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Preparation and evaluation of loratadine tablets by using novel polacrilin potassium

Devi D. K. N.[1*], Nelluri N. Rao[2], G. Venkata Mounika[1], K. Geeta Priyanka[1] and K. Naga Deepika[1]

[1]*KVSR Siddhartha College of Pharmaceutical Sciences, Vijayawada, Andhra Pradesh, India*
[2]*TIL Healthcare, Sricity SEZ, India*

ABSTRACT

Loratadine is second generation, non-sedative anti –histamine used in symptomatic relief of allergy. The objective of the current study was to compare the disintegration efficiency of Loratadine by using three superdisintegrants represented by Ac-Di-Sol, Primogel, and Polacrilin Potassium. The concentrations investigated were 5%,10%,15% of total tablet weight. Oral disintegrating tablets were prepared by superdisintegrant addition method and direct compression technique. The quality control test results complied with the monograph specifications. A significant rapid release of the drug from F8 formulation was observed in comparison to other formulations. Hence, it was concluded that the superdisintegrant , Polacrilin Potassium in 10% concentration showed better dissolution efficiency among the other levels of superdisintegrants. Based on the data obtained on the dissolution rate, the superdisintegrants can be ranked as Polacrilin Potassium > Sodium starch glycolate > Ac-Di-Sol.

Keywords: Superdisintegrant, anti –histamine, Oral disintegrating tablets.

INTRODUCTION

Loratadine is a second-generation H1 histamine antagonist drug used to treat allergies. In structure, it is closely related to tricyclic antidepressants[1], such as imipramine, and is distantly related to the atypical antipsychoticquetiapine.

Tablet is most popular among all dosage forms existing today because of convenience of self administration, compactness and easy manufacturing. However many patients, especially elderly find it difficulty in swallowing tablets, capsules, fluids and thus do not comply with prescription which results in high incidence of non-compliance and ineffective therapy. Patient convenience and compliance oriented research has resulted in bringing out many safer and newer drug delivery systems[2]. Rapidly disintegrating / dissolving tablet is one of such example. Fast disintegrating tablets are gaining prominence as new drug delivery systems. These dosage forms dissolve or disintegrate in the oral cavity within a minute without the need of water or chewing.

The main purpose of the present investigation is to increase the solubility of Loratadine by the preparation of complex with β-cd using kneading method, preparation and optimization of fast disintegrating tablets by incorporating different superdisintegrants at different concentration levels, to enhance the safety and efficacy of the drug molecule, achieve better compliance, enhance the onset of action, and provide stable dosage form[3].

MATERIALS AND METHODS

β-cyclodextrin was obtained from Roquette,France,and Polacrilin Potassium was obtained from Corel pharma-chem, Ahmedabad. All other excipients used are of pharmaceutical grade.

Preparation of complex by kneading method
Drug and β-cyclodextrin in 1:1 ratio were taken and triturated in a mortar with a small volume of methanol: water (3:2) solvent blend. The thick slurry was kneaded for 45 mins, and then the mass was further dried in a desiccator for 2 days[4]. The dried complex was crushed, pulverized and sieved through 100 mesh. The solid dispersions thus obtained were stored in a well closed container and kept in a desiccator.

The prepared complex was tested for its drug content and invitro dissolution studies were also performed using LABINDIA DISSO 2000 an eight stage dissolution rate testing apparatus with paddle. The dissolution medium is water with 0.5% Sodium lauryl sulfate. The complex equivalent to 10 mg of drug was spread onto the surface of 900 ml of preheated dissolution medium at 37±0.5^{0}c. Aliquots of 5 ml were withdrawn at regular intervals of time i.e (5,10, 20, 30, 45, 60 min) and the sample is replaced with the fresh dissolution medium each time[5]. The samples obtained were filtered through Whatman filter paper and the absorbance was measured at 246 nm.

Preparation of blends and tablets:
Orodispersible tablets of Loratadine were prepared by direct compression according to the formulae given in **Table1**. All the ingredients were passed through #60 mesh separately. Then the ingredients were weighed and mixed in geometrical order and tablets were compressed using 6 mm normal concave punches to get tablets of 100 mg weight on a 16-station rotary tablet machine[6]. A minimum of 50 tablets were prepared for every batch.

Evaluation of blends:
The powder blend was evaluated for its flow properties such as angle of repose, bulk density, tapped density, compressibility index, and Hausner's ratio.

Evaluation of tablets:
Twenty tablets were selected at random and weighed individually. The individual weights were compared with average weight for determination of weight variation. Hardness and friability of the tablets was determined using PFIZER tablet hardness tester, Roche friabilator (USP) respectively. The drug content was determined by taking the drug complex equivalent to 10 mg, then it was dissolved in acetonitrile and liquid was filtered and zafirlukast content was determined by measuring the absorbance at 246 nm. The drug content was calculated using the standard calibration curve. For the determination of wetting time, a glass petridish 3 inch diameter was partially filled with water and a tablet was placed on the surface of a band of filter paper supported on a glass slide. The uptake of water occurred from the lower surface of the tablet. The time required for water to reach the center of the upper surface of the tablet was noted as wetting time.

The invitro disintegration test was performed using an IP 85 disintegration apparatus with 0.5% Sodium lauryl sulfate in water at 37± 0.5°C. Dissolution rate of Loratadine from all formulations was performed using LABINDIA DISSO 2000 an eight stage dissolution rate testing apparatus with paddle. The dissolution medium was 900 ml of water with 0.5% Sodium lauryl sulfate. A speed of 50 rpm and a temperature of 37±0.5°C were used in each test. Aliquots of 5 ml were withdrawn at regular intervals of time i.e (5,10, 20, 30, 45, 60 min) and the sample is replaced with the fresh dissolution medium each time. The samples obtained were filtered through Whatman filter paper and the absorbance was measured at 246 nm.

RESULTS AND DISCUSSION

Fast dissolving tablets of Loratadine were prepared by direct compression method employing Super–disintegrants such as Ac-Di-Sol, Sodium Starch Gylcolate(SSG) and Polacrilin Potassium at different concentration levels .

In the preparation of tablets, 1:1 Loratadine: β-cd inclusion complex prepared by kneading method was used. The drug content was estimated in the complex and it was found that the drug was within the compendial limits 95-101% w/w.

The final blend of were evaluated for flow properties and was found that the flow property of prepared blend was good and the result is given in the **Table 2**. The tablets containing Polacrilin Potassium showed the low disintegration time, as shown in **Fig1**.All the obtained formulations exhibited satisfactory tablet characteristics, these results were also given in **Table 2**. The wetting time for all the formulations was shown in the **Fig 2.**The lowest (29sec) was obtained with formulation F8.

Table1: Formulation of Fast- dissolving tablet of Loratadine

Formulation ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9
Loratadine and β-cd (1:1) complex equivalent to10mg Loratadine	20	20	20	20	20	20	20	20	20
Lactose Anhydrous (SuperTab 21AN)	69.5	64.5	59.5	69.5	64.5	59.5	69.5	64.5	59.5
Sodium starch glycolate	5	10	15	-	-	-	-	-	-
Croscarmellose Sodium (Ac-Di-Sol)	-	-	-	5	10	15	-	-	-
Polacrilin Potassium(Kyron T314)	-	-	-	-	-	-	5	10	15
Talc	1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0
Magnesium stearate	1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0	1.0
Colloidal Silicon Dioxide (Aerosil 200)	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5
Aspartame	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5
Ferric oxide	0.25	0.25	0.25	0.25	0.25	0.25	0.25	0.25	0.25
Strawberry flavor	0.25	0.25	0.25	0.25	0.25	0.25	0.25	0.25	0.25

Table2: Evaluation of fast-dissolving tablet of Loratadine

Formulation parameters	F1	F2	F3	F4	F5	F6	F7	F8	F9
Bulk density(gm/cm^3)	0.404	0.421	0.418	0.429	0.401	0.417	0.424	0.412	0.431
Tappeddensity(gm/cm^3)	O.442	0.463	0.470	0.474	0.440	0.469	0.463	0.468	0.472
Hausner's ratio	1.094	1.099	1.124	1.104	1.097	1.124	1.091	1.135	1.095
Compressibility index(%)	8.59	9.97	11.06	8.64	8.86	11.08	8.42	11.96	8.68
Angle of repose (^{0})	25.44	26.21	25.43	26.18	24.22	26.32	24.90	26.19	23.48
Weight(mg)	101.02	99.78	99.21	98.02	101.08	99.75	99.24	99.87	100.05
Hardness(Kg/cm$^{2)}$	2.8	3.0	2.6	2.4	2.7	2.5	2.6	2.8	2.9
Friability (%)	0.45	0.32	0.37	0.40	0.38	0.43	0.36	0.42	0.39
Disintegration time(sec)	99	74	86	120	100	116	65	32	46
Wetting time (sec)	89	69	75	112	96	113	59	29	40
% Drug release(5 min)	40.5	49.5	43.8	22.6	34.8	27.0	52.8	59.6	55.1

Table3: In vitro Dissolution parameters of the formulations

Formulation	DE_{30} (%)	D_{10} (%)	D_{30} (%)	t_{50} (min)	t_{70}(min)	t_{90}(min)
F1	53.3	49.5	75.3	10	24	60
F2	58.5	59.6	78.2	5	22	58
F3	55.5	52.8	77.6	9	23	59
F4	44.3	43.8	69.7	15	30	>60
F5	51	46.1	74.2	12	25	>60
F6	48.2	45	70.8	13	29	>60
F7	60.5	60.7	82.1	5	12	50
F8	67.1	69.6	85.2	3	11	40
F9	65.6	63	84	4	14	43

DE_{30}= Dissolution efficiency in 30 min, D_{10}= Percent drug dissolved in 10 min, D_{30}= Percent drug dissolved in 30 min, t_{50} =Time for 50% drug dissolution, t_{70} =Time for 70% drug dissolution, t_{90} =Time for 90% drug dissolution.

In-vitro dissolution studies for F-8 tablet which is based on Loratadine with 10% Polacrilin Potassium showed good dissolution efficiency .Various dissolution parameters values viz., Dissolution efficiency at 30 min(DE_{30}%), Percent drug dissolved in 10 min(D_{10}),Percent drug dissolved in 30 min (D_{30}),Time taken to dissolve the 50%.70% and 90%drug(t_{50}, t_{70}, t_{90} respectively) were given in **Table 3**. Based on the data obtained on the dissolution rate, the disintegrants can be ranked as Polacrilin Potassium > Sodium starch glycolate > Ac-Di-Sol. The results were shown in **Fig 3**.

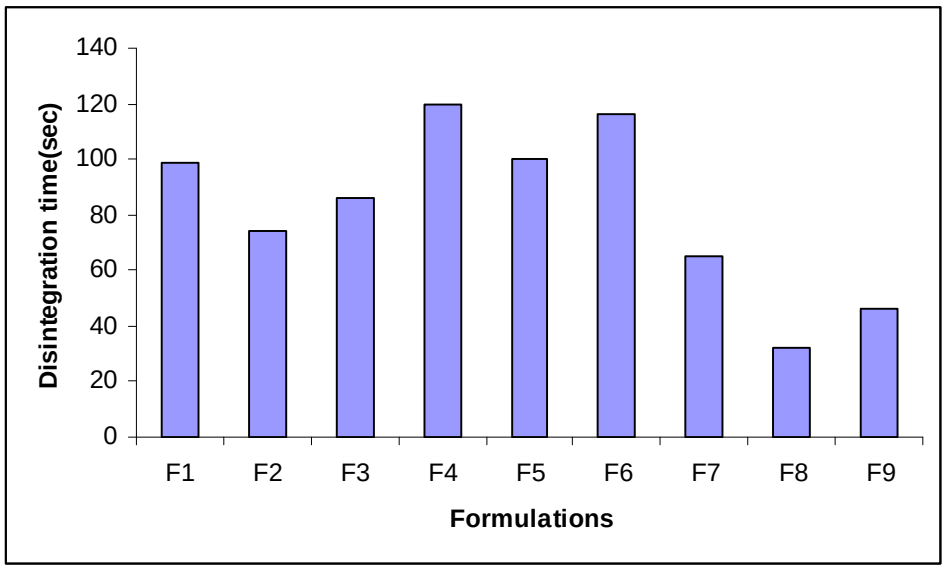

Fig 1: Effect of Superdisintegrants on Disintegration time of Loratadine tablets

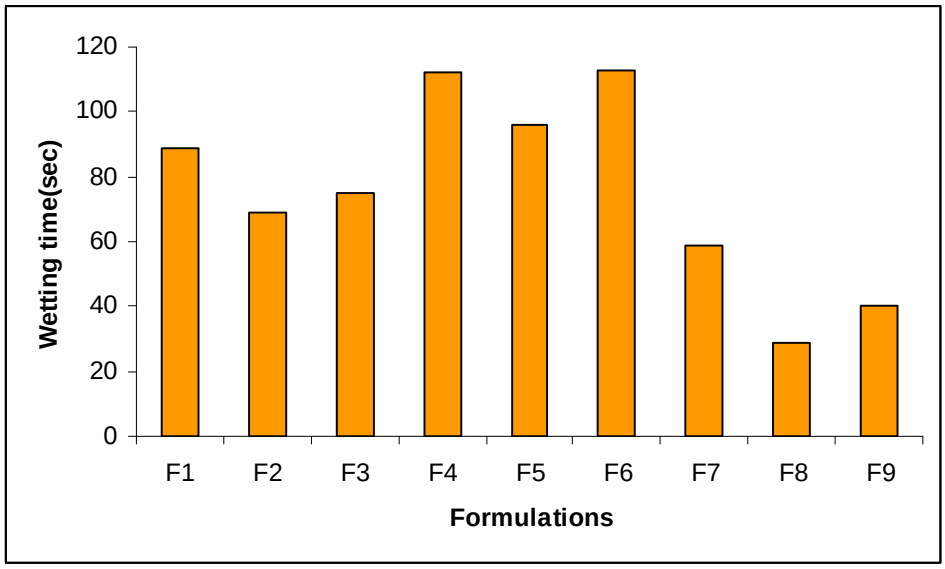

Fig 2: Effect of Superdisintegrants on Wetting time of Loratadine tablets

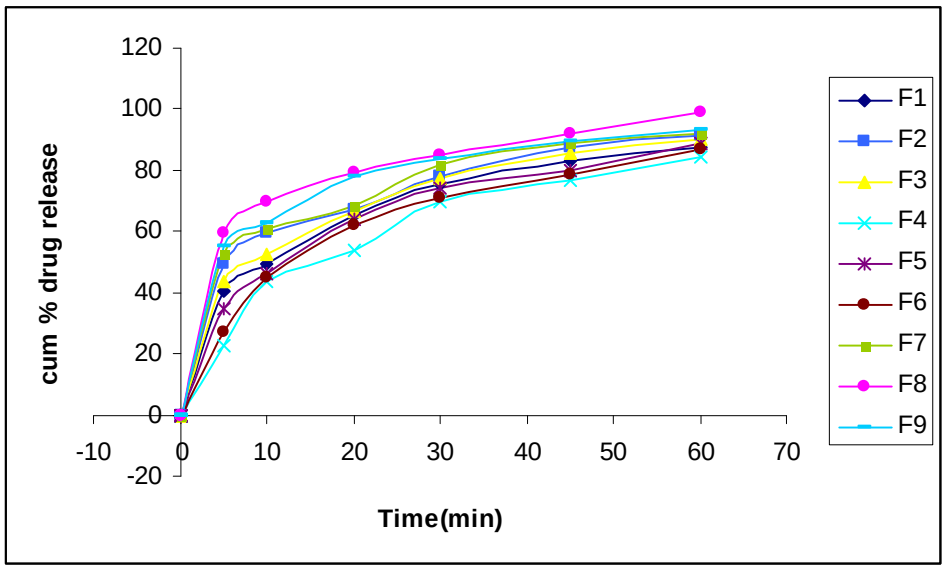

Fig 3: Invitro Dissolution profile of prepared Loratadine formulations

CONCLUSION

10% Polacrilin Potassium showed better dissolution efficiency among the disintegrants studied at three levels (5%, 10% and 15%). Hence Polacrilin Potassium was recommended as suitable disintegrant and the study shows that the dissolution rate of Loratadine can be enhanced to a great extent by Direct- compression technique with the addition of superdisintegrants.

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REFERENCES

[1] Balzano, G., Fuschillo, S., Gaudiosi, C.: *Allergy* 57 (72), 16-19 (**2002**).
[2] Seager H. *J.Pharm. Pharmacol*. **1998**, 50(4), 375-382.
[3] Habib W; khankariR; and Hontz J. *Drug carrier systems*.**2000**, 17(1), 61-72.
[4] Chang R.K; Guo X; Bumside B.A. and couch R.A: *Pharm.Tach*.**2000**,24(6), 52-58.
[5] B.Y.X;Sunada H; Yonezawa Y. and Danoj K: *Drug Dev.Ind.Pharm*.**1999**, 25(5),571-581.
[6] Reddy L.H; ghosh B. and Rajneesh: *Indian J.Pharm.Sci*.**2002**, 64(4),1-3.