Formulation and Evaluation of Floating Tablet of *Coixol* Showing antiulcer activity

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Email- rutikasc12@gmail.comABSTRACT: Gastric ulcer is a common gastrointestinal disorder resulting from an imbalance between aggressive factors such as gastric acid, pepsin, oxidative stress, and inflammatory mediators and the protective mechanisms of the gastric mucosa. Coixol, a bioactive constituent isolated from Coix lachryma-jobi L., possesses significant antioxidant, anti-inflammatory, and gastroprotective properties; however, its therapeutic effectiveness may be limited by rapid gastric emptying and insufficient residence time at the site of action. The present study aimed to formulate and evaluate a floating gastro-retentive tablet of Coixol to enhance gastric retention and provide sustained drug release for improved anti-ulcer activity. Floating tablets were prepared by the wet granulation method using Hydroxypropyl Methylcellulose (HPMC) and Carbopol as matrix-forming polymers, while sodium bicarbonate and citric acid were incorporated as buoyancy-generating agents. The prepared formulations were evaluated for pre-compression and post-compression parameters, including flow properties, hardness, friability, weight variation, drug content uniformity, swelling behavior, floating lag time, total floating duration, and in-vitro drug release. The formulations exhibited satisfactory physicochemical characteristics, acceptable flow properties, prolonged buoyancy exceeding 12 hours, and sustained drug release profiles. Swelling studies confirmed the formation of a hydrated polymeric matrix that contributed to controlled drug release and maintenance of tablet integrity. Drug release kinetics suggested a diffusion-mediated release mechanism accompanied by polymer relaxation and matrix erosion. The findings indicate that the developed floating gastro-retentive system effectively prolongs gastric residence and sustains the release of Coixol, thereby offering a promising strategy for enhancing local drug availability and therapeutic efficacy in the management of gastric ulcer disease. Further in-vivo investigations are recommended to establish the clinical potential of the optimized formulation.

KEYWORDS: Coixol, Gastro-retentive drug delivery system, Floating tablets, Gastric ulcer, Sustained drug release, HPMC, Carbopol, Gastroprotection, Herbal drug delivery.

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INTRODUCTION:

Floating drug delivery systems (FDDS) represent an important advancement in gastro-retentive drug delivery technology, designed to prolong the residence time of dosage forms within the stomach and enhance therapeutic efficacy. These systems possess a bulk density lower than that of gastric fluids, enabling them to remain buoyant in the stomach for extended periods without affecting the normal gastric emptying process. By maintaining prolonged gastric retention, floating tablets can improve drug bioavailability, provide sustained drug release, reduce dosing frequency, and enhance patient compliance, particularly for drugs that exhibit a narrow absorption window in the upper gastrointestinal tract or require localized action in the stomach.[20,21]

Peptic ulcer disease (PUD) is one of the most prevalent gastrointestinal disorders affecting millions of individuals worldwide. It is characterized by the development of lesions in the gastric or duodenal mucosa due to an imbalance between aggressive factors, including gastric acid, pepsin, reactive oxygen species, Helicobacter pylori infection, alcohol consumption, and non-steroidal anti-inflammatory drugs (NSAIDs), and the protective mechanisms of the gastric mucosal barrier. Despite significant advances in anti-ulcer therapy, peptic ulcer disease continues to represent a major healthcare concern because of its high recurrence rate, associated complications, and limitations of currently available therapeutic approaches.[4,5]

conventional oral dosage forms often exhibit limited gastric residence time due to rapid gastric emptying, which may reduce the local availability and

therapeutic effectiveness of anti-ulcer agents. Gastro-retentive drug delivery systems have emerged as an effective strategy to overcome these limitations by prolonging the retention of dosage forms within the stomach and facilitating sustained drug release. Among these systems, floating drug delivery systems (FDDS) are particularly advantageous because they remain buoyant in gastric fluid for extended periods, thereby increasing gastric residence time and enhancing drug absorption or local therapeutic action.

Overview of Gastric Ulcer Disease

Gastric ulcer is a chronic gastrointestinal disorder characterized by the formation of lesions or erosions in the gastric mucosa due to an imbalance between aggressive factors and protective mechanisms of the stomach. It remains a significant global health concern affecting millions of individuals worldwide and contributes substantially to morbidity, healthcare expenditure, and reduced quality of life. The development of gastric ulcer is a multifactorial process involving excessive gastric acid secretion, pepsin activity, oxidative stress, inflammation, mucosal ischemia, and infection by *Helicobacter pylori*. Additional risk factors such as prolonged use of non-steroidal anti-inflammatory drugs (NSAIDs), alcohol consumption, smoking, psychological stress, and dietary habits further increase susceptibility to gastric mucosal injury.

The pathogenesis of gastric ulcer is primarily associated with disruption of the gastric mucosal barrier, which normally protects the stomach lining from the corrosive effects of gastric acid and digestive enzymes. Under physiological conditions, protective factors including mucus secretion, bicarbonate production, prostaglandin synthesis, adequate mucosal blood flow, and rapid epithelial regeneration maintain mucosal integrity. However, when aggressive factors outweigh these defensive mechanisms, damage to the gastric epithelium occurs, resulting in ulcer formation. Recent studies have highlighted the involvement of reactive oxygen species (ROS), inflammatory cytokines, and apoptotic pathways in ulcer progression, indicating that oxidative stress and inflammation play crucial roles in gastric tissue damage.

Conventional management of gastric ulcer primarily involves the use of proton pump inhibitors, H_2-receptor antagonists, antacids, and antibiotics for *H. pylori* eradication. Although these therapies effectively reduce gastric acidity and promote ulcer healing, their long-term use may be associated with adverse effects, drug interactions, recurrence of ulceration, and increased treatment costs. Consequently, there is growing interest in the development of safer and more effective therapeutic alternatives, particularly those derived from natural sources possessing antioxidant, anti-inflammatory, and cytoprotective properties.

Considering the complexity of ulcer pathogenesis and the limitations of existing treatments, innovative pharmaceutical approaches are required to enhance therapeutic efficacy and improve patient outcomes. The incorporation of bioactive natural compounds into advanced gastro-retentive drug delivery systems has emerged as a promising strategy for achieving prolonged gastric residence, sustained drug release, and improved local therapeutic action in the management of gastric ulcer disease.

Pathophysiology of Gastric Ulcer

Gastric ulcer is a pathological condition characterized by the disruption of the gastric mucosal integrity, resulting in the formation of lesions that extend through the mucosal layer of the stomach. The development of gastric ulcers is primarily associated with an imbalance between aggressive factors that damage the gastric mucosa and protective mechanisms that maintain mucosal integrity. Under normal physiological conditions, the gastric mucosa is protected by a complex defense system consisting of mucus and bicarbonate secretion, adequate mucosal blood flow, epithelial cell regeneration, prostaglandin production, and antioxidant defense mechanisms. These protective factors safeguard the stomach lining from the corrosive effects of gastric acid and pepsin.

The pathogenesis of gastric ulcer begins when aggressive factors such as excessive gastric acid secretion, pepsin activity, *Helicobacter pylori* infection, prolonged use of non-steroidal anti-inflammatory drugs (NSAIDs), alcohol consumption, smoking, stress, and oxidative damage overwhelm the protective mucosal barrier. Disruption of the mucus-bicarbonate layer increases the permeability of the gastric epithelium to hydrogen ions, leading to cellular injury and inflammation. This process promotes mucosal erosion, edema, and vascular damage, ultimately resulting in ulcer formation.

Oxidative stress plays a crucial role in ulcer development. Excessive generation of reactive oxygen species (ROS) causes lipid peroxidation, protein oxidation, and DNA damage within gastric tissues. These oxidative changes impair cellular function and

contribute to the destruction of the gastric mucosa. Simultaneously, inflammatory mediators such as tumor necrosis factor-alpha (TNF-α), interleukins, and leukotrienes are released, which further aggravate tissue injury and delay ulcer healing.

Another important factor involved in gastric ulcer pathophysiology is the reduction of prostaglandin synthesis. Prostaglandins are essential for maintaining mucosal blood flow, stimulating mucus and bicarbonate secretion, and promoting epithelial repair. Inhibition of prostaglandin production, particularly by NSAIDs, compromises mucosal defense mechanisms and increases susceptibility to ulceration.

The progression of gastric ulcer is therefore determined by the dynamic interaction between aggressive factors and mucosal defense systems. Effective ulcer management requires therapeutic strategies that reduce gastric acid secretion, suppress inflammation, neutralize oxidative stress, and enhance mucosal protection. Bioactive compounds such as Coixol have attracted considerable attention due to their ability to inhibit gastric acid secretion, enhance mucosal defense mechanisms, exert antioxidant activity, reduce inflammatory responses, and provide cytoprotective effects on gastric tissues. These pharmacological properties make Coixol a promising candidate for the development of gastro-retentive anti-ulcer formulations designed to improve therapeutic efficacy and prolong gastric residence time.

Conventional anti-ulcer therapy primarily relies on the administration of proton pump inhibitors (PPIs), histamine H_2-receptor antagonists, antacids, cytoprotective agents, and antibiotic regimens for the eradication of *Helicobacter pylori*. Although these therapeutic approaches have significantly improved the management of gastric ulcer disease, several limitations continue to affect their long-term clinical effectiveness and patient compliance.

One of the major drawbacks of conventional anti-ulcer medications is the requirement for prolonged treatment duration to achieve complete ulcer healing and prevent recurrence. Long-term use of proton pump inhibitors has been associated with adverse effects such as nutrient malabsorption, increased risk of gastrointestinal infections, renal complications, and alterations in gut microbiota. Similarly, extended use of H_2-receptor antagonists may lead to tolerance development, resulting in reduced therapeutic efficacy over time.

Classification of Floating tablets:

Floating drug delivery systems (FDDS) are a category of gastro-retentive dosage forms designed to remain buoyant in gastric fluids for an extended duration, thereby prolonging gastric residence time and enhancing drug availability in the upper gastrointestinal tract. Based on their mechanism of buoyancy and formulation characteristics, floating tablets can be broadly classified into the following categories:

1. Effervescent Floating Tablets:

Effervescent floating tablets are gastro-retentive drug delivery systems designed to remain buoyant in the gastric environment for an extended period, thereby prolonging gastric residence time and facilitating sustained drug release. These systems utilize gas-generating agents that react in the acidic conditions of the stomach to produce carbon dioxide, which becomes entrapped within a hydrated polymer matrix. The entrapment of gas decreases the density of the dosage form below that of gastric fluid, enabling the tablet to float and remain in the stomach for a prolonged duration.

In the present study, effervescent floating tablets of Coixol were formulated using Hydroxypropyl Methylcellulose (HPMC) and Carbopol as matrix-forming polymers, while sodium bicarbonate and citric acid were incorporated as gas-generating agents. Upon contact with simulated gastric fluid, sodium bicarbonate reacts with the acidic medium to liberate carbon dioxide gas. Simultaneously, the hydrophilic polymers absorb gastric fluid and swell, forming a gel-like barrier around the tablet. The generated carbon dioxide becomes trapped within the swollen polymer matrix, resulting in reduced tablet density and promoting buoyancy. This mechanism enables the dosage form to remain floating on the gastric contents while gradually releasing the incorporated drug.

The performance of effervescent floating tablets is commonly evaluated through parameters such as floating lag time and total floating duration. Floating lag time represents the period required for the tablet to emerge on the surface of the dissolution medium, whereas total floating time indicates the duration for which the dosage form remains buoyant. The formulated Coixol floating tablets exhibited floating lag times ranging from 32 to 141 seconds and remained buoyant for more than 12 hours, demonstrating effective gastro-retentive characteristics.

The swelling behavior of the polymer matrix also plays a crucial role in maintaining buoyancy and controlling drug release. Progressive hydration of

HPMC and Carbopol results in the formation of a robust gel layer that contributes to tablet integrity, prolonged floating capability, and sustained drug diffusion. Swelling studies of the developed formulations demonstrated a gradual increase in swelling index over the study period, confirming the ability of the polymer matrix to retain water and support extended drug release.

Effervescent floating tablets offer several pharmaceutical advantages, including prolonged gastric retention, enhanced local drug availability in the stomach, reduced dosing frequency, improved patient compliance, and sustained therapeutic activity. Consequently, this delivery approach represents an effective strategy for the administration of Coixol in the management of gastric ulcer disease by maintaining the dosage form in the gastric region for an extended period and ensuring controlled drug release

2. Non-Effervescent Floating Tablets:

Non-effervescent floating tablets are a class of gastro-retentive drug delivery systems that achieve buoyancy without the use of gas-generating agents. These formulations rely primarily on the swelling and gel-forming properties of hydrophilic polymers to reduce the overall density of the dosage form below that of gastric fluid. Upon contact with gastric contents, the polymers rapidly hydrate and swell, forming a viscous gel layer around the tablet. The hydrated matrix increases in volume while maintaining a relatively low density, allowing the dosage form to float on the gastric contents for an extended period.

Hydrophilic polymers commonly employed in non-effervescent floating systems include Hydroxypropyl Methylcellulose (HPMC), Carbopol, sodium alginate, polyethylene oxide, hydroxyethyl cellulose, and xanthan gum. These polymers absorb gastric fluid and undergo swelling, resulting in the formation of a stable three-dimensional network that controls both buoyancy and drug release. The drug is released gradually through diffusion across the hydrated gel layer and, in some cases, through matrix erosion.

The floating mechanism of non-effervescent systems is based on matrix expansion rather than gas generation. As the tablet absorbs water, its volume increases substantially while the mass remains relatively constant. This reduction in apparent density enables the dosage form to remain buoyant in the stomach for prolonged periods. The continuous hydration of the polymer matrix ensures maintenance of buoyancy and contributes to sustained drug release.

Non-effervescent floating tablets offer several advantages, including simple formulation design, reduced dependence on gastric acidity, improved formulation stability, and the absence of gas-generating excipients that may affect tablet integrity. These systems are particularly suitable for drugs requiring prolonged gastric residence, local action in the stomach, or controlled release in the upper gastrointestinal tract. Furthermore, the use of hydrophilic polymers provides effective modulation of drug release kinetics and enhances patient compliance through reduced dosing frequency.

However, the performance of non-effervescent floating systems depends largely on the swelling capacity and gel strength of the selected polymers. Insufficient hydration may compromise buoyancy, whereas excessive swelling may affect tablet integrity and drug release characteristics. Therefore, careful optimization of polymer type and concentration is essential to achieve prolonged floating behavior and predictable drug release profiles.

Overall, non-effervescent floating tablets represent an effective gastro-retentive drug delivery approach capable of extending gastric residence time and providing sustained therapeutic action through controlled hydration and matrix swelling mechanisms.

Role of Natural Products in Ulcer Management

Natural products have played a significant role in the prevention and treatment of gastrointestinal disorders for centuries and continue to be an important source of novel therapeutic agents. In recent years, there has been increasing scientific interest in plant-derived bioactive compounds for the management of gastric ulcer disease due to their therapeutic efficacy, favorable safety profile, and multitarget mechanisms of action. Unlike many conventional anti-ulcer drugs that primarily suppress gastric acid secretion, natural products exert comprehensive gastroprotective effects through antioxidant, anti-inflammatory, cytoprotective, and mucosal healing activities.

Medicinal plants have gained considerable attention as alternative therapeutic options because they are generally associated with fewer adverse effects and better patient tolerance compared to synthetic drugs. Furthermore, natural products often possess multiple pharmacological activities that collectively contribute to gastroprotection. This multifunctional nature makes them particularly valuable for the management of complex disorders such as gastric ulcer disease, where several pathogenic factors are involved simultaneously.

Among the various plant-derived bioactive compounds, Coixol (6-Methoxy-2-benzoxazolinone), isolated from *Coix lachryma-jobi* (Job's Tears), has emerged as a promising anti-ulcer agent. Coixol exhibits significant gastroprotective activity through inhibition of gastric acid secretion, enhancement of gastric mucosal defense mechanisms, antioxidant activity, anti-inflammatory effects, and cytoprotective action on the gastric mucosa. These properties help maintain the balance between aggressive factors such as gastric acid and pepsin and defensive factors including mucus, bicarbonate, and prostaglandins, thereby reducing gastric mucosal damage and promoting ulcer healing.

Coix lachryma-jobi (Job's Tears): Medicinal Importance

Coix lachryma-jobi L., commonly known as Job's Tears, is a traditional medicinal plant belonging to the family Poaceae. The plant has been widely utilized in traditional systems of medicine across Asia for the treatment of various ailments, including inflammatory disorders, gastrointestinal diseases, metabolic disorders, and infectious conditions. Owing to its diverse phytochemical composition, *Coix lachryma-jobi* has gained considerable attention as a potential source of bioactive compounds with significant therapeutic value.

The seeds of *Coix lachryma-jobi* contain a variety of biologically active constituents, including benzoxazinoids, phenolic compounds, flavonoids, polysaccharides, fatty acids, sterols, and proteins. Among these constituents, Coixol (6-Methoxy-2-benzoxazolinone) has been identified as one of the principal pharmacologically active compounds responsible for many of the plant's medicinal properties. Extensive pharmacological investigations have demonstrated that Coixol exhibits antioxidant, anti-inflammatory, antimicrobial, immunomodulatory, and gastroprotective activities.

The medicinal significance of *Coix lachryma-jobi* is primarily attributed to its ability to modulate oxidative stress and inflammatory responses, which are key factors involved in the pathogenesis of numerous diseases. The plant-derived constituents have been reported to scavenge reactive oxygen species, inhibit inflammatory mediator production, and protect biological tissues from oxidative damage. These properties contribute significantly to its therapeutic potential in the management of gastric ulcer disease.

In gastrointestinal disorders, *Coix lachryma-jobi* has shown promising gastroprotective effects through enhancement of mucosal defense mechanisms and reduction of gastric mucosal injury. The bioactive constituent Coixol is particularly important because it helps maintain the balance between aggressive factors, such as gastric acid and pepsin, and defensive factors, including mucus secretion, bicarbonate production, and mucosal integrity. Additionally, its antioxidant and anti-inflammatory properties aid in minimizing tissue damage and promoting ulcer healing.

The increasing interest in herbal therapeutics has highlighted the importance of *Coix lachryma-jobi* as a valuable natural source for the development of advanced pharmaceutical formulations. The incorporation of Coixol into gastro-retentive floating drug delivery systems offers a promising strategy for enhancing gastric residence time, improving local drug availability, and achieving sustained therapeutic effects in the treatment of gastric ulcer disease.

Therefore, *Coix lachryma-jobi* represents an important medicinal plant with considerable pharmaceutical and therapeutic potential, serving as a natural source of Coixol for the development of innovative anti-ulcer drug delivery systems.

Coixol: Bioactive Compound and Pharmacological Activities

Coixol (6-Methoxy-2-benzoxazolinone) is a naturally occurring benzoxazinoid compound isolated from the seeds of *Coix lachryma-jobi* L. (Job's Tears), a medicinal plant widely utilized in traditional Asian medicine. Among the various phytoconstituents present in *Coix lachryma-jobi*, Coixol has been identified as one of the major bioactive compounds responsible for the plant's therapeutic efficacy. Owing to its diverse biological activities, Coixol has attracted considerable attention as a potential candidate for the development of novel pharmaceutical formulations, particularly for the management of gastric ulcer disease.

Pharmacological investigations have demonstrated that Coixol possesses a broad spectrum of biological activities, including antioxidant, anti-inflammatory, antimicrobial, immunomodulatory, analgesic, and gastroprotective effects. These pharmacological properties contribute significantly to its therapeutic potential in the prevention and treatment of various pathological conditions associated with oxidative stress and inflammation.

One of the most important pharmacological attributes of Coixol is its antioxidant activity. The compound has been reported to scavenge reactive oxygen species (ROS) and reduce oxidative damage to cellular components. By inhibiting lipid peroxidation and enhancing endogenous antioxidant defense mechanisms, Coixol protects biological tissues from oxidative stress-induced injury. This antioxidant property is particularly relevant in gastric ulcer disease, where excessive generation of free radicals contributes to mucosal damage and ulcer formation.

Coixol also exhibits potent anti-inflammatory activity through modulation of inflammatory mediators and cytokines involved in tissue injury. The suppression of inflammatory responses helps reduce mucosal inflammation and accelerates the healing process in damaged gastric tissues. Furthermore, the compound has demonstrated cytoprotective effects by enhancing gastric mucosal defense mechanisms, promoting mucus secretion, and preserving the structural integrity of the gastric epithelium.

The gastroprotective activity of Coixol is of particular pharmaceutical interest. Studies have indicated that Coixol can reduce gastric acid secretion, improve mucosal resistance against ulcerogenic agents, and facilitate tissue repair. These mechanisms collectively contribute to its anti-ulcer efficacy and support its potential application in gastro-retentive drug delivery systems designed for localized gastric action.

In addition to its gastroprotective effects, Coixol has shown antimicrobial and immunomodulatory properties, which may further enhance its therapeutic benefits. The multifaceted pharmacological profile of Coixol makes it a promising natural therapeutic agent capable of targeting multiple pathways involved in disease progression.

Considering its diverse biological activities and favorable therapeutic potential, Coixol represents an attractive candidate for incorporation into advanced drug delivery systems such as floating gastro-retentive tablets. Such formulations may enhance gastric residence time, improve local drug concentration at the site of action, and provide sustained therapeutic effects for the effective management of gastric ulcer disease.

OBJECIVES:

- To evaluate floating behaviour and drug release characteristics.
- To assess anti-ulcer activity using ethanol-induced ulcer model.
- To perform stability study of the formulation
- To optimize the formulation variables such as polymer concentration and effervescent agent content to achieve desired floating behavior and sustained drug release.
- To Formulate and standardize the *Coixol* tablet for use in oral gastro-retentive formulation.
- To develop a hydrophilic matrix system capable of sustaining the release of Coixol over an extended period.
- To enhance the gastric residence time of the dosage form through gel formation and buoyancy maintenance.
- To control the rate of drug release by forming a hydrated polymeric barrier around the tablet matrix
- To improve the bioavailability of Coixol by prolonging its contact time with the gastric mucosa
- To facilitate the formation of a robust and stable floating matrix capable of retaining generated carbon dioxide within the tablet structure.
- To provide sustained therapeutic concentrations of Coixol at the site of ulceration for enhanced anti-ulcer activity.

3.MATERIALS AND METHODS:

1.Materials:

1.1. Coixol (Job's tears)

Coixol (6-Methoxy-2-benzoxazolinone) is a naturally occurring benzoxazinoid phytoconstituent isolated from the seeds of *Coix lachryma-jobi* L. (Job's Tears), a medicinal plant belonging to the family Poaceae. Among the various secondary metabolites present in the plant, Coixol has been identified as one of the principal bioactive compounds responsible for its diverse pharmacological activities. Owing to its significant therapeutic potential, Coixol has attracted considerable scientific interest as a promising natural agent for the management of gastric ulcer disease and other inflammatory disorders.

Chemically, Coixol possesses a benzoxazolinone nucleus that contributes to its biological activity and therapeutic efficacy. Pharmacological investigations have demonstrated that Coixol exhibits a broad spectrum of biological activities, including antioxidant, anti-inflammatory, gastroprotective,

cytoprotective, antimicrobial, and immunomodulatory effects. These properties collectively contribute to its therapeutic potential and support its application in the development of novel pharmaceutical formulations.

One of the most important pharmacological characteristics of Coixol is its potent antioxidant activity. Oxidative stress is recognized as a major contributing factor in the pathogenesis of gastric ulcer disease, leading to lipid peroxidation, cellular damage, and disruption of gastric mucosal integrity. Coixol effectively scavenges reactive oxygen species (ROS) and enhances endogenous antioxidant defense mechanisms, thereby protecting gastric tissues from oxidative injury and promoting mucosal healing.

General information:

Parameter	**Description**
Drug Name	Coixol
Synonym	6-Methoxy-2-benzoxazolinone
Category	Natural phytoconstituent / Herbal bioactive compound
Drug Class	Benzoxazolinone derivative
Source	Isolated from the seeds of *Coix lachryma-jobi* L. (Job's Tears), Family Poaceae
Nature	Naturally occurring bioactive phytochemical possessing antioxidant, anti-inflammatory, cytoprotective, and gastroprotective properties
Therapeutic Use	Management of gastric ulcer disease; exhibits anti-ulcer, antioxidant, anti-inflammatory, and cytoprotective activities
Route of Administration	Oral route (developed as a floating gastro-retentive tablet for prolonged gastric retention)
Biological Half-life	Not well established. Detailed clinical pharmacokinetic and biological half-life data are currently unavailable because Coixol is a phytochemical rather than a conventional drug.

Chemical Profile

Parameter	**Description**
Chemical Name	Coixol
IUPAC Name	6-Methoxy-2-benzoxazolinone
Molecular Formula	$C_8H_7NO_3$
Molecular Weight	165.15 g/mol
Appearance	White to pale yellow crystalline powder
Melting Point	Approximately 150–155 °C
Solubility	Soluble in methanol and ethanol; slightly soluble in water

Mechanism of Action of Coixol in Gastric Ulcer Management

Coixol (6-Methoxy-2-benzoxazolinone) exerts its anti-ulcer activity through multiple pharmacological mechanisms that collectively protect the gastric mucosa, reduce ulcer formation, and promote ulcer healing. Unlike conventional anti-ulcer agents that primarily suppress gastric acid secretion, Coixol acts through antioxidant, anti-inflammatory, cytoprotective, and gastroprotective pathways.

1. Antioxidant Activity

Oxidative stress plays a critical role in the pathogenesis of gastric ulcers by generating reactive oxygen species (ROS) that damage cellular membranes, proteins, and DNA. Coixol exhibits potent antioxidant activity by scavenging free radicals and inhibiting lipid peroxidation. This reduces oxidative damage to gastric tissues and preserves mucosal integrity, thereby preventing ulcer formation and facilitating tissue repair.

2. Anti-Inflammatory Activity

Inflammation is a major contributor to gastric mucosal injury. Coixol suppresses the production of pro-inflammatory mediators and cytokines responsible for tissue damage. By reducing inflammatory responses, it minimizes edema, cellular infiltration, and mucosal erosion, thereby accelerating ulcer healing and restoration of normal gastric function.

3. Enhancement of Gastric Mucosal Defense

Coixol strengthens the natural protective mechanisms of the stomach by promoting mucus secretion and maintaining the integrity of the mucus-bicarbonate barrier. This protective layer shields the gastric epithelium from the corrosive effects of hydrochloric acid and pepsin, reducing the risk of ulceration.

4. Cytoprotective Effect

The compound exerts cytoprotective activity by protecting gastric epithelial cells from damage induced by ulcerogenic agents. It helps preserve cellular structure, enhances epithelial regeneration, and promotes healing of damaged gastric tissues.

5. Reduction of Gastric Acid Secretion

Coixol has been reported to modulate gastric secretory activity, thereby reducing excessive acid production. Lower gastric acidity decreases mucosal irritation and creates a favorable environment for ulcer healing.

6. Promotion of Ulcer Healing

Through its combined antioxidant, anti-inflammatory, and cytoprotective effects, Coixol accelerates tissue regeneration and restoration of gastric mucosal architecture. This promotes faster healing of existing ulcers and reduces the likelihood of ulcer recurrence.

Pharmacological Classification

Parameter	Classification
Drug Name	Coixol
Pharmacological Class	Anti-ulcer Agent
Therapeutic Class	Gastroprotective Agent
Chemical Class	Benzoxazolinone Derivative (Benzoxazinoid Compound)
Natural Product Class	Phytoconstituent / Phytochemica
Source Class	Plant-Derived Bioactive Compound
Primary Pharmacological Activity	Anti-ulcer Activity
Secondary Pharmacological Activities	Antioxidant, Anti-inflammatory, Cytoprotective, Gastroprotective
Dosage Form Investigated	Gastro-retentive Floating Tablet
Target Organ	Stomach (Gastrointestinal Tract)

Coixol is a naturally occurring benzoxazolinone derivative classified pharmacologically as a **gastroprotective and anti-ulcer agent**. It belongs to the group of **plant-derived bioactive phytochemicals** isolated from *Coix lachryma-jobi* L. (Job's Tears). The compound exhibits significant **antioxidant, anti-inflammatory, cytoprotective, and gastroprotective activities**, which contribute to its therapeutic potential in the prevention and treatment of gastric ulcer disease. Its anti-ulcer effect is mediated through enhancement of gastric mucosal defense mechanisms, reduction of oxidative stress, suppression of inflammatory responses, and modulation of gastric acid secretion. Therefore, Coixol is considered a promising natural therapeutic candidate for the development of advanced gastro-retentive drug delivery systems for ulcer management.

1.2 HPMC:

Hydroxypropyl Methylcellulose (HPMC), also known as hypromellose, is a semi-synthetic, non-ionic cellulose ether extensively employed in pharmaceutical formulations as a hydrophilic matrix-forming polymer. Due to its excellent biocompatibility, biodegradability, non-toxic nature, and favorable physicochemical characteristics, HPMC has gained considerable importance in the development of controlled-release and gastro-retentive drug delivery systems. In floating tablet formulations, HPMC serves as a key excipient responsible for regulating drug release, maintaining matrix integrity, and promoting prolonged gastric retention.

Parameter	
Polymer Name	Hydroxypropyl Methylcellulose (HPMC)
Synonym	Hypromellose
Category	Pharmaceutical Excipient
Polymer Class	Hydrophilic Cellulose Ether
Nature	Semi-synthetic, Non-ionic Polymer
Function	Matrix Former and Release Retardant
Application	Floating and Sustained-Release Tablets

Role in Present Study	Gastro-retentive Matrix-Forming Polymer

2. INSTRUMENTS:

The formulation and evaluation of floating tablets of Coixol required the use of various analytical, processing, and evaluation instruments. These instruments were employed for pre-formulation studies, drug–excipient compatibility studies, tablet manufacturing, physicochemical characterization, and in vitro evaluation of the developed formulations.

Instrument	Purpose/Application
UV–Visible Spectrophotometer	Determination of λmax, preparation of calibration curve, and quantitative estimation of Coixol during drug content and dissolution studies.
FTIR Spectrophotometer (Fourier Transform Infrared Spectroscopy)	Drug–excipient compatibility studies and identification of functional groups.
Differential Scanning Calorimeter (DSC)	Thermal analysis and compatibility assessment between Coixol and polymers.
Electronic Analytical Balance	Accurate weighing of drug, polymers, and excipients during formulation.
Single-Punch Tablet Compression Machine	Compression of lubricated granules into floating tablets.
Digital Vernier Caliper	Measurement of tablet thickness and diameter.
Monsanto Hardness Tester	Determination of tablet crushing strength (hardness).
Roche Friabilator	Evaluation of tablet friability and mechanical resistance.
USP Dissolution Test Apparatus	In vitro drug release studies and dissolution profiling of floating tablets.
Bulk Density Apparatus	Determination of bulk density and tapped density of granules.
Sieve Set (Standard Sieves)	Particle size reduction and granule size uniformity during wet granulation.
Hot Air Oven	Drying of wet granules after granulation.

A series of analytical and pharmaceutical instruments were employed throughout the study to ensure accurate formulation development and comprehensive evaluation of floating tablets containing Coixol. UV–Visible spectrophotometry was utilized for quantitative drug analysis, while FTIR spectroscopy and differential scanning calorimetry were performed to assess drug–excipient compatibility. The tablets were prepared using a single-punch compression machine following wet granulation and subsequently evaluated for physicochemical properties using instruments such as digital vernier calipers, Monsanto hardness testers, and Roche friabilators. Furthermore, dissolution testing apparatus was used to investigate the in vitro release behavior of the formulations, and granule flow properties were characterized using bulk density and related measurements. These instruments collectively facilitated the development and optimization of a gastro-retentive floating drug delivery system for Coixol

3. METHODS

3.1 WET GRANULATION METHOD:

Wet granulation is a widely employed pharmaceutical manufacturing process in which fine powder particles are agglomerated using a granulating fluid to produce larger, free-flowing granules with improved compressibility and content uniformity. The technique is particularly advantageous for formulations containing low-dose active pharmaceutical ingredients, poor-flowing powders, or hydrophilic polymers intended for controlled-release drug delivery systems. The primary objective of wet granulation is to enhance the physical characteristics of the powder blend, thereby facilitating tablet compression and ensuring the production of dosage forms with acceptable mechanical strength and uniform drug distribution.

In the wet granulation process, the active pharmaceutical ingredient and excipients are accurately weighed and passed through an appropriate sieve to obtain uniform particle size distribution. The sieved materials are then blended thoroughly to achieve homogeneity. A suitable granulating fluid or binder solution is subsequently added to the powder mixture under continuous mixing to produce a cohesive wet mass. The binder promotes

interparticulate adhesion, resulting in the formation of granules.

The wet mass is passed through a suitable sieve to generate granules of uniform size. These granules are then dried under controlled conditions to remove excess moisture while maintaining their structural integrity. Following drying, the granules are resized through an appropriate sieve to obtain a uniform particle size distribution. Lubricants and glidants are subsequently incorporated to improve flow properties and reduce friction during compression. Finally, the granules are compressed into tablets using a suitable tablet compression machine.

The formation of granules during wet granulation occurs through a series of physicochemical processes:

- **Wetting and Nucleation:** The granulating fluid wets the powder particles, resulting in the formation of liquid bridges between adjacent particles.
- **Coalescence and Growth:** Primary nuclei collide and coalesce to form larger granules through continued agitation and binder distribution.
- **Consolidation:** The granules undergo densification due to mechanical forces, leading to improved strength and structural stability.
- **Drying and Solidification:** Removal of moisture converts liquid bridges into solid bridges, thereby stabilizing the granule structure.

Wet granulation is extensively utilized in the formulation of gastro-retentive floating tablets because it enables uniform incorporation of hydrophilic polymers, gas-generating agents, and active pharmaceutical ingredients into a stable matrix system. The resulting granules exhibit improved compressibility and facilitate the production of tablets possessing adequate hardness, prolonged buoyancy, and controlled drug release characteristics. Consequently, wet granulation remains one of the most preferred techniques for the development of sustained-release floating drug delivery systems intended to enhance gastric residence time and therapeutic efficacy.

4. Preparation of tablet:

Formula:

Table no. 1 preparation formula

Ingredients	**F1 (mg)**	**F2 (mg)**	**F3 (mg)**	**F4 (mg)**	**F5 (mg)**	**F6 (mg)**	**F7 (mg)**	**F8 (mg)**	**F9 (mg)**
Coixol	100	100	100	100	100	100	100	100	100
Sodium Bicarbonate	50	50	50	50	50	50	50	50	50
Citric Acid	20	20	20	20	20	20	20	20	20
MCC	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.
PVP K30	5% solution	5% solution	5% solution	5% solution	5% solution	5% solution	5% solution	5% solution	5% solution
Talc	2–3%	2–3%	2–3%	2–3%	2–3%	2–3%	2–3%	2–3%	2–3%
Magnesium Stearate	1–2%	1–2%	1–2%	1–2%	1–2%	1–2%	1–2%	1–2%	1–2%
Total Weight	500 mg	500 mg	500 mg	500 mg	500 mg	500 mg	500 mg	500 mg	500 mg

Formula Used in Wet Granulation-

Tablet Weight = Drug + Polymer(s) + Gas Generating Agents + Diluent + Lubricants

$$Wt=D+P+G+Di+L$$

Floating tablets of Coixol were formulated by the wet granulation technique using HPMC and Carbopol as matrix-forming polymers, sodium bicarbonate and citric acid as gas-generating agents, MCC as diluent, PVP K30 as binder, talc as glidant, and magnesium stearate as lubricant. The formulation was designed to provide prolonged gastric retention, sustained drug release, and enhanced anti-ulcer efficacy through a gastro-retentive floating drug delivery system.

Formulation of Floating Tablets:

1) Accurately weighed the required quantities of Coixol, Hydroxypropyl Methylcellulose (HPMC), Carbopol, Sodium Bicarbonate, Citric Acid, and Microcrystalline Cellulose (MCC) according to the formulation composition.
2) Passed all ingredients through a suitable sieve to obtain uniform particle size distribution and eliminate aggregates.

3) Blended the sieved powders thoroughly to achieve a homogeneous mixture and ensure uniform distribution of the active pharmaceutical ingredient within the formulation.
4) Prepared a binder solution by dissolving Polyvinylpyrrolidone (PVP K30) in ethanol.
5) Added the binder solution gradually to the powder blend with continuous mixing until a coherent and uniform wet mass was formed.
6) Passed the wet mass through sieve No. 16 to produce granules of uniform size and shape.
7) Dried the prepared granules in a hot air oven at 40–45°C until an appropriate moisture content was achieved.
8) Resieved the dried granules through a suitable sieve to obtain uniform granule size distribution and remove lumps.
9) Lubricated the dried granules by incorporating talc and magnesium stearate and mixed thoroughly to improve flow properties and reduce friction during compression.
10) Compressed the lubricated granules into tablets using a single-punch tablet compression machine under optimized compression parameters.
11) Upon contact with gastric fluid, sodium bicarbonate and citric acid reacted to generate carbon dioxide gas, which was entrapped within the hydrated polymer matrix.
12) HPMC and Carbopol hydrated and swelled, forming a viscous gel barrier around the tablet surface.
13) The entrapped carbon dioxide reduced the density of the tablet below that of gastric fluid, enabling the dosage form to remain buoyant for an extended period.
14) The hydrated polymeric matrix controlled the release of Coixol through diffusion and matrix erosion mechanisms.
15) The formulated floating tablets were designed to prolong gastric residence time, provide sustained drug release, enhance local drug availability, and improve the anti-ulcer therapeutic efficacy of Coixol.

Evaluation parameters:

PRE-COMPRESSION EVALUATION:

Pre-Compression Parameters of Powder Blend:

- Angle of Repose
- Bulk Density (g/cm³)
- Tapped Density (g/cm³)
- Carr's Index (%)
- Hausner's Ratio
- Flow Property

1.Bulk density:

An accurately weighed quantity of the powder blend was carefully transferred into a 100 mL graduated measuring cylinder without applying any external compaction. The volume occupied by the powder was recorded in milliliters (mL). The bulk density was subsequently calculated as the ratio of the mass of the powder to its untapped bulk volume. This parameter was determined to evaluate the packing characteristics and flow behavior of the powder blend.

Bulk density= Weight of powder/ Bulk volume

Batch	**Bulk Density (g/cm³)**
F1	0.46 ± 0.01
F2	0.48 ± 0.02
F3	0.45 ± 0.01
F4	0.47 ± 0.02
F5	0.44 ± 0.01
F6	0.46 ± 0.02
F7	0.43 ± 0.01
F8	0.45 ± 0.02
F9	0.47 ± 0.01

2.Tapped density:

An accurately weighed quantity of the powder blend was transferred into a 100 mL graduated measuring cylinder, and the initial volume occupied by the powder was recorded. The measuring cylinder was then tapped mechanically or manually by allowing it to fall from a fixed height onto a hard surface for 100 successive taps. Following the tapping process, the final volume occupied by the powder was recorded. The reduction in volume resulting from particle rearrangement and packing was used to determine the tapped density of the powder blend.

Tapped density= Weight of powder/ Tapped volume

Batch	**Tapped Density (g/cm³)**
F1	0.55 ± 0.01
F2	0.57 ± 0.02
F3	0.54 ± 0.01
F4	0.56 ± 0.02
F5	0.53 ± 0.01
F6	0.55 ± 0.02

F7	0.52 ± 0.01
F8	0.54 ± 0.02
F9	0.56 ± 0.01

3.Hausner's ratio:

Hausner's Ratio is an indirect measure of powder flowability and compressibility. It is calculated using the values of bulk density and tapped density. The ratio provides information regarding the interparticulate friction and cohesiveness of powder particles. Lower Hausner's Ratio values indicate good flow properties, whereas higher values suggest poor flowability and increased cohesiveness.

Hausner's Ratio= Tapped density/ Bulk density

Batch	**Bulk Density (g/cm^3)**	**Tapped Density (g/cm^3)**	**Hausner's Ratio**
F1	0.46	0.55	1.20
F2	0.48	0.57	1.19
F3	0.45	0.54	1.20
F4	0.47	0.56	1.19
F5	0.44	0.53	1.20
F6	0.46	0.55	1.20
F7	0.43	0.52	1.21
F8	0.45	0.54	1.20
F9	0.47	0.56	1.19

- Hausner's ratio values range from 1.19 to 1.21
- Values < 1.25 indicate good flow properties
- All batches show acceptable flow and compressibility

4.Angle of repose:

It is the maximum angle possible between the surface of the pile of the powder and the horizontal plane.
The angle of repose was measured by using funnel method, which indicates the flow ability of the granules.
A funnel was fixed and secured with its tip at a height (h) from 2cm above graph paper which was placed on a horizontal surface. The powder was dropped and the radius(r) was measured.
Angle of repose can be measured by the following equation:

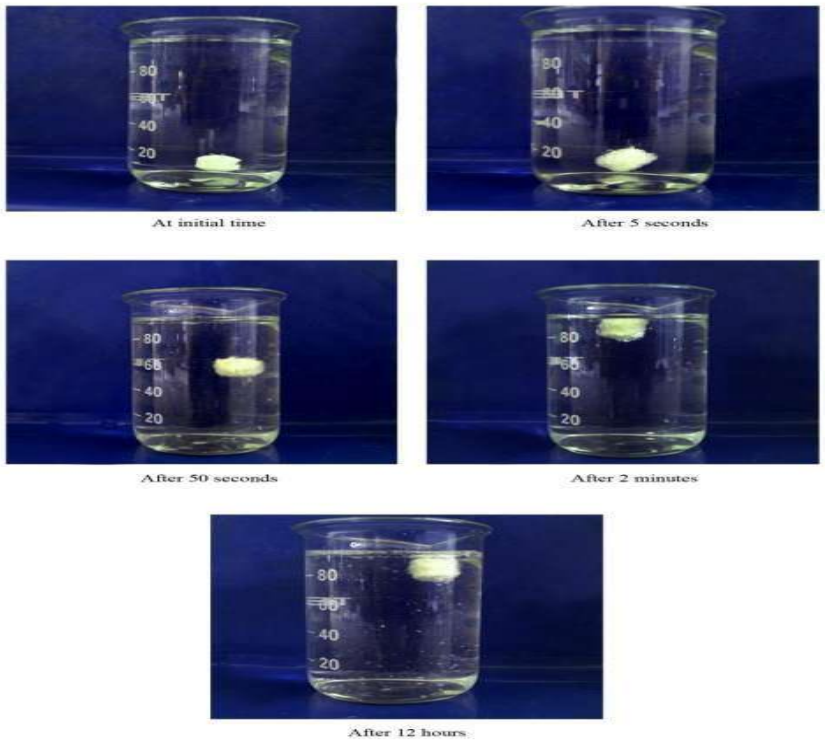
$$\tan \theta = h/r$$

where,
θ= angle of repose

h= height of the powder cone
r= radius of the powder cone.

Batch	**Angle of Repose (θ)**
F1	28.5° ± 0.5
F2	27.8° ± 0.6

bulk volume (Vb) and weight of the powder (M) was determined.



F3	29.2° ± 0.4
F4	28.0° ± 0.5
F5	29.5° ± 0.6
F6	28.3° ± 0.5
F7	30.1° ± 0.7
F8	29.0° ± 0.5
F9	28.2° ± 0.4

- Angle of repose ranges from 27.8° to 30.1°
- Values < 30° indicate good flow properties
- Slightly higher value in F7 suggests slightly reduced flow due to higher porosity
- Overall, all batches exhibit excellent to good flowability

Determination of Bulk density and tap density Apparent bulk density (□b) was determined by pouring the material into a graduated cylinder. The

The bulk density was calculated using the formula. □b = M/Vb The measuring cylinder containing a known mass of powder was tapped for a fixed time. The minimum volume (Vt) occupied in the cylinder and the weight (M) of the blend was measured. The tapped density (□t) was calculated using the following formula,

□t = M/Vt 5.4.13. Compressibility Index The simplest way for measurement of free flow of powder is compressibility, a indication of the ease with which a material can be induced to flow is given by compressibility index (I) which is calculated as I = (□t - □o/□t) □100 □t = tapped density □o = initial bulk density The value below 15% indicates a powder which usually give rise to good flow characteristics whereas above 25% indicate poor flowability.

3.2 IN VITRO DISSOLUTION STUDY

FIG. IN VITRO DISSOLUTION STUDY

Table 2 Treatment of dissolution data with different kinetic model:

	Dif fus ion exp one nt	**D r u g r e**

	value (n)	release mechanism
	< 0.45	Fickian release
	0.45 to 0.89	non-Fickian transport
	0.89	Case II transport
	> 0.89	Super case II transport

3.3 Stability study:

The stability data were analyzed using software "Stab"[176]. The observed and calculated values are given in Table 6.33. The residuals obtained from the calculated values are shown in Figure.The predicted shelf life for F14 and R13 are shown in Figure 6.53 and The data of time versus cumulative percentage drug release profile are given in Table and release pattern were shown in Figure

Table Comparison of observed with calculated assay of best formulations subjected to stability study

Time in months	F1		F3	
	Observed Assay (%) Mean ± SD	Calculated Assay (%) Mean ± SD	Observed Assay(%) Mean ± SD	Calculated Assay (%) Mean ± SD
1	101.12 ± 0.48	100.82 ± 0.71	100.94 ± 0.73	100.67 ± 0.37
2	100.18 ± 1.03	100.49 ± 0.71	100.56 ± 0.29	100.34 ± 0.37
4	99.82 ± 1.13	99.84 ± 0.71	99.49 ± 0.08	99.69 ± 0.37
6	98.98 ± 1.05	98.86 ± 0.71	98.66 ± 0.11	98.71 ± 0.37
8	98.10 ± 0.49	97.89 ± 0.71	97.68 ± 0.79	97.72 ± 0.37

Fig Normal Q-Q plot of residuals obtained from calculated values of F14 batches

Fig. Normal Q-Q plot of residuals obtained from calculated values of F14 batches subjected for stability study.

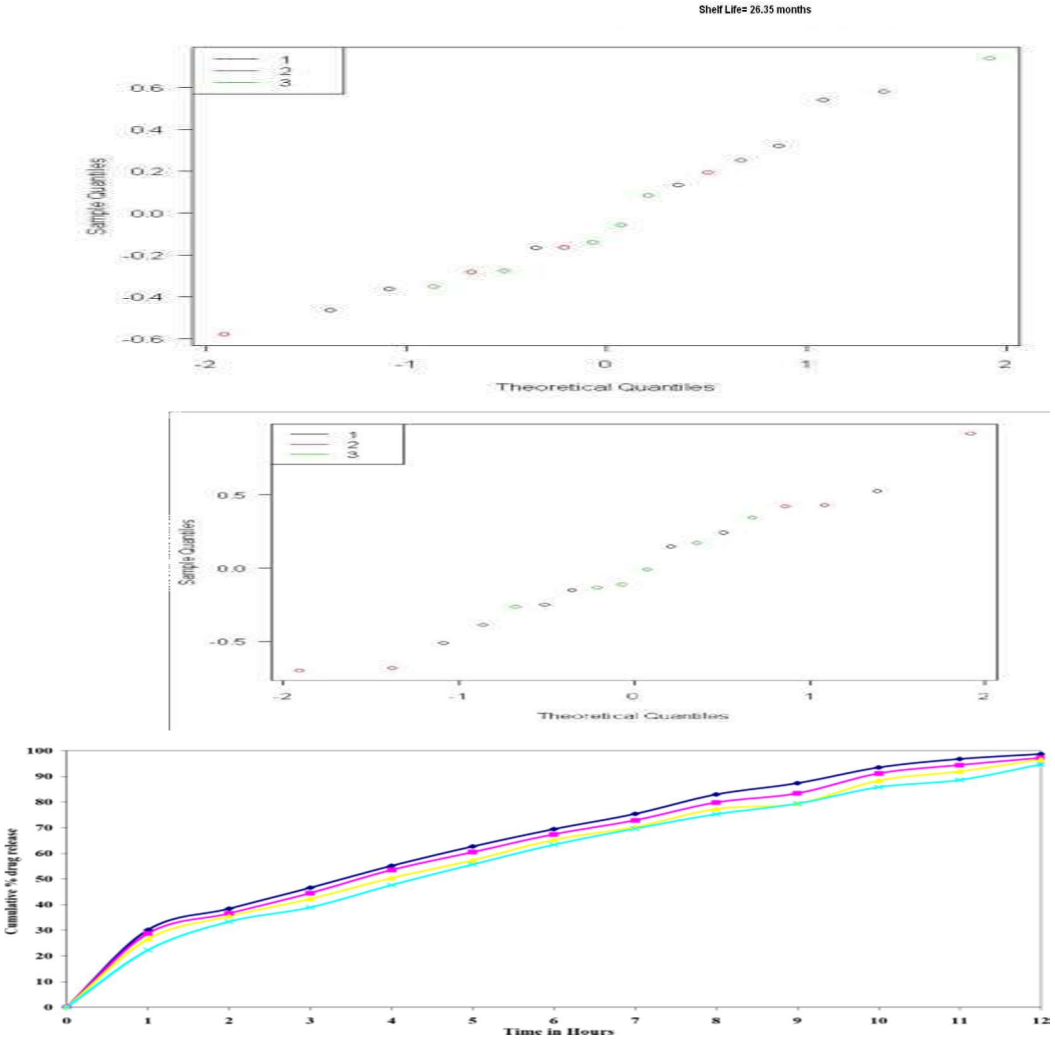


Fig Graph showing predicted shelf life

Fig. Normal Q-Q plot of residuals obtained from calculated values of batches subjected for stability study

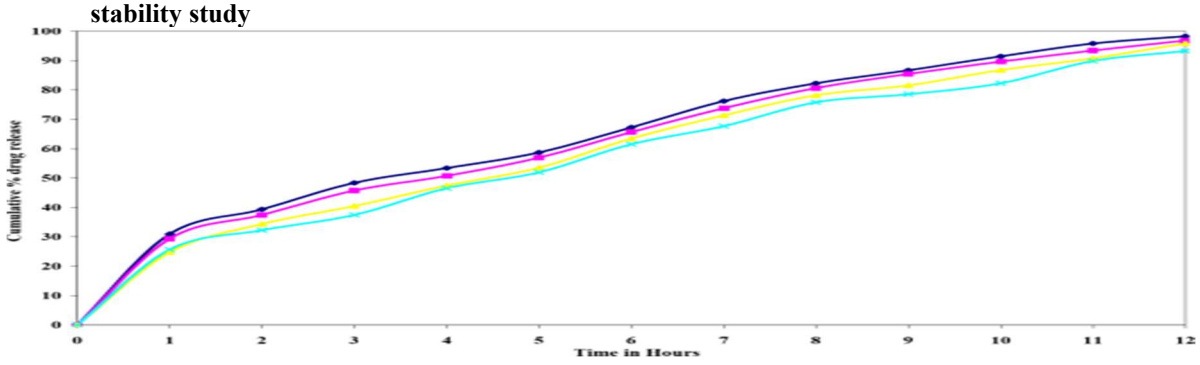


Figure 6.56: Drug release pattern of F14 during stability study for every 4 months up to 12 months

CONCLUSION:

The approach of the present study was to develop floating tablets of anti ulcer drugs of Coixol having desirable properties such as floating, swelling, biocompatible and biodegradable and proper utilization of natural polymer with minimized quantity, henceforth evaluate the release profiles of floating formulations.
The FTIR and DSC analysis reveals that there was a weak intermolecular interaction between drugs and excipients and these was no significant chemical interaction between drug and polymers.
Comparison of commercial HPMC with isolated HPMC, the floating tablets prepared by using isolated HPMC have shown optimized drug release.

3.4 IN VIVO EVALUATIONS:

3.4.1 Mobile phase preparation: Acetonitrile buffer was prepared mixing 750mL of acetonitrile and 250ml of water at 750:250 ratio and pH was adjusted to 1.2 by using triethyl amine.

3.4.2 System suitability: The chromatogram was recorded by injecting 20µL of standard solution. The RSD of five replicate injections should less than 2% for drug peak were noted. The tailing factor for the drug peak should be not more than 1.8.

3.4.3 Standard preparation: 50mg of accurately weighed quantity of pure drug was dissolved in 60mL of mobile phase in a 100mL volumetric flask separately. The content was shaken well and sonicated for 15min then the volume was made up to 100mL with mobile phase. Further dilution was made by taking 10ml of solution in to a volumetric flask the volume was made up to 100mL with same mobile phase. The solution was filtered through 0.45µ membrane filter to remove any foreign particles.

Table Data for calibration curve of pure drugs by HPLC

at 350nm		**at 314nm**	
Concentration in µg/ml	**Peak area**	**Concentration in µg/ml**	**Peak area**
10	77225	30	117654
20	184717	60	211345
30	273214	90	304364
40	364272	120	402172
50	449578	150	512489
60	525457	180	611528
70	617542	210	711572
80	694281	240	818641
90	803817	270	937812
100	871384	300	1034254

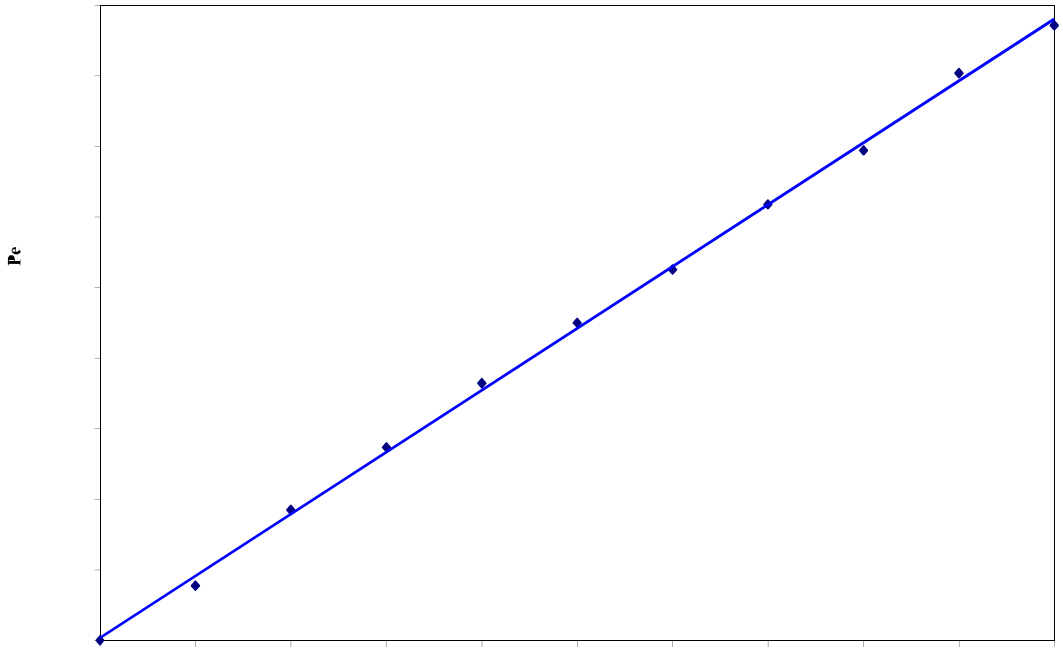


Figure 7.1: Standard Graph of Drug by HPLC

In vivo radiographic study using animal model:
Unlike other formulations, determination of GRT is very important factor for FDDS throughout GIT. In most cases, it requires an imaging technique that can locate the FDDS in stomach. The following method has been utilized to assess gastroretentivity.

Table Composition of floating tablets for *In vivo* buoyancy studies

S.No	Ingredients	For F14 mg/Tab	For R13 mg/Tab
1 P	$BaSO_4$	4	4
2	HPMC	60	80
3	$NaHCO_3$	60	60
4	Citric acid	10	10
5	MCC (3%)	7.5	12
6	Lactose	108.5	234
7	Total	250	400

***3.5 In vivo* Bioavailability study using rabbit as animal model:**

Bioavailability is a pharmacokinetic term that describes the rate and extent to which the active drug ingredient is absorbed from a drug product and becomes available at the site of drug action. Since pharmacologic response is generally related to the concentration of drug at the receptor site, the availability of a drug from a dosage form is a critical element of a drug product's clinical efficacy. However, drug concentrations usually cannot be readily measured directly at the site of action. Therefore, most bioavailability studies involve the determination of drug concentration in the blood or urine. This is based on the premise that the drug at the site of action is in equilibrium with drug in the blood[187].

3.5.1 Sample preparation:

After separating the plasma from blood sample, an equal amount of 5% perchloric acid was added for protein precipitation, vortexed for two minutes then centrifuged at 2000 rpm for 10 minutes. The aliquot was separated for injecting into the HPLC system. All samples from a single animal were assayed on the same day to avoid inter-assay variation. The limit of Drug quantitation in plasma was 5ng/mL[185].

***3.5.2 In vitro - In vivo* correlation model of Anti ulcer activity study on best formulations:**

Four groups of male and female New Zealand Rabbit per dose of standard, test drug, ulcer induced and for controls weighing 1.5–2 kg were used. Food and water were withdrawn 24 h before the experiment. After oral administration of the test tablets to the animals were slightly anesthetized with ether. Both lower and upper extremities are fixed together and the animals were wrapped in wire gaze. They are horizontally suspended in the dark at 20°C for 24h and finally sacrificed in Phenobarbitone sodium anesthesia. The stomach was removed, fixed on a cork plate and the number of ulcers per stomach were noted and severity of the ulcers were observed microscopically and scoring was done as follows: 0 for normal coloured stomach, 0.5 for red colouration, 1 for spot ulcer, 1.5 for hemorrhagic streaks, 2 for ulcer between > 3 but < 5mm and 3 for ulcer > 5mm. Mean ulcer score for each animal was expressed as ulcer index. Dose administrated to the treatment groups and their respective ulcer index are given in Table [200].

Table : Ulcer index of all treatment groups

Treatment Groups	**Dose in mg/kg**	**Ulcer Index**
Control	5ml water	Nil
Ulcer induced	Immobilition stress	8.6 ± 1.2
DRUG STD	0.62mg equivalent weight as powdered tablet	0.68 ± 0.04
F1 TEST	Floating tablet containing 0.62mg of drug	0.64 ± 0.12
F2 TEST	2.31mg equivalent weight as powdered tablet	0.71 ± 0.82
F3 TEST	Floating tablet containing 2.31mg of drug	0.68 ± 0.64

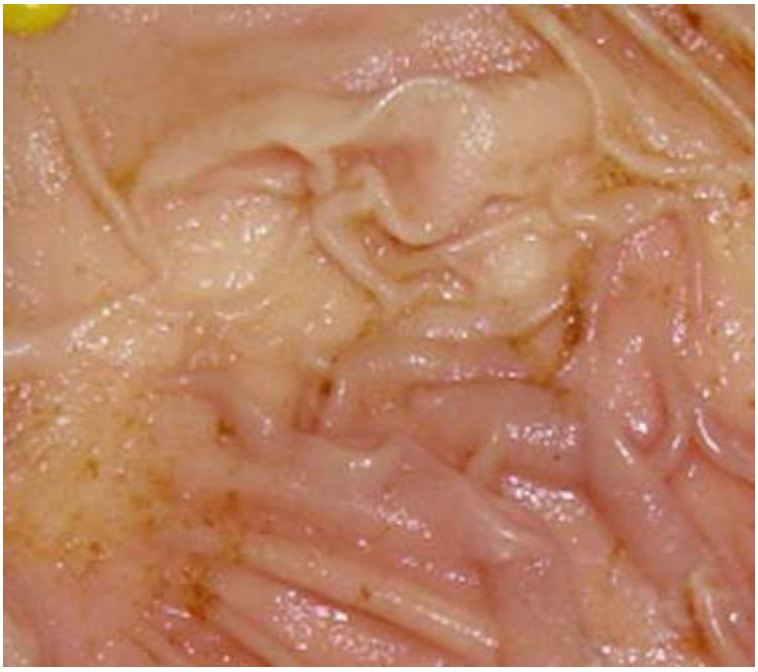


Figure : Normal stomach obtained from rabbit of control group

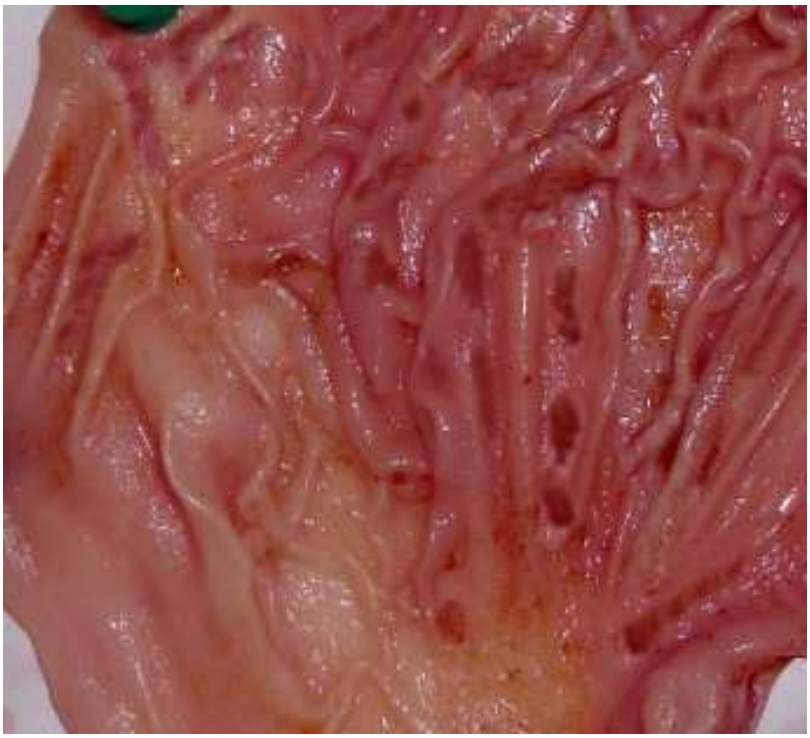


Figure : Ulcer induced stomach obtained from rabbit of ulcerated group

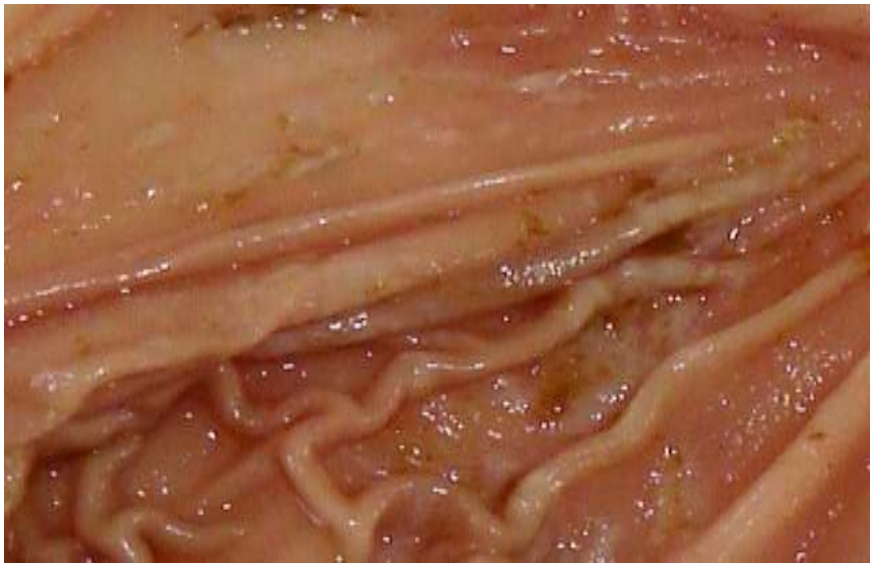


Figure: DRUG treated stomach obtained from rabbit of STD group

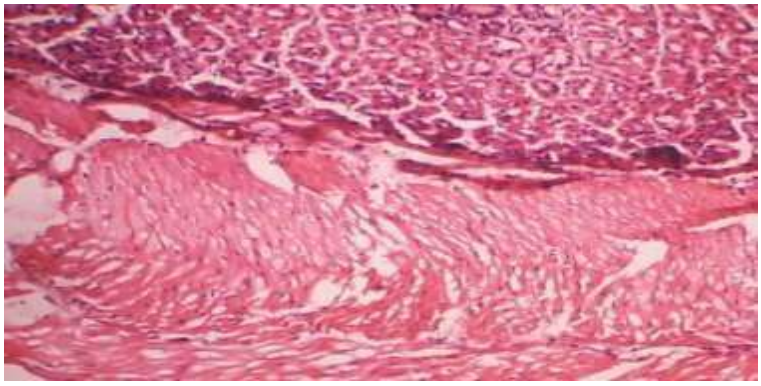


Figure. Section of normal stomach obtained from rabbit of control group

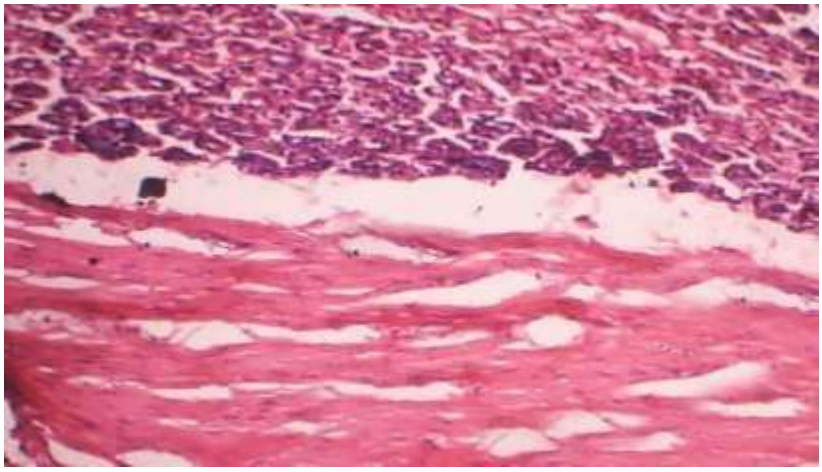


Figure. Section of ulcer induced stomach obtained from rabbit of ulcerated group

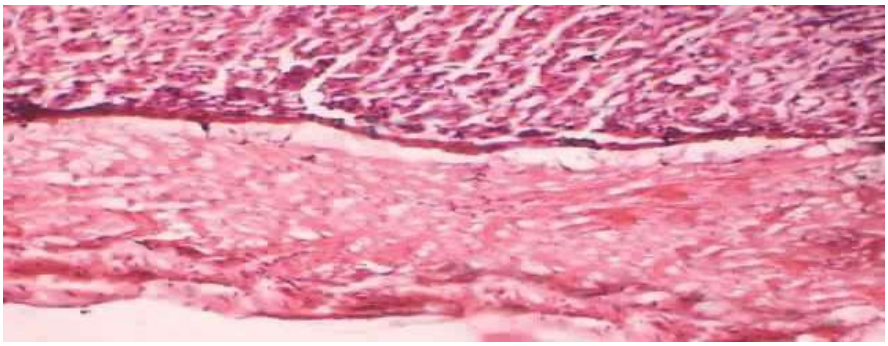


Figure: Section of DRUG treated stomach obtained from rabbit of STD group

Conclusion:

A novel floating drug delivery system of Coixol was formulated in an effort to increase the gastric retention time of the dosage form and to control drug release. Among the drugs currently in clinical use are several narrow absorption window, drugs that may benefit from compounding into a floating drug delivery systems. Replacing parenteral administration of drugs to oral pharmacotherapy would substantially improve treatment. It is anticipated that floating drug delivery systems may enhance this possibility.

With an increasing understanding of polymer behaviour and the role of the biological factors mentioned above, it is proposed that future research work in the FDDS should be aimed at discovering means to control accurately the drug input rate into the GI tract for the optimization of pharmacokinetic and toxicological profiles of anti ulcer drugs.

The formulated floating drug delivery system of Coixol Drug

HCl has fulfilled the following objectives of the present study

Identification of a minimal cut off size above which floating dosage form retained in the stomach for prolonged periods of time. This would permit a more specific control to be achieved in gastro retentivity.

Design of an array of FDDS having a narrow GRT for use according to the clinical need. E.g. dosage and disease state.

The approach of the present study was to develop floating tablets of anti-ulcer drugs of Coixol using natural polymer isolated from marine sources having desirable properties such as floating, swelling, biocompatible and biodegradable and proper utilization of natural polymer with minimized quantity, henceforth evaluate the release profiles of floating formulations. Formulation F14 containing 60mg was found to release a maximum of 98.25% at the 12th hour. Formulation R13 containing 80mg of was found to release a maximum of 98.71% at the 12th hour. The drug release from F14 and R13 were found to follow zero order kinetics. It was also found linear in Higuchi's plot, which confirms that diffusion is one of the mechanisms of drug release.

As the final conclusion, it was obvious that the floating formulations were able to delay the gastric emptying of Coixol tablets. Knowing that the dogs undergo gastric migrating myoelectric complexes than humans, the significant delay in the gastric emptying observed with the floating tablets when compared to the control is expected to be even longer in humans.

Floating tablets of Coixol showed better gastric cytoprotection when compared with conventional dosage form. This may be due to its extended duration of release and action

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