ISSN: 2306-6091

International Journal of Pharmaceuticals and Health Care Research (IJPHR)

IJPHR |Vol.14 | Issue 3 | July-Sep-2026

www.ijphr.com

DOI : https://doi.org/10.61096/ijphr.v14.iss3.2026.430-443

Formulation and Evaluation of Solid Lipid Nanoparticles of Posaconazole

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Published on: 27.07.2026
Published by: Futuristic Publications

Abstract: Posaconazole is a broad-spectrum triazole antifungal drug used for the treatment and prevention of invasive fungal infections. However, its poor aqueous solubility and limited bioavailability present significant challenges for effective oral drug delivery. The present study was aimed at the formulation and evaluation of Posaconazole-loaded Solid Lipid Nanoparticles (SLNs) using different polymers at varying ratios to enhance drug release and improve therapeutic performance.

A series of formulations (F1–F9) were prepared employing suitable lipids and polymers in different concentrations. The prepared formulations were evaluated for various physicochemical parameters. Pre-compression studies, including bulk density, tapped density, angle of repose, Carr's index, and Hausner ratio, demonstrated good flow properties of the formulations. Post-compression parameters such as hardness, friability, weight variation, drug content uniformity, and other quality control tests were found to be within the acceptable limits specified by the Indian Pharmacopoeia (IP), indicating satisfactory formulation characteristics.

The formulated SLNs were further characterized for particle size, entrapment efficiency, drug loading, and in vitro drug release studies. The results revealed that polymer concentration and drug-to-polymer ratio had a significant influence on the performance of the formulations. Among all the formulations, F4 was identified as the optimized formulation due to its superior drug release profile and satisfactory physicochemical properties. F4 exhibited a cumulative drug release of 99.47% over a period of 48 hours, which was significantly higher compared to the other formulations, indicating effective sustained-release behaviour and enhanced drug availability.

The study concludes that the developed Posaconazole-loaded Solid Lipid Nanoparticles successfully improved the drug release characteristics while maintaining acceptable pharmaceutical quality parameters. The optimized F4 formulation demonstrated excellent performance and has the potential to serve as an effective controlled-release drug delivery system for Posaconazole.

Keywords: Posaconazole-loaded Solid Lipid Nanoparticles

1. INTRODUCTION

Recent years it has become more evident that the development of new drugs alone is not sufficient to ensure progress in drug therapy. I*n vitro* obtained are more exciting but very often followed by disappointing results *in vivo*. Main reasons for this therapy failure include:

- Insufficient drug concentration due to poor absorption, rapid metabolism and elimination (e.g., peptides& proteins),
- Drug distribution to other tissues combined with high drug toxicity (e.g., anticancer drugs),
- Poor drug solubility which excludes i.v. injection of aqueous drug solution,
- High fluctuation of variable plasma levels due to unpredictable bioavailability after peroral administration, including the influence of food on plasma levels (e.g., cyclosporine).

To overcome these biopharmaceutical challenges, versatile formulation approaches are required which will accommodate the physicochemical properties of the individual drug while simultaneously exploiting the physiological environment. Solid lipid nanoparticles have been reported as an alternative drug delivery device to traditional polymeric nanoparticles. SLNs are in submicron size range (50-1000nm) and are composed of physiologically tolerated lipid components. At room temperature the particles are in solid state. These are made of biocompatible and biodegradable materials capable of incorporating lipophilic and hydrophilic drugs**.**

The goal of any drug delivery system is to provide a therapeutic amount of drug to the proper site in the body to achieve promptly and then to maintain the desired drug concentration. That is, the drug delivery system should deliver drug at a rate dictated by the needs of the body over a specified period of treatment. This idealized objective points to the two aspects most important to drug delivery namely spatial placement and temporal delivery of a drug. Spatial placement relates to targeting of drug to a specific organ or tissue, while temporal delivery refers to controlling the rate of drug delivery to the target tissue. An appropriately designed controlled release drug-delivery system can be a major advance towards solving these two problems. It is for this reason that the science and technology responsible for development of controlled-release pharmaceuticals has been, and continues to be the focus of a great deal of attention in both industrial and academic laboratories.

1.1. CONVENTIONAL DRUG THERAPY[1]:

To gain appreciation for the value of controlled drug therapy, it is useful to review some fundamental aspects of conventional drug delivery. Consider single dosing of a hypothetical drug that follows a simple one-compartment pharmacokinetic model for disposition. Depending on the route of administration, a conventional dosage form of the drug e.g.: A solution, suspension, capsule tablet etc. can produce a drug blood level versus time profile. The term drug blood levels refer to the concentration of drug in blood or plasma, but the concentration in any tissue could be plotted on the ordinate. Administration of a drug by either intravenous injection or an extra vascular route, e.g., orally, intramuscularly or rectally does not maintain drug blood levels within the therapeutic range for extended periods of time. The short-duration of action is due to the inability of conventional dosage forms to control temporal delivery. If an attempt is made to maintain drug blood levels in the therapeutic range for longer periods by for e.g., increasing the initial dose of an intravenous injection, toxic levels can be produced at early times. This approach obviously is undesirable and unsuitable. An alternative approach is to administer the drug repetitively using a constant dosing interval, as in multiple-dose therapy. In this case the drug blood level reached and the time required to reach that level depend on the dose and the dosing interval. There are several potential problems inherent in multiple dose therapy.

1. If the dosing interval is appropriate for the biological half-life of the drug, large peaks and valleys in the drug blood level may result. For e.g., drugs with short half-lives require frequent designs to maintain constant therapeutic levels.
2. The drug blood level may not be within the therapeutic range at sufficiently early times, an important consideration for certain disease states.
3. Patient non-compliance with the multiple-dosing regimens can result in failure of this approach.

In many instances, potential problems associated with conventional drug therapy can be overcome. When this is the case, drugs given in conventional dosage forms by multiple dosing can produce the desired drug blood level for extended period of time. Frequently, however these problems are significant enough to make drug therapy with conventional dosage forms less desirable than controlled-release drug therapy. This fact, coupled with the intrinsic inability of conventional dosage forms to achieve spatial placement, is a compelling motive for investigation of controlled-release drug delivery systems.

1.2. TERMINOLOGY[2, 3]:

Modified-release delivery systems may be divided conveniently into four categories:

1. Delayed release
2. Sustained release
3. Site-specific targeting
4. Receptor targeting.

Delayed-release systems are those that use repetitive, intermittent dosing of a drug from one or more immediate-release units incorporated into a single dosage form. Examples of delayed release systems include repeat-action tablets and capsules and enteric-coated tablets where timed release is achieved by a barrier coating.

Sustained-release systems include any drug delivery system that achieves slow release of drug over an extended period of time. If the systems can provide some control, whether this is of a temporal or spatial nature, or both, of drug release in the body, or in other words, the systems is successful at maintaining constant drug levels in target tissue or cells, it is considered controlled-release systems.

Site-specific and receptor targeting refer to targeting of a drug directly to a certain biological location. In the case of site-specific release, the target is adjacent to or in the diseased organ or tissues, for receptor release, the target are the particular receptor for a drug within an organ or tissue. Both of these systems satisfy the spatial aspect of drug delivery and are also considered to be controlled drug-delivery systems.

1.3. ADVANTAGES OF CONTROLLED RELEASE PREPARATIONS:

1. Decreased incidence and/ or intensity of adverse effects and toxicity.
2. Better drug utilization.
3. Controlled rate and site of release.
4. More uniform blood concentrations.
5. Improved patient compliance.
6. Reduced dosing frequency.
7. More consistent and prolonged therapeutic effect.
8. A greater selectivity of pharmacological activity.

1.4. OBJECTIVES[4]:

Control release systems include any drug delivery system that achieves slow release of drug over an extended period of time.

The objectives of oral sustained release formulations are:

1. Frequency of drug administration is reduced.
2. Patient compliance can be improved.
3. Drug administration can be made more convenient.
4. Better control of drug absorption can be attained.

1.5. THE CONCEPT OF TARGETING[5, 6]:

The concept of designing specified delivery system to achieve selective drug targeting has been originated from the perception of Paul Elrich, who proposed drug delivery to be as a “Magic Bullet”. It was the very first report published on targeting (Paul Elrich, 1902) describing targeted drug delivery as an event where a drug-carrier complex/ conjugate delivers drug(s) exclusively to the preselected target cells in a specific manner. Gregoriadis, 1981 described drug targeting using novel drug delivery system as ‘old drugs in new cloths.

New drug delivery system represents a means by which drug may be continuously delivered either locally or systemically or a larger site in an effective and repeatable manner. Controlled and targeted drug delivery systems have been receiving more and more attention as new methods of drug delivery.

One of the most exciting is the target-organ oriented drug delivery system. Presenting drugs into whole body is not only wasteful but also likely to lead to harmful effects that can be eliminated if the drug is delivered only to specific target organ. Targeted delivery is not restricted to any one route of administration. Oral formulations, parenterals, transdermal and pulmonary route and many other routes are available for effective drug targeting.

METHODOLOGY

Analytical Method Development

Determination of absorption maxima: Absorption maxima is the wavelength at which maximum absorption takes place. For accurate analytical work, it is important to determine the absorption maxima of the substance under study.

Procedure: For the preparation of calibration curve stock solution was prepared by dissolving 100 mg of accurately weighed drug in 100ml of methanol (1mg/ml). Further 1ml of the stock solution was pipette out into a 100 ml volumetric flask and volume was made up with phosphate buffer (5.5 PH). From this stock solution pipette out 1ml and dilute to 10 ml with phosphate buffer and subject for UV scanning in the range of 200-400 nm using double beam UV spectrophotometer. The absorption maxima was obtained at 263 nm with a characteristic peak.

Preparation of calibration curve: It is slightly soluble in water; hence methanol was used for solubilizing the drug. Stock solution (1 mg/mL) of Posaconazole was prepared in methanol and subsequent working standards (5, 10, 15, 20 and 25 μg/ml) were prepared by dilution with phosphate buffer of pH-5.5. These solutions were used for the estimation Posaconazole by UV method. The whole procedure was repeated three times and average peak area was calculated. Calibration plot was drawn between concentrations and peak area. Calibration equation and R^2 value are reported.

Preparation of SLN gel

Preparation of Posaconazole loaded solid lipid nanoparticles

Drug-loaded solid lipid particles were prepared by hot homogenizing followed by the ultrasonication method. Posaconazole was dispersed during about 10g of mixed lipid phase (consisted of Compritol 888 ATO and Cholesterol) maintained at around 5^{o}C above the melting temperature of mixed lipid and dissolved in a mixture of ethanol. Organic solvents were completely removed employing a rotary flash evaporator. An aqueous phase was prepared by dissolving the stabilizers (Tween 80 or Span20) in distilled water (sufficient to supply 30ml) and heating to an equivalent temperature of the oil phase. The hot aqueous phase was added to the oil phase and homogenization was performed (at 2500 rpm and 70^{o}C) employing a mechanical stirrer for 25 minutes. Posaconazole loaded SLN was finally obtained by allowing the recent nano-emulsion to chill at temperature, and was stored at 4^{o}C within the refrigerator.

- Variables for selection of excipients of solid lipid nanoparticles :
  - Compritol 888 ATO (mg)
  - Cholesterol(mg)

Table: Composition of solid lipid nanoparticles formulations (F1 to F9)

Excipients	F1	F2	F3	F4	F5	F6	F7	F8	F9
Posaconazole	50	50	50	50	50	50	50	50	50
Compritol 888 ATO (mg)	2	2.5	3	3.5	4	2	2.5	3	3.5
Cholesterol(mg)	1	1.5	1.7	1.7	1	1.5	1.7	1.7	1.5
Tween 80(mL)	2	2.5	3.0	3.5	-	-	-	-	2
Span 60 (mL)	-	-	-	-	1	1.5	2	2.5	2
Distilled water (ml)	q.s	q.s	q.s	q.s	q.s	q.s	q.s	q.s	q.s
Ethanol(ml)	3	2.5	2	2.5	2	2.5	2	2.5	2
Total amount(mg)	100	100	100	100	100	100	100	100	100

Characterization of preparing solid lipid nanoparticles:

Particle Sizes, PDI, Zeta Potential:

The mean particle length and polydispersity index (PDI), that's a degree of the distribution of nanoparticles population, was decided the usage of dynamic light scattering (Delta Nano C, Beckman counter), and Zeta capability becomes anticipated on the premise of electrophoretic mobility under an electric powered field, the use of zeta Sizer Nano ZS (Malvern Instruments, UK). Samples had been diluted with the distilled water before measurement and measure at a hard and fast angle of 1650c for the particle size and poly dispersity index (PDI) analysis. For the Zeta ability measurement, Samples have been diluted as 1;40 ratio with filtered water (v/v) before analysis. Average particle size, PDI, and zeta potential have been then measured in triplicate.

Drug content:

Posaconazolecontent in solid lipid nanoparticles was assayed by an UV-visible spectrophotometer. Solid lipid nanoparticles (100mg) were dissolved in 10ml methanol by shaking the mixture for 5 mins. One ml of the resultant solution was taken and diluted to 10ml with methanol. Then, aliquots were withdrawn and absorbance was recorded at 263 nm using UV-visible spectrophotometer (Lab India 3200).

Yield of solid lipid nanoparticles:

After complete drying the solid lipid nanoparticles powders were collected and weighed accurately. The yield of solid lipid nanoparticles was calculated using the formula

$$Percentage\ yield = \frac{Total\ weight\ of\ proliposomes}{\text{total weight of drug } + \text{weight of added materials}} \times 100$$

EntrapmentEfficiency:

Entrapment Efficiency (EE) of the Posaconazole loaded SLNs changed into determined by measuring the awareness of uninterrupted drug in an aqueous medium by centrifugation method. The nanoparticles had been centrifuged during a high-space cooling Centrifuge (C-24.Remi) the usage of nano step centrifuge tubes with ultra-filter out having a relative molecular mass cutoff 100KD (Pall existence sciences-India) at 5000rpm for 15min at 4oc, and therefore the supernatant was separated. The amount of Posaconazole inside the supernatant changed into determining the usage of a UV-Visible spectrophotometer (U-1800, Hitachi) at lambda max 263nm after suitable dilution.

The percent entrapment efficiency (%) changed into calculated by means of the usage of the subsequent formula:

$$\%EE = \frac{\text{Total drug content-Free drug x100}}{\text{Total drug content}}$$

Percent amount of drug release from semi permeable membrane

Franz diffusion cell was used for the in vitro drug release studies. Semi permeable membrane was placed between donar and receptor chamber of diffusin cell. Receptor chamber was filled with freshly prepared 30ml 5.5 PH phosphate buffer. SLN gel equivalent to 1gm was placed on semi permeable membrane. The franz diffusion cell was placed over magnetic stirrer (REMI 1ML) with 500rpm and temperature was maintained at 37 ± 1^0C. 5ml of samples were withdrawn periodically and replaced with fresh buffer. The withdrawn samples were periodically diluted and analysed for drug content using UV visible spectrophotometer (Lab India 3200) at 263 nm.

Fig: Drug release from semi permeable membrane

Application of Release Rate Kinetics to Dissolution Data:

Various models were tested for explaining the kinetics of drug release. To analyze the mechanism of the drug release rate kinetics of the dosage form, the obtained data were fitted into zero-order, first order, Higuchi, and Korsmeyer-Peppas release model.

Zero order release rate kinetics:

To study the zero–order release kinetics the release rate data ar e fitted to the following equation.

$$F = K_o t$$

Where, 'F' is the drug release at time't', and 'K o ' is the zero order release rate constant. The plot of % drug release versus time is linear.

First order release rate kinetics: The release rate data are fitted to the following equation

Log (100-F) = kt. A plot of log cumulative percent of drug remaining to be released vs. time is plotted then it gives first order release.

Higuchi release model: To study the Higuchi release kinetics, the release rate data were fitted to the following equation.

$$F = k\ t1/2$$

Where, 'k' is the Higuchi constant.
In Higuchi model, a plot of % drug release versus square root of time is linear.

Korsmeyer and Peppas release model:

The mechanism of drug release was evaluated by plotting the log percentage of drug released versus log time according to Korsmeyer- Peppas equation. The exponent 'n' indicates the mechanism of drug release calculated through the slope of the straight Line.

$$M\ t / M \infty = K\ t\ n$$

Where, M t / M ∞ is fraction of drug released at time 't', k represents a constant, and 'n' is the diffusional exponent, which characterizes the type of release mechanism during the dissolution process. For non-Fiskian release, the value of n falls between 0.5 and 1.0; while in case of Fickian diffusion, n = 0.5; for zero-order release (case I I transport), n=1; and for supercase II transport, n > 1. In this model, a plot of log (M t / M ∞) versus log (time) is linear.

Fourier Transform Infrared (FTIR) spectroscopy:

The formulations were subjected to FTIR studies to find out the possible interaction between the drug and the excipients during the time of preparation. FT IR analysis of the pure drug and optimized formulation were carried out using an FT IR spectrophotometer (Bruker FT-IR - GERMANY).

Differential Scanning Calorimetry:

The possibility of any interaction between the drug and the Excipients during preparation of SLN was assessed by carrying out thermal analysis of optimized formulation using DSC. DSC analysis was performed using Hitachi DSC 7020, on 5 to 15 mg samples. Samples were heated in sealed aluminum pan at a rate of 10°C/min conducted over a temperature range of 30 to 350°C under a nitrogen flow of 50 mL/min.

SEM (Scanning Electron microscope) studies

The surface morphology of the layered sample was examined by using SEM (Hitachi, Japan). The small amount of powder was manually dispersed onto a carbon tab (double adhesive carbon coated tape) adhered to an aluminum stubs. These sample stubs were coated with a thin layer (30Å) of gold by employing POLARON-E 3000 sputter coater. The samples were examined by SEM and photographed under various magnifications with direct data capture of the images onto a computer.

8. RESULTS AND DISCUSSION

CALIBRATION PLOT OF POSACONAZOLEIN PHOSPHATE BUFFER OF pH -5.5:

A standard graph of Posaconazole in phosphate buffer of pH-5.5 was plotted using Absorbance and concentration as shown in Table and Fig. Equation for linearity curve and R^2 were calculated as Y=0.0234X +0.0029and R^2 =0.997.Posaconazole showed maximum absorbance in phosphate buffer (pH 5.5) at 263 nm. The solution obeyed Beer-Lambert's law for concentration range of 5 to 25 µg/mL with regression coefficient of 0.997. Standard curve of prepared Posaconazole in phosphate buffer pH 5.5 is shown below.

Table: calibration curve of Posaconazole in phosphate buffer pH 5.5

Concentration(µg/mL)	Absorbance
0	0
5	0.115
10	0.226
15	0.348
20	0.462
25	0.586

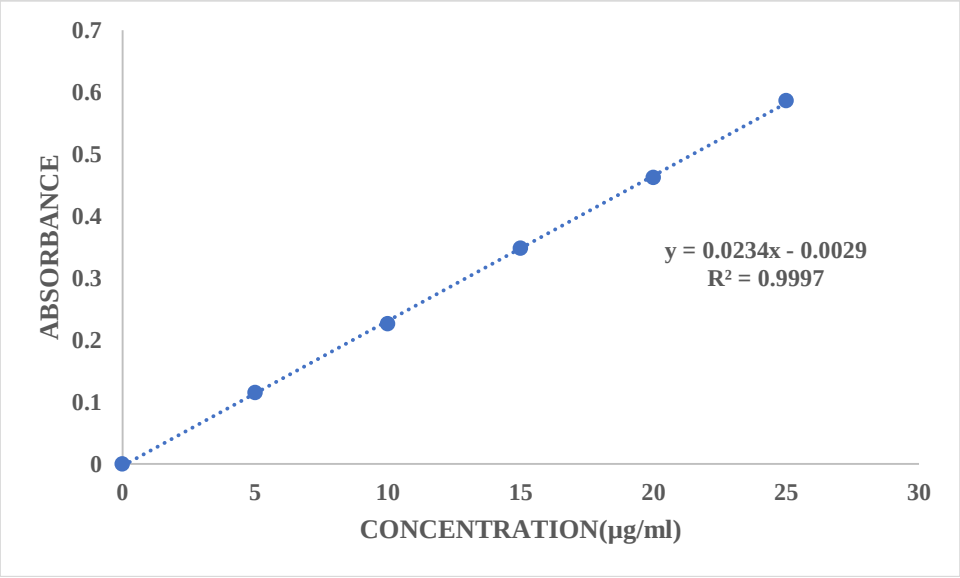


Fig: Calibration curve of Posaconazole in phosphate buffer pH 5.5

Characterization of Solid lipid nanoparticles:

Table: Percentage yield, Drug Content, Entrapment Efficiency of all solid lipid nanoparticles formulations

FORMULATION	Percentage yield	Drug Content	Entrapment Efficiency
F1	91.04	98.12	96.91
F2	92.13	97.54	96.35

F3	95.15	99.35	96.17
F4	96.86	99.58	99.76
F5	89.11	91.32	99.42
F6	91.59	98.20	96.30
F7	92.87	98.76	90.91
F8	93.59	99.18	95.35
F9	95.33	96.81	89.17

Percentage yield of formulations F1 to F9 by varying drug to lipid ratio was determined and is presented in Table. Highest drug content, Highest Entrapment efficiency observed for F4 formulation.

Table: Particle Sizes, PDI, Zeta Potential of all solid lipid nanoparticles formulations

FORMULATION	Particle Size(nm)	PDI	Zeta Potential (mV)
F1	1165.2	0.668	-26.12
F2	925.8	1.268	-24.81
F3	632.6	1.153	-23.52
F4	314.3	0.168	-28.25
F5	804.1	0.277	-16.55
F6	387.3	0.309	-20.83
F7	329.8	0.698	-22.59
F8	505.4	0.385	-12.11
F9	602.5	0.481	-10.80

The particle size of the all formulations were observed in the range of 314.3 to 1165.2. The less particle size, PDI observed in the F4 formulation i.e., 314.3 nm, 0.168 respectively. The Zeta potential range from -10.80 mV to -28.25 mV to all the formulations. The negative charge on the surface of the nanoparticle is believed to facilitate uptake from the intestine by the Payers patch, leading to the lymphatic circulation, also it is believed to prevent entangling of the nanoparticles in the negatively charged mucous owing to the repulsion of like charges.

Table: *In vitro* dissolution studies of F1-F9 SLN formulations in percentage

Time(Hrs)	F1	F2	F3	F4	F5	F6	F7	F8	F9
0	0	0	0	0	0	0	0	0	0
1	20.76	37.23	27.67	39.59	15.76	31.32	38.45	14.34	26.49
2	39.78	47.36	38.98	51.89	28.85	43.26	44.26	26.78	38.94
4	46.56	55.13	45.19	57.68	34.89	51.23	53.56	33.45	45.85
6	52.78	61.67	51.76	63.45	42.34	61.89	59.23	41.34	51.91
8	59.15	68.59	58.14	68.27	48.36	68.56	69.01	46.99	58.47
10	65.45	73.76	63.89	75.26	54.67	74.89	75.56	52.71	65.62
12	71.22	79.99	69.17	78.73	61.77	75.74	78.89	61.47	69.57
18	76.45	82.55	75.66	85.61	68.19	82.95	85.45	68.51	73.24
24	85.34	91.23	87.72	96.35	82.45	91.22	94.23	81.28	84.16
48	91.98	97.89	96.56	99.47	87.21	95.78	98.11	91.82	88.49

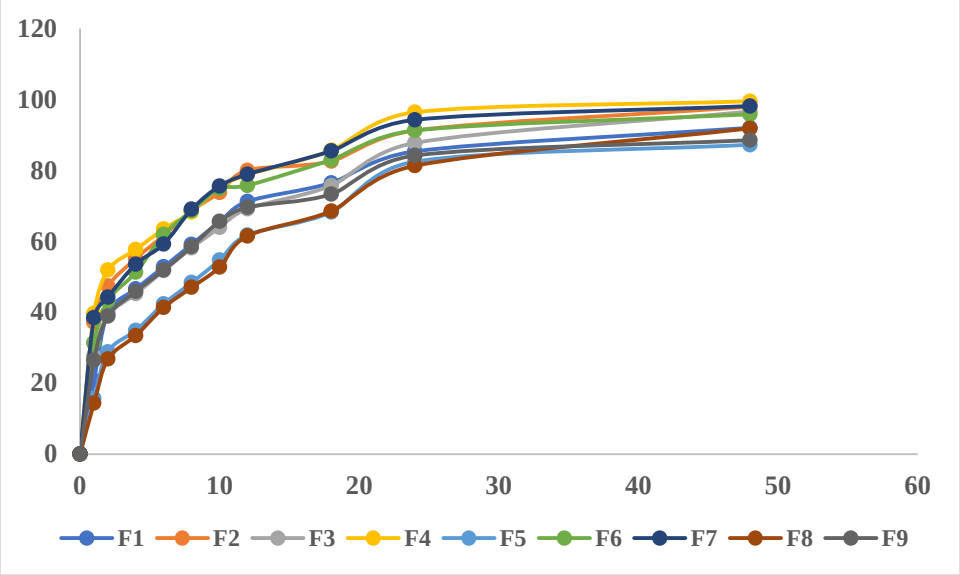


Fig: *In vitro* dissolution studies of F1-F9 SLN formulations in percentage

In vitro drug release study of the selected SLNs (F1, F2, F3, F4, F5, F6, F7, F8 and F9) was carried out. The SLNs exhibited 48 hours sustained release pattern. Forty percent of the incorporated amount of drugs was found to be released during the first 2 hours, followed by a slowed release of 99.39% of the drug up to 48 hours. The Posaconazole-loaded SLN F4 showed a better release profile of 99.39% by 48 hours. The prolonged release at 48 hours can be attributed to slow diffusion of drug from lipid matrix. The results of *in vitro* drug release are depicted in in above Table.

Release Kinetics

To analyze the drug release mechanism the *in vitro* release was fitted into various release equations and kinetic models first order, zero order, Higuchi and Korsmeyer-peppas. The release kinetics of optimized formulation is shown in Table and in following Figures.

Table: Release kinetics of optimized formulation

CUMULATIVE (%) RELEASE Q	TIME (T)	ROOT (T)	%) REL	LOG (T	LOG (%) REMAIN	RELEASE RATE (CUMULATIVE % RELEASE / t)	1/CUM% RELEASE	PEPPAS log Q/100	% Drug Remaining	Q01/3	Qt1/3	Q01/3-Qt1/3
0	0	0	0	0	0	0	0	0	0	0	0	0
39.59	1	1.000	1.000	1.000	1.000	1.000	1.000	1.000	1.000	1.000	1.000	0.000
51.89	2	1.414	1.715	0.301	1.682	25.945	0.0193	-0.285	48.11	4.642	3.637	1.005
57.68	4	2.000	1.761	0.602	1.627	14.420	0.0173	-0.239	42.32	4.642	3.485	1.157
63.45	6	2.449	1.802	0.778	1.563	10.575	0.0158	-0.198	36.55	4.642	3.319	1.323
68.27	8	2.828	1.834	0.903	1.501	8.534	0.0146	-0.166	31.73	4.642	3.166	1.476
75.26	10	3.162	1.877	1.000	1.393	7.526	0.0133	-0.123	24.74	4.642	2.914	1.728
78.73	12	3.464	1.896	1.079	0.540	6.561	0.0127	-0.104	21.27	4.642	2.771	1.871
85.61	18	4.243	1.933	1.255	0.628	4.756	0.0117	-0.067	14.39	4.642	2.432	2.209
96.35	24	4.899	1.984	1.380	0.690	4.015	0.0104	-0.016	3.65	4.642	1.540	3.102
99.47	48	6.928	1.998	1.681	0.841	2.072	0.0101	-0.002	0.53	4.642	0.809	3.832

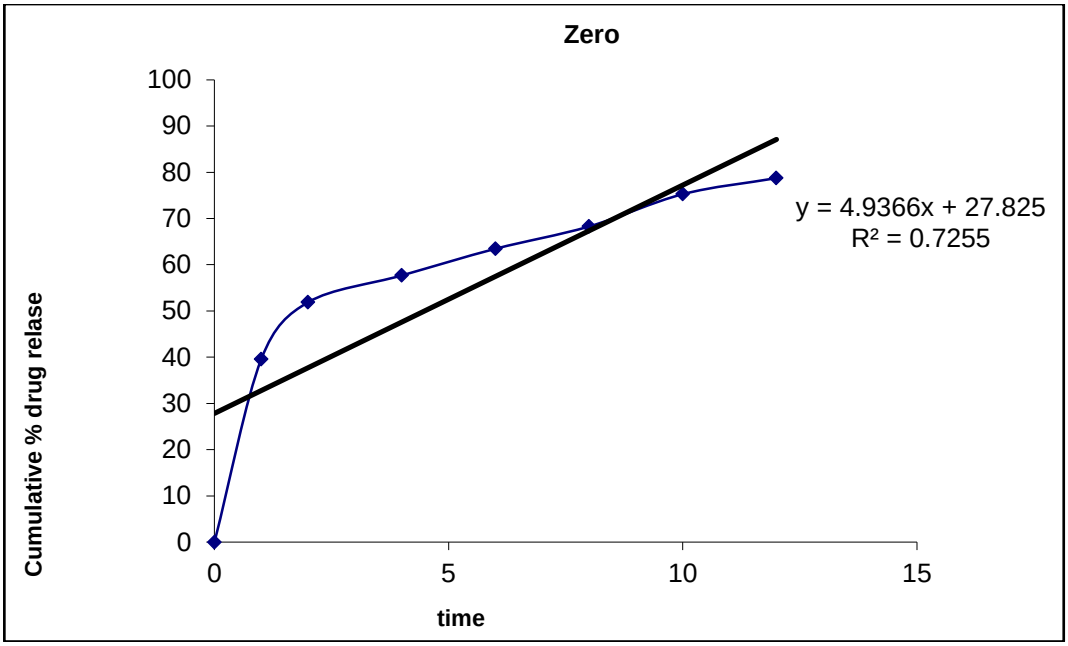


Fig: Zero order release kinetics

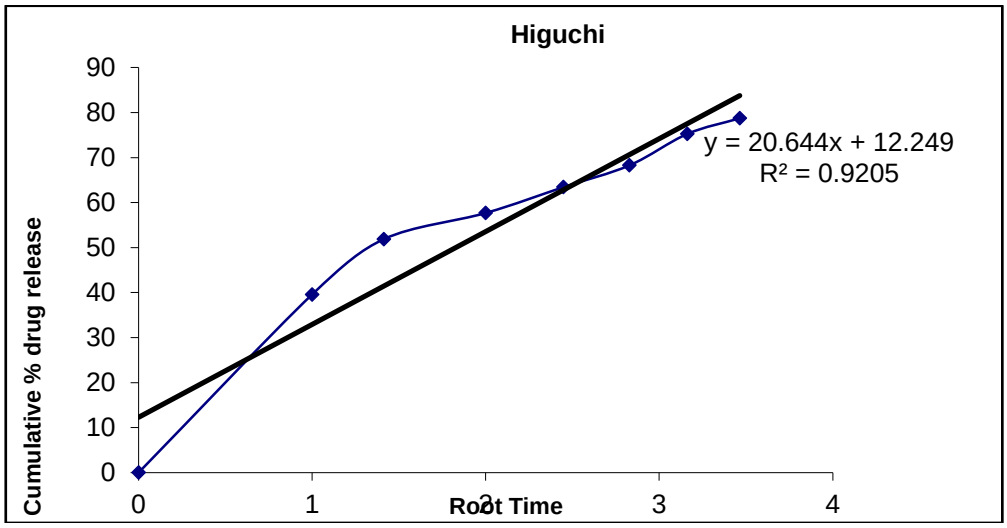


Fig: Higuchi release kinetics

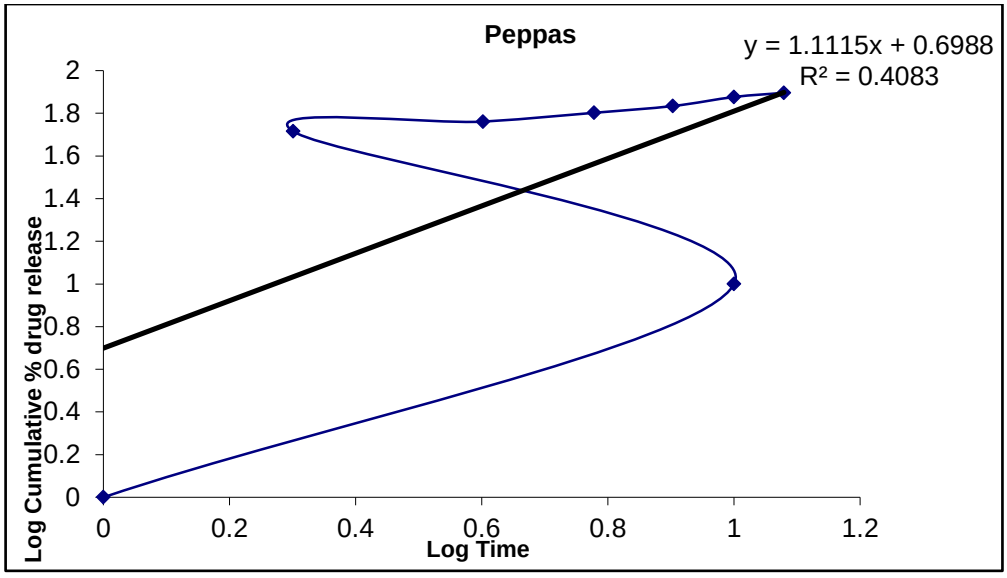
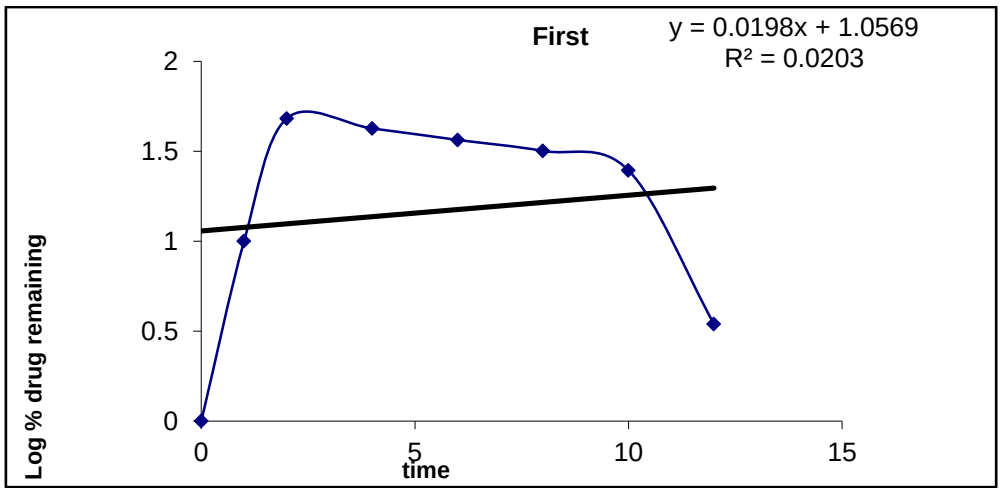


Fig: Peppas release kinetics



Fige: First order release kinetics

The best correlation coefficient value (0.988) indicates the best release mechanism (First order release).

FTIR

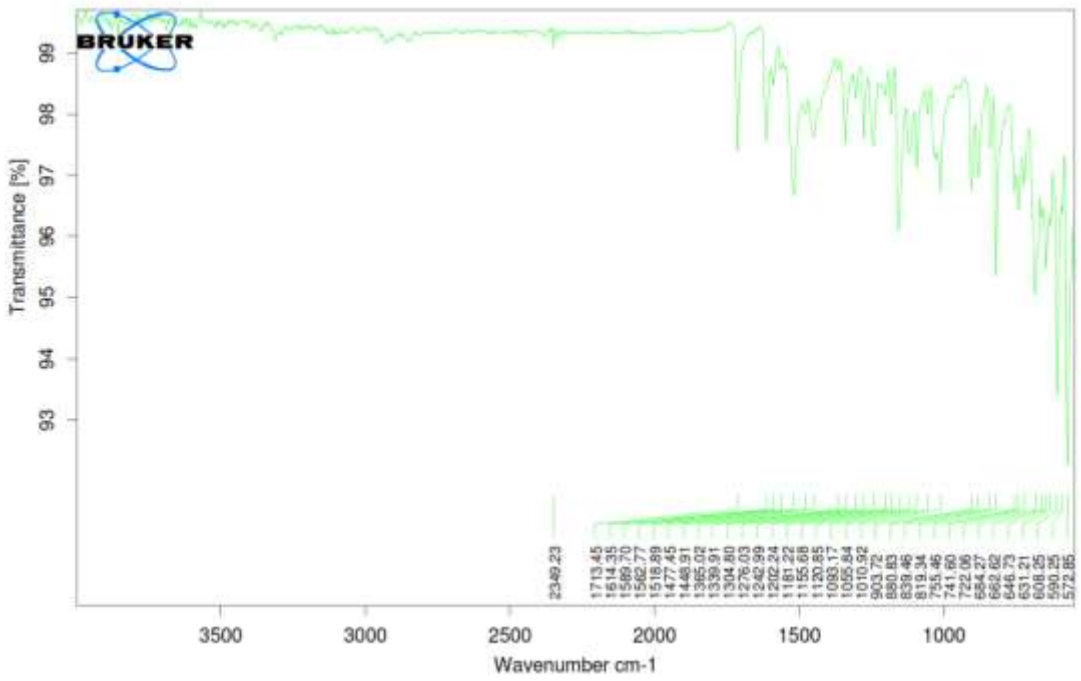


Fig: Posaconazole Pure drug FTIR

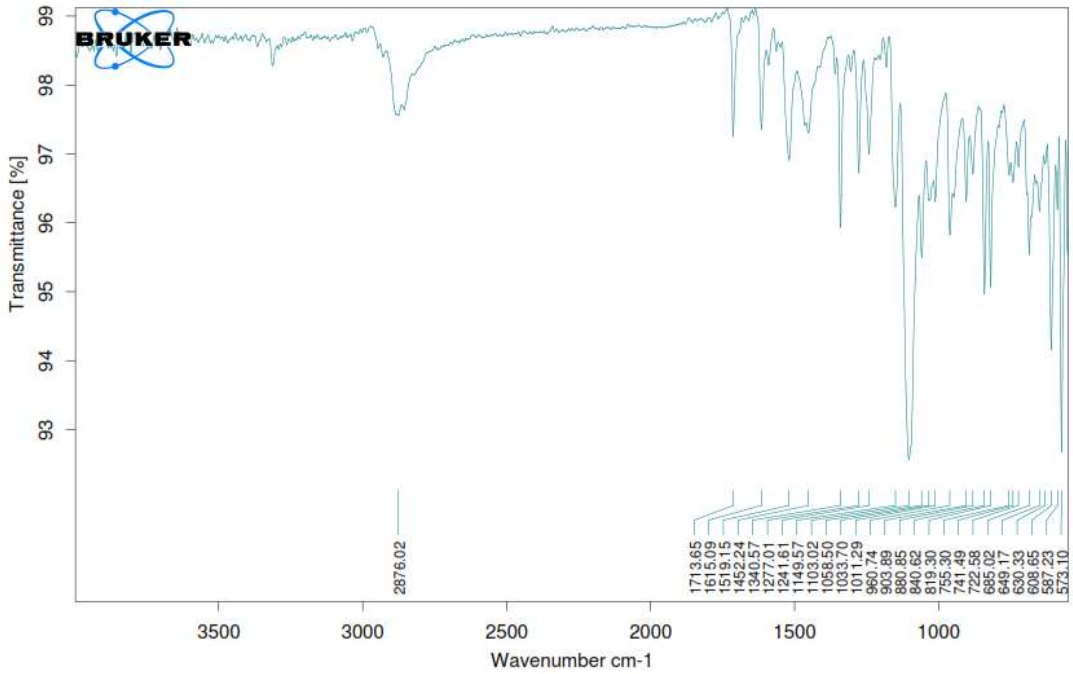


Fig: Posaconazole optimized FTIR

Infrared studies were carried out to confirm the compatibility between the lipid, drug, and selected excipients. From the spectra it was observed that there was no major shifting, as well as, no loss of functional peaks between the spectra of the drug and drug-loaded SLN. This indicated no interaction between the drug and other excipients.

SEM

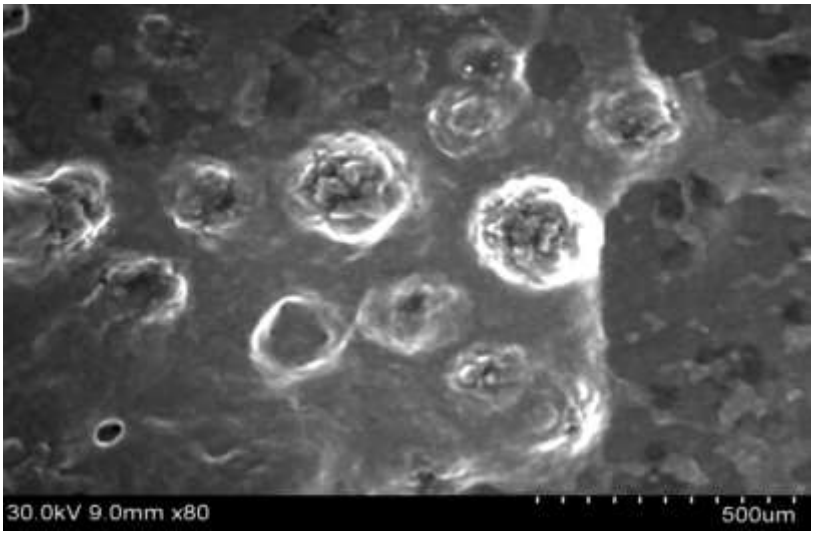


Fig: Posaconazole optimized

SEM studies showed that the Posaconazole- loaded solid lipid nanoparticleshad a spherical shape with a smooth surface as shown in Figure.

9. CONCLUSION

The present study successfully formulated and evaluated Posaconazole-loaded Solid Lipid Nanoparticles (SLNs) using different polymers at varying ratios to improve the drug's pharmaceutical performance. Various formulations were prepared and systematically characterized to identify the most suitable polymer concentration and drug-to-polymer ratio for the development of a stable and effective nanoparticulate drug delivery system.

The prepared formulations were subjected to comprehensive pre-formulation, pre-compression, and post-compression evaluations. The results demonstrated that all formulations exhibited acceptable physicochemical characteristics, with pre-compression parameters such as bulk density, tapped density, angle of repose, Carr's index, and Hausner ratio indicating satisfactory flow properties. Similarly, post-compression parameters including hardness, friability, weight variation, drug content uniformity, and other quality control tests were found to be within the prescribed Indian Pharmacopoeia (IP) limits, confirming the suitability of the formulations for pharmaceutical application.

The optimized SLN formulation showed desirable particle size distribution, high drug entrapment efficiency, satisfactory drug loading capacity, and controlled drug release behaviour. The use of different polymers and their ratios significantly influenced the characteristics of the nanoparticles, enabling the selection of an optimized formulation with improved stability and performance. The developed Posaconazole-loaded SLNs have the potential to enhance drug solubility, dissolution rate, bioavailability, and therapeutic efficacy while providing sustained drug release.

Overall, the study confirms that solid lipid nanoparticle technology is a promising approach for the delivery of Posaconazole. The optimized formulation demonstrated excellent pharmaceutical properties and compliance with Pharmacopoeial standards

ACKNOWLEDGEMENT

The Authors are thankful to the Management and Principal, Brilliant Grammar School Educational Society's Group of Institutions (Engineering and Pharmacy), Abdullapur (V), Abdullapurmet (M), Rangareddy (D), Hyderabad., for extending support to carry out the research work. Finally, the authors express their gratitude to the Sura Pharma Labs, Dilsukhnagar, Hyderabad, for providing research equipment and facilities.

REFERANCES

1. Mader, Kand, Mehnert W. Solid lipid nanoparticles production, characterization and applications. Adv Drug Del Rev.;47165-196, 2001.
2. Muller RH and Freitas C. Correlation between long-term stability of solid lipid nanoparticles(SLN) and crystallinity of the lipidphase. Eur J Pharma Biopharm;47:125-132, 1999.

3. Muhlen ZA, Schwarz C, Mehnert W. Solid lipid nanoparticles (SLN) for controlled drug delivery drug release and release mechanism. Eur J Pharm Biopharm.;45(2):149-155, Mar 1998.

4. Bolakatti GS, Maddi VS, Mamledesai SN, Ronad PM, Palkar MB and SwamyS. Synthesis and evaluation of Anti-inflammatory and Analgesic activities of a novel series of coumarin Mannichbases.Arz-For (Drug Research).;58(10):515-520, 2008.

5. Goodman and Gilman. Analgesic-anti pyretic and anti-inflammatory agents; pharmaco therapy of gout. Brunton LL, LazoJS, ParkerKL, editors. ThePharmacological Basis of Therapeautics. 11th ed. NewYork. McGraw-Hill.. 671-674, 2006 .(Book)

6. Balfour JA, Fitton A, Barradell LB. Lornoxicam: A review of its pharmacology and therapeutic potential in the management of painful and inflammatory conditions. Drugs ,51(4)639-657, 1996.

7. Malafaya PB, Silva GA, Baran ET, Reis RL. Drug delivery therapies General trends and its importance in bone tissue engineering applications.CurOp Solid State and Mat Sci.;6:283-295, 2000.

8. Wong HL, Rauth AM, Bendayan R, Wu XY. In Vivo Evaluation of a New Polymer-Lipid Hybrid Nanoparticle (PLN) Formulation of Doxorubicin in a Murine Solid Tumor Model. Eur J Pharm Bio pharm.,10(022):1-28, 2006.

9. Claudia B, Otto C, Roberta C, Ludovica G , Antonella M and Maria RG. Phagocytic uptake of fluorescent stealth and non-stealth solid lipid nanoparticles. Int J Pharm, 175:185-193(1998)

10. Muller RH , Wissing SA and Kayser. Solid lipid nanoparticles for parenteral drug delivery. Adv Drug Del Rev, 56:1257-1272(2004)

11. WolfgangM, KarstenM. Solid lipid nanoparticles :Production, characterization and applications. Adv Drug Del Rev, 47:165-196(2001)

12. Rainer HM, Karsten M, Sven G. Solid lipid nano particles (SLN)for controlled drug delivery-a review of the state of the art. Eur J Pharm Bio pharm 50:161-177(2000)

13. Pignatello R, Du YZ, Yuan H, YeYQ, Zeng S. Preparation and characterization of stearic acid nano structure dlipid carriers by solvent diffusion method in an aqueous system. Colloids Surf B Biointer faces,45:167–173(2006).

14. Puglia C, Samad A, Ali A, Aqil M, Sharma M, Mishra AK. Gelrite-based *in-vitro* gelation ophthalmic drug delivery system of gatifloxacin. J Disp Sci Tech, 29:89–96(2010).

15. Casadei M.A, Solid lipid nano particles loaded with insulin by sodium cholate-phosphatidylcholine-based mixed micelles : preparation and characterization. Int J Pharm, 340:153–162(2010).

16. Kockbek P, Mehnert W, Lucks JS, Schwarz C, zur-Muhlen A, Weyhers H, Freitas C, Ruhl D. Solid lipid nanoparticles an alternative colloidal carrier system for controlled drug delivery. Eur J Pharm Biopharm,41:62–69(2010).

17. Cavalli R, Caputo O, Carlotti ME, Trotta M, Scarnecchia C, Gasco MR.. Sterilization and freeze – drying of drug-free and drug-loaded solid lipid nanoparticles. Int J Pharm, 148:47–54. (1997).

18. Dingler A, Gohla S. Production of solid lipid nano particles (SLN): scaling up feasibilities. J Micro encapsul,19:11–16, (2002).

19. Freitas C, Müller RH. Correlation between long-term stability of solid lipid nano particles (SLN) and crystallinity of the lipid phase. Eur J Pharm Biopharm, 47:125–132, (1999).

20. Almeida, A.J., Runge, S., Müller, R.H. Peptide-loaded solid lipid nano particles (SLN): influence of production parameters. Int J Pharm.149:255–65, (1997).