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Formulation and optimization of budesonide-loaded dry emulsion for colon targeted drug delivery

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Abstract

Budesonide is an effective glucocorticoid used in the management of inflammatory bowel disease; however, its clinical performance is restricted by extensive first-pass metabolism and low systemic bioavailability. To address these challenges, a colon-targeted dry emulsion system was successfully developed using a lipid-based oil-in-water emulsion that was converted into a free-flowing dry powder with suitable carriers. This formulation approach improved stability, ease of handling, storage, and reconstitution characteristics.

Pre-formulation investigations, including solubility and drug- excipient compatibility studies, confirmed the compatibility of the selected ingredients. Formulations F1- F10 showed progressive enhancement in physicochemical properties with increasing concentrations of oil, surfactant, and polymer. Particle size was reduced from 320 nm to 195 nm, while PDI values decreased from 0.45 to 0.20 and zeta potential increased from −18 mV to −40 mV, indicating improved stability and particle uniformity.

Drug content ranged between 92% and 99%, whereas entrapment efficiency increased from 70% to 93%. Drug release improved from 65% to 90%, demonstrating efficient colon-targeted release. Flow properties were also enhanced, as indicated by the reduction in angle of repose from 34.5° to 24.5°. SEM analysis revealed smooth, spherical, and uniformly distributed particles in optimized formulations. Stability studies demonstrated only minor changes after storage, with optimized batch F10 maintaining excellent stability. These findings suggest that the developed dry emulsion system is a promising strategy for effective colon-targeted delivery of Budesonide.

Introduction:

Drug delivery systems (DDSs) are the controlled delivery systems that formulate & store drug molecules into felicitous forms like tablets or solutions for administration [1]. DDSs mainly designed to efficiently transport therapeutic agents their target cells, ideally in an accurate and controlled manner, to make sure effective therapeutic outcomes. These systems are mainly to achieve consistent high drug concentrations [2].

Colon-specific drug delivery systems (CDDS) are desirable for the treatment of a range of local diseases such as ulcerative colitis, Crohn's disease, irritable bowel syndrome, chronic pancreatitis, and colonic cancer. Colon targeted drug delivery contributing various advantages in many treatments [3-4]. An orally administered dosage form has to travel entire gastrointestinal system to reach the target area. Physiological complex of GIT is highly complex and has high pH values, fluid volume, & transit times. Presence of food and enzymes for metabolism increase physiological complexity. These factors

one of major obstacle factor for the efficient colon drug delivery. High viscosity, low colonic luminal fluid volume, neutral pH and other factors affect colonic absorption. Interactions of Dietary residues, intestinal secretions, mucus & fecal matter are the other limitations affecting colonic drug solubility [5].

Budesonide was selected for this study due to its established efficacy in the treatment of inflammatory bowel diseases, particularly conditions affecting the colon such as ulcerative colitis and Crohn's disease. As a potent glucocorticoid, it provides strong anti-inflammatory action, making it suitable for localized therapy within the gastrointestinal tract.

Budesonide is a potent glucocorticoid with a high local anti-inflammatory effect and low systemic bioavailability.

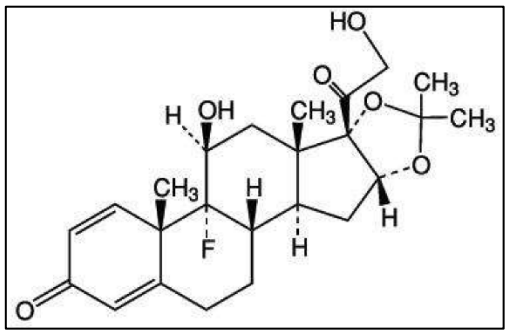

Figure 1; Structure of Budesonide

Table 1; Characteristics of budesonide

Drug Name	Budesonide
Drug Class	Non-halogenated glucocorticoid (corticosteroid)
Molecular Formula	$C_{25}H_{34}O_6$
Molecular Weight	430.5 g/mol
Physical Appearance	White to off-white crystalline powder
Solubility	Poorly soluble in water; soluble in organic solvents and lipids
Log P (Lipophilicity)	~3.2 (lipophilic nature)
pKa	~12.8 (weakly ionizable)
Melting Point	~226–231°C
Bioavailability	Low systemic bioavailability due to extensive first-pass metabolism
Half-life	~2–3.6 hours (systemic circulation)
Metabolism	Extensive hepatic metabolism via CYP3A4 enzyme

Excretion	Primarily via urine and feces as metabolites
Mechanism of Action	Binds to glucocorticoid receptors and it suppresses inflammatory cytokines and mediators
Therapeutic Action	Potent anti-inflammatory and immunosuppressive activity
Primary Indications	Ulcerative colitis, Crohn's disease, microscopic colitis, & inflammatory bowel disease
Advantages	High local effect in gut, minimal systemic side effects
Limitation	Poor aqueous solubility, risk of premature release in GI tract
Dosage Form Preference	Enteric-coated tablets, capsules, controlled-release formulations
Suitability for Colon Targeting	High (due to local action & first-pass metabolism)

Methodology

Suitable excipients were chosen based on their compatibility with budesonide and their suitability for colon-targeted dry emulsion formulation. Soybean oil (lipid phase), Span 80 (emulsifier), Sodium lauryl sulphate (wetting agent), Eudragit S100 (enteric polymer) & Budesonide (API) were selected for this study.

Preparation of emulsion

To obtain a uniform coating solution, Ethanol was used a coating solvent to dissolve polymer. Budesonide dissolved in soybean oil to form the oil phase. Span 80 was added to this oil phase and mixed well to help dissolve the drug and stabilize the emulsion. In another container, sodium lauryl sulphate was dissolved in distilled water to prepare the aqueous phase. The aqueous phase was slowly added to the oil phase with continuous stirring. After that, the mixture was homogenized to ensure uniform mixing and to form small droplets. Stirring was continued until a smooth, milky emulsion was formed (Aulton, 2018).

Conversion to dry emulsion

First, the freshly prepared emulsion was fed into the drying system. In spray drying, the emulsion is atomized into fine droplets using a nozzle and then exposed to a stream of hot air. The moisture (water) gets rapidly evaporated, leaving behind dry solid particles. In freeze drying, the emulsion is first frozen and then subjected to vacuum, where water is removed by sublimation. During the drying process, the liquid emulsion droplets are transformed into fine dry powder while the drug remains trapped within the lipid-surfactant matrix.

Optimization of formulation variables

The nano-encapsulated dry emulsion formulations of Budesonide were prepared using the emulsification–solvent evaporation method (various concentrations).

Table 2; Formulation batches

Batch	Budesonide (mg)	Soybean Oil (mL)	Span 80 (% w/v)	SLS (% w/v)	Eudragit S100 (mg)
F1	10	5	1	0.1	100
F2	10	8	1	0.2	120
F3	10	10	2	0.3	150
F4	20	10	2	0.5	180
F5	20	12	3	0.5	200
F6	30	12	3	0.7	220
F7	30	15	4	0.7	250
F8	40	15	4	0.8	270
F9	40	18	5	0.9	280
F10	50	20	5	1.0	300

Prepared batches optimized by various parameters such as droplet size, appearance, drug content uniformity, viscosity, and physical stability. The perfect formulation select among all the batches and utilize for the further studies.

Invitro drug release study

The in vitro drug release studies were conducted to evaluate the release behavior of budesonide from the developed colon-targeted dry emulsion system under simulated gastrointestinal conditions.

1. **Dissolution Study in Simulated Gastric Fluid (pH 1.2):** The release of budesonide was first evaluated in simulated gastric fluid (pH 1.2) to mimic stomach conditions. The formulation was placed in the dissolution medium and maintained at 37 ± 0.5°C under continuous stirring using a USP Type II dissolution apparatus. The amount of drug released was analyzed at predetermined time intervals.
2. **Dissolution Study in Intestinal Fluid (pH 6.8):** The second phase of the study was conducted in phosphate buffer (pH 6.8) to simulate the small

intestinal environment. Samples were withdrawn at regular intervals and analyzed for drug content. This stage evaluates the resistance of the formulation to premature drug release in the upper intestinal tract and confirms the stability of the enteric coating under near-neutral pH conditions.

3. **Dissolution Study in Colonic Conditions (pH 7.4):** To simulate colonic conditions, dissolution studies were performed in phosphate buffer (pH 7.4). At this stage, the enteric coating (Eudragit S100) dissolves, allowing the release of budesonide from the dry emulsion system. The cumulative percentage drug release was determined over time to assess the effectiveness of colon-specific delivery.

Results

Table 3; Solubility studies of Budesonide

S. No.	Medium	Solubility (µg/mL)
1	Distilled Water	0.28 ± 0.02
2	0.1 N HCl (pH 1.2)	0.35 ± 0.03
3	Phosphate Buffer (pH 6.8)	0.52 ± 0.04
4	Phosphate Buffer (pH 7.4)	0.60 ± 0.05
5	Methanol	15.20 ± 0.80
6	Ethanol	10.50 ± 0.65
7	Acetone	18.40 ± 0.95
8	Chloroform	20.10 ± 1.10

Budesonide demonstrated markedly higher solubility in organic solvents such as chloroform, acetone, and methanol. This clearly reflects its lipophilic nature and strong affinity toward non-polar or semi-polar solvents. The poor aqueous solubility combined with high lipophilicity suggests that the drug has limited dissolution in gastrointestinal fluids, which can lead to low and variable oral bioavailability. These findings strongly justify the need for advanced formulation approaches aimed at enhancing solubility and dissolution rate.

Table 4; Partition coefficient of Budesonide

Parameter	Observation
Method Used	Shake Flask Method
Solvent System	n-Octanol / Water
Temperature	25 ± 2°C
Equilibration Time	24 hours
Concentration in n-octanol phase	High
Concentration in aqueous phase	Low
Partition Coefficient (P)	1250 ± 35
Log P Value	3.10 ± 0.02

Budesonide showed a greater affinity for the n-octanol phase compared to the aqueous phase, demonstrating its lipophilic characteristics. The obtained results support the suitability of Budesonide for formulation in lipid-based drug delivery systems.

Table 5; **FTIR of Budesonide**

Functional Group	Wave Number (cm^{-1})	Observed in Sample	Result
O–H stretching	3200–3550	~3340 cm^{-1} (broad)	Detected
C=O stretching (ester)	1715–1735	~1716 cm^{-1} (sharp)	Detected
C–H stretching	2850–2950	~2926 cm^{-1}, ~2857 cm^{-1}	Detected
C–O stretching	1050–1250	~1240 cm^{-1}, ~1162 cm^{-1}, ~1058 cm^{-1}	Detected

The FTIR analysis of Budesonide revealed the presence of characteristic absorption peaks corresponding to its major functional groups. The observed peaks for O–H, C=O, C–H, and C–O stretching vibrations were in agreement with the reported spectrum of Budesonide. The retention of these

characteristic peaks confirmed the chemical integrity and purity of the drug.

Table 6; Particle Size Analysis

Batch	Particle Size (nm)	Polydispersity Index (PDI)	Zeta Potential (mV)
F1	320	0.45	-18
F2	300	0.42	-20
F3	280	0.40	-22
F4	260	0.36	-25
F5	240	0.32	-28
F6	230	0.30	-30
F7	220	0.28	-32
F8	210	0.25	-35
F9	200	0.22	-38
F10	195	0.20	-40

The particle size of the formulations ranged from 320 nm (F1) to 195nm (F10). Gradual reduction observed from F1 to F10, which means it showing the improved emulsification and formulation optimization. F10 batch exhibited the smallest particle size, which is desirable for enhanced drug release, cellular uptake and targeted colorectal drug release.

Zeta potential of F10 (-40 mV) showed highest stability, which has good resistance against particle aggregation. Overall, among all these batches, F10 is most stable and uniform formulation.

Table 7; Drug Content Determination

Batch	Theoretical Drug Content (mg)	Actual Drug Content (mg)	Drug Content (%)
F1	10	9.2	92
F2	10	9.4	94
F3	10	9.6	96

F4	20	19.2	96
F5	20	19.5	97.5
F6	30	29.4	98
F7	30	29.7	99
F8	40	39.4	98.5
F9	40	39.6	99
F10	50	49.5	99

Table 8; Entrapment Efficiency

Batch	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
Entrapment Efficiency (%)	70	72	75	78	82	85	88	90	91	93

The optimized formulation, F10, exhibited the highest entrapment efficiency (93%), suggesting effective encapsulation of Budesonide and minimal drug loss during formulation. The enhanced entrapment efficiency may be attributed to the optimized composition of oil, surfactant, and polymer, which facilitated better retention of the lipophilic drug within the formulation matrix.

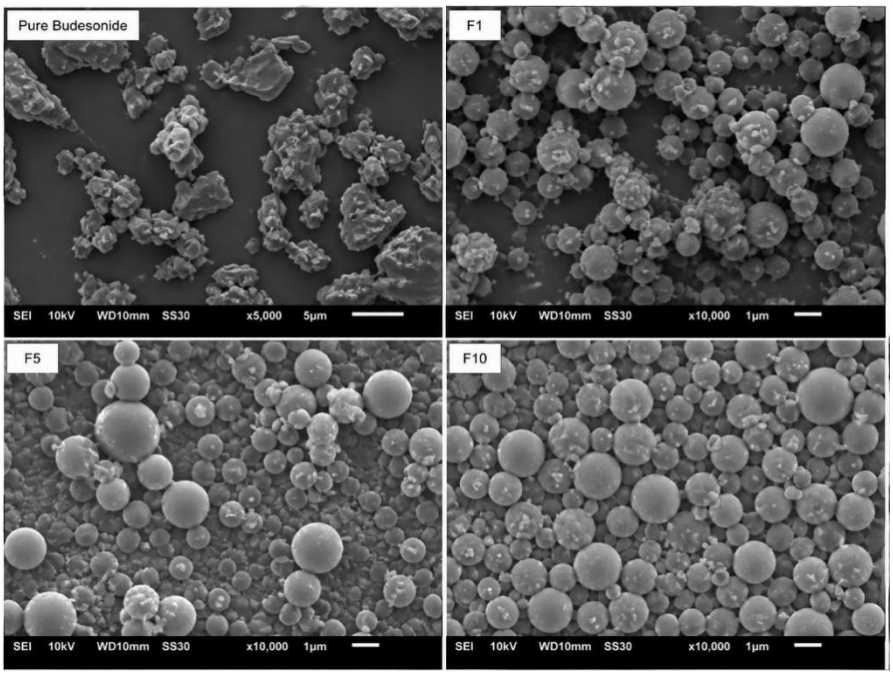

Figure 2; SEM of Budesonide

Table 9; Stability of Emulsion System

Batch	Initial Particle Size (nm)	After Stability (nm)	% Drug Release (Initial)	% Drug Release (After)	Entrapment Efficiency (%) Initial	After Stability (%)
F1	320	335	65	62	70	68
F2	300	312	68	66	72	70
F3	280	290	72	70	75	73
F4	260	268	75	73	78	76
F5	240	246	80	78	82	80
F6	230	235	83	82	85	83
F7	220	224	85	84	88	86
F8	210	213	87	86	90	89
F9	200	202	88	87	91	90
F10	195	197	90	89	93	92

Invitro drug release study

Table 10; Release Profile of Optimized Formulation (F10)

Time (hrs.)	0	1	2	3	4	5	6	8	10	12	24
pH Medium	-	1.2	1.2	6.8	6.8	6.8	7.4	7.4	7.4	7.4	7.4
Cumulative Drug Release (%)	0	2	5	10	18	28	40	60	75	85	90

F10 showed minimal release (~5%) in acidic pH, gradual release in pH 6.8, and maximum release (~90%) in pH 7.4, confirming effective colon targeting.

Conclusion

The present study successfully developed a Budesonide-loaded dry emulsion system for colon-targeted drug delivery. Budesonide exhibited poor aqueous solubility and high lipophilicity, supporting the need for a lipid-based formulation approach. Among all formulations, F10 showed the best performance with a particle size of 195 nm, high entrapment efficiency (93%), excellent stability, and 99% drug content. The optimized formulation provided minimal drug release in acidic

conditions and achieved approximately 90% cumulative drug release at colonic pH, confirming effective colon targeting. These findings suggest that the developed dry emulsion system is a promising strategy for improving the therapeutic effectiveness of Budesonide in colonic disorders.

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