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Design, prepare and characterization of sulfasalazine floating tablets

Kotresh Yaligar[*1], B.Madhulatha[2]

[*1]*Department of Pharmacy, Margadarshan College of Pharmacy, Tondihal-Ilkal, Bagalkot, Karnataka, India*

[2]*Department of Pharmacognosy, Margadarshan College of Pharmacy, Tondihal-Ilkal, Bagalkot, Karnataka, India*

***Corresponding Author: Kotresh Yaligar**

Email: kotreshyaligar@gmail.com

ABSTRACT

Sulfasalazine or its metabolic procedure, 5-anonosalicylic acid (5-ASA) as well as sulfa pyridine (SP) is still in the test, but it is observed in pets and also in vitro patterns with information as well as/ or immune residential properties, bone cells as well as/ or animals product liquids, liver and also intestine. Sulfasalazine is made use of in inflammatory digestive tract disease, including intestinal digestive tract disease as well as Crohn's illness. It is shown for use in rheumatoid arthritis as well as is made use of in other kinds of inflammatory joint inflammation.

The gastro retentive salfasalazine tablets prepared by utilizing various excipients.pre compression specifications are within the limits. The tablet computers of salfasalazine gastro absorbent tablet computers are prepared by direct compression technique. The after development of gastro retentive tablet computers of salfasalazine they undergo for evaluation specifications. They all are found in within series of limitations. The in vitro drug release studies executed by USP-II device. The barrier tool 6.8. The optimised formula F7 go through for mathematical modelling to find out about the diffusion mechanism. It fallows the no order higuchi formula. The optimised formula undertake for security research studies for 90days. In stability researches the medicine material and also medicine release studies executed.

Key Words: Salfasalazine, Rate kinetics, drifting tablets.

INTRODUCTION

The variation in intestinal reward launch and also pH (GIT) in numerous locations of the gastrointestinal tract is a significant challenge to the advancement of the drug delivery system in the mouth. The dose in the belly is made with different initiatives to raise the sanctuary time. The long-lasting regional accessibility of antimicrobial agents in the therapy of pylori-related stomach treatment has actually been suggested to increase their performance. On top of that, bacterioside effect in clarithromycin as well as garsinol is reported to be based upon time and concentration. Nonetheless, GRDDS and gastric injuries are not suitable.

Polymers in drifting drug delivery system

Polymers play a vital function in the controlled medication delivery system. We understand that FDDS is a device for accomplishing long-term medicine release. The polymers that effectively made use of the drifting drug shipment system were quickly gone over here. Acrylic polymers are commonly made use of to make a floating microscope. L-46 was reported to have been gotten ready for the Eidragit S100 as well as found that eudragit was similar. Good drifting habits has actually been observed, however the low rate of eudragit at acid pH is established. It likewise reported the very same outcomes.

AIM AND OBJECTIVES

Aim: To design, develop & characterization of drifting tablets of Sulfasalazine.

Objectives

- Develop the sustained launch make-up while release drug over extended time period.
- To review the launch price of the medication as well as launch rate kinetics.
- To determine the most effective fit dissolution profile for the dosage type.
- To examine the security of the selected solution.

MATERIALS AND METHODS

The materials used in the experiment are of laboratory grade such as Sulfasalazine was provided by Micro labs Ltd. Bangalore, India, HPMC K4M, Ethyl cellulose, Micro crystalline cellulose, Sodium bicarbonate, Talc, Magnesium Stearate are collected from S.D. Fine Chem. Ltd, Mumbai, India.

Pre solution researches

Before the creation of drifting floor tiles, the very first research is done. Pre-formulation studies are figured out by preference, odour, and colour.

Solubility researches

Solubility researches for pharmaceutical items might be an import parameter. Alta saline medication is the solution for soluble studies in water, ethanol, methanol, dmso, ether as well as acetone.

FTIR researches

Pharmaceutical and also diagnostic researches conducted with FTIR research studies can be carried out via the KBR capsule technique.

Calibration of Salfasalazine in ph in 6.8 barriers Preparation common 1st supply option

Sulfasalazine is a weight of 10 mg in the precise size of the medication and is distributed in the 6.8 phosphate buffer. Make a volume up to 10 mm of volumetric flask and also 1000ppm

Preparation of 2 stock services

Take 1ml from the first supply remedy and take 10 mm It is the second stack solution to make up the Volumetric flask. Its 100ppm.Take 1ml from 2 stock fixes and 10 mm It will certainly be up to 10ppm by quantity uptake flask. Absorption soaked up in spectroscopy found in U.V at 300nm. If the absorption is high, much more impairments will be executed.

Calibration of Salfasalazene in ph in Methanol: Preparation standard first supply service

To consider precise quantity 10 mg salfasalazene drug as well as it is spread in Methanol. The volume make up to 10ml of volumetric flask. It is 1000ppm

Preparation of second supply option

Take 1ml from 1st stock remedy and make up to 10ml of volumetric flask it is 2nd supply solution. It is 100ppm.
Take 1ml from 2nd supply service as well as make up to 10ml of volumetric flask it is 10ppm. The absorbance evaluated in U.V visible spectroscopy at 300nm. If the absorbance is high additional dilutions are executed.
Salfasalazene tablets prepared by using direct compression method. (Table 1)

Table 1: Formulation table of salfasalazene floating tablets

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9
Salfasalazene	40	40	40	40	40	40	40	40	40
HPMCK4M	20	-	30	-	40	-	20	40	30
Ethyl cellulose	-	20	-	30	-	40	20	40	30
NAHCO3	10	10	10	10	10	10	10	10	10
MCC	127	127	117	117	107	107	107	66	87
Mg. stearate	2	2	2	2	2	2	2	2	2
Talc	1	1	1	1	1	1	1	1	1
Total wt	200	200	200	200	200	200	200	200	200

Evaluation parameters
Pre-compression parameters

Bulk density: Mass thickness is specified due to the fact that the alloy volume is developed to determine the volume and weight dimension. The high density computed by the following formula,
Bulk Density = Mass/ Quantity of the packaging

Tapped density: The density of the first place is defined as a light-weight dry in a measured cyclist performed to press 50 measures. The volume of the completely dry blend is lowered. The value of the note powder.
Touched Density = Mass/ Tapped quantity of the packing

Hausner's factor: The hausners proportion comes from the highest density and also tape thickness calculations. The

following formula computation is utilized for the Hausners proportion.
Hausner Proportion = Tapped Density/ Bulk thickness

Compressibility index: Compression Index is specified as originating from high density and top density quantities. It is calculated with the complying with formula,
Compressibility index= T.D-B. D/T. D.

Angle of repose: The respiratory system angle is gauged by the reflectivity of the channel approach. Calculate the angle height and also measurement of dimensions.
Tanθ= h/r.
Where,
θ is the angle of repose,
h is elevation and also r is span.

Post compression specifications

Weight variant test

Separately, 20 tablet computers took the tablet for the very first time, taking the weight of twenty tablets. Weight difference is calculated.

Friability test: friability is made with the home appliance. Tablet computers have removed tools that satisfy 25 revolutions for 4mins. Making use of liquefaction is determined by the formula,
% friability = (Initial weight-- last weight) X 100 %.

Size & thickness: The developed pills are thick and also counted by the fragrance ore as well as the analyses are identified.

Disintegration examination: Tablets gotten ready for chaos screening in amputation containers are approximated. Temperature level is maintained at 2 hrs for immersion liquid at 370 c.

Drug content: The major pillars manufactured are medical. Weight as well as completely dry 20 tablet computers. 20 mg Salfasalazene 100 ml volumetric flask, 20 ml 6.8 Phosphate buffer, integrated with ultrasound help as well as incorporates the volume with a 6.8 PH phosphate barrier. 5 minutes centrifuge. The resulting remedy is reviewed using the UV spectrophotometer at 300Vm.

In Vitro Dissolution: The study prepared drifting continuous launch pills are examined for their integrity in the belly and small bowel physical atmosphere. The drugs are examined with 6.8 pH phosphate barrier (900 ml) for 1 h. At the end of the relevant time period, each design of 10 ml was taken at a specific duration (1, 2, 33, 4,5houres) and also examined for the salafasalazene content at 300 nm utilizing the UV spectrometer.

Data determination: Information decision is done by declining kinetics designs. Zero Order kinetic Energy, First Order kinetic, Higuchi Design, Krosmayerpeppas kinetic designs. These versions are made use of by the use of vitro medication launch worth's; these models are calculated by Soft Excel. The Peppas story benefits all the compounds, n > 0.5, showing that the medication release can be followed by an uncommon development.

Security research studies

Enhanced formulation for stability research has actually been done. Tablet computers are crammed in brownish-yellow shade bottle and also 40 + 20 c, 280 + 20 c (RH 60 + 5%) and 450 + 20 c (RH 75 + 5%) within 40 mins. Tablets are literally observed for any color modification. Tablet computers were absorbed 2, 4 and 6 months as well as were evaluated for the study of medicine material as well as in vitro release.

RESULTS AND DISCUSSION

Organoleptic characters

Table 2: Organoleptic characters of the active pharmaceutical ingredient

Properties	Results
Description	White solid powder
Taste	Taste less
Odour	Odour less
Color	Color less

Solubility studies

Solubility of the Salfasalazene in various solvents

Table 3: Showing solubility studies of the active pharmaceutical ingredient

Solvent	Solubility properties of drug (1gm)
Water	Insoluble
Ethanol	Slightly soluble
Methanol	Insoluble
ether	Insoluble

Calibration curve of the Salfasalazene at 6.8 buffers

Table. 4: Calibration studies in 6.8 buffer solution

Concentration (µg/ml)	Absorbance in Ph 6.8 buffer
0	0
1	0.121
2	0.265
3	0.381
4	0.49
5	0.62

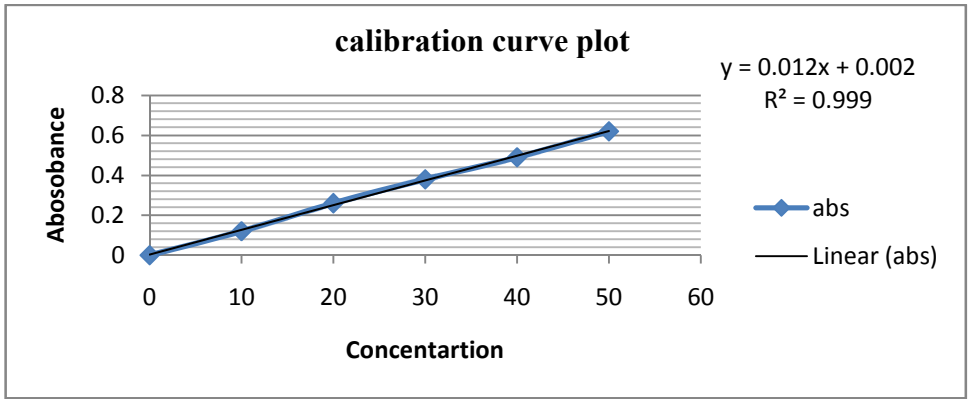

Fig 1: Calibration curve of the Salfasalazene at 6.8 buffer plot

Calibration curve in methanol

Table. 5: Calibration studies in the methanol

Concentration (µg/ml)	Absorbance in methanol
0	0
10	0.166
20	0.324
30	0.445
40	0.598
50	0.78

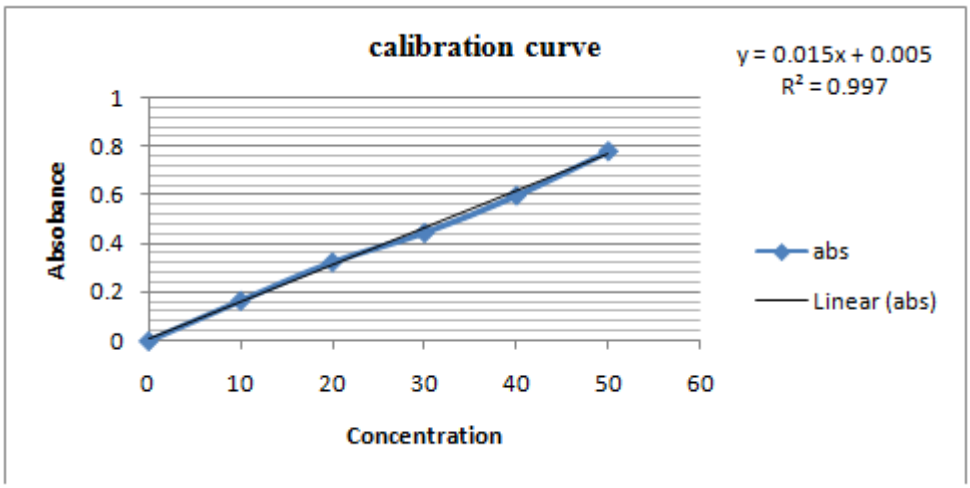

Fig 2: Showing Calibration curve in methanol

FTIR STUDIES

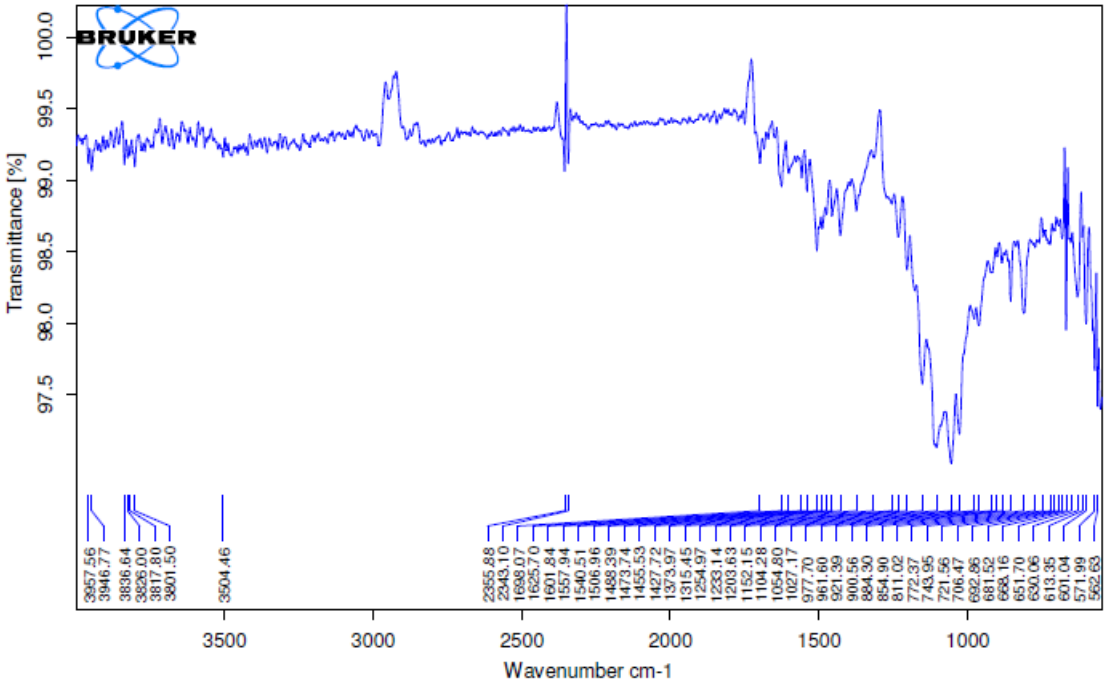

Fig 3: FTIR Spectra of the pure drug salfasalazene

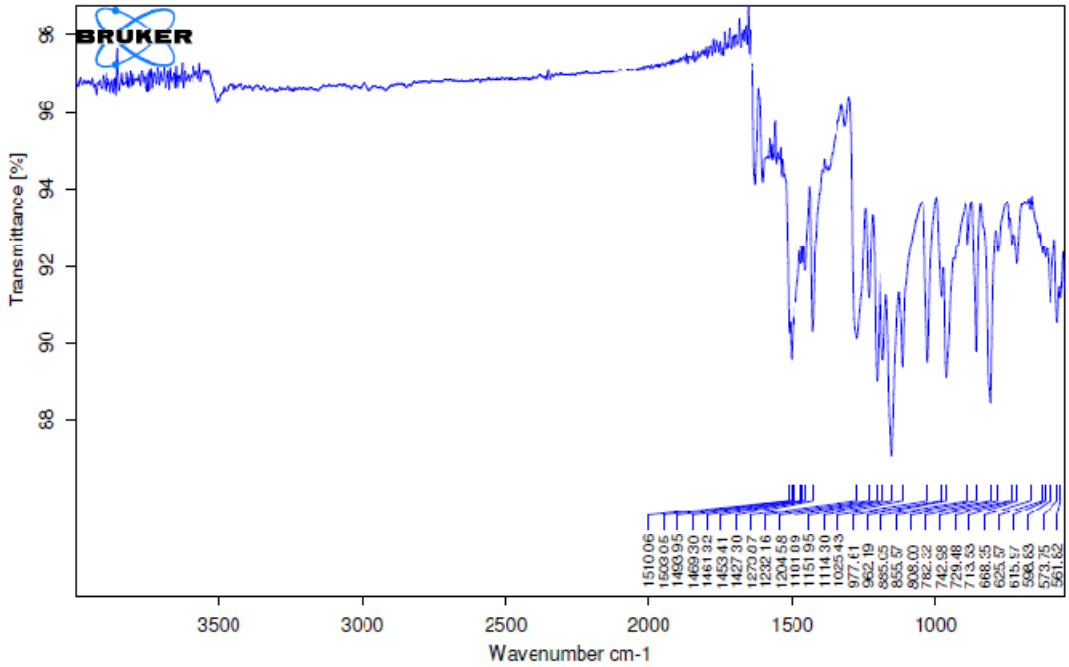

Fig. 4: FTIR Spectra of the optimised formulation

Table. 6: Showing spectra of pure drug substance stretch bonds values

S.No.	Characteristic Peaks	Frequency range (cm-1)	Frequency (cm-1)
1	OH stretching	3600-3500	3500.92
2	OH Bending	1100-1070	1084.03
3	C-H stretching	3200-3100	3095.95
4	C-N stretching	1350-1100	1105.25

Table. 7: Spectra of the optimized formulation stretch bond values

S.No.	Characteristic Peaks	Frequency range (cm-1)	Frequency (cm-1)
1	C-Nstreching	1300-1000	1104.48
2	C-H stretching	3150-3050	2931.90

Discussion: The drug and excipients are compatible with each other there are no presents of other inactive substances.

Pre-compression parameters of the F1-F5

Table. 8: Table showing pre-compression values of the F1-F5

Formulation	Angle of repose (θ)	Bulk density (gm/ml)	Tapped density (gm/ml)	Carr's index (%)	Hausner's ratio
F1	22.38±0.13	0.32±0.02	0.42±0.02	16.78±0.13	1.25±0.01
F2	23.52±0.28	0.33 ±0.02	0.46±0.04	17.8±0.04 1.	1.24±0.01
F3	23.19±0.19	0.33±0.00	0.44±0.01	16.61±0.11	1.25±0.02
F4	24.51±0.16	0.35±0.01	0.45±0.01	15.33±0.15	1.24±0.01
F5	22.60.21	0.35±0.01	0.44±0.00	15.25±0.05	1.25±0.02

Discussion: The all the F1-F5 formulations comes under the within range of limits.

Table 9: Table showing pre-compression values of the F6-F9

Formulation	Angle of repose (θ)	Bulk density (gm/ml)	Tapped density (gm/ml)	Carr's index (%)	Hausner's ratio
F6	24.38±0.13	0.32±0.02	0.41±0.02	14.28±0.13	1.24±0.01
F7	24.52±0.28	0.31±0.02	0.40±0.04	13.18±0.04 1.	1.21±0.01
F8	23.39±0.19	0.34±0.00	0.42±0.01	14.31±0.11	1.24±0.02
F9	23.61±0.16	0.34±0.01	0.42±0.01	14.23±0.15	1.23±0.01

Discussion: The all the formulations F6-F9 are comes under the within range of limits. All the formulations fallow the good flow.

Post compression parameters for F1-F5 Formulations

Table 10: Post compression values from F1-F5

Formulation	Weight variation	Thickness (mm)	Hardness (Kg/cm^2)	Friability (%)	Drug content (%)	Disintegration Time (min)
F1	198±1.02	2.50±0.01	3.5±0.06	0.632	97.24±0.22	25
F2	198±0.08	2.65±0.00	3.6±0.06	0.646	96.57±0.42	24
F3	199.002	2.7±0.01	3.5±0.00	0.686	97.43±0.13	24
F4	198±0.003	2.58±0.01	3.85±0.06	0.526	97.83±0.42	24
F5	199±0.08	2.42±0.01	3.7 ±0.10	0.546	97.86±0.32	24

Pre compression parameters for F6-F9 formulations

Table 11: Post compression values of the F6-F9

Formulation	Weight variation	Thickness (mm)	Hardness (Kg/cm^2)	Friability (%)	Drug content (%)	Disintegration (min)
F6	200±1.02	2.50±0.01	3.5±0.06	0.622	98.24±0.22	25
F7	200±0.08	2.65±0.00	3.6±0.06	0.600	99.57±0.42	24
F8	200.002	2.7±0.01	3.5±0.00	0.636	98.43±0.13	25
F9	199±0.003	2.58±0.01	3.85±0.06	0.656	98.83±0.42	26

In –vitro drug release studies for all formulations

Table. 12: *In-vitro* drug release studies of the all formulations

Time in hrs	F1	F2	F3	F4	F5	F6	F7	F8	F9
0	0	0	0	0	0	0	0	0	0
1	22.32	16.52	18.32	18.15	19.32	23.52	22.65	23.15	24.21
2	18.62	22.52	24.52	26.15	28.53	32.53	38.62	31.15	35.65
3	24.31	26.12	27.13	34.45	39.65	48.72	44.56	41.12	41.52
4	26.61	36.46	37.25	43.13	43.55	52.62	57.62	55.32	55.22
5	35.21	43.15	48.12	53.18	59.18	68.43	68.65	63.63	62.23
6	52.53	52.32	55.12	64.25	70.83	75.53	73.65	74.65	70.23
7	73.65	58.65	65.56	74.45	77.13	78.65	87.65	85.65	80.23
8	86.32	66.32	76.32	78.25	84.12	87.35	92.23	92.56	90.56
9	91.32	76.16	82.41	84.13	92.12	93.56	99.55	97.65	96.86

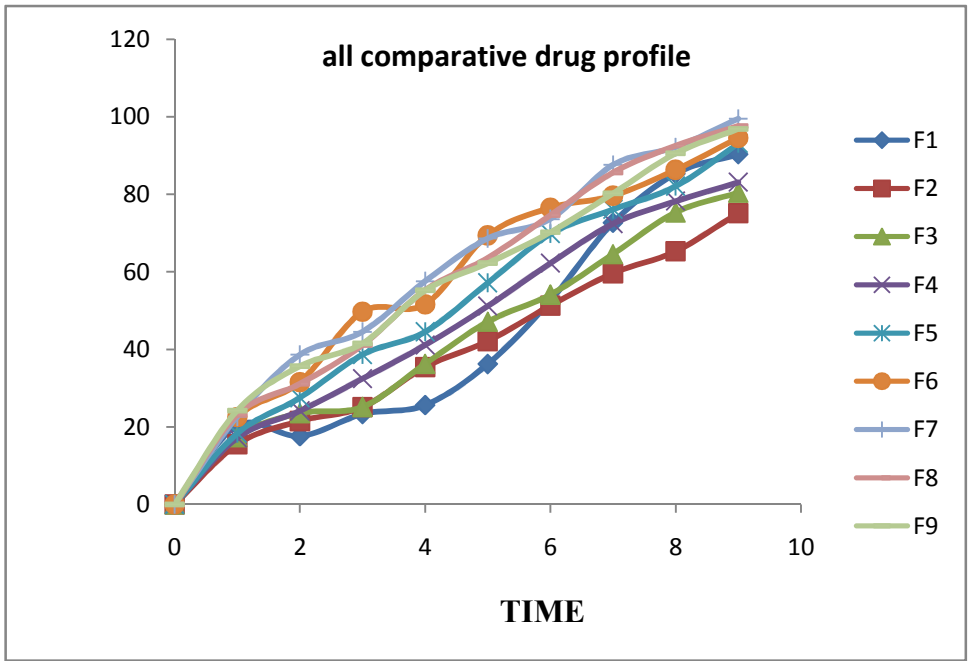

Fig. 5: Comparative studies of the F1-F9

Comparative profile for F1-F3

Table 13: Comparative studies of the F1-F3

Time in hrs	F1	F2	F3
0	0	0	0
1	22.32	16.52	18.32
2	18.62	22.52	24.52
3	24.31	26.12	27.13
4	26.61	36.46	37.25
5	35.21	43.15	48.12
6	52.53	52.32	55.12
7	73.65	58.65	65.56
8	86.32	66.32	76.32
9	91.32	76.16	82.41

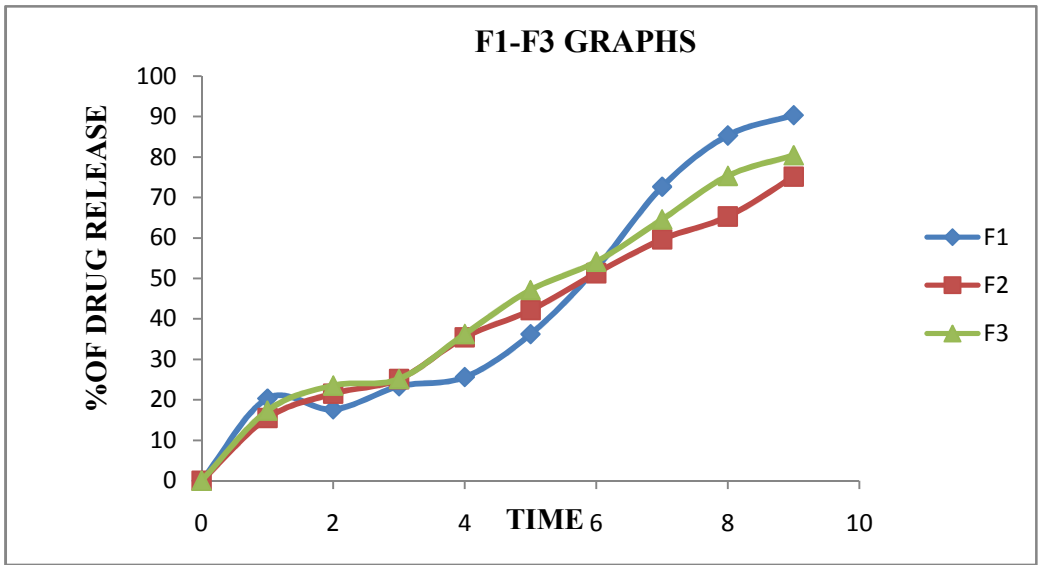

Fig 6: Comparative graph of F1-F3

Comparative profile for F4-F6

Table 14: Comparative drug release studies values from F4-F6

Time in hrs	F4	F5	F6
0	0	0	0
1	18.15	19.32	23.52
2	26.15	28.53	32.53
3	34.45	39.65	48.72
4	43.13	43.55	52.62
5	53.18	59.18	68.43
6	64.25	70.83	75.53
7	74.45	77.13	78.65
8	78.25	84.12	87.35
9	84.13	92.12	93.56

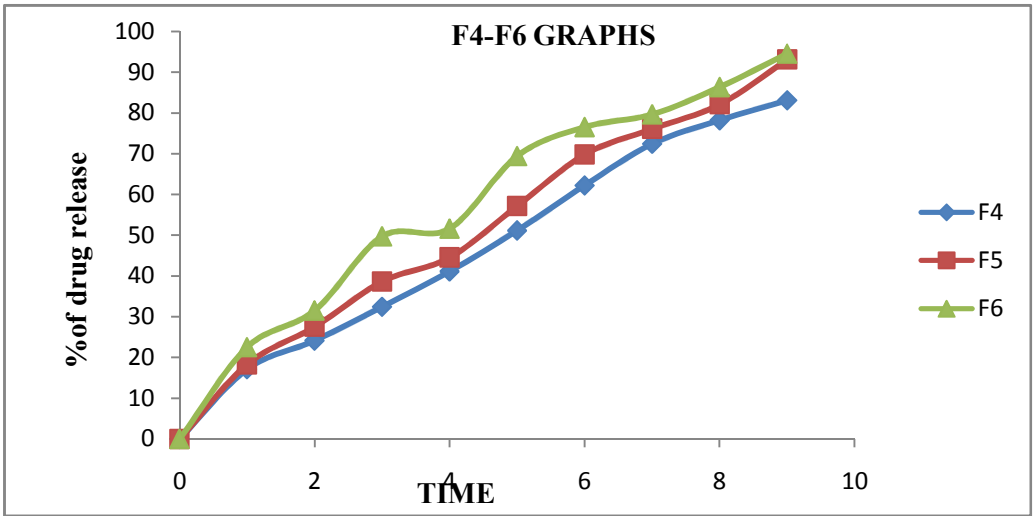

Fig.No 7: Comparative graph of F4-F6

Comparative profile for F7-F9

Table. 15: Comparative drug release studies values from F7-F9

Time in hrs	F7	F8	F9
0	0	0	0
1	22.65	23.15	24.21
2	38.62	31.15	35.65
3	44.56	41.12	41.52
4	57.62	55.32	55.22
5	68.65	63.63	62.23
6	73.65	74.65	70.23
7	87.65	85.65	80.23
8	92.23	92.56	90.56
9	99.55	97.65	96.86

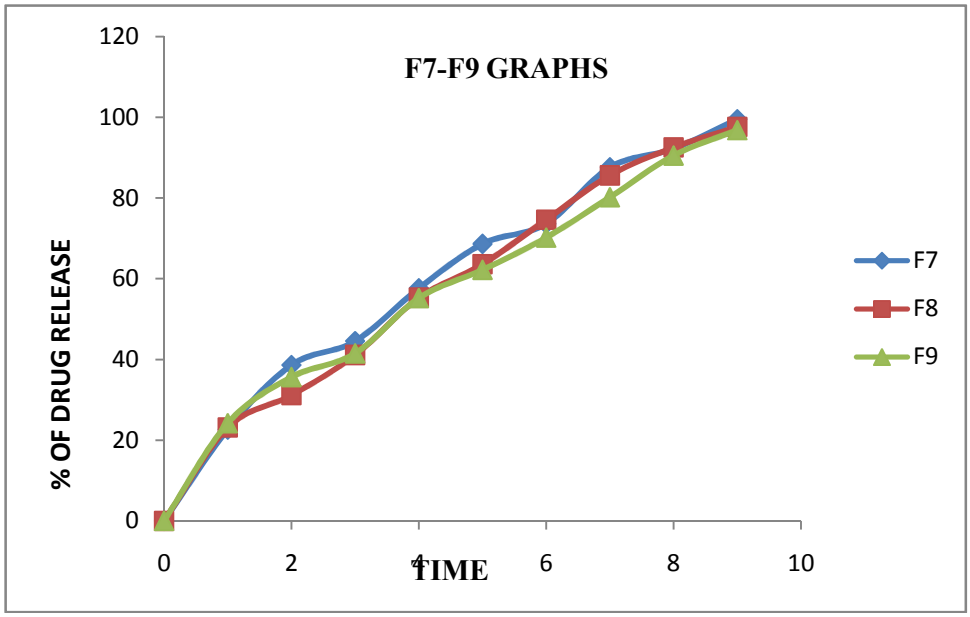

Fig 8: Comparative graph of F7-F9

Kinetic studies for optimised formulation (F7)

Table 16: Kinetic profile data

Time	%cdr	Log T	√T	Log%cdr	ARA	Log%ARA
0	0	1	0	1	100	2
1	22.65	0	1	1.373	77.35	1.882
2	38.62	0.303	1.414	1.597	61.38	1.7808
3	44.56	0.472	1.732	1.658	55.44	1.7359
4	57.62	0.66	2	1.775	42.38	1.6061
5	68.65	0.697	2.236	1.842	31.35	1.4821
6	73.65	0.771	2.449	1.873	26.35	1.4039
7	87.65	0.848	2.645	1.947	12.35	1.0549
8	92.23	0.909	2.828	1.978	7.77	0.678
9	99.55	0.9543	3	1.998	0.45	0.346

Zero order plots

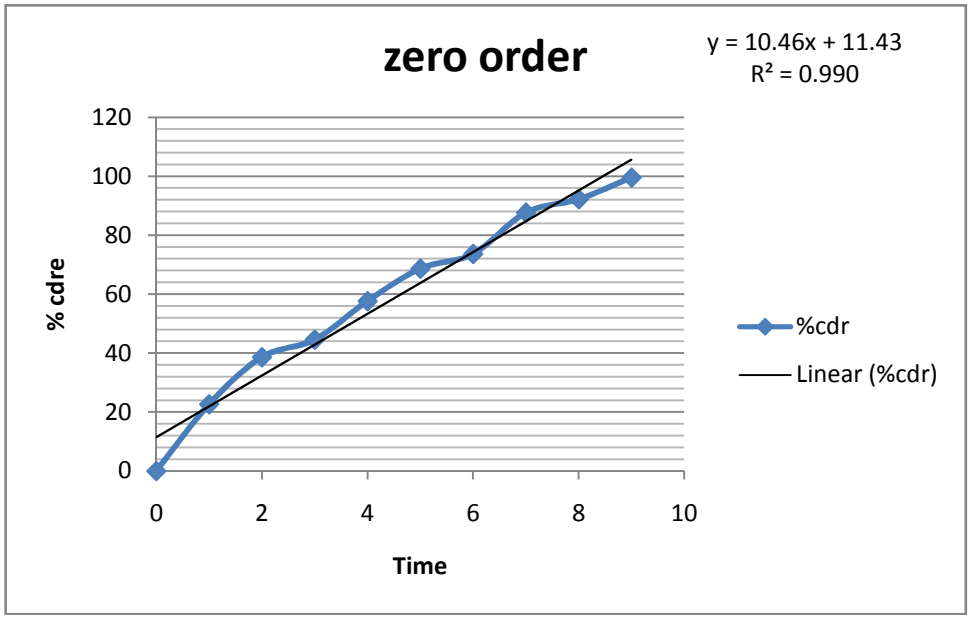

Fig 9: Zero order kinetic graph of F7

First order

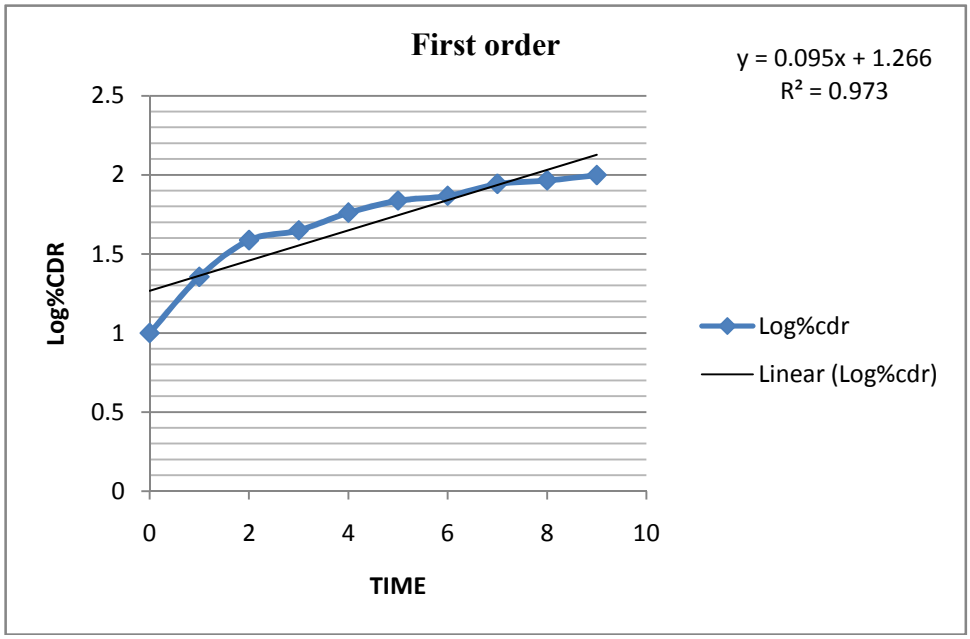

Fig 10: First order kinetic graph of F7

Higuchi order

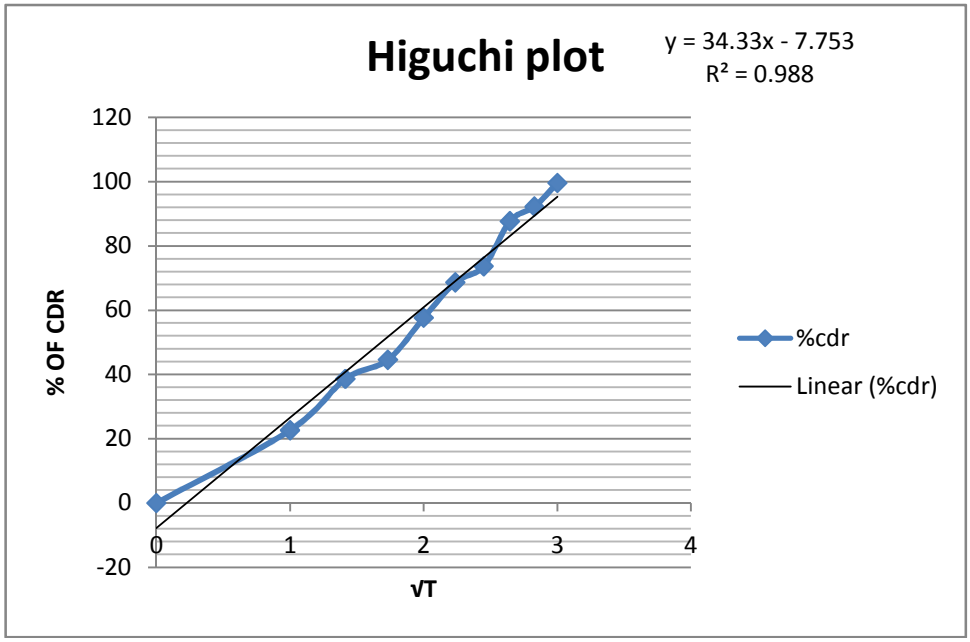

Fig 11: Higuchi kinetic graph of F7

Korsmeyerpeppas plot

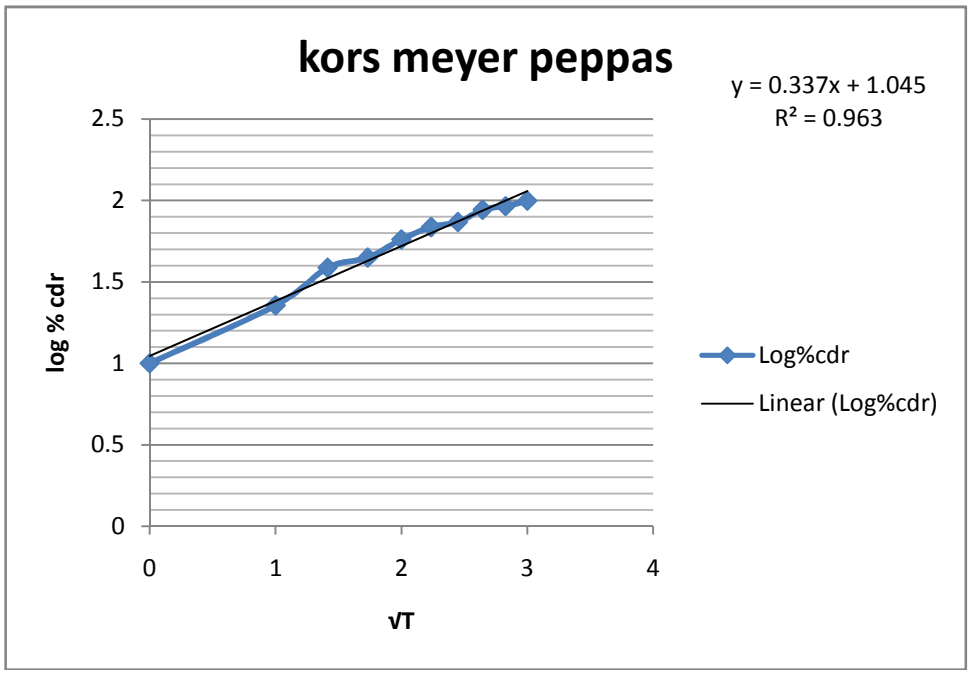

Fig 12: Korsmeter kinetic graph of F7

Table. 17: Values of kinetic data

S.no	Zero order	First order	Higuchi	Krossmayerpeppas
Code	R^2	R^2	R^2	R^2
F9	0.990	0.973	0.988	0.963

Discussion: It was concluded that the optimized formulation F7, followed zero order release where the regression value was found to be 0.900It was also found that the drug was released by diffusion as the regression in Higuchi's plot was 0.988.

Stability Results
Stability samples are stored at
Testing Intervals

- Accelerated: Initial, 3months.

Table 18: Results of stability studies of optimized formulation F-7

Formulation Code	Parameters	Initial	1st month	2nd month	3rd Month	Limits as per Specifications
F-7	25^0C/60%RH % Release	99.55	99.59	99.76	99.63	Not less than 85 %
F-7	30^0C/75% RH % Release	99.55	99.68	99.82	99.84	Not less than 85 %
F-7	40^0C/75% RH % Release	99.55	99.75	99.65	99.76	Not less than 85 %

Discussion: It was concluded that stability studies of the optimized F7 was carried out using the samples at temperatures 40^0C ± 2^0C/ 75% ± 5%RH for a period 3 months.

CONCLUSION

The gastro retentive salfasalazene tablets prepared by utilizing various excipients.pre compression specifications are within the limits. The tablet computers of salfasalazene gastro absorbent tablet computers are prepared by direct compression technique. The after development of gastro retentive tablet computers of salfasalazene they undergo for evaluation specifications. They all are found in within series of limitations. The in vitro drug release studies executed by USP-II device. The barrier tool 6.8. The optimised formula F7 go through for mathematical modelling to find out about the diffusion mechanism. It fallows the no order higuchi formula. The optimised formula undertake for security research studies for 90days. In stability researches the medicine material and also medicine release studies executed.

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