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FORMULATION AND EVALUATION OF RIZATRIPTAN ORAL DISINTEGRATING TABLETS

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ABSTRACT

Oral Disintegrating Tablets (ODTs) are solid dosage forms containing medicinal substances which disintegrate rapidly, usually in a matter of seconds, when placed on the tongue. Objective of the present study is to design and formulate oral disintegrating tablets of Rizatriptan comparable to the marketed formulation with better stability, high production feasibility and excellent patient acceptability. Direct compression technique was choosen to develop a finished pharmaceutical product of the envisaged form. Various formulation trials (F1-F11) were taken. In these trials, Drug: Excipient ratio was varied and the effect of Diluent, Superdisintegrant and lubricant on the performance of both blend as well as tablets was studied. Based on the results obtained it was concluded that the formulation F11, the reproducibility batch of F4 was finalized as the optimized formula. When subjected to accelerated stability studies the tablets were found to be stable. Thus, the work resulted in the development of a ODT of Rizatriptan comparable to inventor's product.

KEYWORDS

Rizatriptan, Oral disintegrating tablets, Excipient, Diluent and Formulation.

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INTRODUCTION

Rizatriptan Benzoate is an Anti-migraine drug that is white to off white crystalline solid. Migraine is a specific and common form of headache that has been known since antiquity[1]. It is traditionally called as vascular headache due to the belief that it is due to abnormal changes in the blood vessel tone. The symptoms of a migraine may include throbbing or dull aching pain on one or both sides of the head nausea, vomiting, diarrhea, blurred vision or blind spots, anxious or restlessness, light headedness,

tender scalp, cold hands and feet[2]. The therapeutic activity of Rizatriptan in migraine can most likely be attributed to agonist effects at 5-HT1B/1D receptors on the extra cerebral, intracranial blood vessels that become dilated during a migraine attack and on nerve terminals in the trigeminal system thus causing vasoconstriction and inhibition of neuropeptide release and reduced transmission in trigeminal pain pathways and thus subsequent relief of migraine headache is seen[3].

METHODOLOGY

Narrative description of manufacturing process

Formulation of oral disintegrating tablets of Rizatriptan 5mg and 10mg were carried out by direct compression technique. The procedure followed for each of the trial has been described as follows:

Procedure for F1[4,5]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Pearlitol 200 SD and Spray dried lactose (30:70) were weighed and sifted through #30 mesh and added to the above and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F2[6,7]

Rizatriptan was weighed and sifted through #30 mesh and taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (50:50) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F3[8,9]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (70:30) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches**.**

Procedure for F4[10,11]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5 mm round flat shaped punches and 5mg tablets using 6.4 mm round flat punches.

Procedure for F5[12,13]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Cross Carmellose Sodium (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.5%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5 mm round flat shaped punches and 5mg tablets using 6.4 mm round flat punches.

Procedure for F6[14,15]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Sodium starch glycollate (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F7[16,17]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (4%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F8[18]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (6%) was weighed and sifted through #30 mesh and added to the above mixture

and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.25%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F9[19]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) and Crosspovidone XL were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (0.62%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F10[20]

Rizatriptan was weighed and sifted through #30 mesh and then taken in a polybag.

Avicel Ph 102 and Pearlitol 200 SD (30:70) and Crosspovidone XL were weighed and sifted through #30 mesh and added individually to the above mixture and mixed for 5 minutes.

Crosspovidone XL (5%) was weighed and sifted through #30 mesh and added to the above mixture and mixed for 2 minutes.

Aspartame and Mint flavor were weighed and passed through #30 mesh separately and added to the above mixture one after the other and for each addition the mixture was blended thoroughly.

The lubricant Magnesium stearate (1.87%) was weighed and sifted through #30 and added to the above mixture and blended with the mixture for 1 minute.

The final blend was mixed thoroughly in the poly bag and tablets were compressed as 10mg tablets using 8.5mm round flat shaped punches and 5mg tablets using 6.4mm round flat punches.

Procedure for F11[21]

Reproducibility or scale up batch of F4.

RESULTS AND DISCUSSION

Physical appearance of 10mg tablets

White colored round tablets with embossing of 10 on one side and plain on the other side.

Physical appearance of 5mg tablets

White colored round tablets with embossing of 5 on one side and plain on the other side.

Discussion

Formulation 1

F1 was carried out using Spray dried Lactose and Pearlitol 200 SD in the ratio of 30:70 as diluent, Crosspovidone XL (5%) as superdisintegrant and Magnesium stearate (1.25%) as lubricant. In this trial the friablity of the tablets was very high which resulted in failure of structure of the tablet.

Formulation 2

The F2 trial was performed by using Avicel pH 102 and Pearlitol 200 SD in the ratio of 50:50 as the diluents, crosspovidone(5%) as the super disintegrant and magnesium stearate(1.25) as the lubricant. It was observed that the hardness was higher and the disintegration time was more in both the tablets.

Formulation 3

The formulation F3 was performed by using Avicel pH102 and Pearlitol 200 SD in the ratio of 70: 30 and the tablets were compressed as both 5mg and 10mg tablets. The disintegration time of the tablets was satisfactory, but the taste of the tablets was

found to be very bitter. So, the concentration of Pearlitol 200 SD was increased in further trails.

Formulation 4

Formulation F4 was carried out by taking Avicel pH 102 and Pearlitol 200 SD in the ratio of 30:70 respectively, crosspovidone (5%) as the superdisintegrant and Magnesium stearate (1.25) as the lubricant and the tablets were compressed. It was found that all the factors like disintegration friability, hardness and dissolution were satisfactory. This formulation was used as the final formulation and compressed for the reproducibility batches to conduct the stability studies.

Formulation 5

F5 was performed by using AVICEL pH 102 and Pearlitol 200 SD in the ratio of 30: 70 respectively as the diluents, Cross carmellose sodium as superdisintegrant (5%) and magnesium (1.25%) as the lubricant. The disintegration time of the tablets was found to be more than that of the tablets formulated with cross povidone as the super disintegrant. All other parameters were found to be satisfactory.

Formulation 6

F6 was performed by using Avicel pH 102 and Pearlitol 200 SD in the ratio of 30: 70 respectively as the diluents, sodium starch glycollate (5%) as the super disintegrant and magnesium (1.25%) as the lubricant. All the parameters were found to be satisfactory in this trial except the disintegration time which is higher than that of both cross povidone and cross carmellose sodium.

Formulation 7

F7 was performed by using Avicel pH 102 and Pearlitol 200 SD in the ratio of 30: 70 respectively as the diluents, Cross povidone was taken in 4% concentration as the super disintegrant and magnesium (1.25%) as the lubricant. The disintegration time was found to be more than that of 5% concentration of Cross povidone.

Formulation 8

F8 was performed with Avicel pH 102 and Pearlitol 200 SD in the ratio of 30 : 70 respectively as the diluents, Cross povidone was taken in 6% concentration as the super disintegrant and magnesium (1.25%) as the lubricant. The disintegration time was found to be nearly equal to the 5% concentration of the Cross povidone.

Formulation 9

F9 was performed with Avicel pH 102 and Pearlitol 200 SD in the ratio of 30: 70 respectively as the diluents, Cross povidone was taken in 5% concentration as the super disintegrant and magnesium (0.62 %) as the lubricant. All the parameters were found to be satisfactory. The flow properties were found to be poor than the above batches (F1-F8).

Formulation 10

F10 was performed with Avicel pH 102 and Pearlitol 200 SD in the ratio of 30: 70 respectively as the diluents, Cross povidone was taken in 5% concentration as the super disintegrant and magnesium (1.87 %) as the lubricant. All the parameters were found to be satisfactory. The flow properties were found to be nearly equal to above formulation (F1-F8).

Formulation 11

Reproducibility batch for formulation F4to study all the parameters for the stability studies. All the precompression and the post compression parameters showed good results and were comparable with the reference product.

POST COMPRESSION PARAMETERS OF 5MG TABLETS

Table No.1: Physical parameters of 5mg tablets

Formulation Code	Average weight (mg)	Thickness (mm)	Hardness (kp)	Percentage Friability (%)	Disintegration Time (sec)	Wetting time (sec)
F1	100.1	2.78	1.09	1.32	18	12
F2	100.2	3.12	2.01	0.19	19	11
F3	100.0	2.97	1.75	0.35	10	8
F4	100.0	2.83	1.73	0.38	9	6
F5	99.8	3.01	1.75	0.37	14	10
F6	100.1	2.88	1.76	0.36	18	11
F7	100.3	2.68	1.73	0.37	12	8
F8	100.0	2.79	1.73	0.38	9	6
F9	99.7	2.75	1.71	0.42	10	7
F10	99.9	2.81	1.76	0.34	11	7
F11	99.9	2.81	1.74	0.38	9	6

POST COMPRESSION PARAMETERS OF 10MG TABLETS

Table No.2: Physical parameters of 10mg tablets

Formulation Code	Average weight (mg)	Thickness (mm)	Hardness (kp)	Percentage Friability (%)	Disintegration Time (sec)	Wetting time(sec)
F1	199.23	2.97	1.12	1.29	22	14
F2	200.01	3.01	2.08	0.21	21	13
F3	200.03	2.89	1.77	0.36	12	9
F4	199.89	2.94	1.75	0.38	11	7
F5	200.01	3.01	1.78	0.43	15	12
F6	200.12	2.99	1.80	0.42	20	14
F7	199.98	3.03	1.76	0.40	14	9
F8	200.00	3.00	1.75	0.39	10	7
F9	200.02	3.01	1.74	0.39	12	7
F10	200.00	2.98	1.79	0.35	11	8
F11	200.00	2.95	1.74	0.34	11	7

COMPARISION OF HARDNESS OF DIFFERENT FORMULATIONS

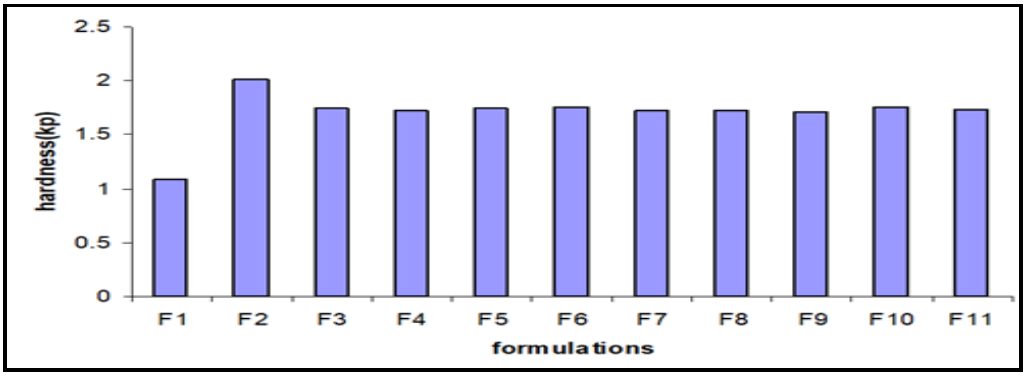

Figure No.1: Comparison of hardness for formulations of 5mg tablets

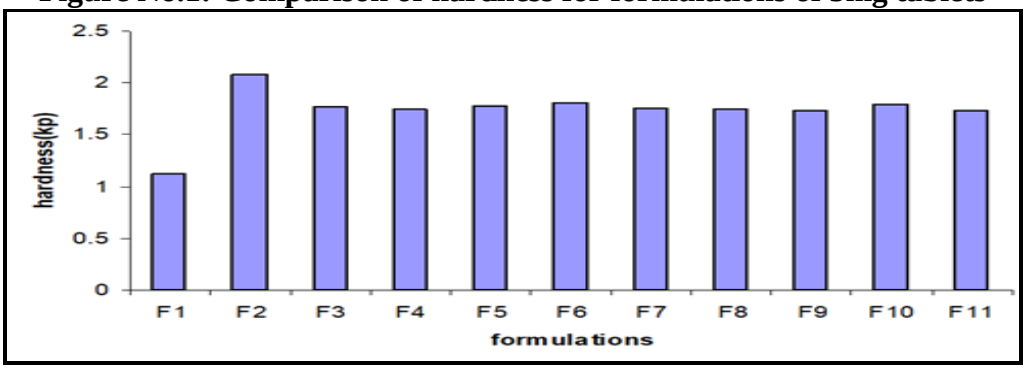

Figure No.2: Comparison of hardness for formulations of 10mg tablets

COMPARISION OF % FRIABILITY OF DIFFERENT FORMULATIONS

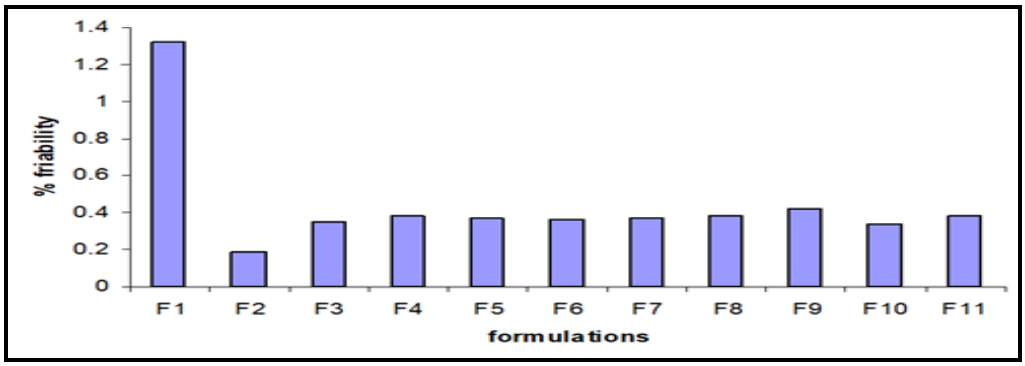

Figure No.3: Comparison of % friability for formulations of 5mg tablets

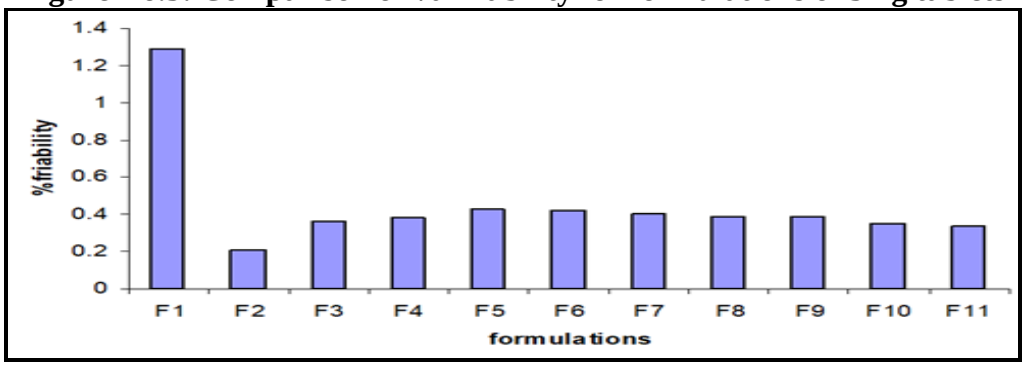

Figure No.4: Comparison of % friability for formulations of 10mg tablets

COMPARISION OF DISINTEGRATION TIME OF DIFFERENT FORMULATIONS

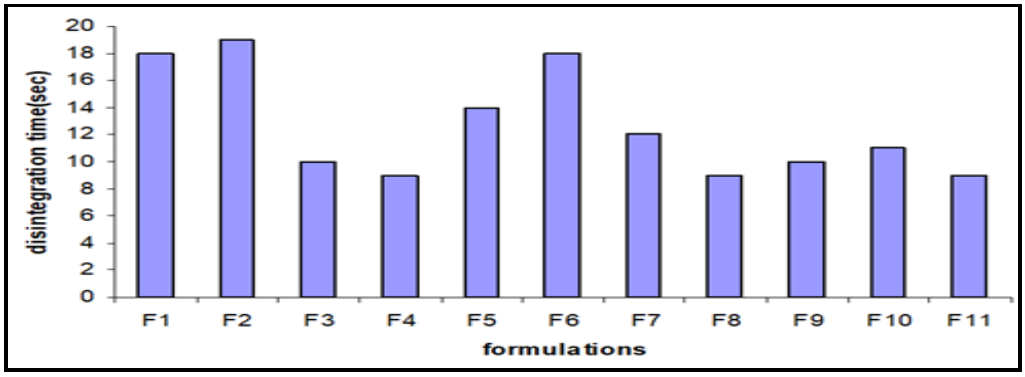

Figure No.5: Comparison of disintegration time for formulations of 5mg tablets

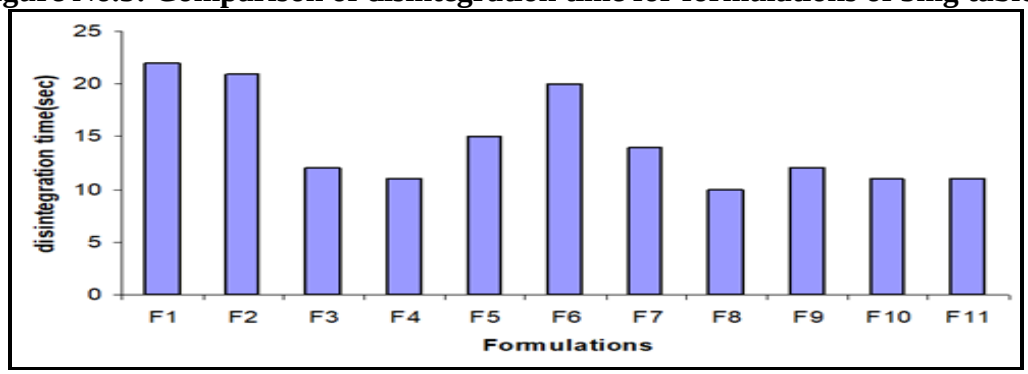

Figure No.6: Comparison of disintegration time for formulations of 10mg tablets

COMPARISION OF WETTING TIME OF DIFFERENT FORMULATIONS

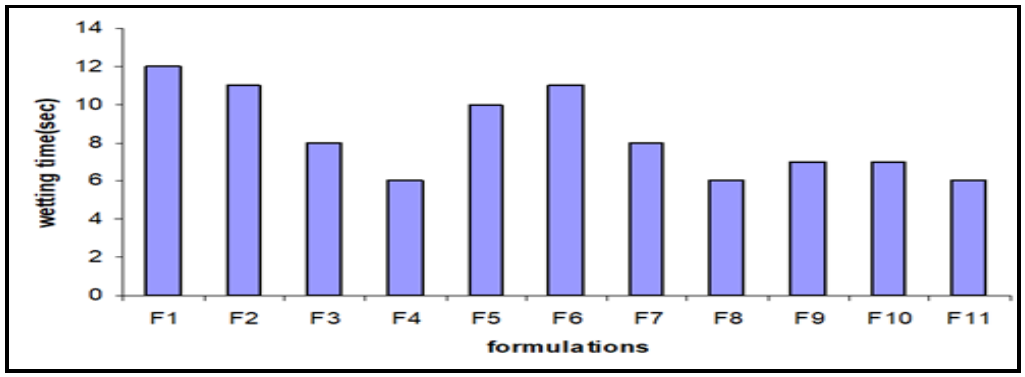

Figure No.7: Comparison of wetting time for formulations of 5mg tablets

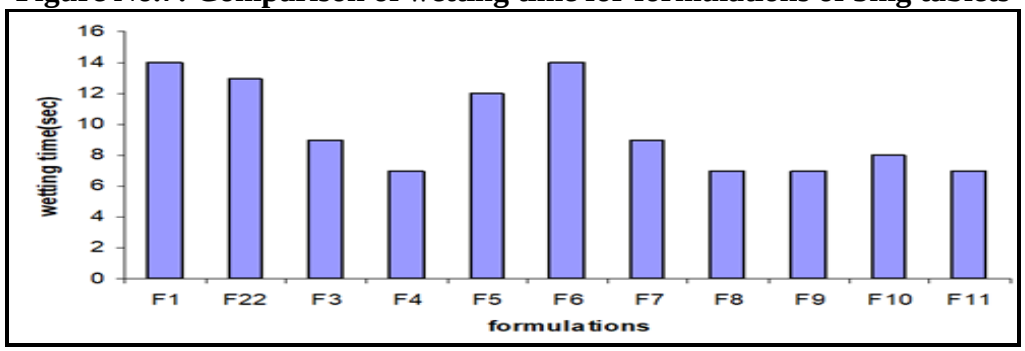

Figure No.8: Comparison of wetting time for formulations of 10mg tablets

CONCLUSION

The purpose of the present study was to develop and characterize a generic product of Rizatriptan Oral disintegrating tablets of strength 5mg and 10mg; comparable to the brand product MAXALT-MLT(Merck. co. INC, USA). To accomplish the objective, API characterization and reference product evaluation was carried out. The drug and excipients were subjected to preformulation studies which encompasses the "Drug-Excipient" compatibility.

Direct compression technique was choosen to develop a finished pharmaceutical product of the envisaged form. Various formulation trials (F1-F11) were taken. In these trials, Drug: Excipient ratio was varied and the effect of Diluent, Super disintegrant and lubricant on the performance of both blend as well as tablets was studied. Based on the results obtained it was concluded that the formulation F11, the reproducibility batch of F4 was finalized as the optimized formula.

The final trial F11 was reproduced from formulation F4 to check various tablet parameters like Thickness (2.8-3.2) mm; Hardness (1.5-1.80)kp; Percentage Friability (<1%) and Disintegration time (<30 seconds), which were within the specified limits. The Dissolution and Assay results of F4 and F11 were good when compared with the reference product. Moisture uptake studies for the final batch F11 were performed at 43, 64 and 75% RH and there was a slight moisture uptake observed in tablets at 75% RH. The reproducibility batch F11 was loaded for long term and accelerated stability studies at 25 ± 2C/60±5% RH and 40±2C/75±5% RH respectively. The results of stability data for 1st, 2nd month and 3rd months (40±2C/75±5% RH) were found to be good. When subjected to accelerated stability studies the tablets were found to be stable. Thus, the work resulted in the development of a ODT of Rizatriptan comparable to inventor's product.

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CONFLICT OF INTEREST

We declare that we have no conflict of interest.

BIBLIOGRAPHY

1. Sudhir Bharadwaj, Vinay Jain, Shailesh Sharma, Jat R C, Suman Jain. Orally disintegrating tablets: A review, *Drug Invention Today*, 2(1), 2010, 81-88.
2. Lachman L, Liebermann H, Kanig J. Theory and practice of industrial pharmacy, *Varghese Publishing House, Dadar Bombay,* 3rd Edition, 1987, 293-345.
3. Michael E. Aulton. Pharmaceutics: The science of dosage form design, *Churchill Livingstone,* 2nd Edition, 2002, 397-421.
4. Loyd V. Allen, Nicholas G, Popovinch, Howard C. Ansel. Pharmaceutical dosage forms and drug delivery systems, *Lippincott Williams and Wilkins, Baltimore,* 8th Edition, 2005, 240-245.
5. Jaysukh J Hirani, Dhaval A Rathod, Kantilal R Vadalia. Orally disintegrating tablets: A review, *Tropical Journal of Pharmaceutical Research*, 8(2), 2009, 161-172.
6. Rosie Mc Laughlin, Kieran Crowley. Orally disintegrating tablets, the effect of recent FDA guidance on ODT technologies and applications, *Pharmaceutical Technology,* 2009(5), 2009, 1-15.
7. Guidance for Industry: Orally Disintegrating Tablets, *FDA, (Rockville, MD. Dec),* 2008.
8. William R. Pfister, Tapash K. Ghosh. Orally disintegrating tablets: Products, technologies and development issues, *Pharmaceutical Technology*, 2005, 1-9.
9. Dave Brown. Orally disintegrating tablets - Taste over speed, *Oral Delivery,* 3(6), 2003.

10. Suresh Bandari, Rajendar Kumar Mittapalli, Ramesh Gannu, Yamsani Madhusudan Rao. Orodispersible tablets: An overview, *Asian Journal of Pharmaceutics*, 2(1), 2008, 2-11.
11. Sandipan Kundu, Sahoo P K. Recent trends in the development of orally disintegrating tablets, *Pharma Times*, 40(4), 2008, 11-15.
12. Aurora J, Pathak V. Oral disintegrating technologies: Oral disintegrating dosage forms: An overview, *Drug Delivery Technology*, 5(3), 2005, 50-54.
13. Reddy L H, Ghosh B R. Fast dissolving drug delivery systems: A review of the literature, *Indian Journal of Pharmaceutical Sciences*, 64(4), 2002, 331-336.
14. Rangasamy Manivannan. Oral disintegrating tablets: A future compaction publication, *International Journal of Pharmaceutical Research and Development*, 1(10), 2009, 1-10
15. Dali Shukla, Subhashis Chakraborty, Sanjay Singh, Brahmeshwar Mishra, Mouth dissolving tablets: An overview of formulation technology, *Scientia Pharmaceutia*, 77(2), 2009, 309-326.
16. Deepak Rajput. Formulation of tablets, *Pharmaacademias*, 2024.
17. Keny R V, Lourenco C F. Formulation and evaluation of rizatriptan benzoate mouth dissolving tablets, *Indian Journal of Pharmaceutical Sciences*, 72(1), 2010, 72-85.
18. Michelle K. Papp, Troy Harmon. Specialized techniques for development of ODT dosage forms, *Oral Drug Delivery and Advanced Excipients*, 2010, 1-3.
19. Pascual, Vega P, Diener H C. Placebo controlled, randomized, double blind, stratified study of comparison of rizatriptan 10mg Vs zolmitriptan 2.5mg in acute treatment of migraine, Rizatriptan-Zolmitriptan study group, *Cephalalgia*, 20(5), 2000, 455-461.
20. Wellington K, Jarvis B. Spot light on rizatriptan in migraine, *CNS Drugs*, 16(10), 2002, 715-720.
21. Dowson A, Bundy M, Salt R. Patient preference for triptan formulations- A prospective study with zolmitriptan, *Headache*, 47(8), 2007, 1144-1151.

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