

## Colon targeting - Colonic drug delivery Uses Pharmacology Study Material – Project Thesis Title

Numerous drug entities based on oral delivery have been successfully commercialized, but many others are not readily available by oral administration, which are incompatible with the physical and/or chemical environments of the upper gastrointestinal tract (GIT) and/or demonstrate poor uptake in the upper GI tract. Due to the lack of digestive enzymes, colon is considered as suitable site for the absorption of various drugs. Over the past two decades the major challenge for scientist is to target the drugs specifically to the colonic region of GIT. Previously colon was considered as an innocuous organ solely responsible for absorption of water, electrolytes & temporary storage of stools. But now it is accepted as important site for drug delivery.

### Colon targeting is used to treat:-

- Seriousness from constipation & diarrhea to the debilitating inflammatory bowel diseases (Ulcerative colitis & Crohn's disease) through to colon carcinoma which is two third cause of cancer in both man & women.
- Colon can be utilized as portal for the entry of drugs into the blood stream for the systemic therapy.
- Colon having the lower level of luminal & mucosal digestive enzymes as compared with the small intestine reduces the chances of drug degradation. e.g., to facilitate absorption of acid and enzymatically labile materials, especially proteins and peptides (Ikesue et al., 1991).
- Colon delivery also a mean of achieving chronotherapy of disease that is sensitive to circadian rhythm such as asthma & arthritis (Quadros et al., 1995).
- Targeted delivery ensures the direct treatment at the disease site, lower dosing, & reduction in side effects.
- Colonic drug delivery is also found useful for improving systemic absorption of drugs like nitrendipine, metoprolol, theophylline, isosorbide mononitrate etc.

The rectal route has traditionally been used to administer medicaments in the form of suppositories and enemas to the distal gut, although such formulations rarely succeed in spreading beyond the descending colon. Also, the rectal route is not convenient or acceptable for most patients and hence the oral route is the preferred route of drug administration. However, colonic drug delivery via the oral route is not without its challenges. The colon constitutes the most distal segment of the gastrointestinal tract and so an orally administered formulation must retard drug release in the upper gastrointestinal regions but release the drug promptly on entry into the colon.

Retardation of drug release in the diverse and hostile conditions of the stomach and small intestine is not easily achieved, since the dosage form will be subjected to a physical and chemical assault that is designed to break down ingested materials. While in the colon, the low fluid environment and viscous nature of luminal contents may hinder the dissolution and release of the drug from the formulation. Moreover, the resident colonic microflora may impact on the stability of the released drug via metabolic degradation. In spite of these potential difficulties, a variety of approaches have been used and systems have been developed for the purpose of achieving colonic targeting. Targeted drug delivery is reliant on the identification and exploitation of a characteristic that is specific to the target organ. In the context of colonic targeting, the exploitable gastrointestinal features include pH, transit time, pressure, bacteria and prodrug approach.