

DRUG RELEASE FROM DIFFERENT OINTMENT BASES

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ABSTRACT

The release of benzoic acid from different ointment bases was studied. It was observed that these bases affect the release in the order polyethylene glycol > paraffin ointment base > wool alcohol ointment base > simple ointment base > white soft paraffin.

INTRODUCTION

The internal use of benzoic acid have been found to be relatively unimportant, but it has been tried at one time or another in the treatment of arthritis and cystitis, as well as in bronchitis to promote expectoration. In dermatology benzoic acid is used as antiseptic, stimulant and irritant. The whitfield's ointment contain benzoic acid and salicylic acid in a ratio of 2:1 (usually 6%: 3% respectively). The whitfield's ointment combines the fungistatic action of benzoate with the keratolytic action of salicylate. This ointment is used mainly in the treatment of *Tinea pedis* (goodman and Gillman, 1985) (*Tinea pedis* is a superficial fungal infection of the skin of the foot, especially of that between the toes and on the soles. It is caused by species of *Trichophyton* or by *Epidermophyton floccosum* of different types). The degree of severity may be marked by maceration, cracking and scaling of the skin and by intense itching (Dorland's Illustrated Medical Dictionary, 1981). Since benzoic acid is only fungistatic, eradication of the infection occurs only after the infected stratum corneum is shed and continuous medication is required for several weeks to months. The ointment is also sometimes used to treat *Tinea capitis* (Polson *et al.*, 1968) (a fungal infection of scalp, almost exclusively in children, caused by various species of *Microsporum* and

Trichophyton). It is characterized by irregular patches of baldness, erythema, scaling, crusting and black dots produced by breakage of infected hair close to the scalp surface (Dorland's Illustrated Medical Dictionary, 1981).

The purpose of this study was to observe the release of benzoic acid from various ointment bases.

MATERIALS AND METHODS

It is same as reported earlier (Raheem, 1992).

RESULTS AND DISCUSSION

The drug release studies have long been used as one criterion for judging the possible effect of vehicle on drug availability from topical formulations (Plein and Plein, 1957; Wagner, 1961; Bar, 1962; Barret and Sarkany, 1964). It has been pointed out that there may be marked difference in clinical effectiveness of a drug when using different vehicle (Shelmire, 1960). The release of a medicament is favoured by the selection of vehicles that have a low affinity for the penetrant or in which the drug is least soluble. This is consistent with the view that the rate of release is governed by the vehicle to receptor phase partition coefficient. The

release characteristics of a topical vehicle have been studied by determining the partition coefficient of the drug between the vehicle and a suitable organic solvent (Mackee *et al.*, 1945; Poulsen *et al.*, 1968).

The *in vitro* release of medicinal agents from topical bases, is a function of the degree of solubility of that agent in both the bases and its surrounding media. The medicinal agent must be sufficiently soluble in the base to allow for its release into an aqueous medium, but not so soluble to preferentially remain in that base. From many studies, it has been concluded that a drug must be partially soluble in its vehicle to provide good release into an aqueous environment (Demske *et al.*, 1969). If the drug is insoluble in its vehicle, it appears that only the drug particles available at the surface of the vehicle will dissolve into an aqueous medium. If the drug is partially

soluble in the vehicle it seems to dissolve and diffuse throughout the medium as it dissolves from the surface and then returns to the surface for release into the surrounding medium.

The thermodynamic activity of the medicament in the vehicle is believed to exert some influence on the medicament release. The thermodynamic activity of the medicament in the vehicle is the product of the concentration of the medicament and the activity coefficient of the medicament in the vehicle. The solute held firmly by the vehicle, exhibit low activity coefficients. Hence the rate of release from such drug vehicle combinations is slow. The solutes held "loosely" by the vehicle (with less affinity of the vehicle for the drug or solute) exhibit high activity coefficients, therefore, the rate of release from such drug vehicle combination is

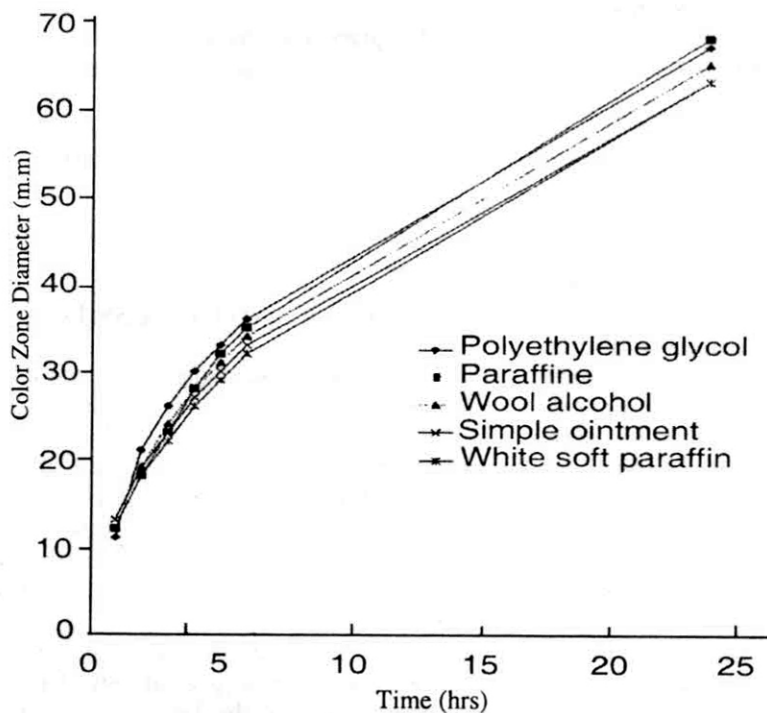


Fig. Release of benzoic acid from polyethylene glycol ointment, paraffin, wool alcohol, simple ointment and white soft paraffin base.

Table
Release of Benzoic acid from polyethylene glycol, paraffin, wool
alcohol, simple ointment, white soft paraffin ointment base

Time (hours)	Colour zone diameter (mm)			
	250 μ m	200 μ m	160 μ m	80 μ m
1	11	12	16	17
	12	13	14	15
	12	13	14	15
	13	14	14	15
	12	13	14	15
2	21	22	23	24
	18	19	20	21
	19	20	21	22
	19	20	20	21
	18	19	20	21
3	26	27	28	29
	23	24	25	26
	24	25	26	27
	23	24	25	26
	22	23	24	25
4	30	31	32	33
	28	29	30	31
	28	29	30	31
	27	28	29	30
	26	27	28	29
5	33	34	35	36
	32	33	34	35
	31	32	33	34
	30	31	32	33
	29	30	31	33
6	36	37	38	39
	35	36	37	38
	34	35	36	37
	33	34	35	36
	32	33	34	35
	67	68	69	71
	68	69	70	71
	65	66	67	68
	63	64	65	66
	63	64	65	66

* Each reading is an average of six readings

fast. Varied materials require individual formulation based on solubility characteristics, and the formulation may also need modification for different concentrations of the medicament to obtain maximal release rates.

The results of the present study are summarized in the table and the relative release of benzoic acid from various ointment bases is given in Fig. A comparison of the rate of release of benzoic acid indicates that polyethylene glycol ointment base showed the

highest medicament release, where as the white soft paraffin showed slowest medicament release. The paraffin ointment base, simple ointment base and the wool alcohol ointment base showed more or less same magnitude of release of benzoic acid. On the basis of the result obtained the following order of release of benzoic acid were found polyethylene glycol paraffin ointment base > wool alcohol ointment base > simple ointment base > white soft paraffin.

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