

FORMULATION & EVALUATION OF MICROSPONGE DRUG DELIVERY SYSTEM FOR THE MANAGEMENT OF PAIN

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ABSTRACT

Microsponges are unique dermatological delivery techniques that can entrap actives 3 times their weight. The microsp sponge drug delivery system (MDS) releases its active ingredient on time and in reaction to rubbing, temperature, and pH when given by topical route. The particles or surfaces of microsponges include several active components. Each non-collapsible microsphere has many interconnected gaps to absorb material like a sponge. Porous outer surfaces govern material flow into and out of the sphere. Nimesulide is known as "non-steroidal anti-inflammatory drug." As an analgesic and antipyretic, it reduces mild to moderate pain, fever, and inflammation. When used topically, nimesulide-loaded microsponges outperform conventional drug powder for inflammation in that they function more effectively and mitigate negative effects. The main objective of this study to formulate and evaluate the nimesulide microsponges by using various drug polymer (ethyl cellulose) ratio. We used simple, reproducible, and quick quasi-emulsion solvent diffusion to formulate the microsp sponge. After formulation, the microsponges were analyzed for their physical appearance, production yield, drug loading efficiency, particle size distribution, in vitro drug release, kinetics of drug release, scanning electron microscopy, and optical microscopy for particle size characterization. Controlled medication delivery via polymer-based systems is expected to be prevalent in the future due to its scientific and economic benefits. To reduce application frequency, hypersensitivity reactions, and safety over conventional formulation, polymeric microsp sponge delivery system distributed nimesulide continuously for a long time.

KEYWORDS: Microsponges, Nimesulide, microsp sponge drug delivery system (MDS)

INTRODUCTION^[1-12]

Microsponges are porous microsphere-based polymeric delivery system. These tiny sponge-like spherical particles have a huge porous surface. They may also improve stability, adverse effects, and medication release. Microsp sponge technology provides adaptable drug delivery due to its various benefits. Microsp sponge Systems use microscopic, polymer-based microspheres to suspend or entrap a range of compounds and incorporate them into gels, creams,

liquids, or powders.

MDS can efficiently improve topically active drug efficacy, safety, product stability, and aesthetics. Pharmaceutical experts struggle to restrict active agent distribution to a specific body region.

Transdermal delivery systems (TDSs) use the skin as an entrance point for a number of dependable and predictable medication delivery systems. Many medications that could be better used topically have had their effectiveness and safety enhanced.

But TDS is impractical for skin-targeted compounds. Non-collapsible microsponges release active chemicals controlled by their porous surface. Pore length can reach 10 μm and volume 1ml/g, depending on size.

The microsphere drug delivery system (MDS) releases its active ingredient on time and in response to rubbing, temperature, and pH when applied to the skin. Microsponges pack a lot of active elements into their particles or surfaces. Microsponges can entrap actives up to 3 times their weight, making them unique dermatological delivery methods. Microsphere is mostly utilized for transdermal medication administration.

Each microsphere has many interconnecting spaces in a non-collapsible structure that can absorb numerous substances, like a sponge. Porous outer surfaces allow controlled material flow into and out of the sphere.

Polymers in microsphere systems are physiologically inert. Numerous safety investigations have shown that the polymers are non-irritating, non-mutagenic, non-allergenic, non-toxic, and non-biodegradable.

Recent study intends to build a drug delivery system with a prodigious rate and maximum therapeutic advantages for safe and effective illness management. Novel medication delivery techniques include microsphere. Microsponges make gels, lotions, pills, and powders.

Conventional topical formulations are intended to work on the outer layer of skin. Microsphere system prevents epidermis and dermis component accumulation in topical preparations. Active release is usually unregulated by microcapsule. When the microcapsule wall breaks, the active substances are released, but microsponges govern the release. Other market drivers include focused, localized therapeutic agent delivery.

Due to their limited efficiency, many conventional delivery systems require a lot of medication for effective therapy. Thus, a delivery strategy must optimize active ingredient presence on the skin surface or in the epidermis while reducing transdermal penetration into the body.

The microsphere-based polymeric microspheres meet such needs uniquely. Microsphere is a novel method for controlled topical chemical release. It uses microporous beads 10-25 μm in diameter loaded with active agent. When applied to the skin, the MDS releases its active ingredient on time and in reaction to rubbing, temperature, pH, etc. Cosmetics, OTC, sunscreens, and prescriptions use MDS technology. Microsponges are porous microspheres with many interconnecting 5-150 μm spaces. Microsponges are tiny spherical particles with enormous porous surfaces. Unique microsphere medication delivery device controls active component release. Its advantages over previous technologies include decreased side effects, stability, elegance, and formulation flexibility.

Up to 25, 000 pores and a 10-foot internal pore structure can give a 25 micrometer sphere a total pore volume of 1 ml/g. Each microsponge has a vast reservoir that can hold its own weight of active substance. Microsponge particles are large enough to not permeate into the skin, making them harmless.

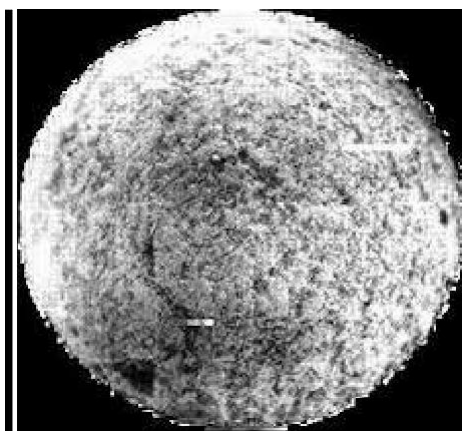


Figure 1: Image of microsponge.

3.3.1 Advantages

- Microsponges are biosafe and allow programmable release.
- They can absorb or load a significant amount of active substance onto or into particles.
- Microsponges remain stable at pH 1-11 and 130°C.
- Microsponges are suitable with most vehicles and substances.
- Offers continuous activity for 12 hours.
- Improve control of condition by increase in drug residence time.
- Enhances thermal, chemical, and physical stability.
- Enables immiscible product incorporation.

3.3.2. Characteristics of microsponges

- Microsponge formulation tolerates temperatures up to 1300°C.
- Microsponge formulation is self-sterilizing due to its 0.25µm pore size, preventing bacteria penetration.
- Microsponge formulation is stable from pH 1 to 11.
- Microsponge compositions are suitable with most vehicles and substances.
- Microsponge formulations have higher payload (50 to 60 %), still free flowing and can be cost effective.

3.3.3. Characteristics of materials to be entrapped in microsponges

Active ingredient which is to be incorporated into microsponges must fulfil following requirements,

- a. It should be monomer-inert.
- b. To prevent cosmetic issues, the vehicle should include no more than 10 to 12% w/w microsponges of active substances. If not, vehicle will deplete microsponges before application.
- c. Microsponge structure should be spherical and not collapse.

d. It should be stable in contact with polymerization catalysis and conditions of polymerization.

4. MATERIALS AND METHODS^[13-16]

The objective of this study was to formulate and assess microsponges containing nimesulide. The research endeavor utilized the following materials and methods:

4.1. Materials

To produce microsponges of Nimesulide, the drug Nimesulide was obtained as a complimentary sample from Glenmark Pharmaceutical Ltd. Baddi, ethyl cellulose, polyvinyl alcohol, and carbopol were got from S.D. fine chem. Dichloromethane was acquired from qualigens fine chem.

4.2.1. Preformulation Studies

Preformulation is a crucial stage in the research and development process of a novel medicinal substance. It involves the characterization of the physical, chemical, and mechanical properties of the drug substance both on its own and when combined with other substances called Excipients. The goal of preformulation is to generate a dosage form that is stable, safe, and effective.

Physicochemical parameters can justify formulation design, molecular change, or compound formation.

The goals of the programme are –

- To identify physicochemical requirements for a new drug substance.
- To determine compatibility with various excipients.

Identification

Preformulation drug study parameters:

4.2.2. Organoleptic properties of drug

Nimesulide was defined by color, nature, odor, and taste. All parameters were recorded and compared to standard.

4.2.3. Determination of melting point

Nimesulide melts at 148-150°C.^[17] Melting point of nimesulide was established by capillary tube.

One end of a 3-mm capillary tube was sealed with medication. The bottom of the capillary tube was tapped.

Next, the capillary tube was put into the melting point equipment.

Increased equipment temperature allowed rapid melting point determination. Magnifying lens showed melting. The procedure was done three times to get triplicate readings.

4.2.4. Determination of λ_{max}

UV absorption of nimesulide is seen at λ_{max} 295 nm. A graph of the nimesulide calibration curve was made in methanolic phosphate buffer (1:3). A Shimadzu 1800 UV- VIS Double Beam Spectrophotometer operating from 200 to 400 nm was used to perform spectrophotometric evaluation of the medicine.

4.2.5. Solubility analysis of Nimesulide

The amount a solute dissolves at a specific temperature is known as its solubility. The solubility of nimesulide was examined in acetone, methanol, water, and phosphate buffer at pH 7.4.

At room temperature, 10 mg of pure medication were precisely weighed into 10 ml of each solvent and sometimes shaken for a full day. After that, solubility was evaluated visually.

4.2.6. Preparation of standard curve of Nimesulide

100 mg of Nimesulide was carefully weighed and dissolved in 3:1 phosphate buffer and methanol. With phosphate buffer and methanol, 100 ml was created. 10 ml of standard solution was taken out and 100 ml of phosphate buffer and methanol (3:1) was added into it.

Transfer aliquots of 1, 2, 3, 4, 5, and 6 ml from the prepared standard solution to a 10 ml volumetric flask and adjust the volume to achieve concentrations of 1, 2, 3, 4, 5, and 6 µg/ml. These solutions' absorbances were measured at 295 nm using UV/VIS spectrophotometer.

4.2.7. Infrared Spectroscopy

Nimesulide was FTIR-analyzed utilizing a KBr pellet technique. Spectral interpretation of Nimesulide's IR absorption peaks was done.

4.3. Method of preparation of Nimesulide microsponges

Microsponges were made using quasi-emulsion solvent diffusion. Ethyl cellulose in 20 ml dichloromethane was the internal phase. Following ultrasonic dissolution, Nimesulide was added. Allowing surfactant to cool at room temperature.

The internal phase of nimesulide and ethyl cellulose polymer was introduced drop-by-drop at 300 rpm. After 3 hours of stirring, dichloromethane evaporated, forming microsponges.

After three distilled water washes, the microsphere was filtered and dried overnight at room temperature. Five drug-ethyl cellulose ratios with varied DCM amounts were used to evaluate the influence of drug: polymer ratio on microsphere physical properties. The obtained microsponges were then stored in a glass container.

4.3.1. Formulation chart on microsphere preparation

Table sharing all formulation compositions:

Table 4: Formulation compositions Characterization of microsponges.

S. no.	Formulation code	Drug: Polymer ratio	Drug	E. C. polymer	Dichloromethane (ml)	PVA (mg)
1	F ₁	1:1	750	750	20	50
2	F ₂	1:1.5	500	750	20	50
3	F ₃	1:2.5	500	1250	20	50
4	F ₄	1:3.5	500	1750	20	50
5	F ₅	1:4.5	300	1350	20	50

4.4.1. Physical appearance

The prepared microsponges were physically evaluated visually for their appearance, colour and other property.

4.4.2. Production yield

Microsphere production yield was determined by the formula mentioned below:

$$\text{Production yield} = \frac{\text{practical mass of microsphere}}{\text{theoretical mass}} \times 100$$

4.4.3. Drug content in weighed quantity of microsp sponge

The 100 mg drug-loaded microsp sponge was dissolved in 100 ml solvent, phosphate buffer: methanol with continuous stirring and filtered using Whatmann filter paper. The filtrate was diluted and measured at 295 nm against blank using U. V. spectrophotometer. Expressions were used to estimate drug content for all batches:

$$\text{Actual drug content (\%)} = \frac{M_{act}}{M_{mms}} \times 100$$

Where M_{act} = Actual Nimesulide content in weighed quantity of microsponges.

M_{mms} = Weighed quantity of microsponges.

4.4.4. Scanning electron microscopy

Scanning electron microscopy of nimesulide microsponges was performed in S. K. V. in G. B. Pant University of agriculture and technology.

4.4.5. Particle size analysis

Particle size analysis was done by optical microscopy.

4.4.6. Loading efficiency

Loading efficiency of microsp sponge was determined by the formula written below-

$$\text{Loading efficiency} = \frac{\text{actual drug content in microsp sponge}}{\text{theoretical drug content}} \times 100$$

4.4.7. In vitro release study

Healthy human skin pH is 4.5–6. When a person has skin condition, the pH goes above 6. Drug release tests of Nimesulide microsp sponge were done in 1:3 methanolic phosphate buffer. Modified equipment was used to study microsp sponge formulation release in-vitro employing cellophane membrane. Methanol and phosphate buffer (1:3) were utilized for dissolution. Cellophane membrane boiled for 1 h in dissolution medium was fastened to one end of a specially made glass cylinder (open at both sides).

Formulation (equivalent to 50 mg of nimesulide) was accurately placed into this assembly. This assembly accurately received 50 mg of nimesulide formulation. The cylinder sits on a stand, suspended in 100 ml of $37 \pm 1^\circ\text{C}$ dissolving medium, with the membrane touching the receptor surface. Teflon-coated magnetic beads swirled the dissolving media at 100 RPM.

At a set period, 1 ml (diffusion medium) aliquots were replaced with an equal volume of receptor media to maintain the sink state. Periodic samples were obtained for 24 h. UV spectrophotometers (Shimadzu UV-VIS 1800 Pharma spec.) examined samples at 295 nm.

The cumulative proportion of medicine released was plotted against time to determine all microsp sponge formulations' drug release behavior.

5. RESULTS

5.1 Preformulation studies

5.1.1 Physical properties: Physical characteristics of drug powder were studied. Nimesulide was a pale yellow crystalline powder. This assembly received 50 mg nimesulide correctly. The membrane of the cylinder touches the receptor surface while suspended in 100 ml of $37 \pm 1^\circ\text{C}$ dissolving liquid on a stand. At 100 RPM, Teflon-coated magnetic beads stirred dissolving media. odourless.

5.1.2 Melting point: Nimesulide melted at $148-148.4^\circ\text{C}$ (literature standard $148-150^\circ\text{C}$).

5.1.3. Solubility: Solubility of nimesulide was studied in different solvents at room temperature revealed that it was freely soluble in methanol, acetone and dichloromethane. Sparingly soluble in phosphate buffer pH 7.4. Insoluble in water.

5.1.4. Determination of λ_{max}

A $100\mu\text{g/ml}$ nimesulide stock solution was produced in a 1:3 ratio of methanol and phosphate buffer pH – 7.4 and scanned at 200-400nm by UV. The λ_{max} of Nimesulide was 295nm.

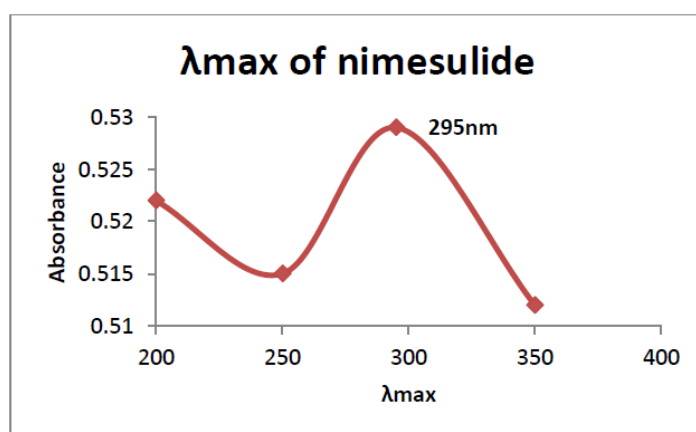


Fig. 8: λ_{max} of nimesulide.

5.1.5. Standard curve of Nimesulide

The stock solution (methanol and phosphate buffer mixture in ratio 1:3) was used to prepare drug concentrations from $1\mu\text{g/ml}$ to $6\mu\text{g/ml}$. Drug solution absorbance was measured at 295nm against reference. Absorbance was compared to concentrations. The findings are in table 5. The curve was linear at 295 nm for 1 to $6\mu\text{g/ml}$. $R^2=0.998$ and equation $Y=0.067x+0.006$.

Calibration reading

Table 5: Absorbance of nimesulide in methanol: phosphate buffer at 295nm.

Concentration($\mu\text{g/ml}$)	Absorbance
0	0
1	0.079
2	0.146
3	0.213
4	0.268
5	0.348
6	0.410

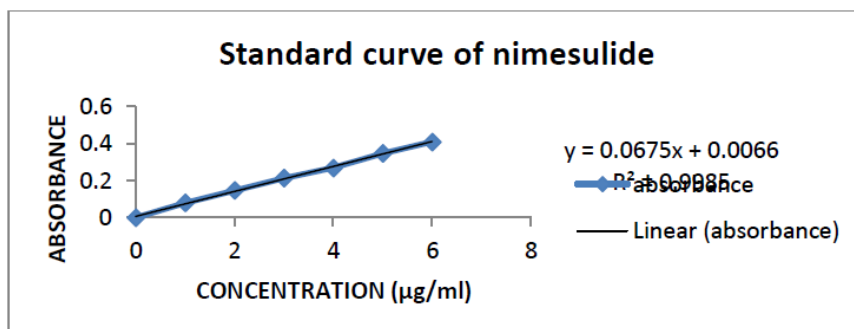


Fig. 9: Calibration curve of Nimesulide.

5.1.6. FTIR studies of drug, excipients

FTIR investigations confirmed the authenticity of pure drug and detected drug interaction with Nimesulide microsp sponge ingredients.

The drug's IR spectra exhibited N-H stretching at 3283cm⁻¹, N=O stretching at 1595cm⁻¹, and O=S=O stretching at 1146cm⁻¹. Other peaks were 1518.04cm⁻¹, 1409.06cm⁻¹, 1073.43cm⁻¹, 812.07cm⁻¹, 696.33cm⁻¹, and 515.83cm⁻¹, indicating Nimesulide in microsp sponge form.

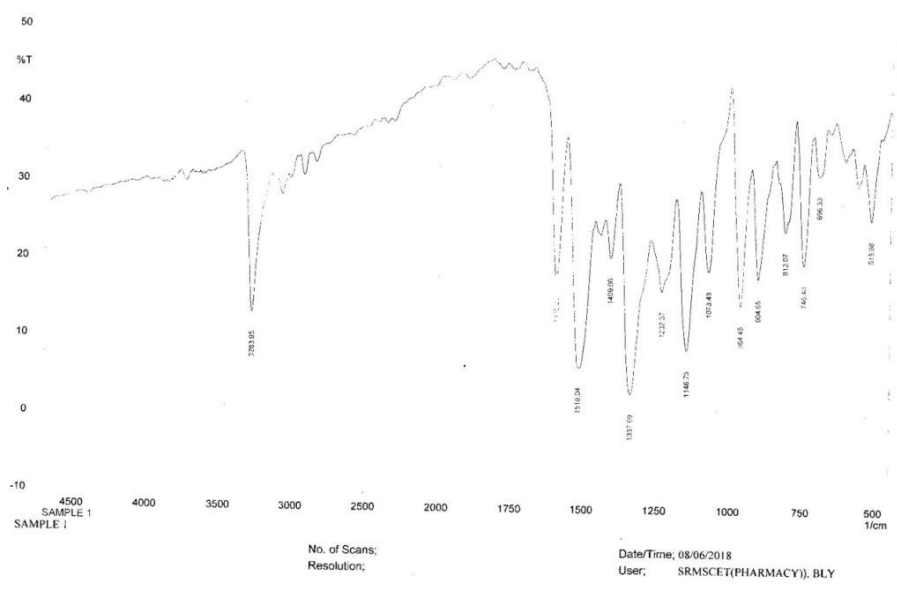


Fig. 10: FTIR spectra of pure drug.

FTIR peaks of ethyl cellulose include 3475.5cm⁻¹ for N-H stretching, 2976.2 cm⁻¹ for C-H aliphatic group, 1626.1 cm⁻¹ for C=C bend, 1378.7 cm⁻¹ for C-H asymmetrical stretching mode, 1279.3 cm⁻¹ for CH₃ methylene groups, and 918.9 cm⁻¹ for isopropyl groups.

The vibrational peak at 3475cm⁻¹ reflects N-H stretching, indicating ethyl cellulose in formulation. Vibrational peak 2923cm⁻¹ reveals O-H stretching, peak 2358, 1517cm⁻¹ shows N=O stretching, indicating ethyl cellulose polymer, and peak 1150cm⁻¹ shows S=O. Nimesulide was detected at 1521.90cm⁻¹, 1158cm⁻¹, and 751.31cm⁻¹ in F1. F2 exhibited peaks at 2358.08cm⁻¹, 1517.08cm⁻¹, 1150.59cm⁻¹, and 748.41cm⁻¹, indicating Nimesulide in formulation.

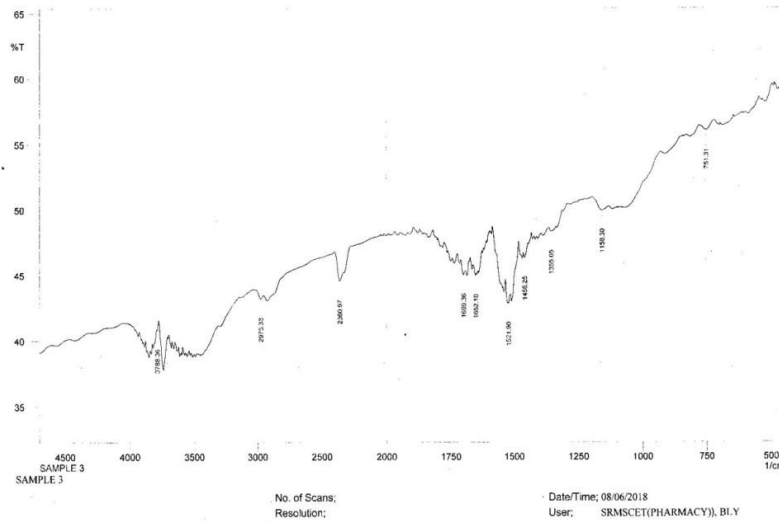


Fig. 11: FTIR spectra of formulation F1.

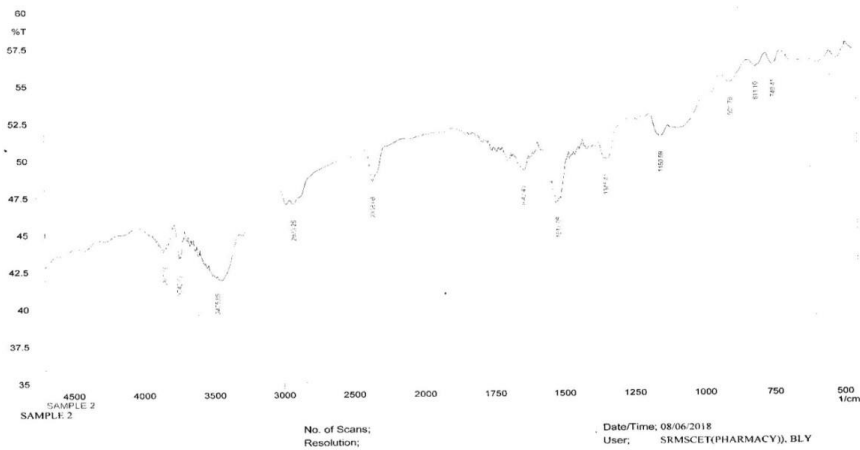


Fig. 12: FTIR spectra of formulation F2.

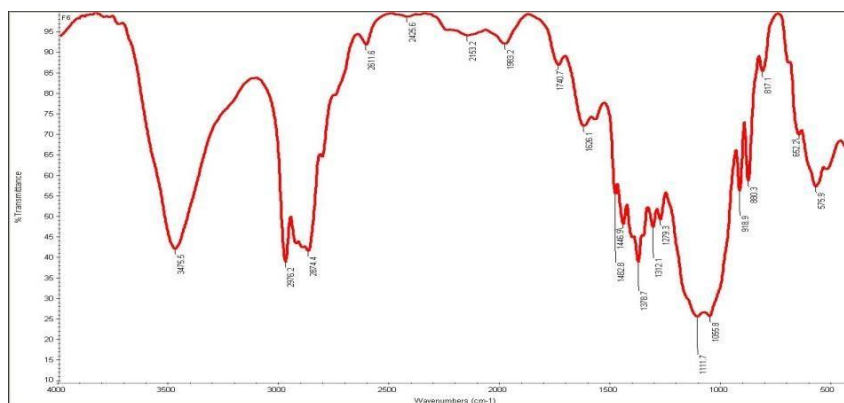


Fig. 13: FTIR spectra of ethyl cellulose.

5.2 Evaluation of Nimesulide microsponge

5.2.1. Physical appearance

Yellowish-white microsponge particles were made by quasi-emulsion solvent diffusion.

5.2.2. Production yield

The yield of all nimesulide microsponge formulations F1–F5 was 59.06–75.75 percent.

Table 6: Percentage production yield of formulations.

Formulation	% w/w Production yield
F1	59.06
F2	62.23
F3	65.49
F4	66.01
F5	75.75

5.2.3. Drug loading efficiency

All the formulations were evaluated for nimesulide loading efficiency. The results are shown in following table:

Table 7: Percentage drug loading efficiency.

Formulation	% Loading efficiency
F1	71.62± 0.54
F2	69.14± 1.82
F3	65.25± 2.74
F4	64.72± 0.63
F5	60.55± 1.33

5.2.4. Drug content

The formulations were analysed for drug content using methanol and phosphate buffer as solvent for extracting drug from the formulations. The drug content was found to be as follows:

Table 8: Actual drug content.

Formulation	% drug content
F1	60.63±0.37
F2	44.43±0.95
F3	28.46±0.97
F4	21.75±0.13
F5	14.33±0.21

5.2.5. Scanning electron microscopy

Microsponge formulations were observed in Scanning electron microscope. And results of images are shown in figure 14, 15 and 16.

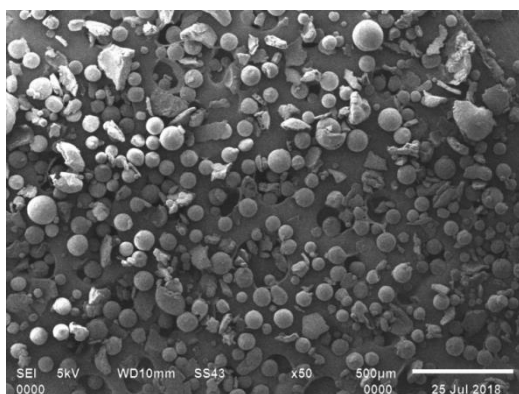


Fig. 14: SEM image of formulation F1.

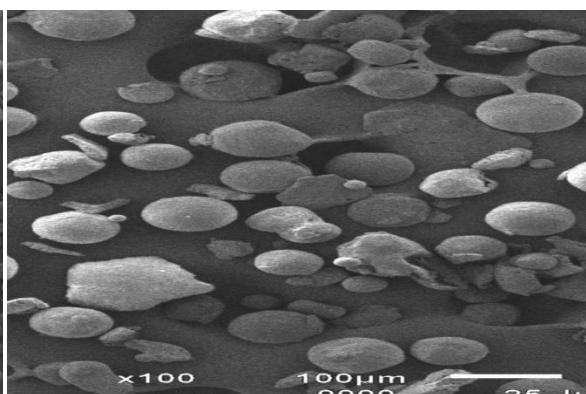


Fig. 15: Porus view of F1 formulation.

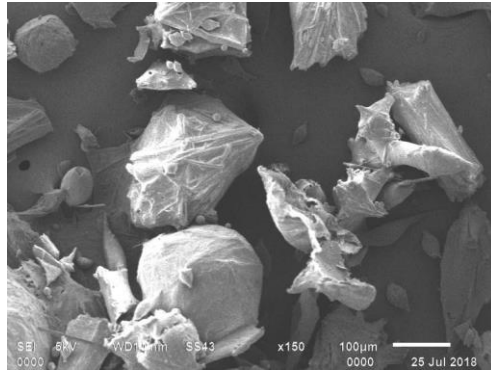


Fig. 16: SEM image of formulation F2.

5.2.6. Particle size analysis by optical microscope

The formulations were evaluated for particle size by optical microscopy. The mean particle size of microsponge should be in the range of 5 – 300 µm. The results are shown in the table 9.

Table 9: Particle size of microsponges. (n=100 particles)

Formulation	Average Particle size(µm)
F1	16.98
F2	20.94
F3	21.96
F4	23.10
F5	24.78

5.2.7. Particle size distribution

All the formulations after being evaluated for average particle size were subjected to sizedistribution analysis. The results are shown in figure 17, 18 and table 10.

Table 10: Mode of particles.

Size range(µm)	No of particles				
	F1	F2	F3	F4	F5
6	21	6	2	2	1
12	19	13	10	2	1
18	27	30	33	36	25
24	22	28	31	29	30
30	11	23	25	31	43
Mode	18	18	18	18	30

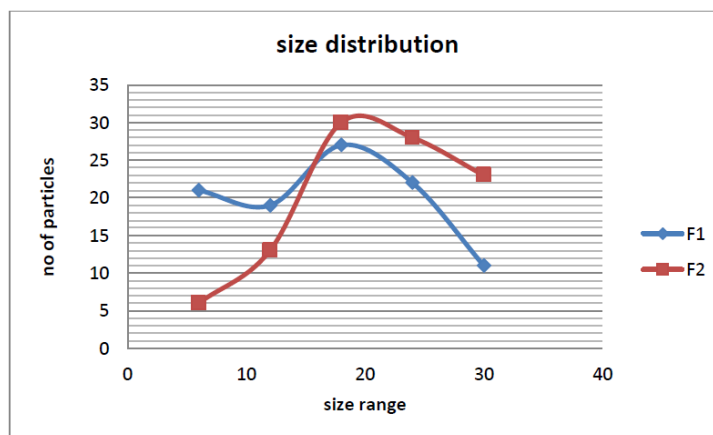


Fig. 17: Particle size distribution of formulations F1 and F2.

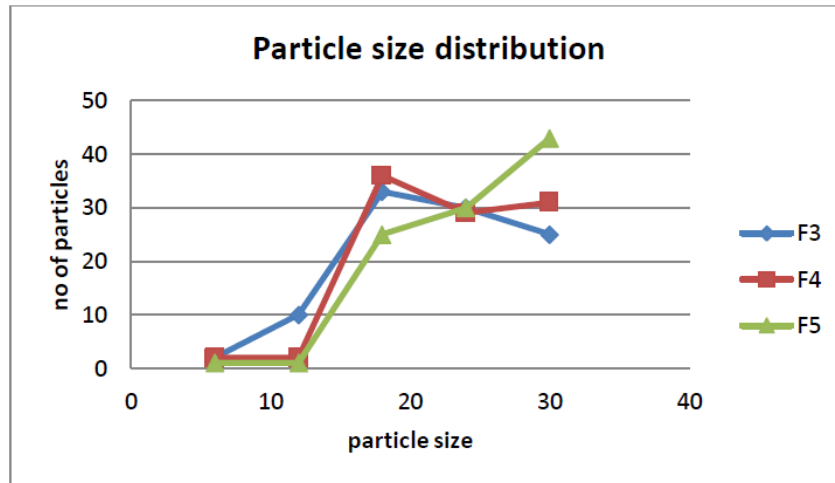


Fig. 18: Particle size distribution of formulation F3, F4 and F5.

5.2.8. In-vitro drug release from microsponges

In a 1:3 solution of methanol and phosphate buffer at 37°C and 25 rpm, Nimesulide microsponges of all formulations were tested for drug release. Table 11 and figure 19 illustrate the results.

Table 11: Cumulative percentage drug release. n=3

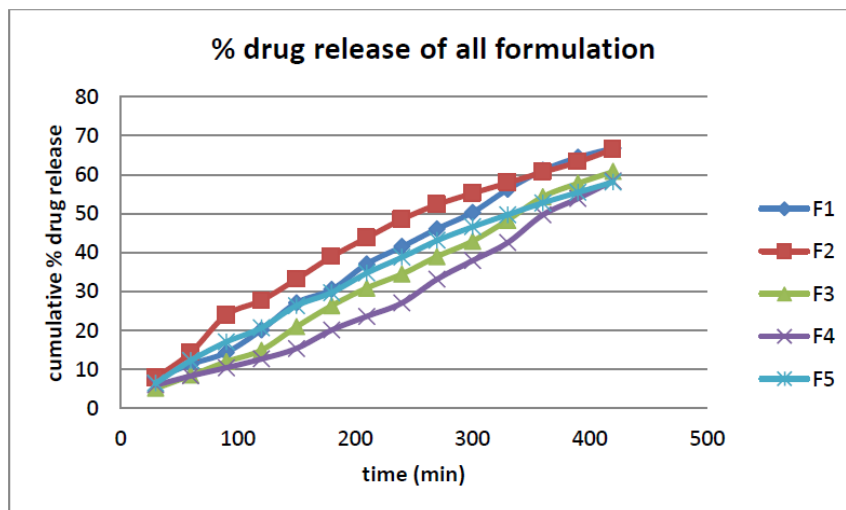


Fig. 19: percentage drug release of all formulations.

5.2.9. Kinetics of drug release

All the formulations were also assessed for Higuchi and Korsmeyer Pappas models of kinetics. Results are shown in table 12.

Table 12: Value of R² in different models.

Formulation	Korsmeyer pappas	Higuchi
F1	0.63	0.80
F2	0.66	0.79
F3	0.69	0.79
F4	0.67	0.79
F5	0.64	0.80

Higuchi models of formulations

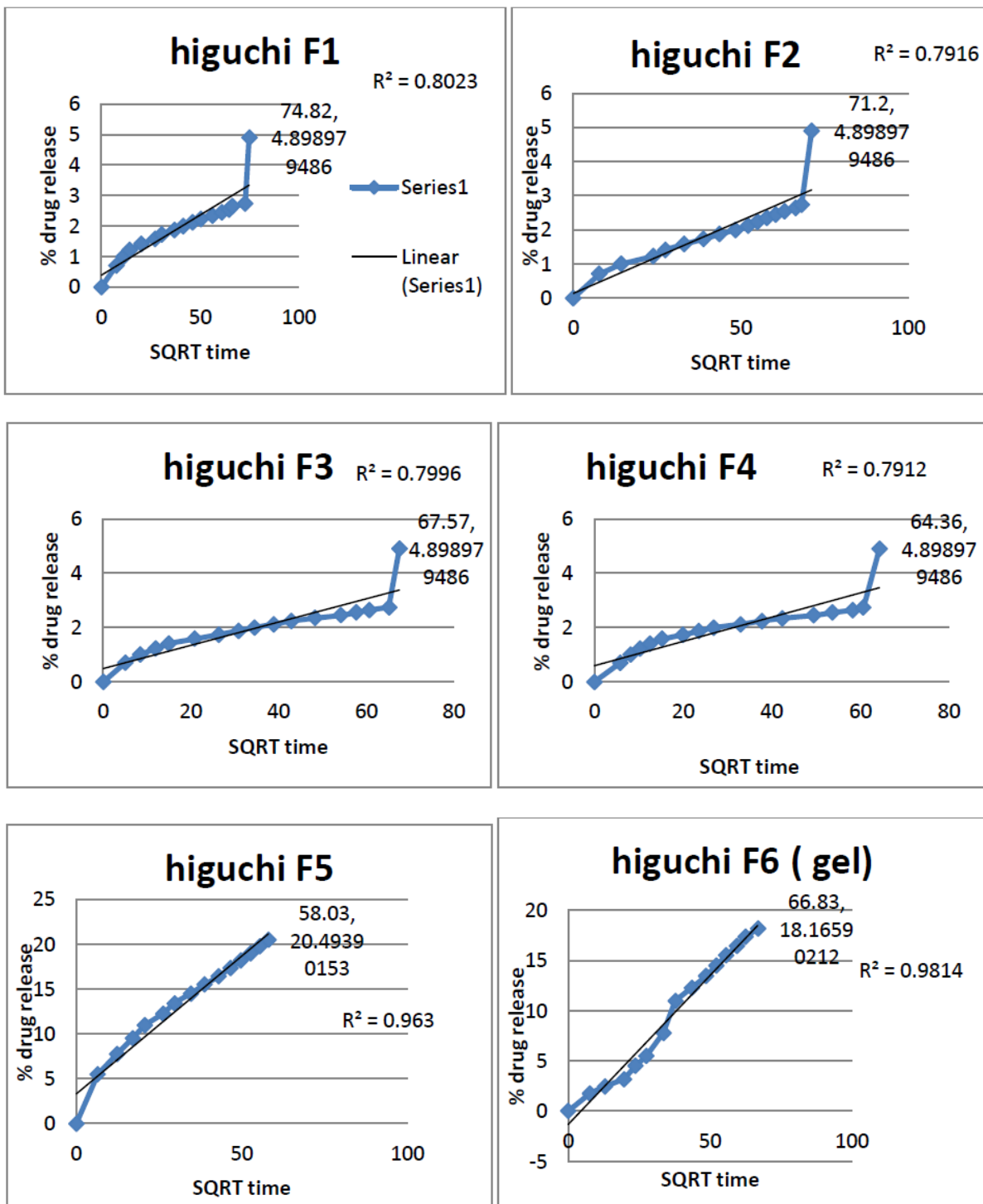


Fig. 34: Higuchi models of all formulations.

Korsmeyer pappas model of all formulation

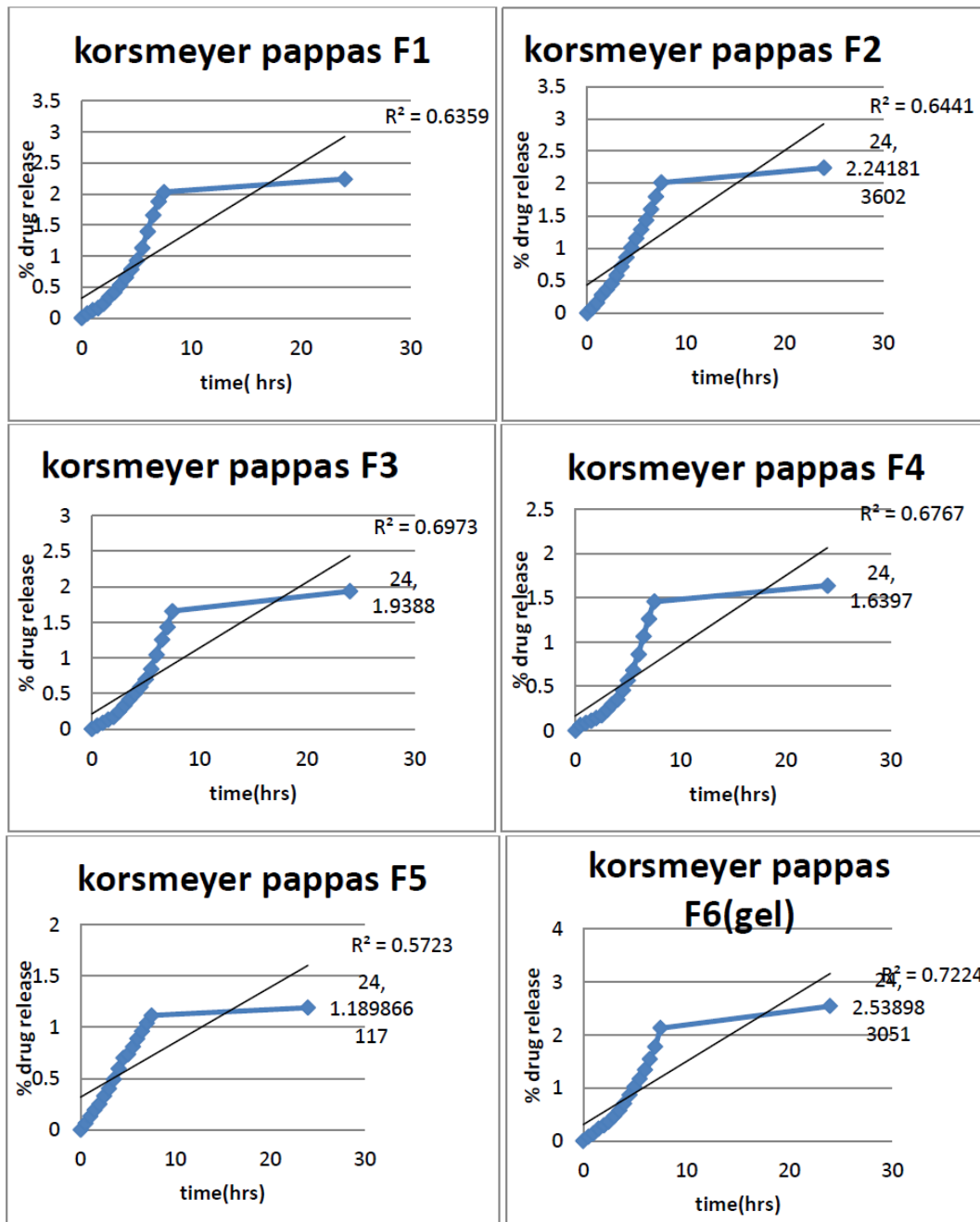


Fig. 35: Korsmeyer pappas model of all formulations.

5.1. DISCUSSION

Preformulation studies verified drug and confirmed drug powder compatibility for microsp sponge. Physical characteristics showed that the medication powder was light yellow crystalline and odorless. Melting point was 148.4°C, matching the indicated range of 148-150°C.

At room temperature, nimesulide solubility was examined in various solvents. Polar solvents dissolved the drug, but aqueous solvents did not. Using methanol and dichloromethane to dissolve drug for microsponges was tested. Use methanolic phosphate buffer for in vitro release rate examinations.

To authenticate the drug sample, the λ_{\max} was measured at 295nm in a 1:3 solution of methanol: phosphate buffer pH 7.4. The reported value of λ_{\max} is similarly 295nm. Thus, λ_{\max} remained consistent with reported results. The drug powder FTIR scan exhibited peaks at 3283 cm^{-1} , 1595 cm^{-1} , 1146 cm^{-1} , and 746 cm^{-1} , as described. The medicine is legitimate based on physicochemical characteristics and other parameters. Formulations' FTIR measurements showed drug-polymer-excipient were compatible.

Preformulation experiments showed the drug was authentic and microsphere-compatible. A drug standard curve was created to establish a U.V. spectrophotometry analysis method. Plotting absorbance versus concentration. The curve was linear at 295nm in the Beer's range of 1 to 6 $\mu\text{g}/\text{ml}$. The R^2 value was 0.998, and the equation was

$$Y = 0.067x + 0.006 \dots \dots \dots (i)$$

The overall appearance of all formulations was visually assessed. The quasi emulsion solvent diffusion method produced yellowish white microspheres, which were evaluated further.

Microspheres were porous and mostly spherical, according to SEM. Internal pores were visible on a microsphere. Dichloromethane diffusion from microspheres caused pores. The unique interior structure was thought to have a spherical chamber containing a strong drug-polymer shell. The interior structure had many empty gaps and particles looked like microspheres. Under an optical light microscope, the microspheres were spherical or in bunches and porous.

Particle size analysis was performed on all Nimesulide microsphere formulations (F1–F5). As formulation polymer content increases, particle size increases.

This might be due to the fact that if the polymer amount increases, then the drug is having a greater amount of polymer to interact thereby increasing polymer wall thickness, which may lead to the formation of larger microspheres. Microsphere particles should have a mean size of 5-300 μm . Optical microscopy revealed particle sizes of 16.98 μm to 23.52 μm . F1 formulation has the smallest particles and F5 the largest.

The particle size distribution analysis indicates that all formulations except F5 had a maximum particle size of 18 μm . F1, F2, and F3 have size distribution curves that resembled bells. Less fines and excellent particle size (18-30 μm) were observed.

From F1 to F5, production yield ranged from 59.06-75.75% w/w. Drug-polymer ratio greatly affects microsphere yield. As drug-polymer ratio improves, production yield rises from F1 to F5.

The drug loaded in the manufactured microspheres was lower than the theoretical amount because drug loading efficiency could not be 100% in any formulation. This may be due to drug loss in operation or formulation. Drug loading also increased with drug-polymer ratio. The loading efficiency was ranked in ascending order: F1 > F2 > F3 > F4 > F5.

Drug content was assessed in all microsphere formulations to estimate drug %. All formulations exhibit low standard deviation, indicating less inter- and intra-formulation variation. The formulations F1 to F5 have 14.33 to 60.63% drug content in descending order. As polymer quantity grew, drug content increased. The percentage medication release at

each interval was plotted against time. Increased polymer content decreases drug release by 74.82–63.44 percent. This may be because each microsphere has more polymer when the polymer ratio is high. This may thicken the polymer wall around the drug, lengthening the diffusion channel and lowering drug release. Following is the order of drug release F1, F2, F3, F4, F5.

The formulations F1 and F6 released well. The F1 formulation had zero-order drug release after 7 hours of kinetic release analysis. The maximum drug release was $74.82 \pm 1.2\%$ in 24 hours. In 7.5 hours, $66.39 \pm 2.05\%$ of the drug was released, followed by a slowdown. However, C_{max} concentration remained stable for up to 24 hours. The F2 formulation released drugs faster than the F1. It might release $66.42 \pm 3.1\%$ of medication in 7 hours. The C_{max} concentration maintained.

Formulations F3 and F4 had poor release. They released $60.70 \pm 2.45\%$ and $58.35 \pm 0.96\%$ of drug in 7 hours. Action was quick with Formulation F5. It might release $58.03 \pm 0.39\%$ of medication in 7 hours.

F1 and F2 had the best release onset. However, microspheres did well with a prolonged release. Higuchi and Korsmeyer release data was evaluated. None of the formulations matched Higuchi and Korsmeyer release data. In terms of drug content, loading efficiency, particle size, and drug release, F1 formulation was superior.

CONCLUSION

Controlled drug delivery via the polymer based systems has been proposed to be prevailing both in present and in future; as having numerous potential advantages for scientific as well as economic reasons. Polymeric microsphere delivery method was developed to distribute nimesulide continuously for a long time to reduce application frequency, hypersensitive reactions, and improve safety over conventional formulation.

The simple, reproducible, and fast quasi-emulsion solvent diffusion approach was used. Additionally, the drug was compatible with microspheres' polymer.

Drug-polymer ratio greatly affected particle size, drug content, and encapsulation efficiency. Particle size and production yield increased with polymer concentration. The drug content dropped as polymer content increased. All formulations maintained C_{max} for 24 hours. Formulation F1 was best among F2, F3, F4, and F5.

CONFLICT OF INTEREST

There is no conflict of interest.

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