REPORT

A comparative study of loratidine physiochemical properties from different brands

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Abstract: Loratidine is a piperidine derivative resemble to azatadine long acting non sedating commonly used for the treatment of allergic condition like watery or itchy eyes, runny nose, chronic urticaria or throat itching. In the present study different brands of loratidine were evaluated for the weight variation, hardness, friability, disintegration time and dissolution. Dissolution release study performed by using paddle method (USP 2) in 900 ml of 0.1N HCl at 50 rpm. The physicochemical of loratidine did not give any variation. By this study we conclude that all parameter for physicochemical properties like weight variation, hardness of tablets, friability, their disintegration time and the dissolution release study for all the brands of loratidine that are available in Karachi meet the British pharmacopoeia (BP) and United State pharmacopoeia (USP) specification for quality control analysis.Weight variation, hardness and friability value requirement was complied by all brands .Disintegration time for all brands was less than 15 minutes complying the BP/USP recommendation. All brands showed more than 80 % drug release within 45 minutes. The present findings suggest that almost all the brands of loratidine meet the BP/USP specification for QC analysis.

Keywords: Loratidine, pharmaceutical formulations, comparative study.

INTRODUCTION

Loratidine (fig. 1) belongs to a piperidine derivative of azatadine second generation, non-sedating anti histamine and have longer duration of action. In 1980s, non sedating H_1receptor antagonist developed for the treatment of allergic diseases. The H_1 antagonist is conveniently divided into first generation and second generation agents. The first generation drugs are more likely to block the autonomic receptors but the second generation less sedating characteristics is due in part to their less complete distribution into the central nervous system. Compound that competitive block histamine at H_1 receptors has been used in the treatment of allergic reaction. Loratidine inhibit both the vasoconstrictor effects of histamine and, to a degree, more rapid vasodilator effects that are mediated by H_1 receptors on endothelial cells. Loratidine mostly used for the symptomatic relief of allergic condition such as, runny nose, sneezing, itchy and watery eyes and nasal or throat itching and chronic urticaria. Loratidine licensed to alleviate itching due to hives (Kim and Choi 2010).

H_1 antagonist after oral administration peak plasma concentration achieved in 2 to 3 hrs. The second generation of H_1 antagonist loratidine is rapidly absorbed from the gastrointestinal tract and metabolized by microsomal P 450 system. Side effects observed with second generation H_1 antagonists as compared to first generation H_1 receptor antagonist (Nayak, Manjunath *et al*. 2011). Teratogenic adverse effect has been noted in response to piperazine compound. Lethal ventricular arrhythmias occurred in several patients taking either of the early second generation agents, terfenadine or astemizole, or in combination with ketoconazole, itraconazole, or macrolides antibiotics such as erythromycin. These antimicrobial drugs inhibit the metabolism of many drugs by CYP3A4 and cause significant increase in the concentration of the antihistamine (Cho, Vadino *et al*. 1992). The safety and efficacy of a pharmaceutical dosage form depend on its quality (Chowdary and Murty 2001). The efficacy of pharmaceutical dosage forms generally depends on their formulation properties and manufacturing methods hence it is likely that the quality of dosage form may vary.

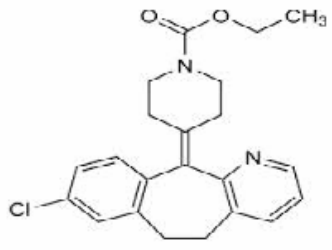

Fig. 1: Loratidine Structure

The aim of the study is to evaluate the physiochemical properties equivalence of loratidine tablets brands available in Karachi.

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Methodology

Different brands of loratidine were purchased from market comparative study of physicochemical parameters testing between different brands of different company and among the brand leaders. In our study we used Lorin-NSA, Antial (A), Rhilor, Victrin and Tirlor available in Karachi, Pakistan.

Weight Variation: We checked variation of tablets weight on AND Electronic Balance FX-400 and weight variation observed. We performed test according to established method weight and dose must be within BP/USP limits.

Hardness: For investigating hardness we apply mechanical stress in order to determine the strength of tablet. A tablet must be hard enough to endure stress. All brands hardness are checked on Scientific MH-1, hardness tester of Galvano.

Friability: We measured the friability of 10 tablet by friabilator for 4 min at 25rpm for 100 revolutions .Place the accurately weight 10 tablet into friabilator for 100 revolution then weight again after dedusting .USP limit is 0.5 to 1%. (Rotation: 25 rpm or 100 rotations in 4 min)

Disintegration: Disintegration Testing is one of the quality control test done to determine whether capsules or tablets are disintegrating within the specified time when placed in a fluid medium. Disintegration test was performed for all brands on CURRO model no DS-0702. According to USP within 15 minutes all 6 tablets should be disintegrated.

Dissolution: To investigate the amount of active ingredient released from a oral solid dosage form, that is tablet or a capsule we performed the dissolution testing, using medium with known volume. To determine the bioavailability of drug test was done. Tablet dissolution was conducted on model no. GDT-7L of Galvano Scientific. For loratidine the Q value should not be less than 80% after 60minute.

STATISTICAL ANALYSIS

Data were recorded and analyzed statistically by using Microsoft Excel and various parameters are evaluated and compared.

RESULTS

All physicochemical parameters of loratidine compressed tablet shows results within specified USP limits and produces the effective results. table 1 explains the coding of the brands available in market and code number, serial number is given to each brand to identify the respective one and batch number are also used for identification. table 2 identify the variation in weight between all brand of loratidine and all the tablets are within upper and lower control limit specified by BP. table 3 explains the average weight of loratidine brand in gm and their official limit given by BP for each weight variation range, all the brands are having weight variation within the specified range. table 4 indicates the average hardness that indicates average hardness of all brands in Kg, standard deviation and (UCL=X+3S) upper and (LCL)(X-3S) lower control limit is calculated for each brand. table 5 shows the friability of all brands available and all brands having friability less than 1% which is within the specified range by BP.

Disintegration time taken for each brand is given in table-6 Lora 02 has taken least time as compare to other brand but all the other brands are having disintegration time within specified limits, i.e. not more than 15min. Lora 05 taken maximum time to disintegrate.

table 7and 8, are explaining dissolution of all available brand, all the brand either local or multinational is having dissolution with in specified limit. The absorbances of different brands are given in fig 2.

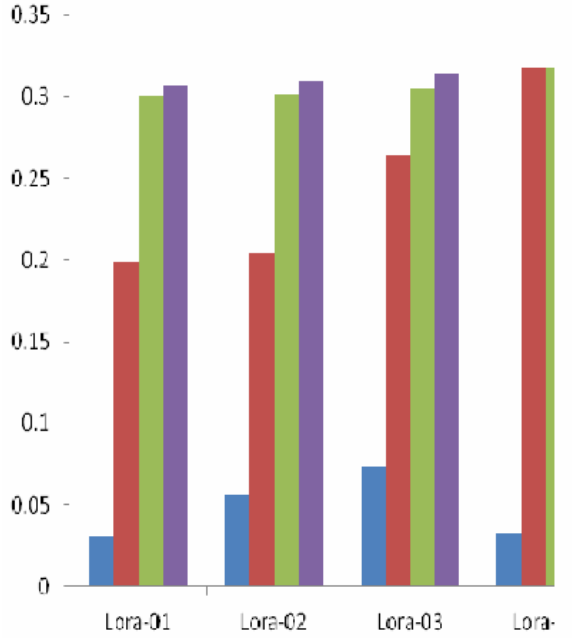

Fig. 2: Absorbance of Loratidine brands in different time interval

DISCUSSIONS

The modest tablet dosage form accounts for more than 80-90 % of all dosage forms administered to man.

The behaviour of oral dosage form is mainly depend on three major variables (1) the physico-chemical properties of the any drug (2) formulation of the dosage form (iii) the anatomical/physiological conditions. The *in vitro* release performance can expect the *in vivo* release

Table 1: General table

No.	Brand Name	Serial No.	Code No.	Batch No.
1	Lorin-NSA	lora-1	20169	F349
2	Antial(A)	lora-2	19675	04M
3	Rhilor	lora-3	26689	63JP
4	Victrin	lora-4	24700	MT178
5	Tirlor	lora-5	23706	J0085

Table 2: Statistical Weight Variation table

No.	Serial No.	Batch No.	Average weight (X)	Standard Deviation (S)	Upper Limit (UCL=X+3S)	Lower Limit (LCL=X-3S)
1	lora-1	F349	160	2.6	162.6	157.4
2	lora-2	04M	120	3.5	23.5	116.5
3	lora-3	63JP	90	3.32	93.32	86.68
4	lora-4	MT178	133	2.94	135.94	130.06
5	lora-5	J0085	97	1.43	98.43	95.57

Table 3: Official limits and results for weight variation test

Serial No.	Code No.	Batch No.	Result (gm)	B.P/USP Spec.	Deviation From BP/USP
Lora-1	20169	F349	0.16	7.5	Passed
Lora-2	19675	04M	0.12	10.5	Passed
Lora-3	26689	63JP	0.09	10.5	Passed
Lora-4	24700	MT178	0.133	7.5	Passed
Lora-5	23706	J0085	0.097	10.5	Passed

Table 4: Statistical Hardness Variation table

No.	Serial No.	Batch No.	Average hardness (X)	Standard Deviation (S)	Upper Limit (UCL=X+3S)	Lower Limit (LCL=X-3S)
1	lora-1	F349	22.0	7.423	29.42	14.577
2	lora-2	04M	73.0	7.112	95.5	51.6
3	lora-3	63JP	42.4	4.087	46.487	38.313
4	lora-4	MT178	43.269	7.475	50.744	35.794
5	lora-5	J0085	67.88	4.952	72.832	62.928

Table 5: Friability of all Brands

Serial No.	Batch No.	Friability	Limits	COMMENTS
Lora 01	F349	0%	Less Than 1%	Within Limits
lora02	04M	0%	Less Than 1%	Within Limits
Lora 03	63JP	0%	Less Than 1%	Within Limits
Lora 04	MT178	0%	Less Than 1%	Within Limits
Lora05	J0085	0%	Less Than 1%	Within Limits

behaviour of the dosage form but it is important to classify the controlled release dosage form in terms of formulation excipient, dosing conditions and method of manufacturing (Klein 2010). *In vitro* studies is important in terms of (i) offering advantages for ethical considerations (ii) falling costs (iii) evaluate product performance. *In vitro* studies openly evaluate *in vivo* bioequivalence studies. *In vitro* release profile judgment predicts the release behaviour accurately as compare to single point dissolution test. It evaluates the strength of the product and also helps in the modification in the composition of the formulation (Zafar, Shoaib *et al*. 2015).

The efficacy of a pharmaceutical dosage form depend on its quality and availability. The efficacy of pharmaceutical dosage forms generally depends on their formulation properties and manufacturing methods, hence it is likely that the quality of dosage form may vary. Quick dissolving tablets loratidine sugest that loratidine has the effective physicals parameters and give fast disintegration unaffecting the tablet release profile which further showed good and effective patients compliance .Increase in the loratidine dissolution gives results about the bioavailability effectiveness also improving. Weight variation, friability, harness testing shows good

Table 6: Disintegration Time of All Brands

Serial No	Code No.	Batch No.	Disintegration Time	Limits	comments
Lora01	20169	F349	1min 27sec	Not more than 15min	Within specified limit
Lora02	19675	04M	27sec	Not more than 15min	Within specified limit
Lora03	26689	63JP	2min 20sec	Not more than 15min	Within specified limit
Lora04	24700	MT178	2min 30sec	Not more than 15min	Within specified limit
Lora05	23706	J0085	7min	Not more than 15min	Within specified limit

Table 7: Absorbance at different time interval

Serial #	Absorbance 280 nm			
	0min	20min	40min	60min
Lora-01	0.031	0.199	0.300	0.307
Lora-02	0.056	0.204	0.301	0.310
Lora-03	0.074	0.264	0.305	0.314
Lora-04	0.033	0.318	0.318	0.308
Lora-05	0.065	.0312	0.299	0.295

Table 8: Official Limits of Dissolution

No	Serial No	Batch No	% Dissolution at 60min	USP Spec	Deviation from BP USP
1	lora01	F349	100%	Not less than 80%	Within specified limit
2	lora 02	04M	100%	Not less than 80%	Within specified limit
3	lora 03	63JP	100%	Not less than 80%	Within specified limit
4	lora 04	MT178	100%	Not less than 80%	Within specified limit
5	lora 05	J0085	100%	Not less than 80%	Within specified limit

physicochemical parameters which also increases the patients compliance.

By doing physicochemical testing of all parameters for all available brands of loratidine, we identified that there is significant difference in different physicochemical parameter of same active and strength tablets that is loratidine. Same amount of active was present in different weight limits as given by BP, so some excipients are used that are increasing the bulk or weight of the tablet, while others are avoiding this and are having weight less than weight variation up to 7.5% while the brand leader falls in 10% range of deviation from average weight. The another important point that should arise under light is the disintegration time of loratidine , a brand having serial no Lora 02 has the least disintegration time that is 27 second and as compare to the brand Lora 05 having disintegration time 7 min, while other brands has maximum disintegration time that is 2 minutes 30 sec, 2 minutes 30 sec and 1 minutes 27 sec.

All the brands having dissolution within the limits that is not less than 80% as given in BP/USP. Dissolution is one of the most significant QC quality control tests performed on pharmaceutical dosage forms and is emerging tool for calculating bioavailability, replacing clinical studies to determine bioequivalence. Dissolution behavior of drugs shows significant effect on their pharmacological action.

Price variation of all the brands are checked when we are purchasing these different brands and we found that all the local brands are less in price as compare to multinational while having similar or better physicochemical properties when we analyze by these tests.

CONCLUSION

Hence by this experiment it is concluded that all brands are equivalent and also we found that the local brands are less in price as compare to leader brands while having similar or better physicochemical properties when we analyze by these tests.

REFERENCES

Cho WKP and WA Vadino *et al* (1992). Sustained release tablet comprising loratadine, ibuprofen and pseudoephedrine, Google Patents.

Chowdary K and T Murty (2001). Quality Evaluation Of Market Samples Of Diclofenac SR Products. *Eastern Pharmacist*, **44**: 111-113.

Kim JI and HK Choi (2010). Development of fast dissolving tablet containing herb extract by freeze-Drying Technique. *Journal of Pharmaceutical Investigation*, **40**(3): 161-166.

Klein S (2010). The use of biorelevant dissolution media to forecast the *in vivo* performance of a drug. *The AAPS Journal*, **12**(3): 397-406.

Naveed S and H Dilshad *et al*. (2014). Comparitive Study of Different Brands of Atenolol Available In Karachi. *Mintage Journal of Medical and Pharmaceutical*

Sciences, **3**(3): 17-19.

Naveed S and H Dilshad *et al*. (2014). Comparative study of four different brands of ranitidine available in Karachi. *Mod. Chem. Appl.*, **2**: 125. doi:10.4172/2329-6798.1000125

Naveed S and F Qamar (2014). Simple UV spectrophotometric assay of Metronidazole. *Open Access Library Journal*, **1**(06): 1.

Naveed S and N Waheed (2014). Comparative study of three different brands of doxycycline capsules available in Karachi. *Open Access Library Journal*, **1**(03): 1.

Nayak R and B Manjunath *et al*. (2011). Design and evaluation of sustained release floating tablets of loratadine. *Asian Journal of Biochemical and Pharmaceutical Research*, **3**(1): 2231-2560.

Yogananda R and T Nagaraja *et al*. (2009). Comparative *in vitro* equivalence studies of designed, branded and generic tablets of ciprofloxacin-250. *Int. J. Pharm. Sci.*, **1**(1): 28-34.

Zafar F and MH Shoaib *et al*. (2015). *In vitro* release pattern of controlled release ketoprofen tablets. *Latin American Journal of Pharmacy*, **34**(7): 1283-1292.