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FORMULATION AND EVALUATION OF CHOLESTYRAMINE UNCOATED TABLETS FOR TREATMENT OF HYPERTHYROIDISM

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ABSTRACT

In recent years there has been an extensive research on drug development in the various aspects of the diseases like jaundice, hypercholesterolemia and hyperthyroidism. Cholestyramine is a synthetic resin in nature which has been used widely for treatment of same, it is a quaternary ammonium anion exchange resin with a strong affinity for bile salts and tablet dosage form will play a key role in its release and action. Studies also show that this resin is showing anti hyperthyroidism action, therefore based on these results this paper gives a brief application of a tablet dosage form in the treatment of the hyperthyroidism.

KEYWORDS

Hyperthyroidism, Cholestyramine and Formulation.

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INTRODUCTON

Cholestyramine is a resin in nature; it forms an insoluble complex with the thyroxin. Cholestyramine is water insoluble and when it is administered orally it will enter in the gastrointestinal system but it would not absorb at any part of GIT as a result it gets entered into the intestine without any structural or chemical changes in it. As it reaches to intestine excess thyroid hormone which would be present in the intestine will bind with the cholestyramine and it will get excreted through feces[1]. T_4 uptake takes place in the duodenum, jejunum and ileum, and is approximately 70-80%.

Cholestyramine shows good anti hyperthyroidism activity according to studies. Bile-salt sequestrants have ability to bind thyroid hormone; it binds with thyroid in the intestine and it leads to increase in its fecal excretion. According to these observations, the cholestyramine has been taken for study for its use against hyperthyroidism. When it comes to an issue of treating hyperthyroidism; cholestyramine sequester T_4 i.e. thyroxin in the intestine and increases its fecal excretion. Cholestyramine enhances the thyroid excretion; according to studies 50mg of cholestyramine binds 3000µg of T_4[2]. Existing treatment therapy for hyperthyroidism uses drugs like methimazole, propylthiouracil etc. Cholestyramine could become a new option in the treatment of hyperthyroidism. As a nature of cholestyramine is a resin it has higher binding capacity so that it will specifically bind thyroxin in the intestine which leads to decrease T_4 level in blood[3].

As tablet is a widely accepted and has high patient compliance, it gives a various facets for the development of any drug into a tablet dosage form. Tablet is a unit solid dosage form easy to administer and is widely accepted by patients. Uncoated tablets have faster disintegration and it can be released in intestine, as a result more precise and desired action can be seen. Cholestyramine irreversibly binds to the bile salts with no effect of temperature. Conjugated bile salts completely dissociated and it is readily available for binding to resin at intestinal pH, with electrostatic binding mechanism.

MATERIAL AND METHODS

Procedure

1. Tablets were punched by direct compression method.
2. All the ingredients including Cholestyramine were weighed for 20 tablets.
3. The selected binder taken according to batch F1 to F5 was HPMC, Crosspovidone, Methyl Cellulose and Ethyl Cellulose.
4. Drug combined with the binder and mixed well.
5. Sodium Starch Glycolate and Purified talc were added and mixed again.
6. Shape of punch was round shaped with size 12mm
7. Powder mixture which had prepared introduced in the tablet punching machine and tablets were punched.

Equipment

- Cadmac 16 station Tablet Punching Machine.

Evaluation Tests

Post compressional studies

Weight Variation

Weight variation test is an unofficial test of tablet evaluation for the weight variation test 20 tablets were taken and weighed each tablet. Weight of each tablet was recorded. Sum of all tablet weights had been performed and its average calculated, by applying limit of 5% ± to average weight.

Hardness

Hardness test has been carried out by the Monsanto hardness tester.

Friability

20 tablets were introduced in the tablet friability apparatus, before its introduction in the machine collective weight of 20 tablets were done and after 100 rotations all tablets were taken of and its collective weight had calculated which had not shown more than 1% loss.

Disintegration

6 tablets of each batch were taken and average of all was calculated.

RESULTS AND DISCUSSION

Amongst the entire batches batch F2 was found to be optimized batch with passing weight variation test, Hardness 3.5, Friability 0.3% loss and 4.40min disintegration time. Cholestyramine can be used as a tablet dosage form by using polymers like HPMC, Crosspovidone, Methyl Cellulose and Ethyl Cellulose. This will improve patient compliance and it will give a new option for treatment of hyperthyroidism.

Table No.1: Formulation Table

S.No	Ingredients	F1	F2	F3	F4
1	Cholestyramine	500mg	500mg	500mg	500mg
2	HPMC	3%	-	-	-
3	Crosspovidone	-	3%	-	-
4	Methyl Cellulose	-	-	3%	-
5	Ethyl Cellulose	-	-	-	3%
6	Purified Talc	2%	2%	2%	2%
7	Sodium Starch Glycolate	2%	2%	2%	2%

Table No.2: Weight variation test table

S.No	F1	F2	F3	F4
1	543	530	530	530
2	530	530	520	520
3	540	530	533	533
4	527	530	520	536
5	545	530	518	530
6	530	530	545	530
7	535	530	530	530
8	530	531	535	530
9	520	531	530	530
10	533	530	530	530
11	536	531	530	530
12	530	532	530	530
13	530	535	530	531
14	530	543	530	530
15	530	530	531	530
16	522	540	531	530
17	531	527	531	530
18	531	545	530	530
19	530	530	531	530
20	531	535	535	530

Table No.3: Hardness of Tablets

S.No	Hardness (kg/cm^2)			
	F1	F2	F3	F4
1	3	3.5	3	3
2	3.8	3.5	3	3.8
3	3.9	3.5	3	3.8
Average	3.5	3.5	3	3.8

Table No.4: Friability

S.No	Batch	Percent Loss
1	F1	0.5%
2	F2	0.3%
3	F3	0.3%
4	F4	0.3%

Table No.5: Disintegration time of tablets

S.No	Disintegration Time (in Min)			
	F1	**F2**	**F3**	**F3**
1	5.30	4.20	3.20	3.20
2	5.50	4.50	3.20	3.20
3	5.30	4.50	3.30	3.40
4	5.30	4.50	3.30	3.20
5	5.30	4.20	3.20	3.40
6	5.50	4.50	3.20	3.20
Average	5.43	4.40	3.23	3.26

CONCLUSION

Batch F 2 has shown the desired effects for the need of treating hyperthyroidism.

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CONFLICT OF INTEREST

We declare that we have no conflict of interest.

BIBLIOGRAPHY

1. Kaykhaei M A, Dabbaghmanesh M, Shams M, Omrani G R. Low doses of cholestyramine in the treatment of hyperthyroidism, *Endocr,* 34(1-3), 2008, 52-55.
2. Kelderman-Bolk N. Intestinal Absorption of Thyroid Hormone, *Rotterdam, the Netherlands,* 2015, 16.
3. Jeonghoon H A, Kwanhoon J O, Borami K., Min-Hee K., Dong-Jun L. Cholestyramine Use for Rapid Reversion to Euthyroid States in Patients with Thyrotoxicosis, Division of Endocrinology and Metabolism, Department of Internal Medicine, Seoul St. Mary's Hospital, College of Medicine, The Catholic University of Korea, Seoul, Korea, *Endocrinol Metab (Seoul),* 31(3), 2016, 476-479.
4. Official Monographs, Cholestyramine Resin, USP 31, 11041-12-6.

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