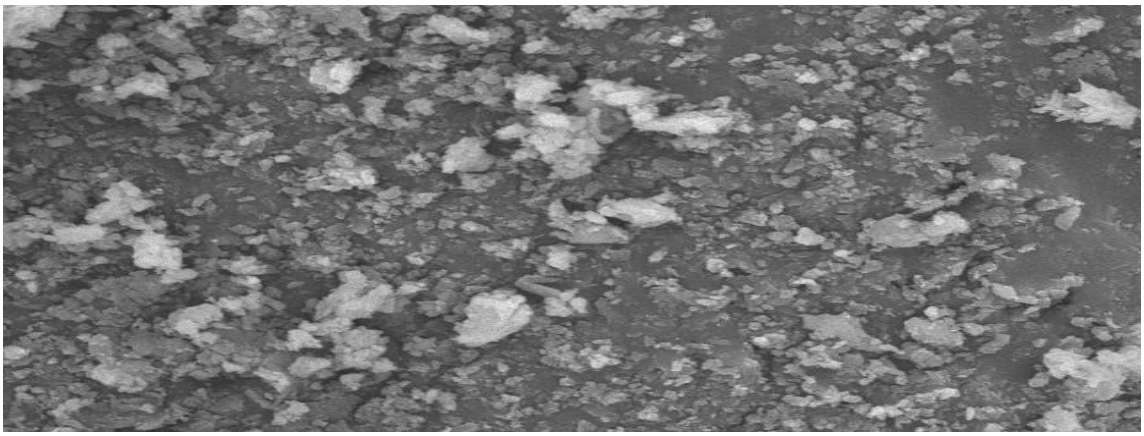

Fig 9: SEM of optimized formulation.

Table 3: Dissolution parameters of the formulation:

Formulation code	$T_{25\%}$	$T_{50\%}$	$T_{75\%}$	$(D.E)_5$	$(D.E)_{10}$
F10	3	5.3	7.3	93.50%	70.37%
Marketed tablet	1	6.5	41	34.21%	43.28%

SUMMARY AND CONCLUSION:

In the present work efforts have been made to prepare the fast dissolving films of Galantamine using water soluble semi synthetic polymers such as HPMC 3cps, NaCMC and KollicoatIR using plasticizer by means of sodium starch glycolate, super-disintegrant by using the solvent casting method. By comparing with the marketed tablet, the FDFs formulated by means of using superdisintegrant showed fast release for quicker

onset of action within minutes. The prepared films were evaluated for physico-chemical properties and *invitro* release kinetics. The selected formulations produced clear, uniform, flexible and desired thickness. The thickness of the film varied from 0.27 mm - 0.68 mm, folding endurance varied from 10 - 20, surface pH varied from 6 - 7. The DSC thermogram showed endothermic peak for optimized formulation, within the limits of pure drug melting point range. The prepared FDFs of Galantamine using different polymers showed good promising results for the evaluated parameters. Based on the rate of drug release, among all the formulations, the formulation F10 containing KollicoatIR was concluded as optimized formulation, which showed 84.01% of drug release within 10 minutes.

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