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Review Article

**A SHORT COMMUNICATION ON COLON SPECIFIC DRUG
DELIVERY SYSTEMS****Fariha Ali¹, Chandrasekhara Rao Baru², Sushma Desai^{3*}**Department of Pharmaceutics, Chilkur Balaji college of Pharmacy, Aziznagar, Hyderabad,
Telangana-500075.**Abstract:**

Colon specific targeted drug delivery systems are developed and designed for the delivery of drugs exclusively in colon. The drugs can be delivered irrespective of their varied physicochemical characteristics like hydrophilic, hydrophobic, acidic or basic can be effectively used for treatment of chronic conditions advantageous over controlled and sustained release action for conditions like colon infections, irritable bowel syndrome etc. This mini review highlights the favorable colon anatomical and physiological conditions as a target site for many drugs having formulation challenges like solubility, stability, degradation and longer half-life and shorter transit time due to chronic conditions faced by patients led to the development of colon specific drug delivery systems. This paper briefly discusses about colon specific drug delivery system mechanisms like pH, microbial enzymes, timed release along with their suitable polymers and methodologies for development.

Keywords: Colon, Polymers, stability, chronic conditions.

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INTRODUCTION:

Colon specific drug delivery systems are exclusively designed for delivering the drug for prolonged time in colon region. Colon is an apart of large intestine with five major regions cecum, ascending, transverse, descending and sigmoid colon. where physiological processes of drug, water, electrolytes are absorbed effectively, rich microbial presence also supports the drug absorption irrespective of their solubility profile and longer transit time with motility aids in faster absorption in this basic pH environment^{1,2}.

Colon related diseases: Class of drugs used for treatment of below-mentioned diseases include anti-inflammatory drugs, corticosteroids, antispasmodics, anticancer and probiotics.

Irritable bowel syndrome treatment involves drug action starting within 3 hrs. and lasting for days and weeks along with dietary management for early recovery from the symptoms.

Crohn's disease treatment involves a long treatment plan management for remission involving corticosteroids.

Ulcerative colitis treatment plans involve a long-time management plan for relief of symptoms requiring drugs of classes immunomodulators, biologics, corticosteroids and amino salicylates.

Colorectal cancer treated by surgical intervention first followed by chemotherapy for removal of residual cancer cells avoiding chances of recurring with medications they recover in 3-6 months^{3,4}.

Need of Formulation approaches:

Advantages: To protect sensitive drug from gastro-intestinal conditions, to avoid the adverse effects of drug, to concentrate the drug in colon region affected diseases. To facilitate drug release in higher pH conditions of colon irrespective of their physicochemical challenges following formulation strategies are used for developing colon specific drug delivery systems⁵.

Disadvantages: Apart from the advantages provided by colon part it also has its limitations in following regions such as Unpredictable transit time due to diet, viscosity, water absorption, enzymatic degradation and low fluid volume affects drug dissolution and absorption.

Preparation methods: Different techniques are used for colon targeting. formulators can choose any of the following methods in preparing colon specific drug delivery systems which are briefed as follows. pH-dependent coating method: This method used to protect the drug core with polymers Eudragit and cellulose derivatives by fluidized bed coater or press coating or emulsion, solvent evaporation for preparing nanoparticles, microparticles.

Time-dependent release method: the formulation designed with polymer coating to release the drug coinciding the intestinal transit time with an advantage of lowering systemic exposure. The mechanism include swelling, dissolution, penetrating, rupturing by the aqueous fluids⁶.

Microbial triggered systems: this method utilizes the natural polysaccharide polymers in delivering drug only in presence of microbial degradation present in colon region only⁷.

Prodrug approach: this method involves preparing inactive drug formulation which activates only in the presence of microbes in the colon region where the function groups activated drug shows its effect in the colon region⁸.

Nanoparticles and liposomes: this method is advantageous for carrying drugs of both hydrophobic and hydrophilic to the target site colon region also for enhancing bioavailability of poorly soluble drugs⁹⁻¹¹.

The colon specific dosage forms with the drug, excipients and applications are given in the following Table no.1.

Table no.1 colon specific dosage forms

S.No	Dosage Form	Drug	Excipients	Application
1	Tablet	Mesalamine	Eudragit S100, MCC	Ulcerative colitis
2	Tablet	Sulfasalazine	HPMC, Ethyl cellulose	Crohn's disease
3	Capsule	Budesonide	Gelatin, PEG	IBD
4	Suspension	Mesalamine	Sodium CMC, Xanthan gum	Inflammation
5	Microemulsion	5-Fluorouracil	Tween 80	Cancer
6	Nanoparticles	5-Fluorouracil	PLGA, Chitosan	Targeted therapy

Several drugs available in market are detailed below in Table no.2 which costs around ₹ 200-800.

Table no.2 Marketed colon specific dosage forms

S. No	Drug	Marketed Name	Formulation
1.	Mesalamine	Asacol HD	Delayed release tablet using Eudragit coating Controlled ileal release capsules
2.	Mesalamine	Lialda	Multi-matrix system for extended colon release
3.	Mesalamine	Pentasa	Controlled release formulation
4.	Mesalamine	Apriso	Extended release capsules
5.	Balsalazide	Colazal	Prodrug activated in colon
6.	Olsalazine	Dipentum	Azo-bond prodrug for colon targeting.
7.	Budesonide	Entocort EC	Controlled ileal release capsules
8.	Sulfasalazine	Azulfidine	Traditional prodrug system
9.	Budesonide	Uceris	Extended release tablets for ulcerative colitis.
10.	5-Fluorouracil		Used in colon cancer (advanced carriers)

Polymers used in the preparation of various delayed release dosage forms are detailed in the Table no.3 as follows.

Table no.3 polymer key properties and its applications.

Type	Polymer	Source	Key Properties	Mechanism in Colon Targeting	Application
Natural	Pectin	Plant (fruits)	Biodegradable, gel-forming	Degraded by colonic bacteria	Matrix tablets, coating material.
Natural	Guar Gum	Plant (seeds)	High swelling, viscous	Microbial degradation	Sustained release tablets
Natural	Xanthan Gum	Microbial	High viscosity, stable	Bacterial degradation	Controlled release systems
Natural	Chitosan	Marine (chitin)	Biocompatible, mucoadhesive	Enzymatic degradation	Drug carriers
Natural	Alginate	Seaweed	Gel forming, biocompatible	pH + microbial action	Encapsulation
Semi-synthetic	HPMC-Hydroxy propyl methyl cellulose	Cellulose derivative	Controlled release, hydrophilic	Swelling and erosion	Matrix tablets
Semi-synthetic	Sodium CMC-carboxy methyl cellulose	Cellulose derivative	Viscous, stabilizer	Swelling controlled release	Suspensions, tablets
Semi-synthetic	Ethyl Cellulose	Cellulose derivative	Water insoluble	Diffusion controlled	Coating material
Synthetic	Eudragit S100	Methacrylic polymer	pH dependent	Dissolves at pH >7	Colon targeting coating
Synthetic	Eudragit L100	Methacrylic polymer	pH dependent	Dissolves at pH >6	Enteric coating
Synthetic	PVP-polyvinyl pyrrolidone	Synthetic	Binder, solubilizer	Enhances dissolution	Tablets
Synthetic	PEG-polyethylene glycol	Synthetic	Hydrophilic, plasticizer	Improves solubility	Various pharmaceutical formulations

CONCLUSION:

This paper gives an overview to understand the advantages of colon part of the gastro-intestinal region due to its unique characteristic features of higher pH, microbes, lag time, motility offers greater absorption than upper gastrointestinal region thus making a target site action of colon specific disease conditions. Depending upon the formulation, a suitable polymer and methodology selected in

preparing dosage forms for drugs treating disease conditions.

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