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DESIGN, PREPARE AND OPTIMIZATION OF PLGA NANOPARTICLES LOADED PACLITAXEL

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Abstract:

Nanoparticle-based drug delivery systems have emerged as a promising strategy to enhance the therapeutic efficacy and bioavailability of poorly water-soluble chemotherapeutic agents. In this study, paclitaxel-loaded poly(lactic-co-glycolic acid) (PLGA) nanoparticles were designed, prepared, and optimized using the nanoprecipitation method. Various formulation parameters, including polymer concentration, drug-to-polymer ratio, and stabilizer concentration, were systematically investigated to achieve nanoparticles with desired particle size, surface charge, drug entrapment efficiency, and controlled release profile. The prepared nanoparticles were characterized by particle size analysis, zeta potential measurement, and scanning electron microscopy (SEM), which revealed spherical and uniform particles with an average size of approximately 200 nm and good colloidal stability. The entrapment efficiency of the optimized formulation was found to be high, and in vitro release studies demonstrated a sustained and controlled release of paclitaxel. Drug-polymer compatibility was confirmed via FTIR studies, indicating no significant chemical interaction. Stability studies showed that the nanoparticles maintained their physicochemical properties over 90 days at 4°C and 25°C. The results suggest that the optimized paclitaxel-loaded PLGA nanoparticles possess the potential for improved anticancer therapy through enhanced bioavailability, sustained drug release, and targeted delivery.

Keywords: *Poly(lactic-co-glycolic acid) (PLGA), FTIR Studies, Nanoprecipitation method, In vitro drug release studies*

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INTRODUCTION:

Nanotechnology has revolutionized drug delivery by enabling precise control over drug release, improved bioavailability, and targeted therapy. Among various nanocarriers, polymeric nanoparticles have attracted significant attention due to their versatility, biocompatibility, and ability to encapsulate both hydrophilic and hydrophobic drugs.[1] Poly(lactic-co-glycolic acid) (PLGA) is a biodegradable and biocompatible copolymer widely used for the preparation of nanoparticles. It is composed of lactic acid and glycolic acid monomers in varying ratios, which allows modulation of degradation rate and drug release kinetics.[2] PLGA nanoparticles (PLGA NPs) have been extensively studied for the delivery of anticancer drugs, antibiotics, proteins, peptides, and nucleic acids.[3] Paclitaxel is a highly lipophilic drug with documented antineoplastic activity against a broad range of malignancies (breast, lung, ovarian, head-and-neck cancers etc.).[4] Its clinical formulations historically relied on solubilizing excipients , which themselves contribute to side effects and limit PTX's therapeutic index.[5,16]

MATERIALS

Paclitaxel was procured from Hetero Labs, HYD. Poly(lactic-co-glycolic acid and Poly vinyl alcohol were obtained from Synpharma Research Labs, Hyderabad. Other chemicals and the reagents used were of analytical grade.

METHODOLOGY:

Compatibility study (IR spectroscopy)

The drug-polymer compatibility was ascertained by subjecting the drug and homogenates of drug and polymer to Infrared spectrophotometric study.[6]

Method of preparation of Paclitaxel loaded nanoparticles:

Table-1: Composition of the Nanoparticles

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8
Paclitaxel	135	135	135	135	135	135	135	135
PLGA	100	200	300	400	500	600	700	800
PVA	1%	1%	1%	1%	1%	1%	1%	1%
Ethanol	10	10	10	10	10	10	10	10

Nanoprecipitation method[7]

1.Prepare Organic Phase

Dissolve the PLGA in 10 mL ethanol.

Add 135 mg Mix thoroughly until fully dissolved.

2. Prepare Aqueous Phase

Prepare 50 mL of 1% PVA solution in distilled water (as stabilizer).

Stir to ensure complete dissolution.

3. Nanoparticle Formation

Under moderate magnetic stirring (400–800 rpm), add the organic phase dropwise into the aqueous phase.

A turbid colloidal suspension will form as nanoparticles precipitate.

Stir the suspension for 2–4 hours at room temperature to allow full solvent diffusion and evaporation.

4. Solvent Removal

Remove residual ethanol by Continued stirring Using a rotary evaporator.

5. Purification

Centrifuge the suspension at 15,000 rpm for 30 min.

Discard the supernatant and resuspend the pellet in distilled water.

Repeat 2–3 times to remove unencapsulated drug and PVA.

Fig-1: Magnetic stirrer

Evaluation of Paclitaxel loaded polymeric nanoparticles:

Particlesize:

All of the generated batches of nanoparticles were observed under a microscope to establish their sizes. The average size of the nanoparticles was determined by measuring the size of each batch's nanoparticles in a small drop of nanoparticle dispersion on a slide.[8]

Zeta potential

Zeta potential indicates the surface charge of nanoparticles in dispersion. It is measured using electrophoretic light scattering (ELS) or laser Doppler velocimetry. High absolute values (±25–30 mV) suggest good colloidal stability due to electrostatic repulsion.[9]

SEM analysis

The morphology of nanoparticles was examined using the scanning electron microscope (SEM, Hitachi, Tokyo, Japan). After being properly diluted (1:100) in double-distilled water, Paclitaxel -freeze-dried SLNs were added to a drop of the nanoparticle formulation and left to air dry. The sample was then observed under various magnifications and a 15,000 volt accelerating voltage. The imaging was performed in a high vacuum.[10]

Drug encapsulation efficiency:

A set volume of the nanoparticles dispersion (10 ml) was poured into a centrifuge tube at room temperature, and it was spun at 18,000 rpm for 20 minutes (Remi Instruments Pvt. Ltd, India). The drug's absorbance in the supernatant was measured spectrophotometrically at a maximum wavelength of 291 nm after the lipid component was removed (Shimadzu 1800, Japan).[11]

$$\text{Entrapment Efficiency (\%)} = \frac{\text{Amount entrapped}}{\text{Total drug loaded}} \times 100$$

In-vitro drug release studies:

Utilizing the dialysis bag approach, in vitro release tests were carried out. Prior to the release trials, the dialysis membrane (molecular weight cutoff between 12,000 and 14,000) was immersed in double distilled water for an overnight period. As releasing media, phosphate buffer pH 7.4 were also employed. A donor compartment and a receptor compartment make up the experimental unit. A boiling tube that was cut open at one end and tied with a dialysis membrane at the other end serves as the donor compartment, into which 3 ml of polymeric dispersion was injected for the release research. The receptor compartment is made up of a 250 ml beaker that contains 100 ml of release media and was kept at a temperature of 37 0.5 °C. Every 3 ml sample was taken out of the receiver compartment and replaced with the same amount of release medium at the 1, 2, 3, 4, 5, 6, 7 and 8h time periods. The collected samples were appropriately diluted before being examined at 291 nm with a UV-visible spectrophotometer.[12]

Percentage of drug release was determined using the following formula.

Perentage drug release=

$$\frac{Da}{Dt} \times 100$$

Where, Dt = Total amount of the drug

Da = The amount of drug released

Drug release kinetics:[13]

The models used were zero order (equation 1) First order (equation 2) and Higuchi model (equation 3) and KoresmeyerPeppas model (equation 4).

i) zero order kinetics:

$R = K_o t$ -- (1)

R=cumulative percent drug

Ko=zero order rate constant

ii) First order kinetics

$\log C = \log Co - K_1 t / 2.303$ -- (2)

where C = cumulative percent drug

K_1= first order rate constant

iii) Higuchi model

$R = K_H t^{0.5}$ -- (3)

Where R = cumulative percent drug

K_H =higuchi model rate constant

iv) korsermeyer peppas model:

$M t / M \alpha = K_k t^n$

$\log M t / M \alpha = \log K_k + n \log t$ -- (4)

where K_k =korsermeyerpeppas rate constant

'M t / M α' is the fractional drug , n = diffusional exponent, which characterizes the mechanism of drug.

Stability studies:[14,15]

Over the course of 90 days, the stability of Paclitaxel nanoparticle dispersion in screw-capped glass vials was assessed. Four samples were split into two groups and kept at 4°C and 25°C, respectively. At the end of the 90 days, the amount of drug leaking from nanoparticles and the average particle size of the samples were calculated.

RESULTS AND DISCUSSION:

Drug - excipient compatibility studies (FT-IR)

Using the FTIR peak matching approach, the compatibility of the medicine with the chosen polymer and other excipients was assessed. The drug-polymer mixture showed no peaks that appeared or vanished, indicating that there was no chemical interaction between the medication, polymer and other molecules.

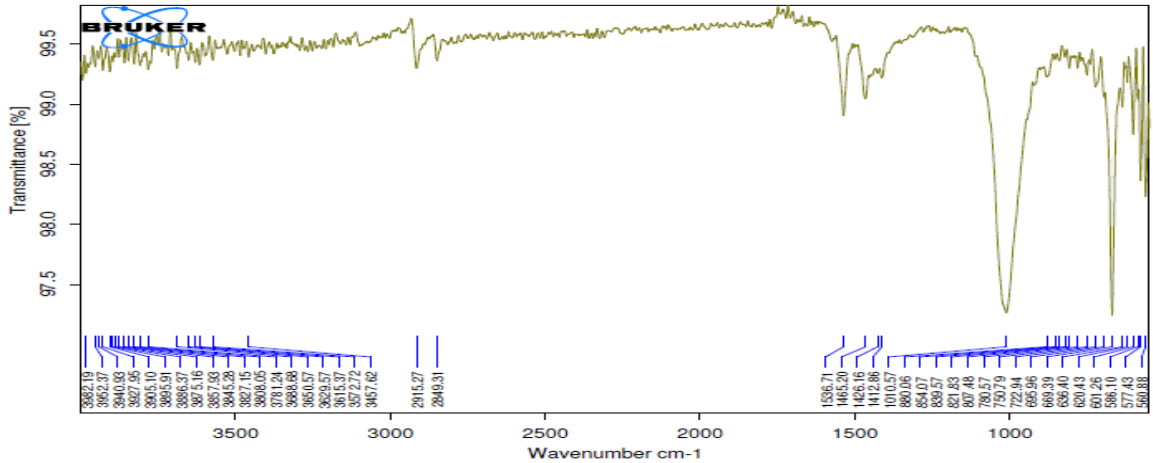

Fig-1: FT-IR Sample for Pure drug

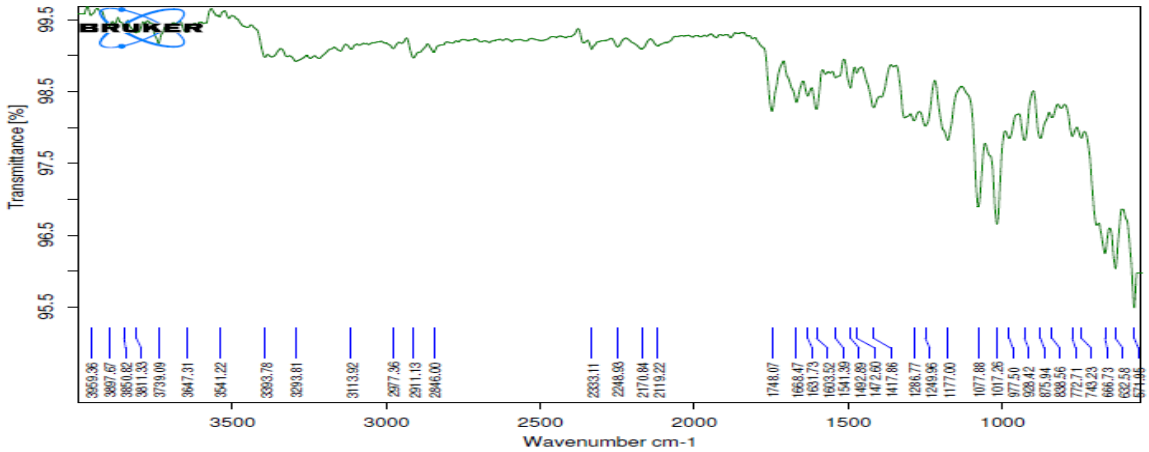

Fig-2: FT-IR Sample for Optimized formulation

EVALUATION PARAMETERS

Particle size:

With an increase in lipid concentration, the particle size increased. Based on entrapment effectiveness and particle size distribution.

Surface morphology:

According to scanning electron microscopy (SEM), the polymeric nanoparticles were round, smooth, and free of any aggregation.

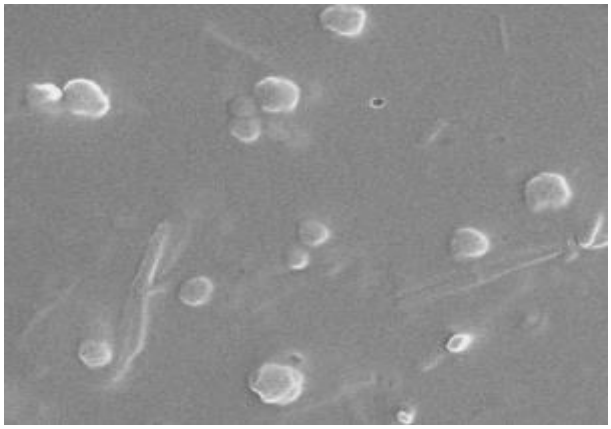

Fig-3: SEM analysis of Optimized polymeric nanoparticle

Particle size

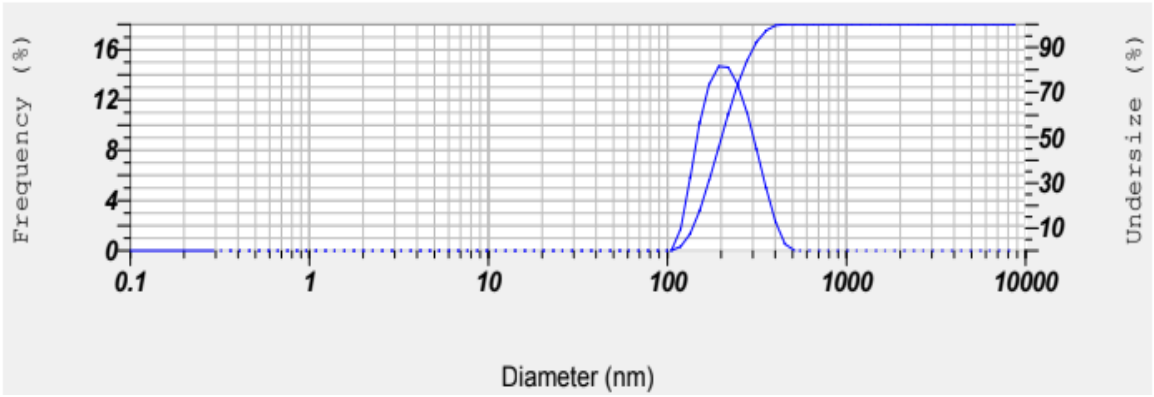

Fig-4: Particle size of Polymeric nanoparticles

The mean particle size of optimized Polymeric nanoparticles was found to be 200 nm.

Determination of Zeta potential:

Zeta potential is a measure of charge present on the vesicle surface. It was determined by using phase analysis light scattering with Malvern zetasizer at field strength of 20V/cm in distilled water and based on electrophoretic mobility of charged particles present in the nanocrystal system. Charged particles were attracted to the electrode with the opposite charge when an electric field is applied.

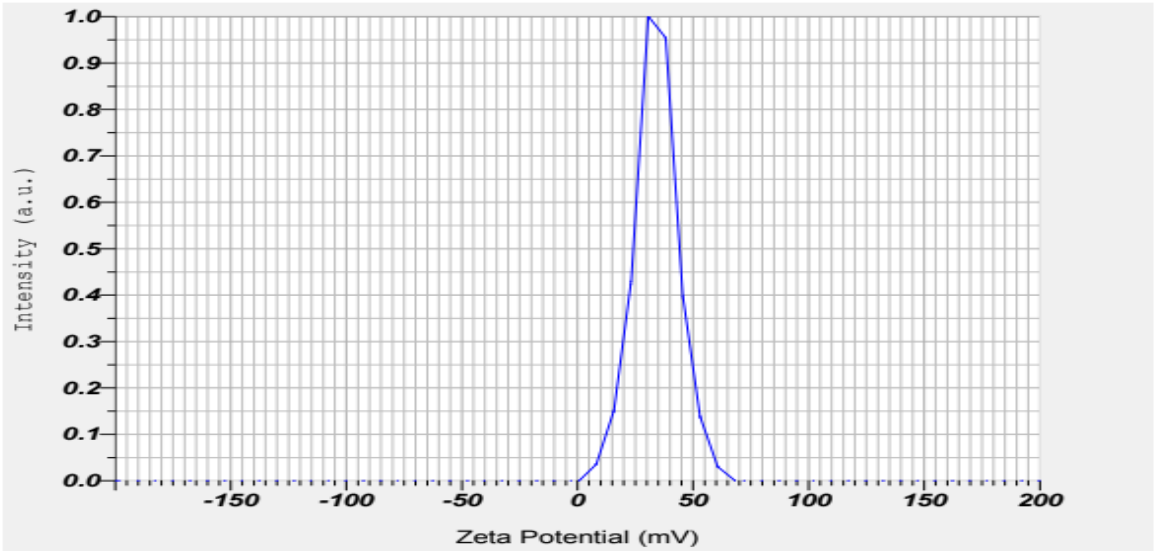

Fig-5: Zeta potential of PLGA nanoparticles

Drug entrapment efficiency:

Optimizing the polymer concentration to be used in the creation of polymeric nanoparticles was the first step of the work plan. Based on the particle size and entrapment effectiveness of the discovered polymeric nanoparticles, the polymer content was optimized.

Table-2: Evaluation Studies of Prepared nanoparticles: Particle size, Zeta potential and Entrapment Efficiency

Batch No	Particle size (nm)	Zeta potential (mV)	Entrapment Efficiency (%)
F1	265	-22	78.96
F2	249	-25	80.24
F3	257	-23	78.52
F4	223	-26	81.29
F5	200	-21	83.55
F6	248	-22	82.31
F7	269	-26	79.90
F8	274	-25	75.48

In vitro drug release studies

Using a dialysis membrane and a pH 7.4 buffer, the in vitro diffusion investigations were carried out for eight hours. This resulted from the drug's release from the surface of the nanoparticles. Later, for 8 hours, a consistent and gradual medication release was seen. The polymer ratio in the F8 formulation was shown to be the most effective one.

Table-3: *In vitro* drug release profiles of Paclitaxel nanoparticles (F1-F8)

Time	F1	F2	F3	F4	F5	F6	F7	F8
0	0	0	0	0	0	0	0	0
1	28.55	26.45	26.55	25.32	29.55	24.55	22.42	25.58
2	35.25	34.26	32.56	32.82	38.50	32.82	30.51	37.26
3	43.82	34.70	49.25	41.77	48.12	41.77	48.41	44.12
4	52.65	53.54	55.25	50.25	55.65	50.25	53.23	55.65
5	61.28	62.85	66.60	60.52	65.55	60.52	63.47	65.55
6	69.25	72.80	78.91	71.56	77.20	71.56	72.23	77.20
7	78.85	81.63	86.15	82.50	83.85	82.50	83.20	83.85
8	88.56	90.55	96.70	91.52	97.89	91.52	94.62	95.55

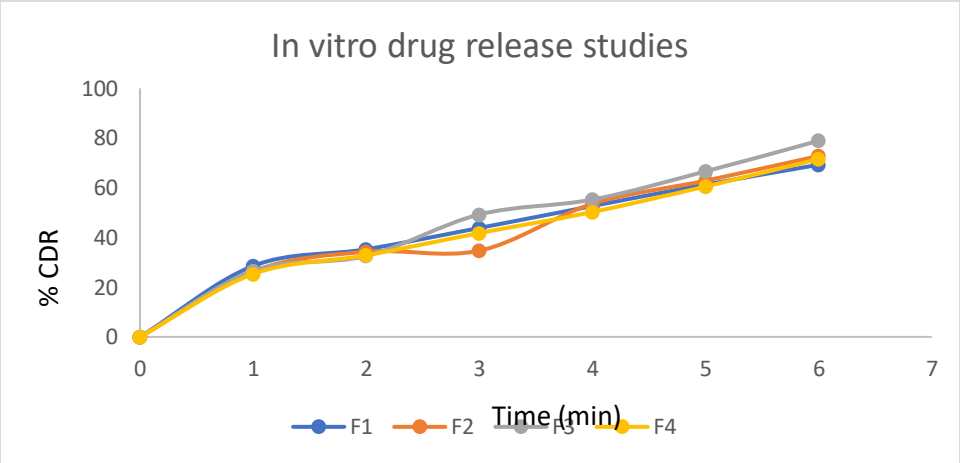

Fig-6: Drug release for (F1-F4) formulations

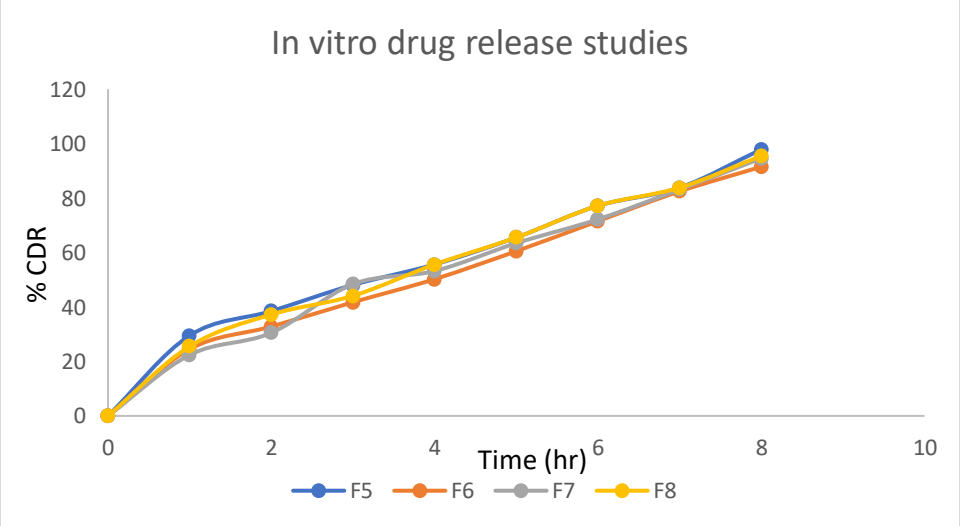

Fig-7: Drug release for (F5-F8) formulations

Drug release kinetics

Zero order kinetics

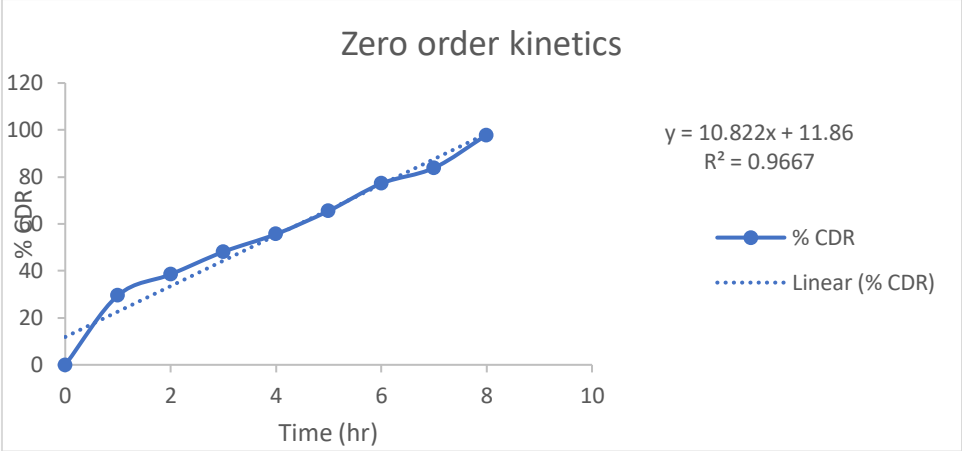

Fig-8: Zero order kinetics of optimized formulation

First order kinetics

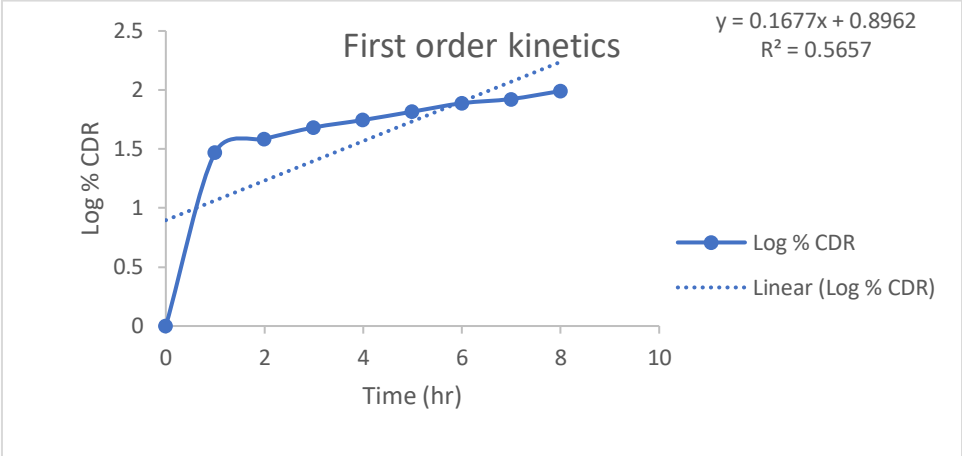

Fig-9: First order kinetics of optimized formulation

Higuchi model

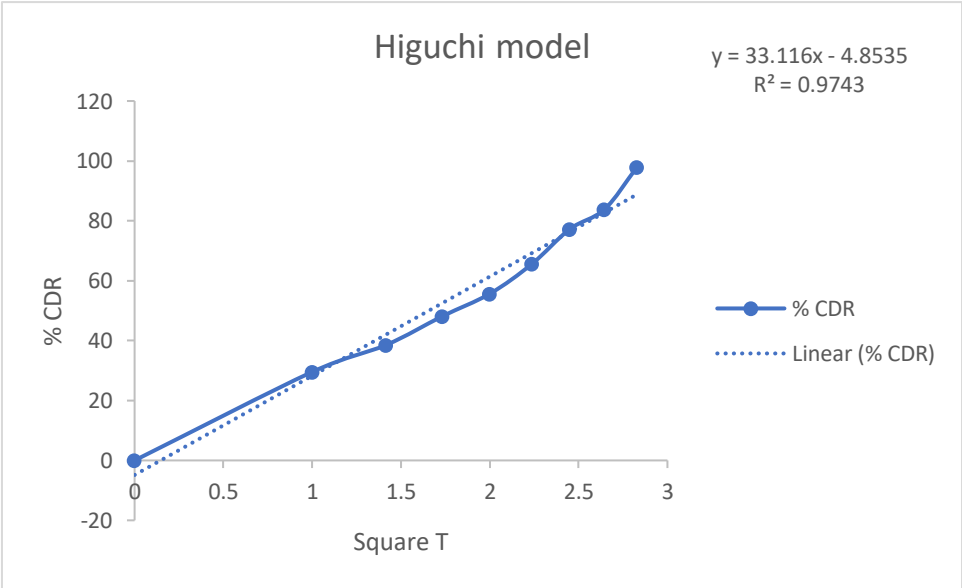

Fig-10: Higuchi model of optimized formulation

Korsmeyer peppas

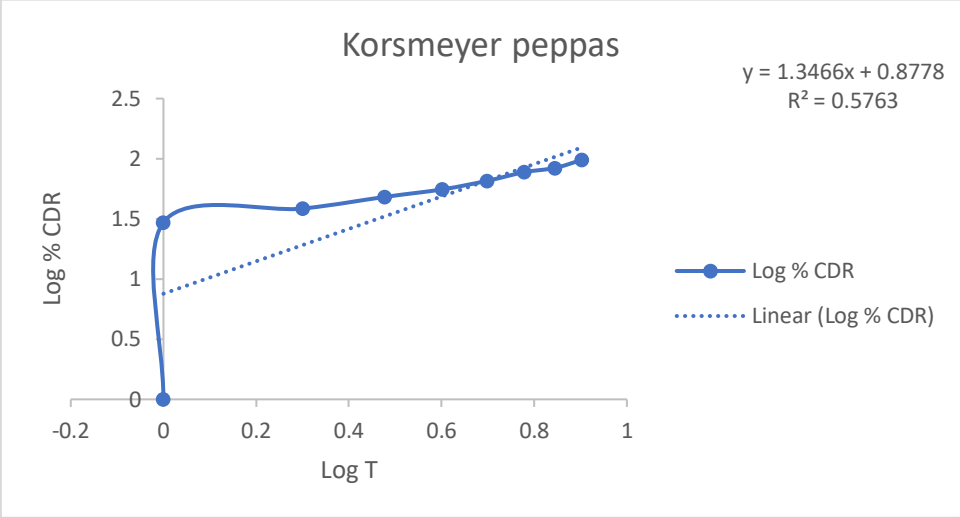

Fig-11: Korsmeyer peppas model of optimized formulation

Correlation coefficient for Zero order kinetics follows higuchi model equation was higher for Optimized formulation for PLGA nanoparticles compared to other formulation suggesting that the rate of dissolution increased with increase in surface area.

Stability studies:

After three months, the physical and chemical characteristics of the nanoparticles of formulation F-5 had not significantly changed. The parameters quantified at various times were displayed.

Table-4: Results of stability studies of optimized formulation F-5

Formulation Code	Parameters	Initial	1st Month	2nd Month	3rd Month	Limits as per Specifications
F-5	25^{0}C/60%RH	97.89	96.87	95.48	94.58	Not less than
F-5	30^{0}C/75% RH	97.89	96.35	95.37	94.55	Not less than
F-5	40^{0}C/75% RH	97.89	96.25	95.23	94.12	Not less than

CONCLUSION:

The optimized paclitaxel-loaded PLGA nanoparticles showed favorable physicochemical properties, high drug entrapment, and sustained drug release, making them a promising candidate for enhanced anticancer therapy. The controlled release profile can potentially reduce dosing frequency and minimize side effects, improving patient compliance. The study highlights that PLGA-based nanoparticulate systems are effective carriers for hydrophobic chemotherapeutic agents like paclitaxel, offering a promising approach for targeted and sustained drug delivery in cancer treatment.

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