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Formulation Design and In-Vitro Evaluation of Tamoxifen Nanosponges

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A B S T R A C T
Nanosponges, created by the pharmaceutical industry, solve physical, biological, and chemical issues in disease treatment. Their nano-sized cavities enhance hydrophobic drug solubility and efficacy. They target drugs to specific sites, minimizing side effects. Studies show that nanosponges,abletotransportbothfat-lovingandwater-lovingsubstancesarefivetimesmore effective than conventional methods in delivering breast cancer drug.
Keywords: Frovatriptan, HPMCK15M, Eudragit RS100, Ethylcellulose &Transdermal patch

A R T I C L E I N F O

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C O N T E N T S

1. Introduction

Introduction: Formulation Design and In-Vitro Evaluation of Tamoxifen Nanosponges**.** The field of drug delivery has evolved significantly over the past few decades, driven by the need to develop more effective, safer, and patient-friendly therapeutic options. One of the innovative approaches that has garnered substantial attention is the use of nanosponges for drug delivery. Nanosponges are a class of nanocarriers that have shown immense potential in enhancing the bioavailability and therapeutic efficacy of drugs. This introduction provides an overview of the formulation design and in-vitro evaluation of Tamoxifen nanosponges, highlighting their significance in the treatment of breast cancer.

Background on Tamoxifen

Tamoxifen is a well-established therapeutic agent used primarily for the treatment and prevention of breast cancer. As a selective estrogen receptor modulator (SERM), Tamoxifen binds to estrogen receptors on breast cancer cells, inhibiting their proliferation and inducing apoptosis. Despite its proven efficacy, Tamoxifen therapy is often associated with several challenges, including poor solubility, limited bioavailability, and potential side effects. These limitations necessitate the development of advanced drug delivery systems that can optimize its therapeutic profile.

Nanosponges:

An Innovative Drug Delivery System**.** Nanosponges are porous, nano-sized particles that can encapsulate drugs within their three-dimensional network. Made from biodegradable polymers such as cyclodextrins, these nanocarriers can improve the solubility and stability of hydrophobic drugs like Tamoxifen. The unique structure of nanosponges allows for a controlled and sustained release of the drug, thereby maintaining therapeutic levels over extended periods and reducing the frequency of administration.

Advantages of Tamoxifen Nanosponges:

The incorporation of Tamoxifen into nanosponges offers several significant advantages:

Enhanced Solubility:

Nanosponges can increase the solubility of Tamoxifen, facilitating its absorption in the gastrointestinal tract.

Controlled Release:

The porous structure of nanosponges enables the controlled release of Tamoxifen, ensuring a steady therapeutic effect and minimizing peaks and troughs in drug levels.

Targeted Delivery:

Nanosponges can be engineered to target specific tissues or cells, potentially reducing off-target effects and enhancing the therapeutic efficacy of Tamoxifen.

Reduced Side Effects:

By optimizing the release and targeting of Tamoxifen, nanosponges can help mitigate the side effects commonly associated with its therapy.

Formulation Design of Tamoxifen Nanosponges

The formulation design of Tamoxifen nanosponges involves several critical steps to ensure optimal drug loading, release, and stability. Key components and processes include:

Selection of Polymers: Choosing suitable biodegradable polymers, such as β-cyclodextrin, to form the nanosponge matrix.

Solvent Evaporation Technique:

Utilizing techniques like solvent evaporation to incorporate Tamoxifen into the nanosponges efficiently.

Optimization of Parameters: Fine-tuning parameters such as polymer-to-drug ratio, solvent type, and stirring speed to maximize drug loading and encapsulation efficiency.

In-Vitro Evaluation of Tamoxifen Nanosponges

In-vitro evaluation is essential for assessing the performance and safety of Tamoxifen nanosponges. The key evaluation parameters include:

Particle Size and Morphology:

Analyzing the size and shape of the nanosponges using techniques like dynamic light scattering (DLS) and scanning electron microscopy (SEM).

Drug Loading and Encapsulation Efficiency:

Measuring the amount of Tamoxifen encapsulated within the nanosponges and the efficiency of the encapsulation process.

Release Profile:

Studying the release kinetics of Tamoxifen from the nanosponges to ensure a controlled and sustained release.

Stability Studies:

Evaluating the physical and chemical stability of the nanosponges under various storage conditions.

Significance and Future Directions

The development of Tamoxifen nanosponges represents a promising advance in breast cancer therapy. By addressing the limitations of conventional Tamoxifen therapy, nanosponges have the potential to enhance the efficacy, safety, and patient compliance of breast cancer treatment. Future research may focus on the in-vivo evaluation of Tamoxifen nanosponges, exploring their clinical efficacy and potential for personalized medicine. Additionally, the integration of targeting ligands and imaging agents into nanosponges could pave the way for multifunctional drug delivery systems, combining therapeutic and diagnostic capabilities. In conclusion, the formulation design and in-vitro evaluation of Tamoxifen nanosponges highlight the potential of nanotechnology in revolutionizing cancer therapy. By leveraging the unique properties of nanosponges, this innovative approach aims to optimize Tamoxifen therapy, offering new hope for patients battling breast cancer.

2. Materials and methods

List of Ingredients

The ingredients used in the formulation include Tamoxifene, which is supplied by Qualychrome. Ethyl cellulose is sourced from Sd Fine Chemicals, based in Mumbai, alongside β-cyclodextrin and polyvinyl pyrrolidone K30 (PVP K-30). Additionally, Sd Fine Chemicals provides polyvinyl alcohol and Kondagogugum (KGG), as well as olibanum gum (OBG). Dimethyl carbonate, ethyl alcohol, methyl alcohol, and double distilled water are also sourced from Sd Fine Chemicals. Lastly, D-Mannitol is supplied by the same company, ensuring that all these components are consistently available from Sd Fine Chemicals in Mumbai, except for Tamoxifene, which is obtained from Qualychrome.

List of Equipments

The laboratory equipment used includes an Electronic Weighing Balance from Scale-Tec, which ensures precise measurement of ingredients. For testing tablet durability, a Roche Friabilator from Electrolab, Mumbai is utilized. The Tablet Hardness Tester, specifically the Pfizer model from Mumbai, is used to assess the mechanical strength of tablets. For UV analysis, a Labindia UV 3000+ model is employed to measure absorbance and ensure the quality of formulations. The Dissolution Apparatus from Electrolab, model TDT-08L, is essential for evaluating the dissolution rate of tablets in various conditions. Lastly, Vernier Callipers (model Cd-6”Cs) are used for precise measurement of dimensions, ensuring consistency and accuracy in the manufacturing process.

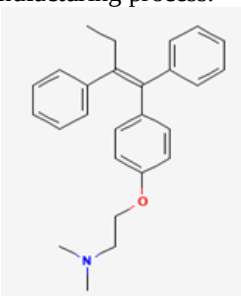
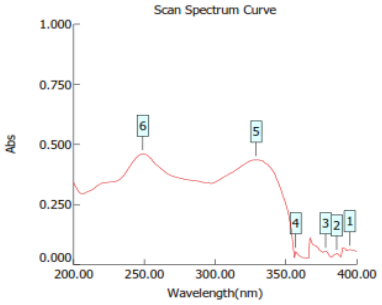


Figure.1. Tamoxifen

Mechanism of Action:

Tamoxifen binds directly to DNA via intercalation between base pairs on the DNA helix.2 Tamoxifen also inhibits DNA repair by inhibiting to poisomerase II. These actions result in the blockade of DNA and RNA synthesis and fragmentation of DNA.4 Tamoxifen is also a powerful iron-chelator. Their on-Tamoxifen complex can bind DNA and cell membranes producing free radicals that immediately cleave DNA and cell membranes. Although maximally cytotoxic in S phase, Tamoxifen is not cell cycle- specific.

3. Results & Discussion



1	Peak	395.00	0.063
2	Peak	386.00	0.046
3	Peak	378.00	0.054
4	Peak	357.00	0.054
5	Peak	329.00	0.436
6	Peak	246.00	0.505

Figure 2.UVSpectroscopy

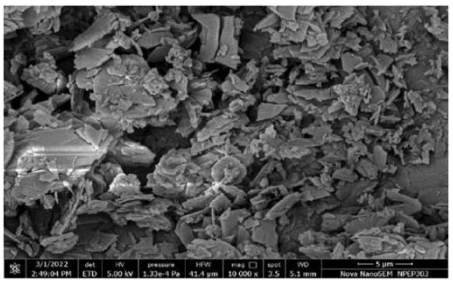


Figure 3.FSEM

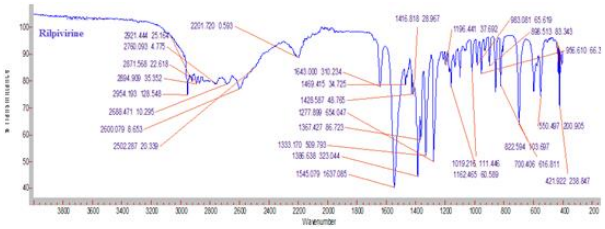


Figure 4. FTIR spectra of Tamoxifen

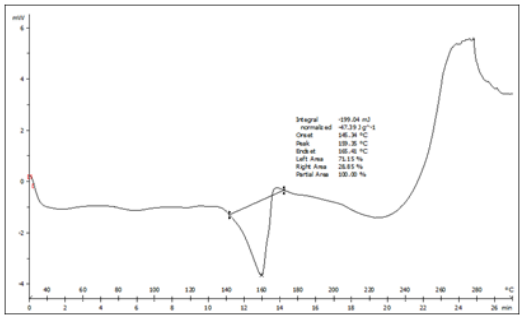


Figure 5. DSC Thermogram of Tamoxifen

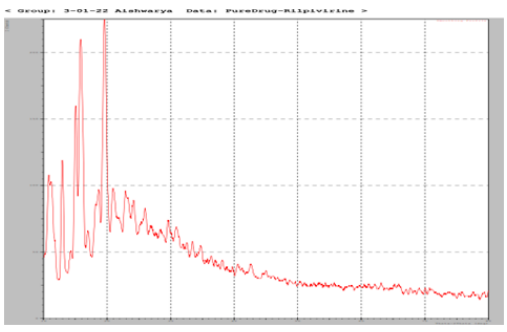


Figure 6. PXRD

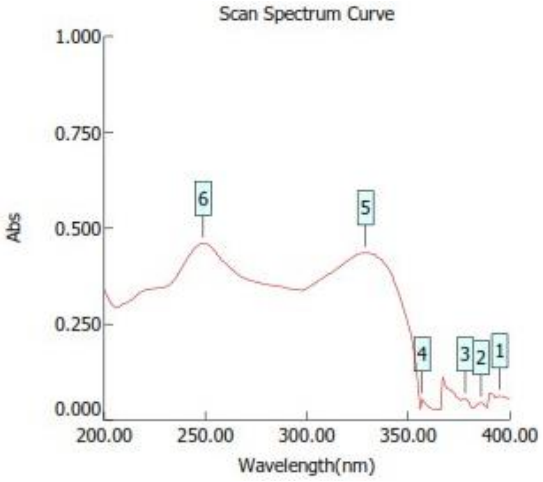


Figure 7. UV Spectra of Tamoxifen

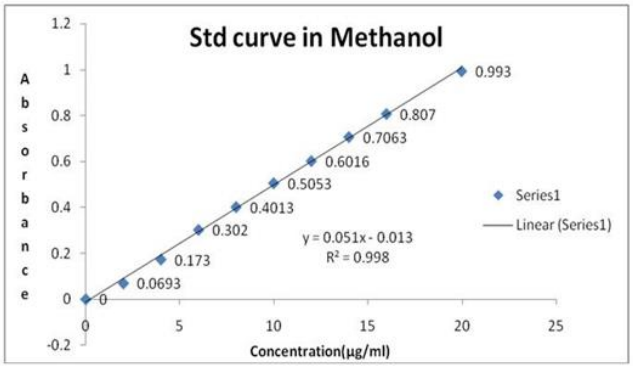


Figure 8. Standard curve of TAMOXIFEN in methanol

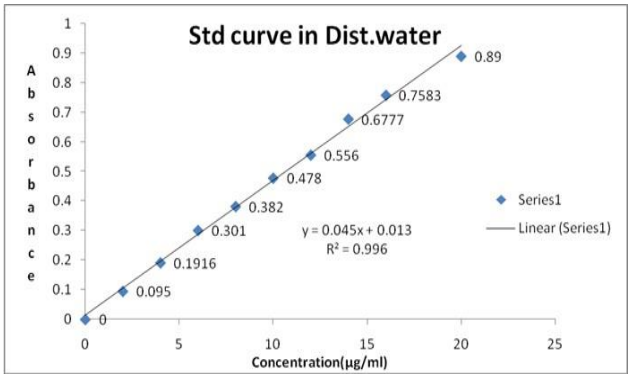


Figure 9. Standard curve of TAMOXIFEN in distilled H_2O

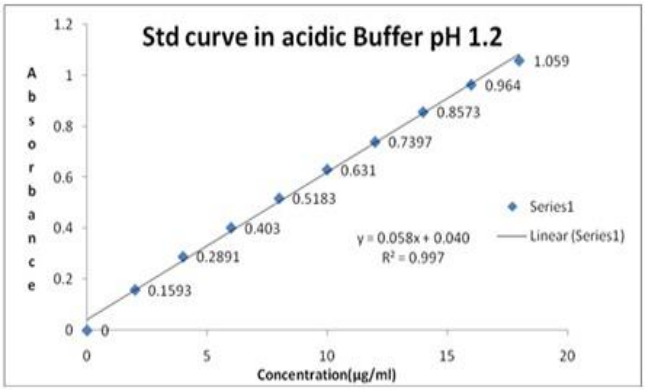


Figure 10: Std curve of TAMOXIFEN in acidic buffer pH1.2

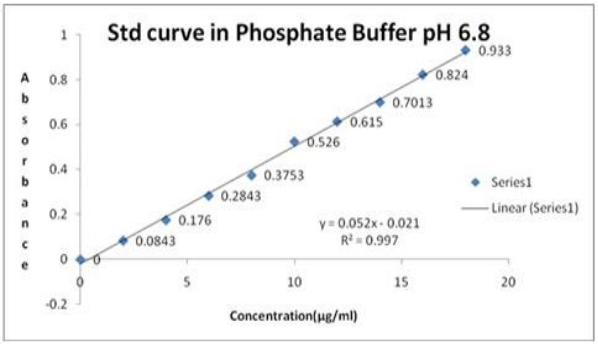


Figure 11: Std curve of Tamoxifen in phosphate buffer pH6.8

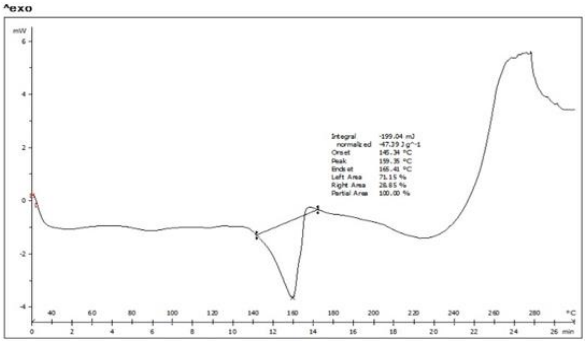


Figure 12:DSC thermogram of pure TAMOXIFEN

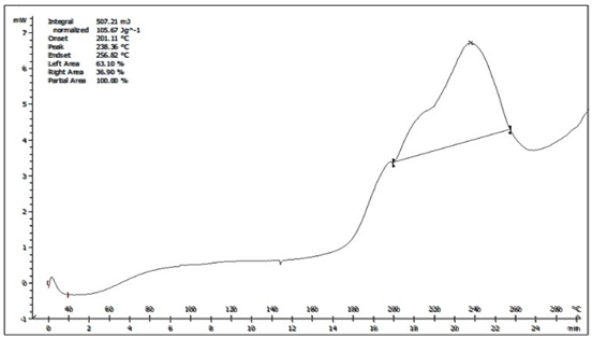


Figure 13: DSC thermogram of ethyl cellulose

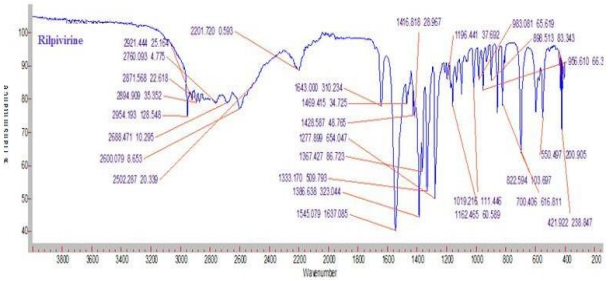


Figure 14: FT-IR spectra of TAMOXIFEN

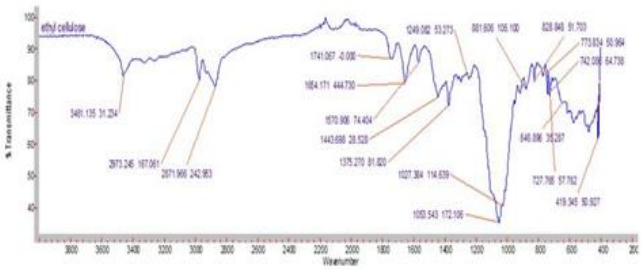


Figure15: FT-IR spectra of Ethyl cellulose

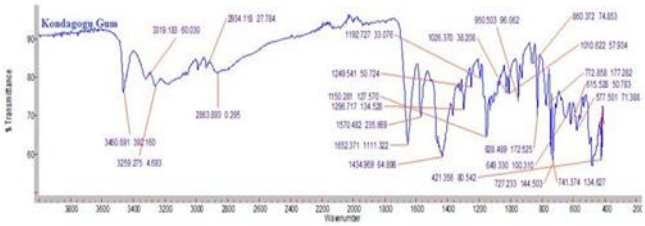


Figure 16: FT-IR spectra of Kondagogu Gum(KGG)

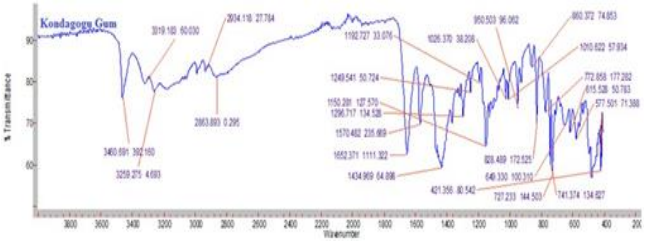


Figure 17: FT-IR spectra of physical mixture

Powder X-ray diffraction (PXRD):

Tamoxifen API exhibited a series of high peaks intensity and showed sharp characteristic diffraction patterns at 2θangle of 9.07, 9.41, 10.18, 10.46, 11.76, 12.10, and16.96°, indicating crystalline nature of ATRC. EC showed a two characteristics broad hen peak diffraction pattern at 2θangle of 13.4113.71, 14.92, 20.53, 21.733, 21.84,22.03°indicatestheamorphousnature of EC. In case of whey protein isolate(WPI) exhibited the sharp and high intensity and showed characteristic diffraction patterns at 2θ angle of 9.50, 9.90, 11.61, 11.91,13.51, 13.71, 14.01° indicates amorphous nature of WPI. Physical mixture exhibited a series of peaks and which showed the diffract gram with reduced peak intensity at 2θ angle of 8.00, 8.10, 12.11, 12.51, 12.91, 18.92, 19.12, 20.03 and 20.33° indicates slight of crystalline in nature.

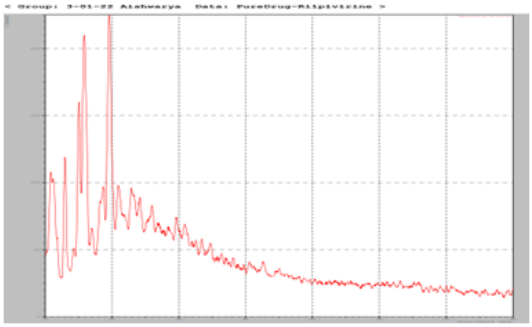


Figure 18: PXRD of pure Tamoxifen

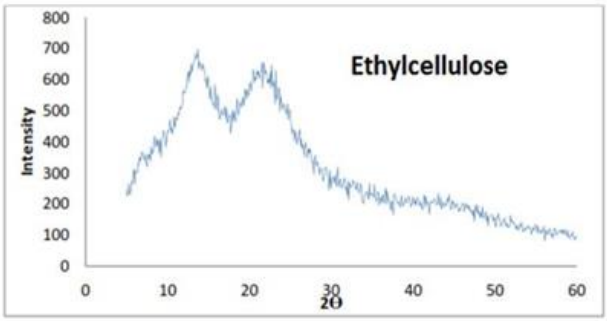


Figure 19: PXRD of EC

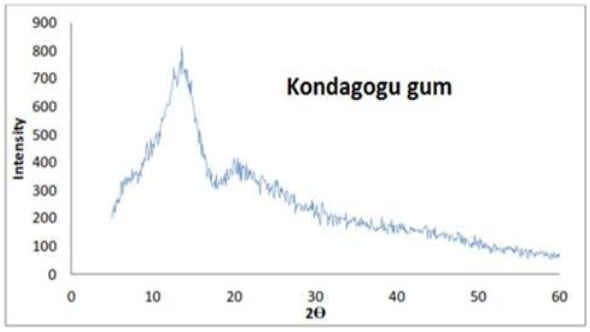


Figure 20:PXRD of KGG

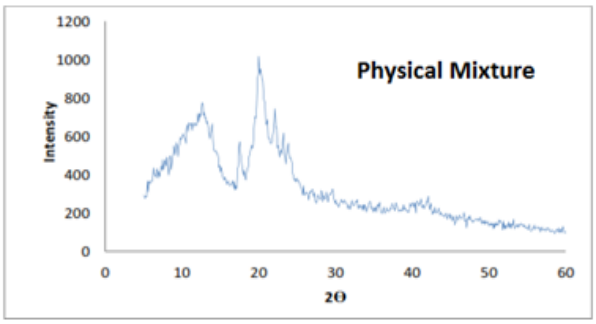


Figure 21: PXRD of Physical mixture

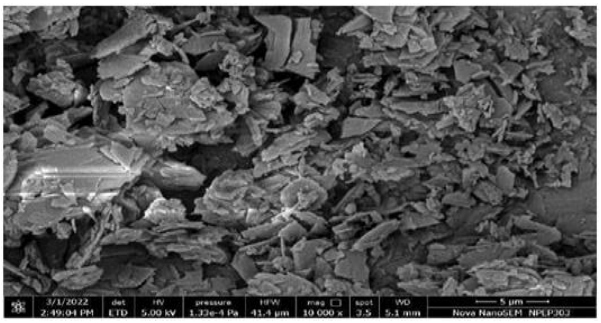


Figure 22:FESE Mmicrograph of Tamoxifen

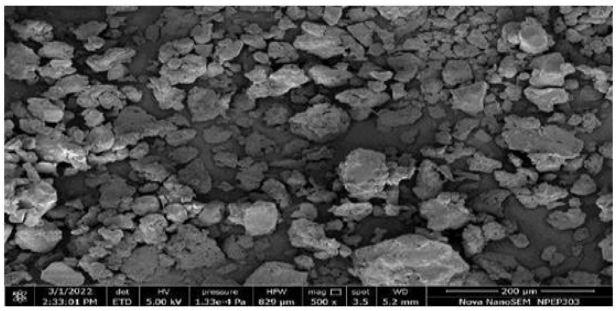


Figure 23:FESEM micrograph of Ethylcellulose

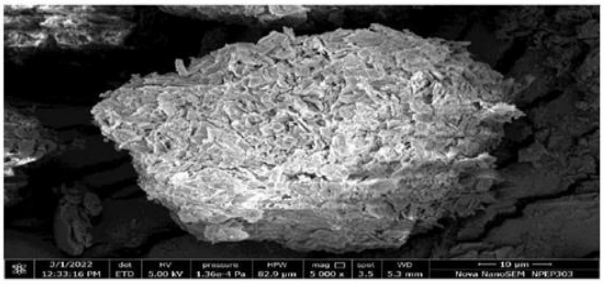


Figure 24: FESE Mmicrograph of Kondagogugum(KGG)

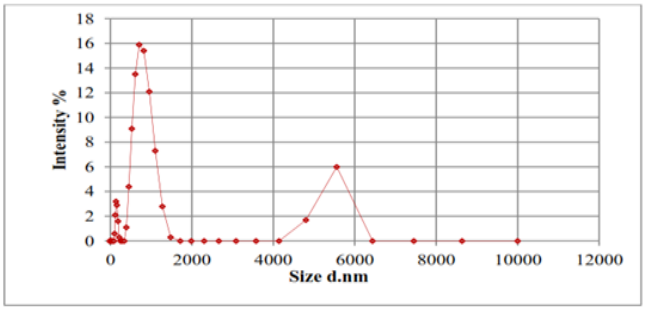


Figure 25: Particle size analysis of F1 Batch

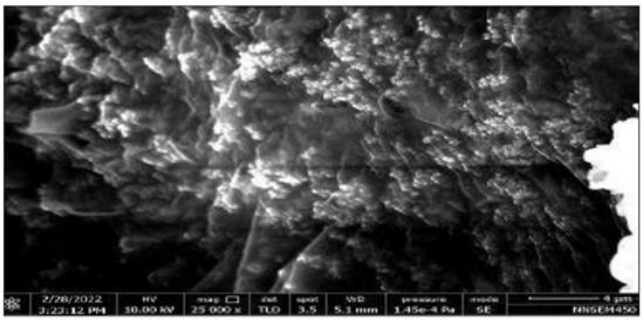


Figure 26: FESEM image of F1 Batch

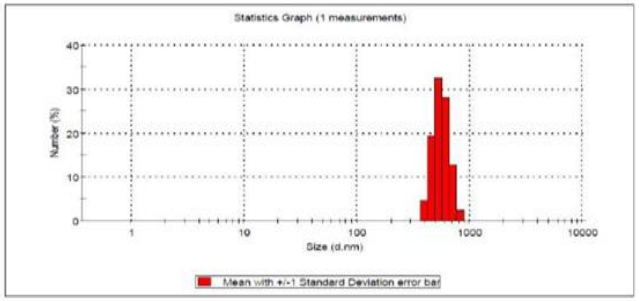


Figure 27: Particle size analysis of F2 Batch

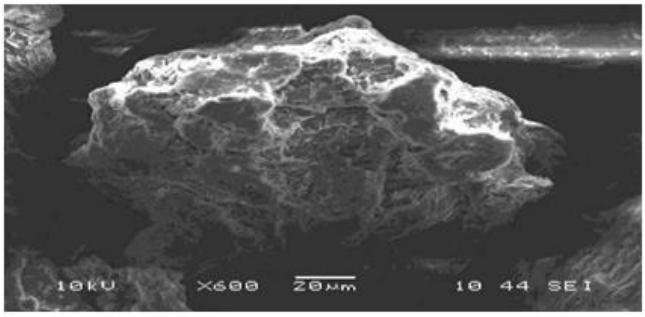


Figure 28: SEM image of F2 Batch

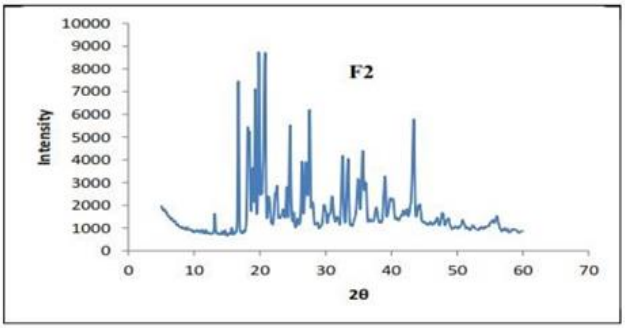


Figure 29: Powder X-ray diffraction pattern of F2Batch

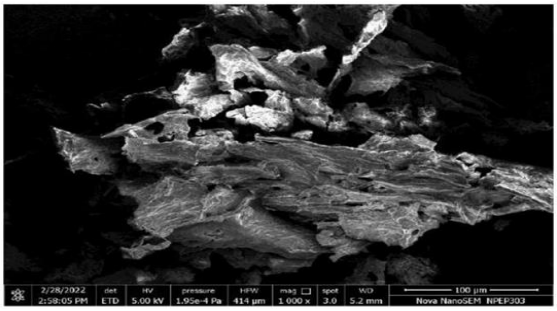


Figure 30: FESEM image of F3 Batch

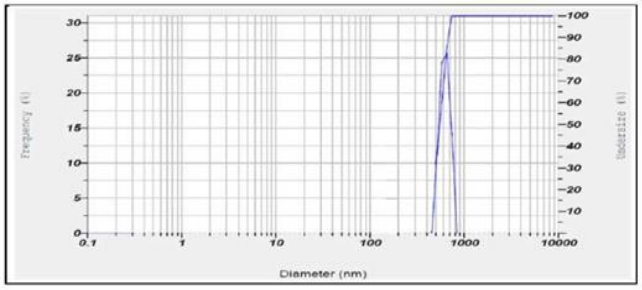


Figure 32: ParticlesizeanalysisofF3 Batch

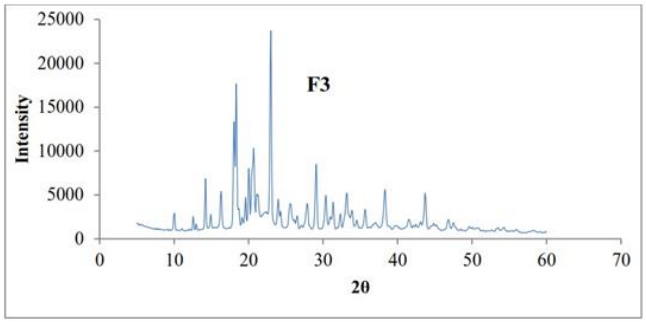


Figure 31: PXRD diffractogram of TAMOXIFEN-NSGs for formulation (F3)

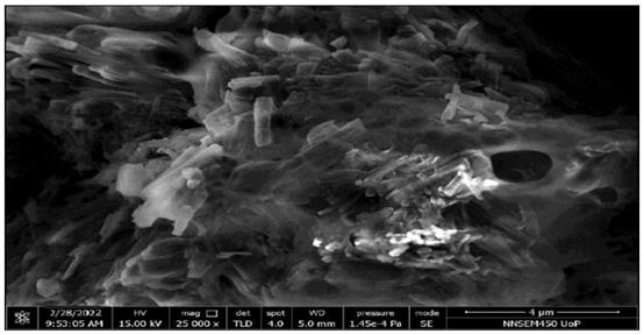


Figure 33: FESEM image of F3Batch

Table 1: Absorbance data for TAMOXIFEN in distilled water

S.No.	Conc.(µg per ml)	Abs ± Std Deviation(SD)	% CV
1.	0	0	0
2.	2	0.095±0.0040	4.210526
3.	4	0.1916±0.0025	1.313015
4.	6	0.3010±0.0101	3.371725
5.	8	0.3820±0.0053	1.38521
6.	10	0.4780±0.0026	0.553504
7.	12	0.5560±0.0026	0.475855
8.	14	0.6777±0.0040	0.596378
9.	16	0.7583±0.0026	0.532939
10.	18	0.8900±0.0090	1.013694
11.	20	0.9947±0.0021	0.209283
Parameters		**Values**	
Correlation coefficient(r^2)		0.998	
Slope		0.049	
Intercept		-0.006	
Equation		y =0.049x -0.006	

Table 2: Absorbancedata for TAMOXIFE Ninacidic buffer pH1.2

Sr.No.	Conc.(µgper ml)	Abs± Standard	%CV
1.	0	0	0
2.	2	0.1593±0.0025	1.5794
3.	4	0.2891±0.0011	0.3660
4.	6	0.4030±0.0046	1.1371
5.	8	0.5183±0.0031	0.5893
6.	1	0.6310±0.0078	1.2378
7.	1	0.7397±0.0071	0.9592
8.	1	0.8573±0.0047	0.5449
9.	1	0.9640±0.0021	0.2158
10.	1	1.059±0.0035	0.3315
Parameters		**Value**	

Correlation coefficient (r^2)	0.99
Slop	0.05
Interce	0.04
Equatio	y =0.057x +0.040

Table 3: Reported & observed IR frequencies of TAMOXIFEN

S.no	Functional groups	frequency Reported(cm^{-1})	frequency Observed(cm^{-1})
3	C-H Bending	755	746.317
5	C=C bending	840-790	841.776
10	C-O stretching strong	1210-1163	1159.01
12	C–N stretching	1250-1020	1241.93
13	C–F stretching	1400-1000	1317.14
14	O-H bending	1440-1395	1435.74
15	N-O stretching strong	1550-1500	1509.03
16	N-O stretching strong	1550-1500	1551.45
17	C=C stretchingstrong	1650-1566	1578.45
18	N-H bending medium	1650-1580	1650.77
20	C–H stretching	3000-2840	2970.8
21	N-H stretching	3400-3300	3364.21
22	O-H stretching Free	3700-3584	3668.91

4. Conclusion

Nanosponges, with their nanometer-sized cavities, encapsulate various substances, it has poor water solubility and protecting drugs from premature degradation. This study aimed to formulate Tamoxifen-loaded nanosponges using hydrophobic polymers for targeting cancer cells and releasing the drug in a controlled manner, thereby reducing side effects and dosing frequency. Preformulation studies confirmed Tamoxifen's solubility in water and solvents like acetone and dichloromethane. FTIR and UV spectral studies showed no interaction between Tamoxifen and polymers. Using the emulsion solvent diffusion method, hydrophobic polymers were found suitable, producing good formulations. SEM images revealed porous, spherical nanosponges. Particle size and zeta potential analysis indicated stability, with high entrapment efficiency. In vitro release data showed optimal release rates for formulations, with drug release decreasing as polymer amount increased.
Tamoxifen nanosponge scan be cost-effectively formulated using the emulsion solvent diffusion method with hydrophobic polymers like Eudragit. These nanosponges target breast cancer cells, providing sustained drug delivery, thus reducing dose, administration frequency, and side effects.

5. References

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