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Formulation and In-vitro Evaluation of Mesalamine Loaded Magnetic Microspheres for Colon Drug Delivery

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ABSTRACT
Magnetically responsive Mesalamine microspheres were prepared using Eudragit L100-55 and sodium alginate polymers via the solvent evaporation technique. Calibration curves in 0.1N HCl and phosphate buffers (pH 6.8 and 7.4) confirmed linearity and adherence to Beer-Lambert's law, with R^2 values of 0.999. FTIR spectra verified compatibility of Mesalamine with polymers, demonstrating characteristic functional groups without interaction. The formulations were evaluated for micromeritics, entrapment efficiency, particle size, drug content, drug release percentage, and kinetics. Results showed the drug release followed zero-order kinetics, supported by high correlation coefficients between time and cumulative drug release for all nasal in situ gel formulations. Formulation F3 was identified as optimal, with a particle size of 182 nm, 95% drug entrapment efficiency, and 75.62% drug release at 10 hours. The release mechanism followed Super case-II transport with an 'n' value of 1.669. These findings indicate that mesalamine-loaded magnetic microspheres have significant potential as a targeted drug delivery system, enhancing drug bioavailability and localized release.
Keywords: Mesalamine, magnetic microspheres, Eudragit L100-55, sodium alginate, solvent evaporation

INTRODUCTION

Drug Profile
Mesalamine
Mesalamine is a nonsteroidal anti-inflammatory drug (NSAID) used to treat mild to moderate pain.
Celebrex
Capsules: 100 mg
Capsules: 200 mg
Class: COX-2 inhibitor
Molecular Formula: $C_{17}H_{14}F_3N_3O_2S$.
Molecular Structure:

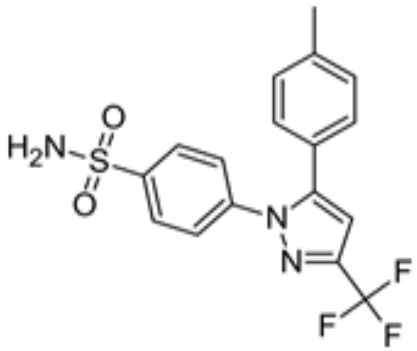

Fig.1: Structure of celcoxib

Molecular Weight: 381.373g/mol
Physical and Chemical Properties:
Colour: White to light Orange
Form: Powder
Odour: Practically Odourless
Bulk Density: 1.4±0.1 g/cm^3
Solubility: Mesalamine occurs as a white, powder or crystal-line powder. It is freely soluble in methanol, soluble in ethanol (99.5), and practically insoluble in water.
Partition Coefficient: above 103 at pH 7
Dissociation Constant: pKa
pKa (strong acidic)-10.7, pKa (Strong basic) -0.42
Melting Temperature: 161 – 164℃
Mechanism of action:
Mesalamine's mechanism of action is related to the specific inhibition of cyclooxygenase-2 (COX-2) which is responsible for prostaglandin production, which is an essential element of the pain and inflammation pathway. Mesalamine's analgesic, anti-inflammatory, and antipyretic properties are due to its pharmacologic action.
Pharmacokinetics:
Absorption:
Mesalamine is readily absorbed and reaches peak serum concentration in about 3 hours. It is extensively metabolised in the GI system, with just 3% of the medication remaining unaltered. Mesalamine is mostly excreted in the stools and urine.
Distribution:
Mesalamine is strongly plasma protein bound (97%) and has a linear binding profile within the therapeutic dosage range. It binds to both human plasma albumin and, to a lesser extent, a1-acid glycoprotein in vitro. At steady condition, the apparent volume of distribution (Vss/F) is roughly 400 L.

Metabolism:
Mesalamine is largely metabolised via methyl hydroxylation to generate hydroxy Mesalamine. This process is mostly catalysed by CYP2C9, with CYP3A4 playing a minor (25%) part.

Elimination:
It is extensively metabolised in the kidney, with just 3% of the medication excreted unaltered. Mesalamine is mostly excreted in the stools and urine. Mesalamine is largely metabolised via methyl hydroxylation to generate hydroxy Mesalamine.

Bioavailability:
Mesalamine's absolute bioavailability was greater when administered as a solution (64--88%) than when given as a capsule (22--40%).

Half-Life:11 Hrs

Daily Dose:
200 milligrams (mg) once a day or 100 mg 2 times a day

Indications:
Mesalamine and other NSAIDs (nonsteroidal anti-inflammatory medications), together with paracetamol, are recommended by the FDA as first-line analgesics for patients with osteoarthritis and rheumatoid arthritis. Mesalamine is also approved by the FDA to treat acute pain in adult women and primary dysmenorrhea.

Side Effects:

- Unexplained weight gain.
- Shortness of breath or difficulty breathing.
- Swelling of the abdomen, feet, ankles, or lower legs.
- Diarrhoea.

MATERIALS AND METHODS

Table 1: List of chemicals

S.No	Materials	Suppliers
1	Mesalamine	Orchid chemicals and Pharmaceutical Pvt. Ltd
2	Eudragit L-100	Merck Pvt Ltd
3	Sodium alginate	Sd fine chemicals
4	Chitosan	Asian scientific
5	Carrageenan	SD fine chemicals
6	Magnetite [FeO,FeCl2]	Yarrow chemicals
7	Acetone	SD fine chemicals
8	n-hexane	Yarrow chemicals
9	Light liquid paraffin	Asian scientific

Table 2: Equipment used

Equipment's	Manufacturer
UV-Spectrophotometer	SHIMADZU
Dissolution test apparatus	Lab India
pH meter	Systronics
Magnetic stirrer	REMI
Fourier Transfer Infrared Spectroscopy	BRUKAR

Organoleptic properties:
Estimate physical appearance, colour, odour and taste.

Melting point: We are above to estimate melting point by capillary method.

Solubility: We are going to estimate solubility of Mesalamine with various solvents as per IP

Preformulation Studies:

Construction of calibration curve for Mesalamine
Calibration curves were constructed in 0.1N HCl, pH 6.8 and 7.4 phosphate buffers.

Preparation of primary stock solution
10mg Mesalamine was carefully weighed and dissolved in respective media, namely 0.1N HCl, pH 6.8 and 7.4 phosphate buffers taken in a 10 ml volumetric flask, and ultimately made up to 10 ml using the corresponding media to achieve a concentration of 1mg/mL or 1000g/mL

Preparation of Secondary stock solution
Stock solution 2 was made from stock solution 1. 1ml of the primary stock solution is placed in a 10ml volumetric flask to achieve a concentration of 100 g/ml. 0.5,1,1.5,2, and 2.5mL of the stock 2 solution were serially diluted to 10mL with corresponding medium to produce concentrations of 5,10,15,20,25g/ml. The absorbances of these concentrations were measured at 304 nm using a double beam Shimadzu UV-visible spectrophotometer (1800) against the corresponding blank solution.

Calibration curves:
The calibration curve was created by graphing absorbance versus Mesalamine concentration. The regression equation was generated from the plot, which was employed in the current work for medication estimate.

FTIR studies:
Fourier Transfer Infrared Spectroscopy is used to detect any potential incompatibilities between the medicine and the excipients. By using the pressed pellet method, the samples were fully mixed with a suitable diluting material, Potassium Bromide (KBr). The produced pellets were utilized for FTIR analysis. Using an infrared spectrophotometer (BREUKERALPHA), the spectra of Mesalamine and other excipients used in formulations was recorded.

Procedure:
Solvent evaporation was used to create microspheres. Individually weighed but varied volumes of Eudragit L-100, Carrageenan, and chitosan were dissolved in 10 mL of acetone over a cyclo-mixer, and correctly weighed medication was added to each polymer solution. The polymer and medication solution in acetone was then supplemented with 10 mg of magnesium stearate. Finally, the drug polymer solution received the appropriate quantity of magnetite. With a mechanical stirrer, the organic phase was poured dropwise into 25 mL of a 1:1 mixture of light and heavy liquid paraffin. To achieve smaller microspheres, high stirring rates of around 4 000 rpm were used. Eight hours were spent stirring. Hexane (20 mL) was added to the agitated contents. To remove any clinging liquid paraffin from the surface of the microspheres, the batch was filtered and washed three times with hexane, each time for 10mL. The microspheres were then washed many times with distilled water to eliminate any unentrapped medication on the surface. Several batches of microspheres were created by changing the drug-polymer ratio while maintaining all other formulation parameters constant.

In vitro techniques:
In-vitro release studies may be carried out for each size fraction independently using the USP XXII paddle technique. Accurately weighed microspheres (100 mg) were added to 900 mL of PBS (phosphate buffer saline, pH7.4) and swirled at 100 rpm at (37.00.5) OC. At predetermined time intervals, 5ml samples were extracted, filtered. Mesalamine concentration was evaluated spectrophotometrically at 254nm wavelength.

Release kinetics of the optimized formulations

Drug Release Kinetics

The data from the in vitro release research was applied to various motor circumstances. Zero request (aggregate level of medication discharge versus time), first request (log total level of medication remaining versus time), Higuchi model (total level of medication discharge versus square base of time), and Korsmeyer-Peppas (log combined percent sedate delivery versus log of time) were the active models used. Relapse (r2) values were calculated for the direct bends obtained from relapse research.

Kinetic analysis:

The grid frameworks were accounted for in order to follow the zero-request discharge rate and the Diffusion component for pharmaceutical arrival. The information obtained was fitted into the Zero request, First request, Higuchi lattice, and Peppa's model to break down the system for the delivery and delivery rate energy of the measurements structure. The best fit model was picked in this case based on the r Values obtained.

Kinetics of zero order:

The following equation can be used to depict drug dissolution from pharmaceutical dosage forms that do not disaggregate and release the medication slowly, provided that the area does not change and no equilibrium conditions are established.

$$Qt = Q0+K0t$$

Where Qt represents the quantity of drug dissolved in time t, Q0 represents the starting amount of drug in the solution, and Ko represents the zero order release constant. To investigate first order release kinetics, the release rate data were fitted to the following equation.

$$Qt = \log Q0 + k1t/2.303.$$

Where Qt represents the quantity of drug released in time t, Qo represents the initial amount of drug in the solution, and K1 represents the first order release constant.

Higuchi model:

Higuchi created many theoretical models to investigate the release of water-soluble and low-soluble medicines integrated in semisolids and/or solid matrices. For drug particles distributed in a uniform matrix acting as a diffusion medium, mathematical formulas were developed. And the formula is

$$Qt = KH.t1/2.$$

Where Qt represents the quantity of medication released in time t and KH represents the Higuchi Dissolution constant. The Korsmeyer and Peppa model: To investigate this concept, the following equation is fitted to the release rate data.

$$Mt/M = Ktn$$

Where Mt/M is the drug release percentage, K is the release constant, t is the release period, and n is the drug release diffusion exponent that depends on the geometry of the matrix dosage form.

Table 3: Diffusion exponent and solute release mechanism for cylindrical shape Diffusion

Diffusion coefficient	Overall solute diffusion mechanism
0.45	Fickian diffusion
0.45<n<0.89	Anomalous (non-fickian diffusion)
0.89	Case II transport
n>0.89	Super Case II transport

RESULTS AND DISCUSSION

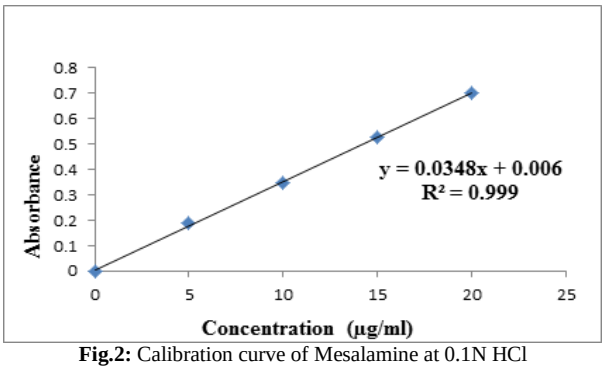

Fig.2: Calibration curve of Mesalamine at 0.1N HCl

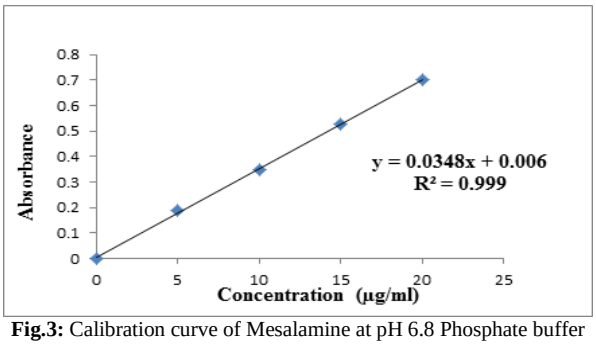

Fig.3: Calibration curve of Mesalamine at pH 6.8 Phosphate buffer

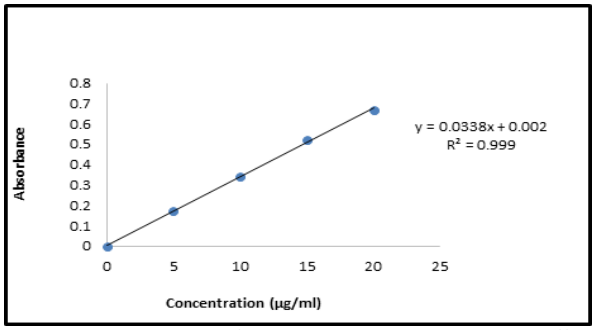

Fig.4: Calibration curve of Mesalamine at pH 7.4 Phosphate buffer

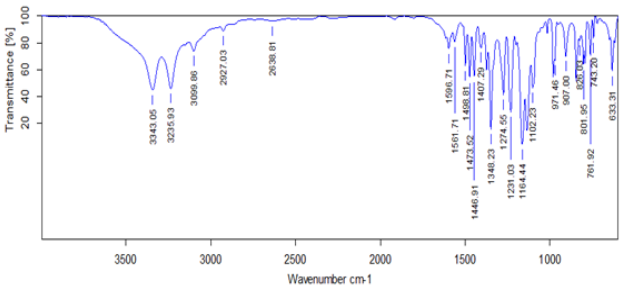

Fig.5: FTIR Spectra of Drug (Mesalamine)

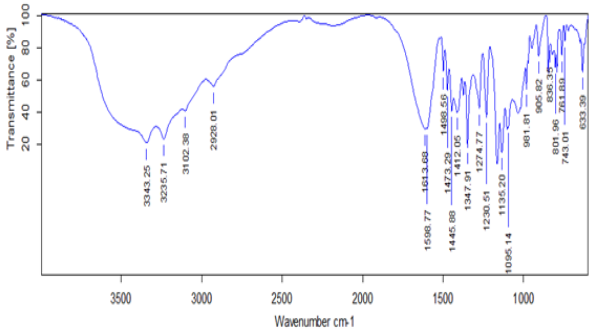

Fig.6: FTIR Spectra of Drug + Sodium alginate

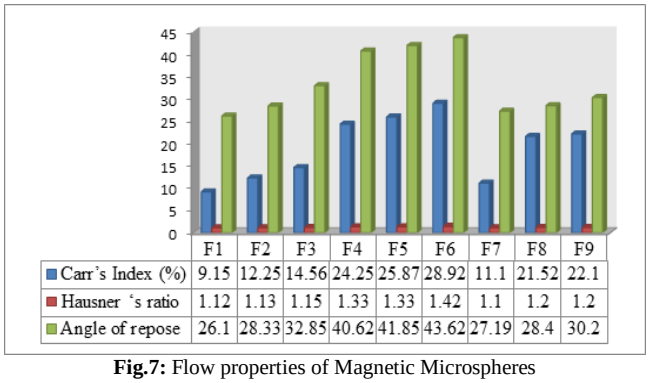

Fig.7: Flow properties of Magnetic Microspheres

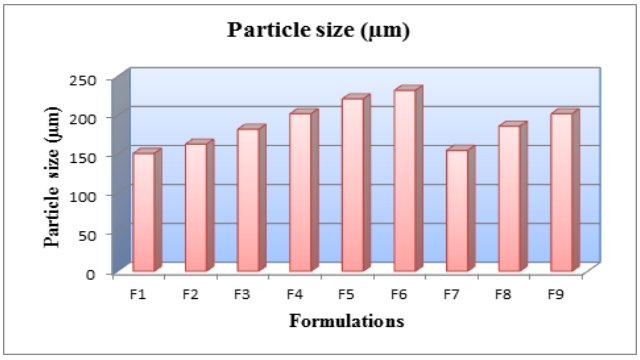

Fig.8: Particle size of formulation (F1-F9)

Table 3: Formulation table for Mesalamine Magnetic microspheres

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9
Mesalamine (mg)	400	400	400	400	400	400	400	400	400
Sodium alginate (mg)	50	100	150	50	100	150	50	100	150
Chitosan (mg)	50	100	150	-	-	-	-	-	-
Carrageenan (mg)	-	-	-	50	100	150	-	-	-
Eudragit L-100 (mg)	-	-	-	-	-	-	50	100	150
Magnetite (mg)	100	100	100	100	100	100	100	100	100

Table 4: FTIR Spectra of Drug + Sodium alginate

Observed Frequency cm-1	Type of bond	Type of vibration	Conformation
3343.05	N-H	Stretching	Primary amine
2928.01	C-H	Stretching	Alkane
1347.91	O-H	Bending	Carboxylic acid
1274.77	C-O	Stretching	Aromatic ester
1135.2	C-N	Stretching	Aliphatic amine

Table 5: FTIR Spectra of Drug + Eudragit-L 100

Observed Frequency cm-1	Type of bond	Type of vibration	Conformation
3442.6	N-H	Stretching	Primary amine
2953.04	C-H	Stretching	Alkane
1388.99	O-H	Bending	Carboxylic acid
1266.09	C-O	Stretching	Aromatic ester
1160.88	C-N	Stretching	Aliphatic amine

CONCLUSION

Based on the research and findings, it was determined that the Chitoson Magnetic microspheres were created using the solvent evaporation method, and these were examined. The results indicate that magnetic microspheres are an excellent drug carrier, increasing drug bioavailability. And, when all other assessment criteria of all formulations are taken into account, F3 formulation may be picked as the best formulation, with particle size in the minute range of 182 nm, drug entrapment effectiveness of 95%, and drug release at the 10th hour of 75.62%. The release exponents show that the value of 'n' was 1.669, indicating that the dose is governed by zero order kinetics and the Super case-II transport mechanism. So, according to the study's extract, magnetic microspheres filled with Mesalamine have a high potential for drug delivery.

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CONFLICT OF INTERESTS

The authors declare no conflict of interest

ETHICS APPROVAL: Not applicable

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AI TOOL DECLARATION

The authors declare that no AI and related tools are used to write the scientific content of this manuscript.

DATA AVAILABILITY

Data will be available on request

REFERENCES

[1] K.Y. Lee, D.J. ve Mooney, Alginate: properties and biomedical applications, Prog. Polym. Sci. 2011; 37: 106–126.

[2] A.M. Reddy, R. Karthikeyan, R. SriVejandla, G. Divya, P.S. Babu, Controlled release matrix drug delivery system –a review, Int. J. Allied Ed. Sci. Clin. Res. 2017; 5(2):384–398.

[3] Ö. Tarançı, Determination of Antioxidant, Anticarcinogenic and Apoptotic Effects of Some Plant Extracts in Cancer Cells, Master Thesis Gazi University, Institute of Science and Technology, Ankara, 2014: 24–26.

[4] Ö. Oylar, İ. Tekin, The importance of nanotechnology in the diagnosis and treatment of cancer, UludağUniv. J. Faculty Eng. 16 (1) (2011) 147–154.

[5] C.G. Da Rosa, C.D. Borges, R.C. Zambiazi, M.R. Nunes, E.V. Benvenutti, S.R. Luz, R.F. D'Avila, J.K. Rutz, Microencapsulation of gallic acid in chitosan, beta-cyclo-dextrin and xanthan, Ind. Crop. Prod. 46 (2013) 138–146.

[6] M. Aprilliza, H. Aprilliza, Characterization and properties of sodium alginate from Brown algae used as an ecofriendly superabsorbent, IOP Conference Series: Materials Science and Engineering. 2017; 188: 1–5.

[7] M. Pradeep Kumar, M. Siddeswara, M. Santhosh Raja, S. Yasmin, C. Vijay kumar et.al, Formulation and Evaluation of Nefidipine, Asian Journal of Chemical and Pharmaceutical Research, 2016, vol-4(2):92-101.

[8] M. Pradeep Kumar, M. Purushothaman, M. Siddeswara, M. Santhosh Raja, S. Yasmin, Review on Liquid Crystals, International Journal of Current Trends in Pharmaceutical Research, 2016, vol-4(3):125-131.

[9] D Singh, MS Maniyari Rawat, A Semalty, M Semalty, Gallic acid-phospholipid complex: drug incorporation and physicochemical characterization, Lett. Drug Des. Discov. 2011; 8: 284–291.

[10] YP Neo, S Ray, J Jin, M Gizdavic-Nikolaidis, MK Nieuwoudt, D Liu, SY Quek, Encapsulation of food grade antioxidant in natural biopolymer by electrospinning technique: a physicochemical study based on zein–gallic acid system, Food Chem. 2013; 136: 1013–1021.

[11] Neelima S, Pradeep Kumar M, Siva kala T, Purushothaman M, An Investigation of Hepatoprotective Activity of Methanolic Extract of *Ipomea reniformis* on Paracetamol Induced Hepatotoxicity in Rats, International Journal of Pharmacy & Pharmaceutical Research, November-2016, Vol-7, Issue-4, 157-164.