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Short Communication

Evaluation of rosin as film coating material for enteric coating

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Abstract

Drug granules coated with 10% solution in acetone were evaluated for moisture absorption and dissolution studies at various pH levels. Rosin film resists acidic pH excellently releasing less than 10% drug in 3 h. A quick drug release is obtained at pH 7.2. The findings suggest that rosin can be considered as an enteric coating material.

Key words: Film coating, rosin, enteric coating.

1. Introduction

The concept of enteric coating for pills and tablets is known for over a century[1]. It is used for protecting acid-sensitive drugs from gastric fluids, preventing gastric distress, nausea, localizing the delivery and absorption of drugs and providing a delayed or repeat action component in the formulation. More than hundred materials have been tried for this purpose and virtually all commercially available enteric coating materials have some or the other disadvantage. The pH of the stomach varies from pH 1.2 to 4.5 and gastric residence time from 1 to 4 h. The alkalinization of gastrointestinal tract is a gradual process. Sometimes, pylorus or entrance of small intestine is more likely to be acidic than alkaline. Hence, solubility at pH 5 and above gives a better criterion for selection of enteric coating material. Shellac and cellulose acetate pthalate (CAP) are widely used as enteric coating materials. However, shellac does not dissolve in slightly acidic media (3 to 6 pH) and hence there is very little or no absorption in the intestine and CAP is highly hygroscopic and is susceptible to hydrolytic breakdown upon storage at high temperatures and humidity[2].

Rosin is a solid resinous material that occurs naturally in the oleoresin of pine trees. Various rosin derivatives like esters, hydrogenated rosins, modified rosins and polymerized rosins are widely used in paints, varnishes, food products, cosmetics, chewing gums and dental varnishes. This communication deals with the evaluation of rosin as an enteric coating material.

2. Materials and methods

Rosin N Grade (ISI), salicylic acid (IP), ferric nitrate (analytical grade).

Dissolution rate apparatus USP XVIII Model (Campbell), Bausch and Lamb Colorimeter (Associated Instruments).

Physical properties of resin like softening point, acid value, refractive index and solubility in different solvents like acetone, ether, alcohol and water were determined[3]. Arsenic content in the rosin sample, tested by Bettendorf's method[4], was found to be nil. Moisture absorption studies were carried out in desiccators maintained at different relative humidities[5]. Two samples were kept for 15 days to achieve equilibrium. Values reported are the average of a minimum of three trials (Table I).

To evaluate the coating properties, salicylic acid granules of 20/30 mesh size were coated using a standard coating technique[6].

Salicylic acid has been selected as drug because of its crystalline nature, easy estimation and ready availability. The granules were taken in a laboratory-scale glass-coating pan with a 8 cm diameter at the mouth. The speed of rotation was adjusted to 40 rpm. Hot air was blown for 15 min by a hot air drier, kept 18" away from the pan. The per cent solution of rosin in acetone was sprayed on granules keeping the nozzle of the sprayer six inches away from the pan. Spraying was done by pressing the rubber bulb ten times. Granules were then allowed to rotate in the pan for five min, and hot air was blown for ten min. This constituted one coat. The process was repeated to impart 15 coats to give a phase ratio of 7:1 core material:coating material.

Fig 1. Dissolution studies of rosin coated drug in various pH media. pH 1.2 —○—○—; pH 3.0 —●—●—· pH 5.0 —△—△—; pH 7.2 —▲—▲—; pH 8.0 —■—■—·

The coated drug granules were further evaluated for moisture absorption studies by carrying out three trials with two samples each. The drug release studies (*in vitro*) were carried out in dissolution rate apparatus at different pH media *i.e.* pH 1.2, 3.0, 5.0, 7.2 and 8.0 for three hours in each medium to reflect the resistance of rosin films to various pH conditions prevailing in gastro-intestinal tract. The results reported are average of six trials each.

3. Results and discussion

The moisture absorption studies (Table I) at various relative humidities have shown that rosin is highly hydrophobic and has very little affinity for moisture with less than 1% moisture absorption at 100% R.H. When coated on drug granules, it imparts very good moisture protective coating to the drug material.

The dissolution studies show that there is a variation of release characteristics with the pH of the medium. The data were statistically analysed employing a *t* test. It is observed that the dissolution profiles were statistically significant when compared with the release of control drug granules with *P* value less than 0.05 in all the cases. In order to know the mechanism of release the data was fed to Casio FX 702 P programmable calculator for linear regression analysis and first order dissolution rate constant (Table II). Another parameter for studying the dissolution mechanism is the Hixson Crowell cube root dissolution constant (Table II). This is based on three assumptions:

Table I
Physical properties of rosin N grade (ISI)

Colour	:	Yellow
Softening point	:	65°–82°C
Acid value	:	150.75 ± 5.45
Refractive index	:	1.425 to 1.428
Relative density	:	1.05 to 1.08
% Ash content	:	0.05 to 0.2
Arsenic content	:	Nil*
Moisture absorption studies		
Relative humidity (percentage)		Percentage of moisture absorption
17.5		0.10 (0.13)**
52.0		0.35 (0.45)
82.5		0.55 (0.60)
100.0		0.85 (0.95)

* Arsenic content tested by Bettendorf's method.
** Values in bracket are % moisture absorption of rosin-coated aspirin granules.

Table II
Dissolution studies[a] of coated aspirin granules

Parameters pH of the medium	t 50% in min	Maximum percentage of drug released (in h)	First order dissolution rate constant with correlation coefficient cm/sec	Hixson Crowell cube root constant $1/3\ min^{-1}$
1.2	180	8% in 3 h	0.0378 (0.9868)	0.0006
3.0	180	40% in 3 h	0.1973 (0.9556)	0.0968
5.0	60	75% in 3 h	0.2718 (0.9152)	0.0169
7.2	10	95% in 1 h	1.0686 (0.9124)	0.07075
8.0	12	95% in 3.4 h	1.5425 (0.8466)	0.06325

[a] Average of six trials.

1). dissolution occurs normal to the surface of solute particles;
2) agitation is uniform over all the exposed surfaces and there is no stagnation; and
3) the particle of solute retains its geometric shape.

It is observed that rosin imparts excellent acid-resistant coating with less than 10% drug release in 3 h at pH 1.2. Even at pH 3.0 the film is resistant to acid releasing only 40% of drug in 3 h. An interesting phenomenon observed which is very useful for enteric coating materials is the high percentage (about 75%) of drug release in pH 5.0 medium. As explained earlier the drug release at pH 5.0 criterion is more important than the drug release in intestinal medium. In this respect rosin has advantage over other hydrophobic materials to be used for enteric coating. At pH 7.2 and 8.0, almost 95% of the drug is released in 1 h only, exhibiting the susceptibility of the coating material to alkaline pHs which is highly desirable in enteric-coating materials.

The study of the dissolution mechanisms show that in all the pH media the release was obeying a first order release kinetics with high correlation coefficient. There is a gradual increase in the dissolution constant with increase in the pH of the medium showing a quicker release of the drug. The dissolution also follows the Hixson–Crowell cube root dissolution law and hence the assumptions on which the law is based are applicable to rosin coating also.

It can be concluded that rosin has got excellent potential to be used as a coating material especially for enteric purposes with definite advantages over other materials. Rosin is widely used in dental varnishes for protecting oral cavities from moisture and acid seepage, and no toxicity data have been reported up till now. Hence it is necessary to establish its usefulness as enteric coating material in *in vivo* animal studies and later in toxicity studies. The *in vitro* studies strongly support its usefulness as coating material.

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