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Short Communications

Study of Rosin and Rosin esters as coating materials

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Rosin esters were prepared by heating glycerol, sorbitol and mannitol. Aspirin granules were coated with solution of Rosin and Rosin esters in acetone. The coated granules were studied for moisture absorption, dissolution studies, and ageing studies. The results showed that rosin-coated granules release less than 10% drug in gastric media in 3 h and more than 75% drug in 15 min in intestinal media. Rosin can be used as enteric coating material. Rosin esters give quick release in gastric media and delayed release in intestinal media. Ageing does not have a significant effect in release characteristics.

Rosin and Rosin esters are widely used as film-forming plasticizers for moisture protection and find use in chlorinated rubber, vinyl resins, paints and varnishes. Glycerol ester of Rosin has been used as an anhydrous binding agent in tablet formulation (Surowiecki et al., 1971). A formula is patented for repeat action beadlets using abietic acid-type Rosin and Zein (Butler and Vance, 1968).

This communication explains the application of Rosin and Rosin esters as coating materials. It was found that Rosin can be used for moisture protection and enteric coating purpose while Rosin esters can be used for controlled release.

Rosin esters of glycerol (Kogan, 1932), mannitol and sorbitol (Brown and Geopp, 1938) were prepared by heating Rosin (4 parts) and glycerol (1 part) at 210–220°C. Heating was continued until there was no further drop in acid value of the sample withdrawn from the reaction. The whole mass was poured in water, to remove excess of alcoholic compound, with constant stirring, filtered and dried at 50°C in the oven overnight.

The physical properties, colour, softening range, and solubility were studied (Table 1). It was found that all the products were insoluble in water and soluble in acetone. Solubility in alcohol and ether was decreasing as the acid value of Rosin was reduced. The esterified final products were insoluble in alcohol and ether. The moisture absorption studies were carried out by keeping two accurately weighed

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TABLE 1

PHYSICAL PROPERTIES OF ROSIN AND ROSIN ESTERS

Sr no.	Coating materials [a]	Acid value (mg/g)	Colour	Softening range (°C)	% moisture absorption relative humidity [b]			
					17.5%	57%	82.5%	100%
1	Rosin	145.75	Yellow	65–82	0.10 (0.13)	0.35 (0.45)	0.55 (0.60)	0.85 (0.95)
2	GR-105	105.45	Faint yellow	75–88	0.15 (0.20)	0.45 (0.55)	0.60 (0.78)	1.15 (1.25)
3	GR-55	55.20	Yellow brown	84–93	0.30 (0.45)	0.57 (0.68)	0.95 (1.05)	1.45 (1.65)
4	GR-Final	20.40	Dark brown	90–96	0.85 (1.15)	2.50 (2.69)	3.75 (4.75)	4.52 (6.85)
5	MR-Final	18.84	Dark brown	95–103	0.95 (1.05)	1.95 (3.15)	2.73 (4.56)	4.85 (6.80)
6	SR-Final	23.10	Dark brown	92–97	0.75 (1.35)	2.35 (2.95)	3.35 (5.50)	4.15 (6.40)

[a] GR-105 and GR-55 are Rosin glycerol reaction intermediates. GR-Final, MR-Final, SR-Final are the final reaction products of glycerol, mannitol and sorbitol with Rosin, respectively.

[b] Values in the bracket give % age moisture absorption by coated aspirin granules.

TABLE 2

DISSOLUTION STUDIES OF COATED ASPIRIN GRANULES

Sr no.	Coating material	Gastric fluid t_{50} (min)		Intestinal fluid t_{50} (min)	
		Fresh coated granules	Coated granules after ageing	Fresh coated granules	Coated granules after ageing
1	Rosin	>180.00	>180.00	7.00	10.50
2	GR-105	>180.00	>180.00	11.33	13.00
3	GR-55	86.25	92.50	23.00	31.50
4	GR-Final	23.25	27.33	49.50	55.00
5	MR-Final	32.00	34.25	52.00	59.85
6	SR-Final	28.50	31.16	48.33	51.50
7	Control aspirin granules	5.15	7.50	3.25	4.75

Fig. 1.

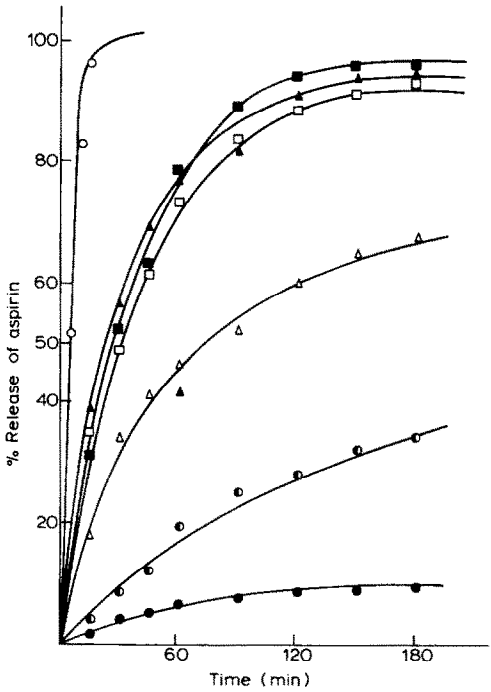

Fig. 2.

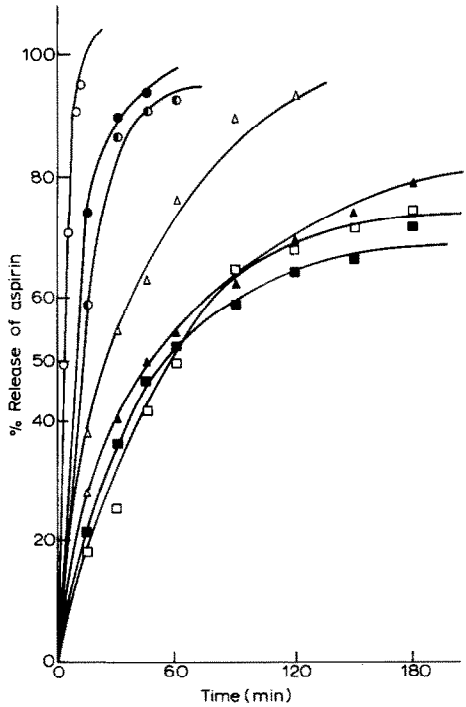

Fig. 1. Release characteristics of Rosin and Rosin esters-coated aspirin granules in simulated gastric medium. Control aspirin granules, ○———○; Rosin coated, ●———●; GR-105, ◐———◐; GR-55, △———△; GR-Final, ▲———▲; MR-Final, □———□; SR-Final, ■———■.

Fig. 2. Release characteristics of Rosin and Rosin esters-coated aspirin granules in simulated intestinal medium. Control aspirin granules, ○———○; Rosin coated, ●———●; GR-105, ◐———◐; GR-55, △———△; GR-Final, ▲———▲; MR-Final, □———□; SR-Final, ■———■.

samples of coating materials in the dessicators which contained controlled humidity conditions brought about by using different concentrations of salt solutions for 15 days undisturbed and then the contents was weighed.

Aspirin was used as a drug of choice for further investigation. A 10% solution of coating material in acetone was sprayed on aspirin granules (20/30 mesh) for coating purposes. The coated aspirin granules were tested for moisture absorption studies (Table 1) and dissolution studies in simulated gastric fluid USP and intestinal fluid USP using the standard dissolution rate apparatus USP XVIIIth model, supplied by Campbell Electronics (Table 2). The drug release characteristics from coated granules are shown in Figs. 1 and 2 for simulated gastric and intestinal fluids, respectively.

The results of the moisture absorption studies show that Rosin imparts the best moisture protection (0.85% absorption as plain Rosin and 0.95% moisture absorption by Rosin-coated granules in 100% relative humidity). GR-105 and GR-55 also find use in moisture protection. On esterification of Rosin—it loses this property and the final esterified Rosin products are not useful for this purpose. The dissolution studies show that Rosin releases less than 10% drug in gastric medium in 3 h but gives 75% drug in 15 min in intestinal media, hence with minor modifications it will be a useful enteric coating material. As the esterification proceeds, the enteric coating properties of Rosin are reduced and almost 95% drug is released in 3 h in gastric media from final esterified product-coated granules. These final products are found to be resistant to intestinal medium (t_{50} approx. 1 h in intestinal media). Thus Rosin and Rosin esters and their combination can be used to produce controlled release of drug in both gastric and intestinal media. Ageing studies showed no significant change in the release characteristics of the coated granules.

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