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DESIGN, FORMULATION, AND IN-VITRO CHARACTERIZATION OF FAST DISSOLVING SITAGLIPTIN TABLETS

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Abstract:

The present study aimed to design, formulate, and evaluate fast-dissolving tablets (FDTs) of Sitagliptin for the effective management of Type 2 Diabetes Mellitus. Eight formulations (F1–F8) were prepared by direct compression using sodium starch glycolate and crospovidone as superdisintegrants. Pre-formulation compatibility studies were performed using FTIR spectroscopy, which confirmed the absence of drug–excipient interactions. The prepared tablets were evaluated for physicochemical parameters, including weight variation, thickness, hardness, friability, drug content, disintegration time, and in-vitro drug release. All formulations complied with pharmacopeial limits for weight variation, hardness (3.18–4.12 kg/cm²), friability (0.43–0.59%), and drug content (88.47–92.35%). The disintegration time ranged between 32–43 seconds, indicating rapid tablet dispersion. In-vitro dissolution studies revealed that all formulations released more than 90% of drug within 60 minutes. Among them, formulation F5 showed the highest cumulative drug release (98.42%) with rapid initial burst release and consistent dissolution behaviour. Drug release kinetics of the optimized formulation F5 followed first-order kinetics with a diffusion-controlled mechanism as confirmed by Zero-order, First-order, Higuchi, and Korsmeyer–Peppas models. Accelerated stability studies conducted for 90 days at 40°C/75% RH demonstrated no significant physical changes and negligible reduction in drug release, confirming formulation stability. The developed fast dissolving tablet of sitagliptin exhibited rapid disintegration, enhanced dissolution, and good stability, suggesting its potential as an effective and patient-compliant oral dosage form for diabetes management.

Keywords: *Sitagliptin, Super disintegrates, Direct compression method, Fast dissolving tablets, In vitro drug release studies.*

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INTRODUCTION:

Fast dissolving tablets (FDTs), also known as orally disintegrating tablets, have emerged as a promising drug delivery system designed to disintegrate rapidly in the oral cavity without the need for water.[1] This dosage form enhances patient convenience, improves adherence, and may provide faster onset of action due to rapid disintegration and dissolution in saliva. The formulation of FDTs requires the careful selection of superdisintegrants, diluents, and other excipients to achieve optimal mechanical strength, rapid disintegration time, and acceptable palatability.[2]Sitagliptin is an oral antihyperglycemic agent widely prescribed for the management of Type 2 Diabetes Mellitus. It belongs to the class of dipeptidyl peptidase-4 (DPP-4) inhibitors, which act by preventing the degradation of endogenous incretin hormones such as glucagon-like peptide-1 (GLP-1) and glucose-dependent insulinotropic polypeptide (GIP).[3] By enhancing incretin activity, sitagliptin improves glucose-dependent insulin secretion and suppresses glucagon release, thereby achieving effective glycaemic control with a lower risk of hypoglycaemia compared to some conventional antidiabetic agents.Type 2 diabetes mellitus (T2DM) is a chronic, progressive metabolic disorder characterized by insulin resistance and impaired insulin secretion.[4] Sitagliptin is a suitable candidate for fast dissolving tablet formulation due to its relatively low dose, good aqueous solubility, and favourable pharmacokinetic profile.[5]Therefore, the present research focuses on the design, formulation, and in-vitro characterization of fast dissolving sitagliptin tablets to enhance patient compliance and therapeutic efficacy. The study aims to develop a stable and effective FDT formulation that meets pharmacopeial standards while ensuring rapid drug release and improved patient acceptability.

MATERIALS AND METHODS:

MATERIALS

Sitagliptin was procured from Hetero Labs, Hyderabad. Sodium starch glycolate and Crospovidone were obtained from Synpharma Research Labs, Hyderabad. Other chemicals and the reagents used were of analytical grade.

METHODOLOGY

Fourier Transform Infrared Spectroscopy (FTIR)

Fourier Transform Infrared (FTIR) Spectroscopy FTIR spectra were obtained on Shimadzu FTIR Model 8400-S spectrometer. The spectra was recorded as a dispersion of the sample in potassium bromide in IR disk (2 mg sample in 200 mg KBr) with the scanning range of 400 to 4000 cm-1 and the resolution was 1 cm -1. [6]

Table-1: Formulation table

S.No	Ingredient	F1	F2	F3	F4	F5	F6	F7	F8
1	Sitagliptin	10	10	10	10	10	10	10	10
2	Sodium starch glycolate	5	10	15	20	-	-	5	-
3	Crospovidone XL	-	-	-	-	5	10	15	20
5	Lactose	75	70	65	60	75	70	65	60
6	Magnesium stearate	3	3	3	3	3	3	3	3
7	Talc	2	2	2	2	2	2	2	2
8	Saccharin	5	5	5	5	5	5	5	5
9	Total wt.	100	100	100	100	100	100	100	100

Preparation method

Direct compression technique

Sitagliptin Fast dissolving tablets were prepared by direct compression method by using coprocessed superdisintegrants like Crospovidone and Sodium Starch Glycolate and Lactose as a diluent, Saccharin as a sweetening agent, Magnesium Stearate, Talc used as a lubricant and glidant. All the ingredients (except granular directly compressible excipients) were passed through # 60-mesh separately. Then the ingredients were weighed and mixed in geometrical order after sufficient mixing of drug as well as other components and compressed into tablets of 100mg using 6mm round flat punches on 12-station rotary tablet machine.7

EVALUATION OF TABLET

Weight variation

20 random FDTs weighed and average weight determined. Then individual tablet weighed separately to obtain % deviation from the average. The accepted deviation for tablets with average weight ≤ 130mg is 10%, for ≥130mg is 7.5%. [8]

Thickness

Thickness of tablet is crucial for patient acceptance and packaging hence to be controlled at ± 5% deviation from standard value.Vernier Callipers used for measurement of thickness of 10 FDTs.[9]

Hardness

Hardness tester was used for determination of hardness of randomly picked 10 tablets and average of measured values reported.[10]

Friability

20 tablets randomly picked were weighed and subjected to friability test in Roche friabilator that rotated at 25 rpm for duration of 4min. the tablets were then reweighed after de-dusting and following equation was used to calculate percent loss in weight due to impact and abrasion.[11]

%Friability= (Loss in weight/ Initial weight) X 100.

Content uniformity

Randomly picked 20 tablets were powdered in a glass mortar after calculating their average weight and amount equal to 10 mg was dissolved in 100ml of phosphate buffer pH 6.8 and filtered followed by spectrometric determination of drug content at 268 nm.[12]

In-vitro disintegration time (DT)

The DT of ODTs analysed in USP device with six glass tubes measuring "3 long, open at the top, and held against 10" screen at lower end of the basket rack congregation. One tablet positioned in each tube with basket rack positioned in 1000ml beaker containing buffer at 37± 2 ^{0}C, such that the tablets remain below the surface of the liquid on their upward movement and descend not closer than 2.5cm from the bottom of the beaker.[13]

***In- Vitro* Release study**

In-Vitro drug release studies were carried out using Tablet dissolution test apparatus USP II at 50 rpm. The dissolution medium consisted of 900 ml of Standard Phosphate buffer pH 6.8. Temperature maintained at 37±1° C. The sample of 5ml was withdrawn at predetermined time intervals and an equivalent amount of fresh dissolution fluid equilibrated at the same temperature was replaced. The solution was filtered through Whatman filter paper. The filtrate was analyzed by U.V. spectrophotometer (Labindia) at 268 nm. The drug release was plotted against time to determine the release profile of various batches.[14]

Kinetics of drug release[15]

To study kinetices data obtained from invitro relesase were plotted in various kinetic models.

- **Zero-order equation**

  $\%R = Kt$

- **First order equation**

  $\text{Log\% unreleased} = Kt / 2.303$

- **Higuchi equation**

  $\%R=Kt^{0.5}$

This model is applicable to systems with drug dispersed in uniform swellable polymer matrix as in case of matrix tablets with water soluble drug.

$\%R=Kt^n$

- **Korsmeyer-Peppas equation :**

  This model is widely used, when the release phenomenon could be involved. The end value could be used to characterize different release mechanisms as:

Table-2: Kinetics mechanism

N	Mechanism
0.5	Fickian diffusion(Higuchi matrix)
0.5<n<1	Anomalous transport
1	Case- II transport (zero order release)
n>1	Super case- II transport

Stability studies

Accelerated three months stability tests were carried out for the optimized ODT in a stability chamber at 40 ^{0}C / 75 % RH post wrapping the ODTs in aluminum foil and sealing into ambered bottles.[16]

RESULTS AND DISCUSSION:

Fourier Transformation Infra-Red (FTIR) analysis:

Infra-red spectroscopy analysis was performed by Fourier Transformation Infrared Spectrophotometer Alpha Brooker FTIR (Tokyo, Japan).

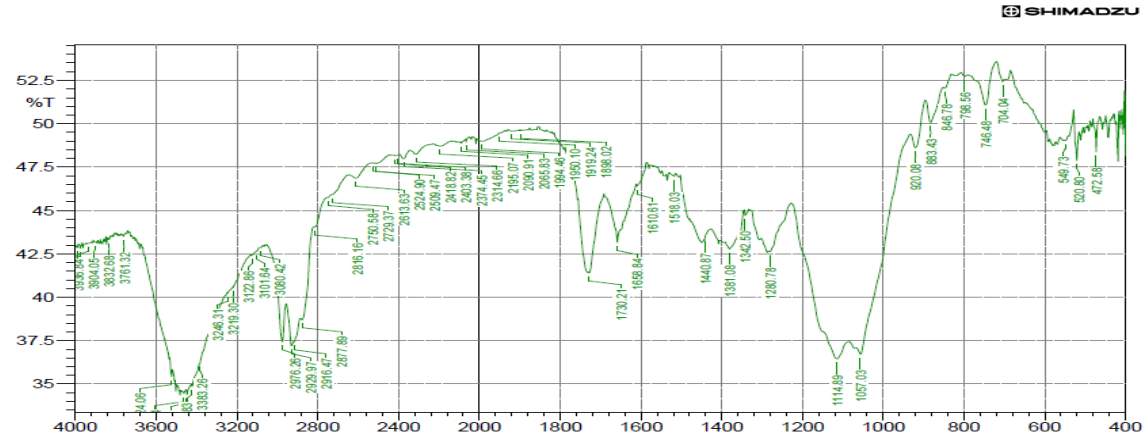

Fig-2: FT-IR Sample for Sitagliptin

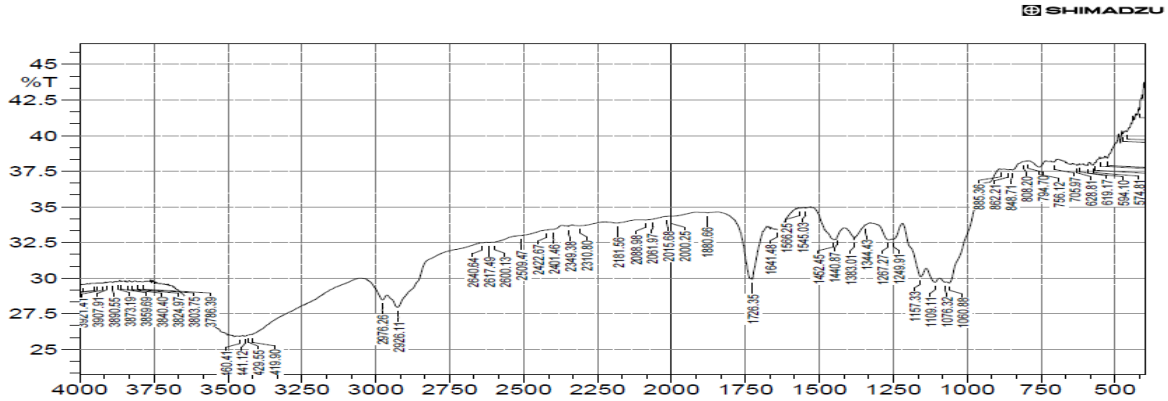

Fig-3: FT-IR Sample for physical mixture of drug and Excipients

In the present study, it has been observed that there is no chemical interaction between Sitagliptin and the superdisintegrants used. From the figure it was observed that there were no changes in these main peaks in IR spectra of mixture of drug and polymers, which show there were no physical interactions because of some bond formation between drug and polymers. This further confirms the integrity of pure drug and compatibility of them with excipients.

Evaluation parameters

Table-3: Evaluation Parameters for Sitagliptin Fast Dissolving Tablets

Parameter	F1	F2	F3	F4	F5	F6	F7	F8
Weight variation	100	100	99	98	100	101	99	100
Thickness (mm)	2.3	2.5	2.1	2.4	2.6	1.9	2.3	2.4
Hardness (kg/cm^2)	3.56	4.12	3.65	3.18	3.16	3.24	3.48	3.24
Friability (%)	0.54	0.48	0.43	0.53	0.52	0.57	0.59	0.48
Disintegration time	36	41	43	40	32	36	38	34
Drug content	90.24	89.24	88.47	90.71	92.35	91.27	89.25	91.25

Uniformity of weight:
All the prepared fast dispersible tablets of Sitagliptin were evaluated for weight variation. The weight of all the tablets was found to be uniform with low values of standard deviation and within the prescribed IP limits of ± 5%.

Hardness and friability:
The hardness of the tablet formulations was found to be in the range of 3.18 to 4.12kg/cm^2. The friability values were found to be in the range of 0.43 to 0.57 %.

Uniformity of drug content:
The low values of standard deviation indicate uniform drug content within the tablets The percent drug content of all the tablets was found to be in the range of 88.47 to 92.35 percent (which was within the acceptable limits of ±5%.).

All Formulations tested for Physical parameters like Hardness, thickness, Weight Variation, Friability and found to be within the Pharmacopoeial limits. The results of the tests were tabulated. The drug content of the formulation was determined and was found to be within the permissible limit. This study indicated that all the prepared formulations were good.

In vitro Dissolution studies:

Table-4: In vitro drug release studies of all formulations

Time (min)	F1	F 2	F 3	F 4	F5	F 6	F 7	F 8
0	0	0	0	0	0	0	0	0
5	26.39	20.25	25.86	25.58	28.95	22.60	20.52	25.99
10	48.25	32.1	38.88	35.17	46.55	30.56	32.14	25.20
15	50.18	48.56	52.45	50.26	52.21	42.38	48.13	40.59
30	70.56	70.93	68.49	69.12	76.38	58.96	52.53	63.89
45	80.93	79.50	78.93	80.21	89.96	72.24	78.10	83.58
60	90.25	93.48	93.63	95.12	98.42	92.92	91.23	96.55

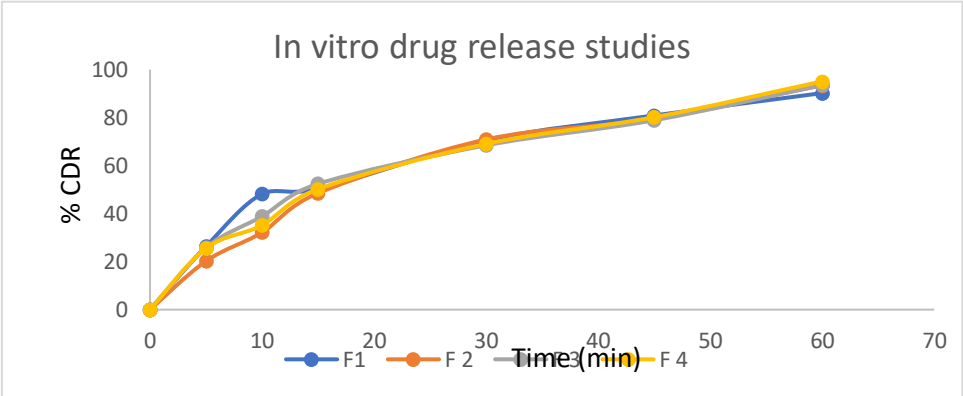

Fig-4: In vitro drug release studies for (F1-F4) formulation

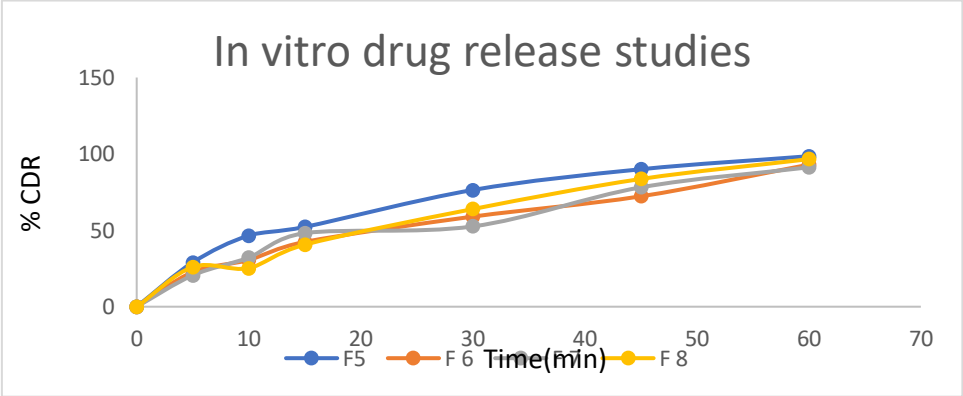

Fig-5: In vitro drug release studies for (F5-F8) formulation

F5 emerged as the optimized formulation showing Highest initial burst release, Maximum cumulative drug release (98.42%), Consistent release throughout the study. All formulations exhibited more than 90% release within 60 minutes, suggesting suitability for immediate release systems.Among all formulations, F5 demonstrated superior and rapid drug release characteristics, making it the most promising formulation for further optimization and kinetic modelling studies (Zero-order, First-order, Higuchi, Korsmeyer–Peppas).

Drug release kinetics

Table-5: Drug Release Kinetics of Formulation F5

TIME	%CDR	SQARE T	LOG T	LOG%CDR	ARA	LOG%ARA
0	0	0	0	0	0	0
5	28.95	2.236068	0.69897	1.062206	71.05	1.946747
10	46.55	3.162278	1	1.32531	53.45	1.896802
15	52.21	3.872983	1.176091	1.454692	47.79	1.854367
30	76.38	5.477226	1.477121	1.587711	23.62	1.78746
45	89.96	7.745967	1.778151	1.70105	10.04	1.681688
60	98.42	10.95445	2.079181	1.71391	2.80	1.673492

Zero order kinetics

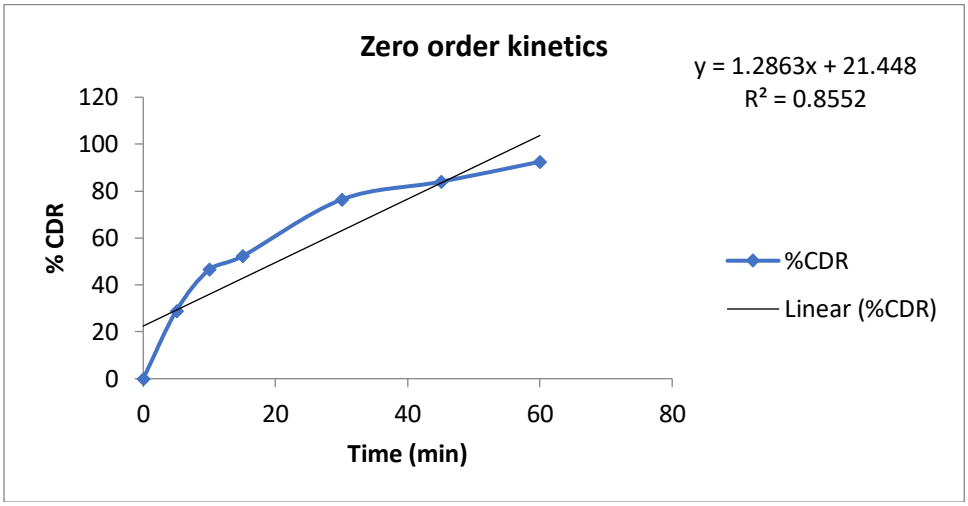

Fig-6: Zero order kinetics of optimized formulation

First order kinetics

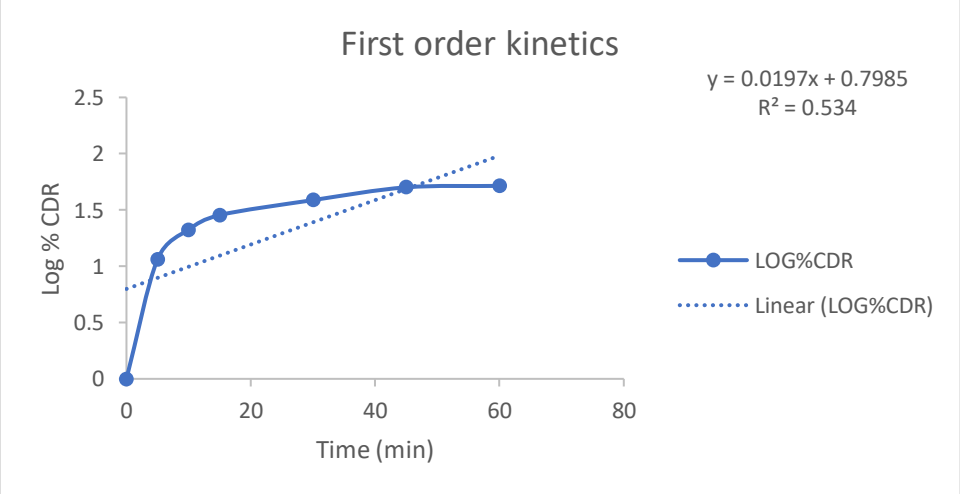

Fig-7: First order kinetics of optimized formulation

Higuchi model

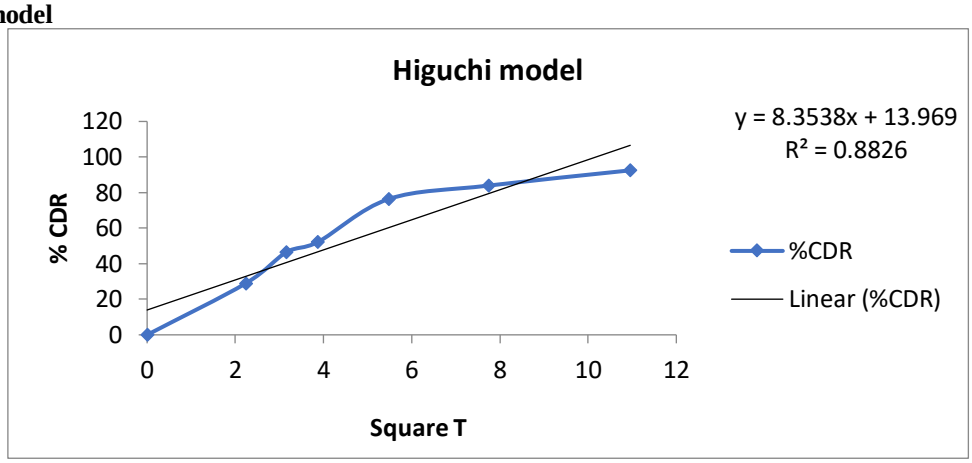

Fig-8: Higuchi model of optimized formulation

Korsmeyer peppas

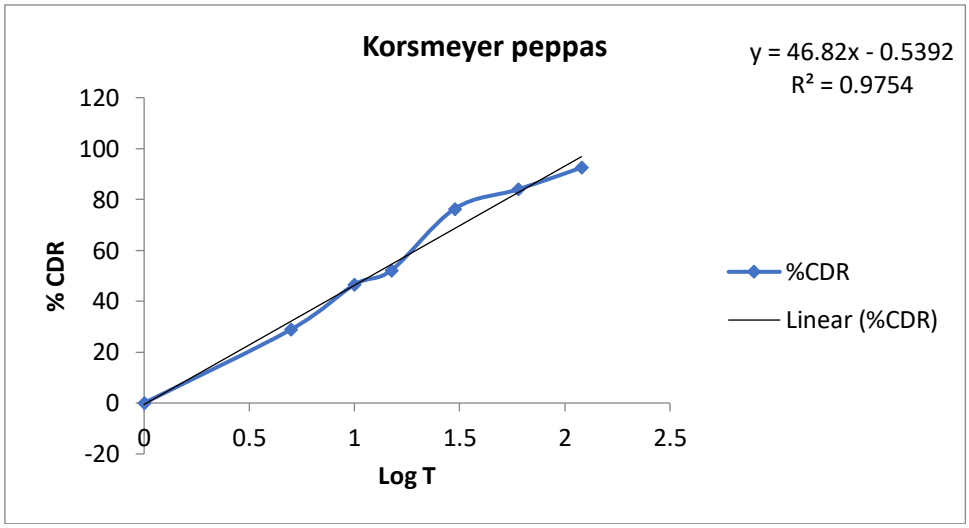

Fig-9: Korsmeyer peppas of optimized formulation

The drug release from F5 can be concluded to follow first-order kinetics with diffusion-controlled mechanism, making it suitable for effective therapeutic performance.

Stability studies

Table-6: Stability Studies of Optimized Formulation

S.NO	Time in days	Physical changes	Mean % drug release		
			Fast dissolving Tablets		
			25^0C/60%	30^0C/75%	40^0C/75%
1.	01	No Change	98.42	98.42	98.42
2.	30	No Change	97.89	97.56	97.50
3.	60	No Change	96.25	96.28	96.54
4.	90	No Change	95.10	95.27	95.20

The optimized formulation is stable for at least 90 days under long-term, intermediate, and accelerated conditions. The negligible decrease in drug release indicates chemical and physical stability of the drug within the tablet matrix. The formulation is suitable for commercial development and long-term storage.

CONCLUSION:

Fast dissolving tablets of Sitagliptin were successfully formulated by the direct compression technique using suitable superdisintegrants. Compatibility studies confirmed the absence of drug–excipient interactions, ensuring formulation stability. All prepared batches met pharmacopeial specifications for physical parameters and drug content uniformity. Among the eight formulations, F5 demonstrated superior performance with the shortest disintegration time and maximum cumulative drug release (98.42%) within 60 minutes. The optimized formulation followed first-order release kinetics with a diffusion-controlled mechanism. Stability studies further confirmed that the formulation remained stable under accelerated conditions for 90 days without significant changes in drug release or physical characteristics.Overall, the developed fast dissolving sitagliptin tablet provides rapid drug release, improved patient compliance, and effective therapeutic performance, making it a promising alternative to conventional oral tablets for the management of Type 2 diabetes mellitus.

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