IJPPR
INTERNATIONAL JOURNAL OF PHARMACY & PHARMACEUTICAL RESEARCH
An official Publication of Human Journals
ISSN 2349-7203
HUMAN

Human Journals
**Review Article**
June 2023 Vol.:27, Issue:3


# A Review on Colestipol Hydrochloride Immediate Release Tablet

IJPPR
INTERNATIONAL JOURNAL OF PHARMACY & PHARMACEUTICAL RESEARCH
An official Publication of Human Journals
ISSN 2349-7203
HUMAN

**Saloni Jaswal, Praveen Kumar, Sourav Kumar***

*Himalayan institute of pharmacy and research, Dehradun Uttarakhand India, pin-248007*

**Submitted:** 24 May 2023
**Accepted:** 31 May 2023
**Published:** 30 June 2023



**Keywords:** Antihyperlipidemic; Bile acid sequestrants Primary hypercholesterolemia, low-density lipoprotein cholesterol (LDL-C), immediate-release tablets.

**ABSTRACT**

The oral drug delivery method offers immediate-release dosages as well as conventional solid dosages. In the numerous treatments for acute or chronic diseases, standard dose forms such as capsules, solid pills; powder, solutions, emulsions, and aerosol suspension have been utilized for many years. These days, the dungarees market is the main place to find this composition as a pharmaceutical product. Nowadays, tablets are the most widely used and recently discovered dosage forms of all the dosages. Owing to its simplicity in self-administration, compactness, and production, as well as its ease of administration and manufacturing. The term "immediate-release tablets" refers to those that dissolve quickly and release the medication. An appropriate pharmaceutically suitable diluent or carrier that does not significantly slow down the rate of drug release and/or absorption can be used to deliver immediate release. This term does not include drug formulations that have been adjusted to provide for "controlled," "sustained," "prolonged," "extended," or "delayed" drug release. Colestipol is a bile acid sequestrant used as an adjunct to diet and exercise to reduce LDL-C cholesterol levels in patients with primary hypercholesterolemia. Colestipol is indicated as adjunctive therapy to diet for the reduction of elevated serum total and low-density lipoprotein cholesterol (LDL-C) in patients with primary hypercholesterolemia (a condition that features elevated LDL-C) who do not respond adequately to dietary changes. Therapy with lipid-altering agents like colestipol should be a component of multiple risk factor intervention in those individuals at significantly increased risk for atherosclerotic vascular disease due to hypercholesterolemia Treatment should begin and continue with dietary therapy In general, a minimum of six months of intensive dietary therapy and counseling should be carried out before initiation of drug therapy such as that with colestipol. Shorter periods may be considered in patients with severe elevations of LDL-C or with definite coronary heart disease.

## *INTRODUCTION*

Oral drug administration systems employ both conventional dosage forms and fast-release dosage forms. In the treatment of acute and chronic disorders, conventional dosage forms such as tablets, capsules, pills, powders, solutions, emulsions, suspensions, and aerosols have been used for a very long time. These formulations remain the most significant pharmaceutical items on the market today. When a medication is provided using a conventional dosage form, the bloodstream concentration gradually rises to a therapeutic level, is maintained for a while, and then finally decreases to a sub-therapeutic level, rendering the medication pharmacologically inactive.

There is a maximum safe concentration and a minimum effective concentration for each specific medicine. Fluctuations in plasma concentration may cause drug levels to swing either excessively high, resulting in toxic/side effects, or too low, resulting in ineffectiveness. Furthermore, adherence to the recommended dosage interval affects a patient's plasma medication concentration at a specific time.

For increasing markets and indications, extend product life cycles, and create opportunities, novel drug delivery systems are developed. The most common method for achieving systemic effects is oral administration because it is simple to consume, pain-free, adaptable, and most importantly, patient-compliant. Tablets are the preferred solid dosage form because of patient compliance, highly precise dosing, and efficient production. Because of the small cost of therapy, suitability of manufacturing, and high levels of patient compliance, the oral route remains the best way to administer therapeutic drugs. For a given therapeutic situation, many patients require an immediate commencement of effect, necessitating an instantaneous release of the medication.

Colestipol is a lipid-lowering polymer that binds with bile acids in the intestine forming a complex that is excreted in the feces. This non-systemic action results in a continuous, partial removal of bile acids from the enterohepatic circulation preventing their reabsorption  This increased fecal loss of bile acids due to colestipol hydrochloride administration leads to increased oxidation of cholesterol to bile acids. This results in an increase in the number of hepatic low-density lipoprotein (LDL) receptors, and consequently an increased uptake of LDL and a decrease in serum/plasma beta lipoprotein or total and LDL cholesterol levels. Although hydrochloride produces an increase in the hepatic synthesis of cholesterol in man, serum cholesterol levels fall.

Colestipol Tablets is a cholesterol-lowering drugs used to lower "bad" cholesterol in the blood, which is also called LDL (low-density lipoprotein) cholesterol. Lowering LDL cholesterol may reduce the risk of hardened arteries, which can lead to heart attacks, stroke, and circulation problems. Colestipol is available in generic form.

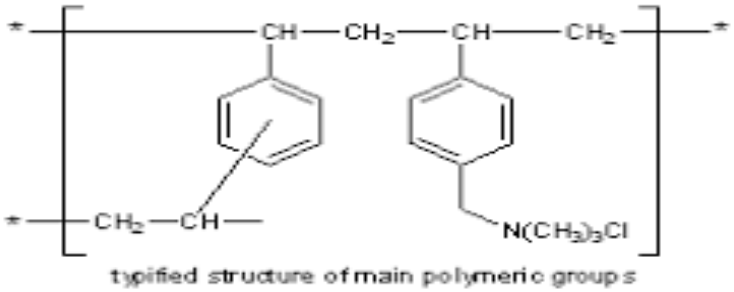
Colestipol hydrochloride binds bile acids in the intestine forming a complex that is excreted in the feces This nonsystemic action results in a partial removal of the bile acids from the enterohepatic circulation, preventing their reabsorption. Since colestipol hydrochloride is an anion exchange resin, the chloride anions of the resin can be replaced by other anions, usually those with a greater affinity for the resin than the chloride ion.

***DEFINITION*:**

Immediate-release tablets are those that break down and release the drug immediately. To deliver an immediate release, an appropriate diluent or carrier that is acceptable from a pharmacological perspective and doesn't significantly slow down the rate of drug release and/or absorption can be utilized. Drug formulations that have been changed to provide for "controlled," "sustained," "prolonged," "extended," or "delayed" drug release are not covered by this clause.

The phrase "release" describes how a drug is presented (or delivered) from its formulation to the gastrointestinal tract, bodily tissues, and/or the circulatory system. For release into the gastrointestinal system, pH values between 1 and 3 are best, especially at or around pH=1.

Colestipol is a medication that lowers cholesterol."Bad" cholesterol is reduced with colestipol.




typified structure of main polymeric groups

**Figure 1. Colestipol Hydrochloride**

Micronized colestipol hydrochloride, an oral lipid-lowering medication, is the active component of the Colestipol Tablet. Diethylenetriamine and 1-chloro-2, 3-epoxypropane create the insoluble, high molecular weight colestipol, in which around one out of every five amine nitrogens is protonated (in the chloride form). It is a hygroscopic, light yellow, water-insoluble resin that expands when suspended in water or other aqueous fluids.

One gram of micronized colestipol hydrochloride is contained in each Colestipol Tablet. Colestipol tablets are odorless and tasteless, and they are a pale yellow color. cellulose acetate phthalate, glyceryl triacetate, carnauba wax, hypromellose, magnesium stearate, povidone, and silicon dioxide are among the inactive components. No calories are included in Colestipol Tablets.

Colestipol hydrochloride works primarily as an anion exchange resin and may have a considerable affinity for anions.

**FORMULATION ASPECTS IN DEVELOPING IRDDS:**

The traditional methods for creating tablets with immediate release are listed below. The following describes the traditional method used to prepare immediate-release tablets:

1. The method of molding tablets

2. The mass extrusion method

3. Using stable dispersions

4. Using direct compression

5. The wet granulation method.

**Criteria for immediate release drug delivery system:**

If the dosage is solid, it should quickly dissolve or disintegrate in the stomach.

For liquid dose forms, compatibility with taste masking is required.

Be transportable without posing a fragility risk.

After being taken orally, it shouldn't leave much or any residue in the mouth.

Have little susceptibility to environmental factors like temperature and humidity.

Be inexpensively produced utilizing standard processing and packaging machinery.

Quick medication breakdown and absorption, which could result in a quick start to action.

**Merits of Immediate Release Drug Delivery System:**

Increased convenience and better compliance.

The capacity to deliver liquid medication's benefits in the form of a solid formulation.

Adaptable and compatible with current packaging and processing equipment.

It is economical.

Increased pharmaceutical composition solubility.

Reduced disintegration and dissolving times for oral dosage formulations with instant release.

**Challenges to Develop IRDDS**

It ought to quickly dissolve or disintegrate in the stomach.

Be transportable without posing a fragility risk.

Feel good in the mouth.

After oral administration, there shouldn't be any, little, or no residue left in the mouth.

Should not be overly sensitive to changes in temperature and humidity.

Be inexpensively produced utilizing standard processing and packaging machinery.

Quick medication breakdown and absorption, which could result in a quick start to action.

**Tablet Excipients and their Functionalities:**

**The quality and performance of the delivery system are greatly influenced by the excipients used in its design. In dosage formulations for immediate release, excipients balance the qualities of the active ingredients. To avoid contact with the active ingredients, this necessitates a thorough understanding of the chemistry of these excipients. Another concern that formulators must deal with is how much these substances will cost.**

**Tablets contain several inert substances known as additives or excipients in addition to the active** ingredients**.** Microcrystalline Cellulose (PH-112)**, Dummy granules, Magnesium

Stearate, Talc, Colloidal Silicon Dioxide , Sodium Starch Glycolate, Uniquecoat FCNAQ (EXW), Isopropyl Alcohol, Methylene Chloride.

**Different excipients are:**

1. Diluent

2. Adhesiveand Binder

3. Disintegrants

4. Lubricants and glidants

5. Film-forming material

6. Opacifier & Plasticizer

7. Film smoothening agent

8. Coating solvents

**Evaluation of Post –compression parameter:** [4], [6], [7]

**Appearance:**

The tablets were examined visually for capping, chipping, and lamination.

**Tablet Dimensions/ Thickness:**

Using a calibrated vernier caliper, thickness and diameter were measured. Tablets of each formulation were chosen at random, and each tablet's thickness was measured. The average values were computed using ten tablets of each formulation type. It is written in millimeters.

**Hardness:**

The hardness of a tablet determines how resistant it is to shipping, breakage, storage, transportation, and handling before use. We used the Monsanto hardness tester to measure the hardness of 20 tablets for each formulation. The tester's two jaws were placed around the tablet's oblong axis.

The measurement at this moment should be zero kg/cm2. The knob was then rotated while applying constant force until the tablet broke. At this moment, the value was noted.

**Friability:**

The strength of a tablet is measured by its friability. The following approach was done to test the friability using the Roche Friabilator. This test uses a plastic chamber that rotates at a speed of 25 rpm while dropping the tablets to a distance of 6 inches after each revolution to submit several tablets to the combined effects of shock and abrasion. Six pre-weighed tablets were used as a sample, which was placed in the Roche friability and run for 100 revolutions, or 4 minutes. Afterward, the tablets were reweighed and dusted. Most people consider a weight decrease of less than 1% to be acceptable.

**Weight variation test:**

20 tablets of each kind of formulation were individually weighed using an electronic balance to determine weight variation. The average weight was then determined, and the individual tablet weight was compared with the average value to determine the deviation in weight (IP/BP).

**Table: 1 Specification for Tablets as per IP/BP**

| **Specifications for tablets as per IP/BP** | | |
|---|---|---|
| **Sr No.** | **Average Weight of Tablet** | **% Deviation** |
| 1 | 80 mg or less | 10 |
| 2 | More than 80 mg but less than 250 mg | 7.5 |
| 3 | 250 or more | 5 |

**Drug Content Uniformity:**

Each batch of 10 tablets was precisely weighed and pulverized. Weight the amount of powder equivalent to 100 mg of Colestipol, and then mix it with 100 ml of phosphate buffer 7.5 in a volumetric flask. From this, 10 ml was pipetted out and then diluted to 100 ml with the standard solution. The resulting solution was filtered, and the amount of Imeglimin was determined using phosphate buffer as a blank in a 296nm assay.

**In vitro disintegration time:**

Disintegration is the term for the breaking down of a tablet into smaller pieces. Using disintegration test equipment following IP/BP regulations, the in-vitro disintegration time of a tablet was calculated.

**In-Vitro Dissolution Studies:**

For this study, in vitro dissolution tests were performed in phosphate buffer (pH 7.5) 0.1N HCl for 30 minutes to determine whether the formulation was capable of instantaneous drug delivery.

**Evaluation Stability Study:**

The stability of the active ingredient in the completed product must be a major consideration in any reasonable design and evaluation of dosage forms for medications to determine its approval or rejection. A medication is said to be stable if its chemical or biological activity does not fall below a set threshold of stated potency and its physical features have not changed noticeably or negatively during the time period after the formulation's manufacture and packaging.

## *CONCLUSION*

The majority of patients want a drug's therapeutic activity to start working quickly, which is what these dosage forms provide. These tablets with quick release provide higher patient compliance and many more benefits than other dose forms. This review work was done to design immediate-release oral dosage forms and evaluation of the tablets, excipients used for immediate-release tablets, mechanism of action, and also various parameters.

Colestipol drug Binds with bile acids to form an insoluble complex that is eliminated in feces; it thereby increases the fecal loss of bile acid-bound low-density lipoprotein cholesterol.

Colestipol Hydrochloride Immediate Release Tablet is discussed in general terms here, along with its mode of action and some other factors. As opposed to a systematic review, the purpose of this paper is to describe how colestipol Hydrochloride Immediate Release Tablet treats *Primary hypercholesterolemia,* low-density lipoprotein cholesterol (LDL-C).

## *REFERENCES*

1. Lennernas H., Fager G. - Pharmacodynamics and pharmacokinetics of the HMGCoA reductase inhibitors: similarities and differences; Clin. Pharmacokinetics. 1997; 32: 403-425 5. Shangraw R. F. (1989) - Compressed Tablets by Direct Compression Granulation Pharmaceutical Dosage Form; 2nd ed, Marcel Dekker, USA, 1989; 1: 195-246.

2. Dumousseaux C, Muramatsu S, Takasaki W and Takahagi H. Highly sensitive and specific determination of pravastatin sodium in plasma by highperformance liquid chromatography with laserinduced fluorescence detection after immobilized antibody extraction, Journal of Pharmaceutical Sciences. 1994; 83: 1630–1636.

3. Pekkanen J., Linn S., Heiss G., et al. - Ten-year mortality from cardiovascular disease in relation to cholesterol level among men with and without preexisting cardiovascular disease; N. Eng. J. Med.1990; 322:1700.

4. Barbaras R, et al. Cholesterol efflux from cultured adipose cells is mediated by LpAI particles but not by LpAI:AII particles. *Biochem. Biophys. Res. Comm.* 1987; 142(1):63–69.

5. Blankenhorn DH, et al. Beneficial Effects of Combined Colestipol-Niacin Therapy on Coronary Atherosclerosis and Coronary Venous Bypass Grafts. *JAMA* 1987; 257(23):3233–3240.

6. Kane JP, et al. Regression of Coronary Atherosclerosis During Treatment of Familial Hypercholesterolemia with Combined Drug Regimens. *JAMA* 1990; 264:3007–3012.

7. R C Heel, R N Brogden, G E Pakes, T M Speight, G S Avery Colestipol: a review of its pharmacological properties and therapeutic efficacy in patients with hypercholesterolaemia.

8. Satyavathi K, Annapurna KVSS, Gayathri P, Bhojaraju P, Kanthal LK, Veerraju T. Formulation and evaluation of immediate release tablets of eprosartan mesylate. Int J Pharm Sci Rev Res. 2014;25(1):36–40.

9. Dressman JB, Amidon GL, Reppas C, Shah VP. Dissolution testing as a prognostic tool for oral drug absorption: Immediate release dosage forms. Vol. 15, Pharmaceutical Research. 1998. p. 11–22.

10. Chauhan B, Jha R, Jaimini M, Sharma S. Formulation and evaluation of immediate release tablets of Flunarizine di- hydrochloride. J Biomed Pharm Res. 2014;3(2):62–66.

11. Sultana K, Hassan NU, Shifa khan M, Khan MA, Shahid K, Nadeem H, et al. Formulation of ferrous fumarate (combination) tablets by using a direct-compression method. Indian J Sci Technol. 2010;3(9):994–1000.