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FORMULATION AND EVALUATING OF MESALAMINE USP DELAYED RELEASE TABLETS USING TWO DIFFERENT COATING POLYMERS

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ABSTRACT

Mesalamine (5-aminosalicylic acid) is a locally acting anti-inflammatory drug widely used in the treatment and maintenance of remission in inflammatory bowel diseases such as ulcerative colitis and Crohn's disease. Due to its instability in gastric conditions and the requirement for site-specific drug delivery to the colon, delayed-release formulations are essential. The present study focuses on the formulation and evaluation of Mesalamine USP delayed-release tablets using two different coating polymers. Tablets were prepared by the wet granulation method using suitable binders and lubricants, followed by compression and enteric coating. Pre-compression parameters such as angle of repose, bulk density, Carr's compressibility index, and Hausner's ratio were evaluated to assess flow properties. Post-compression evaluations including weight variation, hardness, friability, disintegration, and dissolution studies were performed. Drug content uniformity was analyzed using HPLC. The results demonstrated satisfactory physicochemical properties, acceptable flow behavior, and effective delayed drug release in both formulations. The study concludes that Mesalamine delayed-release tablets coated with appropriate polymers can successfully target colonic drug delivery and enhance therapeutic efficacy.

KEYWORDS: Mesalamine, Delayed-release tablets, Enteric coating, Wet granulation, Ulcerative colitis, Dissolution study, Coating polymers.

1. INTRODUCTION

Inflammatory bowel diseases (IBD), including ulcerative colitis and Crohn's disease, are chronic inflammatory disorders of the gastrointestinal tract that significantly affect patient quality of life. Mesalamine (5-aminosalicylic acid) is considered a first-line therapy for mild to moderate ulcerative colitis due to its localized anti-inflammatory action on the colonic mucosa. However, conventional oral dosage forms of Mesalamine face challenges such as degradation in gastric pH and premature absorption in the upper gastrointestinal tract.

To overcome these limitations, delayed-release and enteric-coated formulations have been developed to ensure site-specific delivery of Mesalamine to the colon. Coating polymers play a crucial role in protecting the drug from acidic environments and allowing release at higher intestinal pH levels. Wet granulation is one of the most commonly employed tablet manufacturing techniques, offering improved content uniformity and compressibility.

The present study aims to formulate Mesalamine USP delayed-release tablets using two different coating polymers and to evaluate their pre-compression and post-

compression parameters. Comparative analysis of flow properties, mechanical strength, disintegration behavior, and dissolution profiles was conducted to assess the suitability of the selected polymers for colon-targeted drug delivery.

2. DRUG PROFILE: MESALAMINE

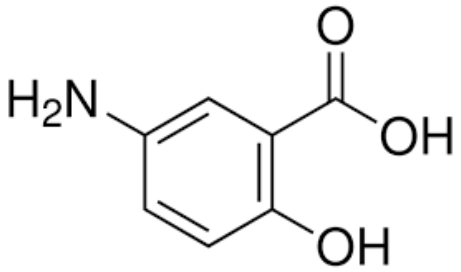


Fig. 1: Structure Of Mesalamine.

2.1 General Information

Table 1: General Information.

Parameter	Description
Generic name	Mesalamine (also known as mesalazine or 5-aminosalicylic acid, 5-ASA)
Brand names	Asacol, Pentasa, Lialda, Apriso, Rowasa, Canasa, Mezavant, etc.
Drug class	Anti-inflammatory agent (Aminosalicylate class)
Chemical name (IUPAC)	5-amino-2-hydroxybenzoic acid
Molecular formula	$C_7H_7NO_3$
Molecular weight	153.14 g/mol
Category	Gastrointestinal anti-inflammatory
ATC code	A07EC02
Nature	Synthetic compound; locally acting anti-inflammatory drug
Official monograph	Listed in IP, BP, USP

2.2 Chemical Properties

Table 2: Chemical Properties.

Property	Description
Structure	Benzene ring with carboxylic acid (–COOH) at position 1, hydroxyl (–OH) at position 2, and amino ($–NH_2$) at position 5
Functional groups	Amino, hydroxyl, and carboxylic acid
pKa values	2.3 (carboxylic acid) and 5.8 (phenolic group)
Partition coefficient (logP)	~0.9
Chemical stability	Sensitive to light, heat, and oxidation; stable in slightly acidic Ph
Incompatibility	Incompatible with oxidizing agents and strong acids

2.3 Physical Properties

Table 3: Physical Properties.

Property	Description
Appearance	White to light pink crystalline powder
Odor	Odorless or slightly characteristic
Taste	Slightly bitter
Melting point	280–285 °C (decomposes)
Solubility	Slightly soluble in cold water, freely soluble in dilute alkali and hot water; practically insoluble in ethanol, chloroform, and ether
Crystal form	Crystalline solid
Density	~1.5 g/cm³

2.4 Pharmacokinetic Properties

Table 4: Pharmacokinetic Properties.

Parameter	Description
Absorption	Poorly absorbed in the stomach and small intestine; mainly acts locally in the colon. Oral formulations use pH-dependent or controlled-release coatings to deliver mesalamine to the colon.
Bioavailability	20–30% (oral forms); rectal forms have minimal systemic absorption
Protein binding	40–50% (for mesalamine); ~80% for N-acetyl metabolite
Distribution	Distributed primarily in intestinal tissues; low plasma concentrations due to local activity
Metabolism	Rapidly acetylated to inactive N-acetyl-mesalamine in intestinal mucosa and liver

3. MATERIALS AND METHODS

3.1 Methodology: (Formulation Of Mesalamine USP Tablets Granules)

Wet Granulation Method: Mesalamine tablet granules were prepared by wet granulation technique using polyvinyl pyrolidine K30 and Micro crystalline cellulose powder as binders. Carbopal 940 and magnesium stearate and aerosol are used as lubricant. The granules were prepared using mortar/pestle. The prepared granules were passed through sieve No.12 and then allowed to drying in the Hot air oven at 60°C. The dried granules were finally passed through sieve No.16 and the granules are evaluated. Finally granules were compressed into tablets using rotary tablet press. The prepared tablets were evaluated and coated with two different coating polymers.

Table 5: Mesalamine Delayed Release Coated Tablets – Master Formula.

S.NO.	INGREDIENTS	PURPOSE	UNITS	QUANTITY
	Mesalamine	API	gms	4.3
2.	MCCP	Binder	gms	2.5
3.	PVP K30	Binder	gms	3.5
4.	Purified H_2O	Vehicle	ml	Q.S
5.	Carbopal 940	Lubricant	gms	1.0
6.	Magnesium Stearate	Lubricant	gms	2.5
7.	Aerosil	Lubricant	gms	1.0
8.	MDC	Coating 1	gms	3.04
9.	HPMC K 100	Coating Material	gms	0.33
10.	Protectab Enteric M_1	Coating Material	gms	4.35

4. RESULTS AND DISCUSSION

4.1 Angle Of Repose Funnel Method

Table 6: Before Lubrication.

S.No.	Height (h)	Radius (r)	$\tan\theta$ = h/r	$\theta = \tan^{-1}$
1.	5 cm	5.7 cm	0.877	$24^0 36'$
2.	4.3 cm	5 cm	0.866	$23^0 19'$
3.	4.8 cm	5.6 cm	0.857	$26^0 56'$
Avg	4.7 cm	5.4 cm	0.8703	$24^0 70'$

Table 7: After Lubrication.

S.No.	Height (h)	Radius (r)	= h/r	$= \tan^{-1}$
1.	4.8cm	5.6 cm	0.857	$25^0 56'$
2.	4.7 cm	5.4 cm	0.870	$23^0 43'$
3.	4 cm	5.2 cm	0.769	$22^0 71'$
Avg	4.5 cm	5.4 cm	0.8334	$23^0 90'$

Discussion: the Angle of Repose for the prepared mesalamine granules were measured by Funnel method. The values observed shows that the granules have good flow property and afterlubrication it has got excellent flow property which is required for the proper filling of the granules in the dies.

4.2 Bulk Density

Table 8: Bulk Density.

		S.No.	Mass of Granules	Bulk Volume After Tapping	Bulk Density (gm/cm^3)
Formulation I	**Before Lubricant**	1.	43.5gm	87	0.5
		2.	43.5gm	86	0.50
		3.	43.5gm	85	0.511
	After Lubricant	1.	47.8gm	83	0.575
		2.	47.8gm	80	0.597
		3.	47.8gm	78	0.612
Average Bulk Density					$0.594gm/cm^3$
Formulation II	**Before Lubricant**	1.	49gm	107	0.457
		2.	49gm	106	0.462
		3.	49gm	108	0.453
	After Lubricant	1.	52.6gm	100	0.526
		2.	52.6gm	96	0.547
		3.	52.6gm	94	0.559
Average Bulk Density					$0.544gm/cm^3$

Discussion: The Bulk Density for the granules was measured using 100 ml glass measuring cylinder. The readings for bulk density observed in the normal range. Bulk density is also measured inorder to ensure drug content uniformity and uniform mixing of the drug and the excipients.

4.3 Carr's Compressibility Index And Hausner's Ratio

Table 9: Carr's Compressibility Index & Hausner's Ratio.

Carr's Compressibility Index And Hausner's Ratio	
Formulation I	**Formulation II**
$I = 1 - (V/V_0) \times 100$ $= 1 – (12/14) \times 100$ $= 1 – 0.857 \times 100$ $= 14.29$	$I = 1 - (V/V_0) \times 100$ $= 1 – (14/16) \times 100$ $= 1 – 0.875 \times 100$ $= 19.3$

Discussion: compressibility index and Hausner's ratio are measures of the propensity of a powder to be compressed. They are measures of the relative importance of interparticulate interactions.

4.4 Weight Variation Analysis

Table 10: Weight Variation Analysis.

Profile	S.No.	Tablet Weight (gms)	S.No.	Tablet Weight (gms)
Formulation – I	1	1.015	11	1.048
	2	0.986	12	1.025
	3	0.990	13	0.982
	4	1.019	14	0.974
	5	1.035	15	0.981
	6	0.971	16	1.054
	7	0.936	17	1.027
	8	0.976	18	0.997
	9	1.010	19	1.046
	10	1.030	20	1.057
Formulation – II	1	1.034	11	0.993
	2	0.940	12	0.987
	3	0.999	13	0.979
	4	0.960	14	1.017
	5	1.014	15	1.026
	6	0.946	16	0.977
	7	0.921	17	0.998
	8	0.970	18	0.996
	9	0.968	19	1.032
	10	1.041	20	1.050

Discussion: A tablet designed to contain a specific amount of the drug in a specific amount of tablet formula.

4.5 Friability Test

Table 11: Friability Test.

S.No.	Formulation	Weight of Tablets		Weight Loss
		Before Friability	After Friability	
1.	I	20.237	20.179	0.058 (0.2866%)
2.	II	19.582	19.523	0.059 (0.3%)

4.6 Hardness Test

Table 12: Hardness Test.

S.No.	Profile	Hardness value		
		Initial value	Final value	Report
1.	Formulation – I	2.5	12.5	2.5kg
2.	Formulation – I	2.5	12.5	2.5kg
3.	Formulation – II	2.0	12.0	2 kg
4.	Formulation –II	2.0	12.0	2 kg

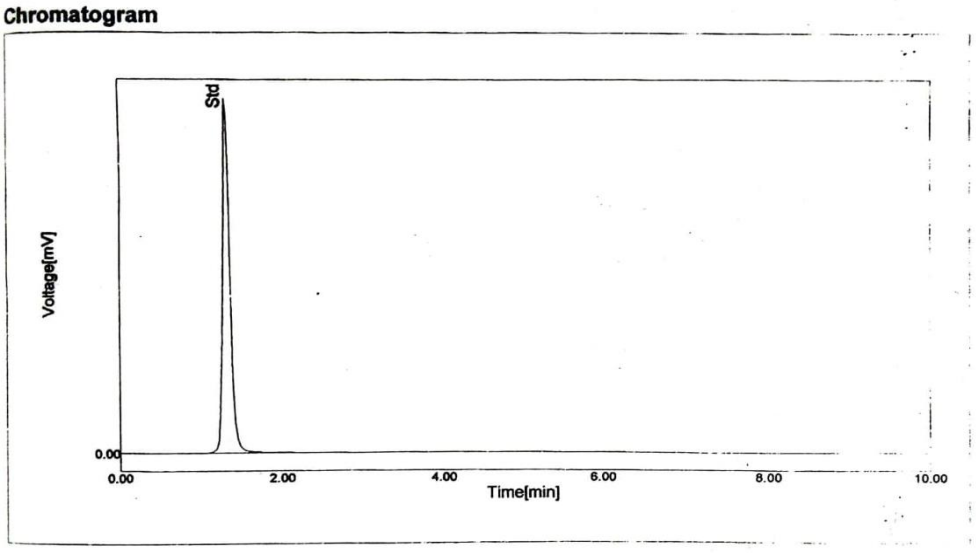
Discussion: Hardness Test is routinely carried out during tablet punching in order to confirm die filling and compaction of tablets. In this, the tablet passes the limit range during tablet formation.

4.7 Disintegration Test

Table 13: Disintegration Test.

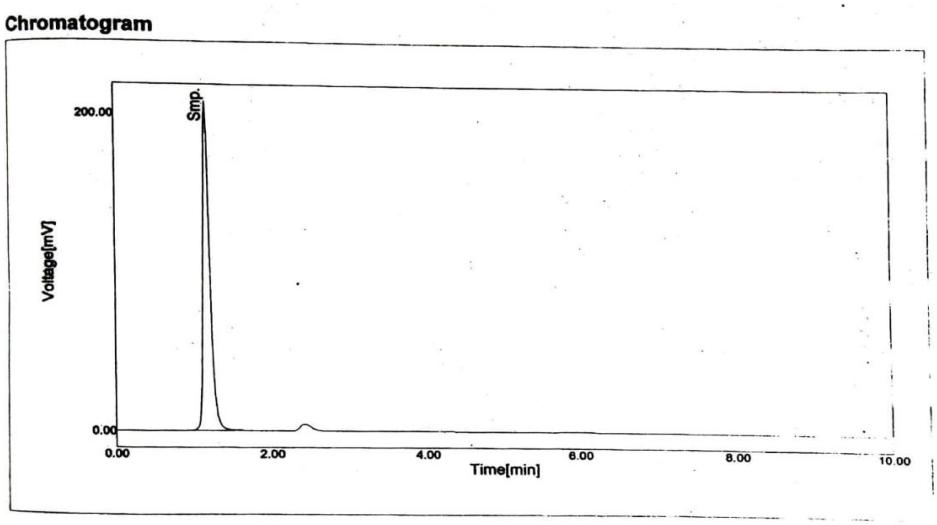
S.No.	Profile	No. of Tablets	Time measured
1.	Formulation – I	6	20 Minutes
2.	Formulation – I	6	23 Minutes
3.	Formulation – II	6	21 Minutes
4.	Formulation – II	6	23 Minutes

4.8 Analysis Report



Result

No.	Name	RT[min]	Area[mV*s]	Height[mV]	Amount[]
1	Std	1.3167	1089.7805	175.8149	0.0000
Sum			1089.7805	175.8149	0.0000



Result

No.	Name	RT[min]	Area[mV*s]	Height[mV]	Amount[]
1	Smp.	1.1833	1274.3354	208.0089	0.0000
Sum			1274.3354	208.0089	0.0000

Fig. 2: Chromatogram.

4.9 Dissolution Profile

Dissolution 5ASA K17T-33

stage I Limit (NMT 10%)

1	0.1 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	40.27	5.033
2	0.101 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	40.67	5.084
3	0.098 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	39.46	4.933
4	0.099 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	39.86	4.983
5	0.111 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	44.70	5.587
6	0.121 / 1.784	20.0 / 50	5 / 25	900 / 1	50 / 5	99.77 / 100	1	48.72	6.090
						Average		42.28	5.28

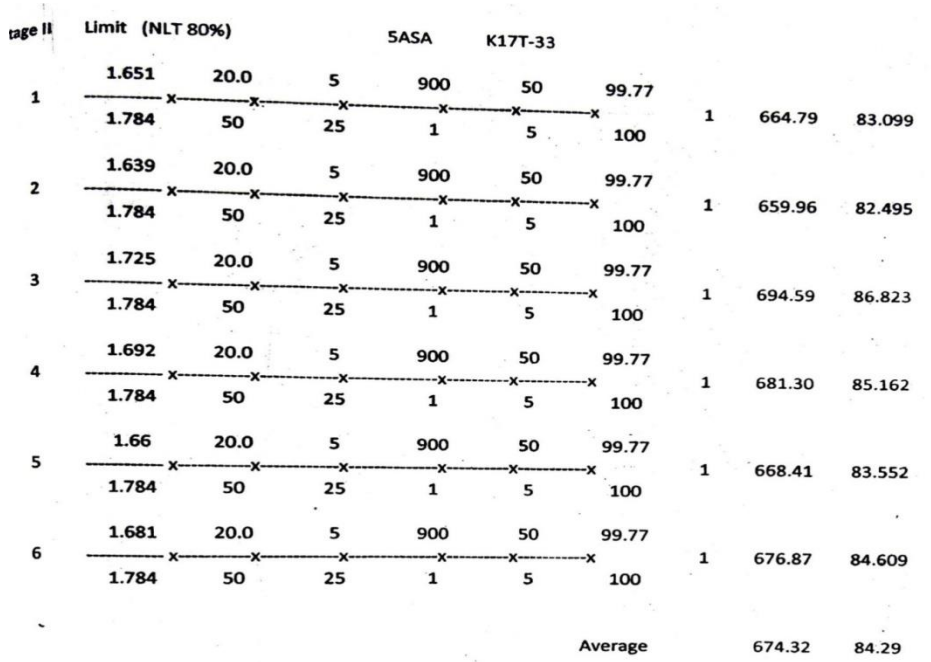


Fig. 3: Dissolution Profile.

DISCUSSION

This work has been done after a brief review of literature about the bowel disease Ulcerative colitis and Chron's disease that affects most of the people around the world. It has for been the recommended treatment that, Mesalamine, a 5-ASA derivative is one of the best drug of choice and prevention of ulcerative colitis.

Mesalamine, an anti-inflammatory agent, is available in various dosage forms such as Tablets, Capsules, Suspension, and Suppositories etc., which will act in the Colon region. But, it has been found that, in the GIT, varied pH ranges exists and the drug may be affected. Mesalamine tablet granules were prepared by Wet granulation method. The prepared granules were evaluated before and after lubrication. Evaluation parameters include Angle of Repose, Bulk Density, Carr's Compressibility index and Hausner's ratio.

The prepared granules were compressed into compact tablets in the tablet punching machine. In-process Evaluation such as Weight variation analysis, Hardness test, Friability test and Disintegration Tests were carried out. The compact tablets were coated with two different coating polymers commercially and Dissolution test was performed. After dissolution, the drug content uniformity was also analysed by HPLC method.

It was observed after seeing the results, that, the Formulated tablet with coating showed good drug release after analysis. In future, the Mesalamine tablets can be formulated and manufactured with a suitable coating polymer and thereby efficacy of the drug can be enhanced.

5. CONCLUSION

The study successfully formulated Mesalamine USP delayed-release tablets using the wet granulation method followed by coating with two different enteric polymers. Pre-compression evaluations indicated good to excellent flow properties of granules, ensuring uniform die filling and tablet compression. Post-compression tests confirmed that the tablets complied with pharmacopeial limits for weight variation, hardness, friability, and disintegration. Dissolution and HPLC analysis revealed satisfactory drug release profiles and content uniformity. Overall, the results demonstrate that the selected coating polymers are effective in achieving delayed drug release and colon-specific delivery of Mesalamine. This formulation approach can be further optimized and scaled up for industrial manufacturing to improve therapeutic outcomes in inflammatory bowel disease management.

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