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DEVELOPMENT AND EVALUATION OF PLAIN AND NIOSOMAL GEL CONTAINING ALLICIN

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Abstract

Allicin is chemically known as 3-prop-2-enylsulfinylsulfanylprop-1-ene. It is a volatile compound and poorly soluble in water. With molecular weight is 162.3 g/mol, it is also known as Diallyldisulfi-S-oxide, S-allyl-prop-2-ene-1-sulfinothionate and Diallyl thiosulfinate. The primary mode of topical delivery of drugs include ointments, creams, gels, solutions, lotions, foams, shampoos and lacquers, with each mode having their own uses and limitations. To overcome these limitations, there is need for novel approaches for the topical delivery of drugs. Emerging techniques, such as, transdermal patches, microneedles, liposomes, aerosol foams and nanoformulations provides better release profile, stability and bioavailability of drugs. In the present paper Allicin loaded niosomes gel (AN8) were formulated by using thin film hydration technique and plain gel containing allicin was prepared and both were further evaluated.

Key-words: Allicin, Niosomes gel, Plain gel

Introduction

Allicin is a sulphur containing compound, commonly present in Garlic as a defence molecule. Along with other useful pharmacological activities, allicin was found to be a potent antifungal agent against *Candida* infections [1].Group of researchers used pure allicin derived from garlic and confirmed its activity against dermatophytes [2]. Another research demonstrated antifungal effects of allicin against phytopathogenic fungi, such as, *Fusarium oxysporum*, *Phytophthora casici*, *Verticilium dahlia* and *Botrytis cinerea* [3]. Allicin was found to be responsible for the accumulation of reactive oxygen species and disturbing biosynthesis of cell walls of fungal species, thus showing a cidal effect [4].

Rise in number of cases of fungal infections and development of resistance towards conventional antifungal drugs, there is high demand of investigation of new potent antifungal candidates [5]. Currently, phytobioactive compounds are been investigated for prevention and treatment of variety of fungal infections. Researchers are developing novel drug delivery systems for increasing bioavailability and efficacy of phytobioactive antifungal compounds. Liposomes, niosomes and nanoparticles are few of the examples of it Researches are also trying to combine

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phytobioactive compounds with conventional medications to address resistance development in fungal infections. These combinational therapies are showing great success against various infectious fungal species [6-8]. In the present investigation plain and niosomal gel of allicin was formulated and evaluated.

Material and Methods

Selection and Procurement of Phytobioactive Compounds

The anti-fungal phytobioactive compounds i.e., Allicin (diallyl thiosulfinate) was selected for the present investigation. The sample was obtained as gift sample from the Care Medicine, Indore

Methodology

Preparation of Niosomal gel

Gel will be prepared using carbopol-934 as gelling agent. Required quantity of gelling agent will be weighed and dispersed in sufficient quantity of distilled water. This dispersion will be neutralized by drop wise addition of triethanolamine till a clear gel was obtained. A 2.5% w/w gel was obtained by dissolving drugs, and treated in the same way as explained above. [9-10]

Incorporation of niosomes of phytobioactive compounds to gel base

Selected niosomal formula equivalent of 2.5% w/w drug will be incorporated into gel base by gentle mechanical mixing at 25 rpm for 15 min.

Table 1: Formulation of 2.5 % Allicin gel

Ingredients	Quantity (100 gm)
Allicin (2.5%)	2.5 gm
Carbopol 934 (2%)	2 gm
Propylene glycol (10%	9.6 ml
Triethanol amine	qs
Distilled water	qs

Evaluation of Niosomal gel and Plain gel

The niosomal gel and plain topical gel was characterized with respect to pH, viscosity, and spreadability.

Physical examination

The plain gel and niosomal gel was visually examined for color and texture.

pH Measurements

The pH of the gel formulations was delivered by using digital pH meter.

Viscosity Measurement

The viscosity of gel formulations was determined by Brookfield viscometer.

Spreadability

The spreadability of gel formulations was determined by using spreadability apparatus.

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Stability Studies

Selected niosomal formulation of phytobioactive compounds was packed in tightly closed amber coloured bottles wrapped in aluminium foil and kept at 30º ± 2º at (65 ± 5% RH) for 30 days in a stability chamber and also at 2-8° temperature in a refrigerator. After 30 days the samples will be evaluated for particle size, % entrapment efficiency and drug content. [9-10]

Results and Discussion

Evaluation of Niosomal Gel

On the basis of results obtained of entrapment efficient, drug content and *in vitro* diffusion studies of niosomal formulation containing Allicin it was found that the formulation code AN8 was found to have highest entrapment efficiency, drug content and % drug release, therefore this formulation were taken and was incorporated to form niosomal gel. A plain gel was also prepared as per methods described in methodology. The prepared formulations were evaluated. The results were presented below.

Physical Examination

The prepared gels were examined for physical appearance and results of the physical examination of the gel were shown in table. Plain gel containing Allicin and niosomal gel formulations containing Allicin were found to be white in color, were homogenous and had smooth texture.

pH Measurement

pH of the niosomal gel formulations containing Allicin and plain gel containing Allicin as shown in table lied in the normal pH range of skin to avoid any risk of irritation upon the application to the skin. Comparative pH of all formulations was shown in figure.

Viscosity Measurements

The viscosities of the gel formulations are optimum as they provide good *in vitro* release of drug through the gel and results were shown in table. Comparative viscosity of formulations was shown in figure.

Spreadability

Spreadability of the plain gel containing Allicin and niosomal gel formulation containing Allicin were found to be better as compared to plain gel as shown in. This could be because of loose gel matrix of gel due to presence of vesicles. Comparative spreadability of all formulations was shown in figure.

Drug content

The drug content of the plain gel containing Allicin and niosomal gel formulation containing Allicin were recorded and presented in table. Comparative drug content of all formulations was shown in figure.

***In vitro* diffusion study**

The drug release from plain gel containing Allicin and niosomal gel formulation containing Allicin were determined and it was found that the plain gel containing Allicin have lower drug release than selected niosomal formula AN8. The results were given in table. Comparative % Drug release of plain and niosomal gel formulation containing Allicin was shown in figure.

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Table 2: Physical examination and other characterization of plain and niosomal gel formulation containing KT

Formulation Code	Color	Homogenity	Texture	pH	Viscosity (cps)	Spreadability (gmcmsec)	Drug Content
PGA	White	Homogeneous	Smooth	6.75	6092	15.39	98.29±0.11
AN8	White	Homogeneous	Smooth	6.85	6310	17.48	98.90±0.21

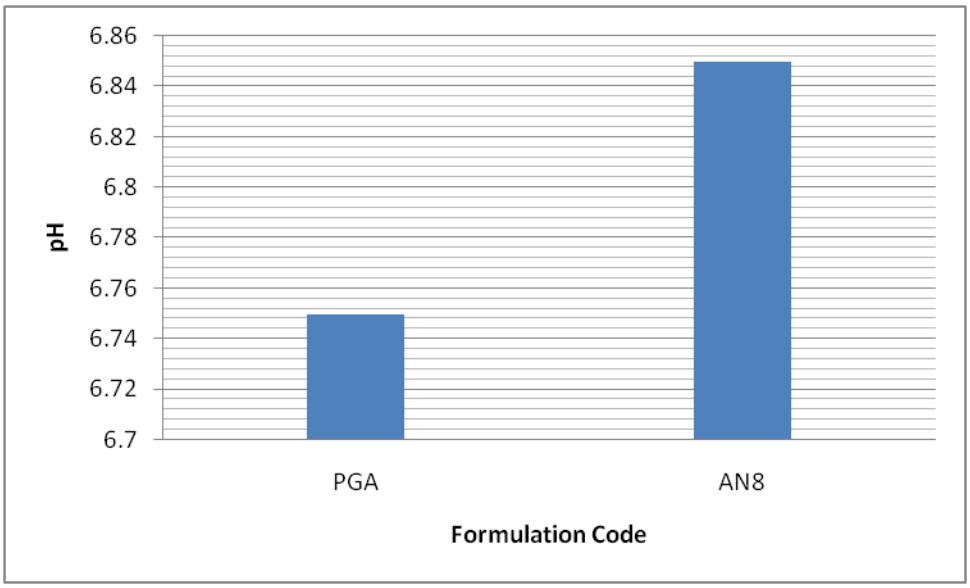

Fig. 1: Comparative pH of plain amd niosomal gel containing Allicin

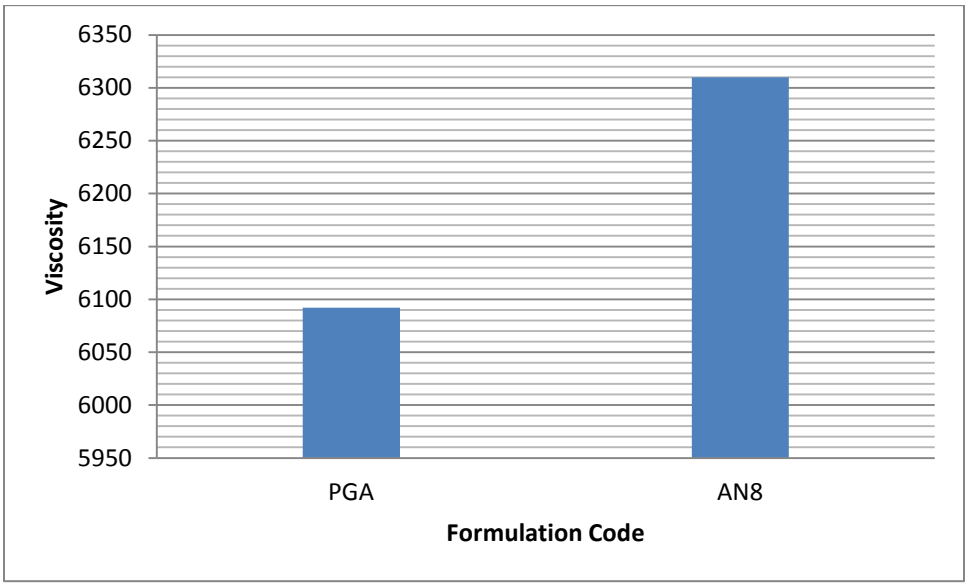

Fig. 2: Comparative viscosity of plain amd niosomal gel containing Allicin

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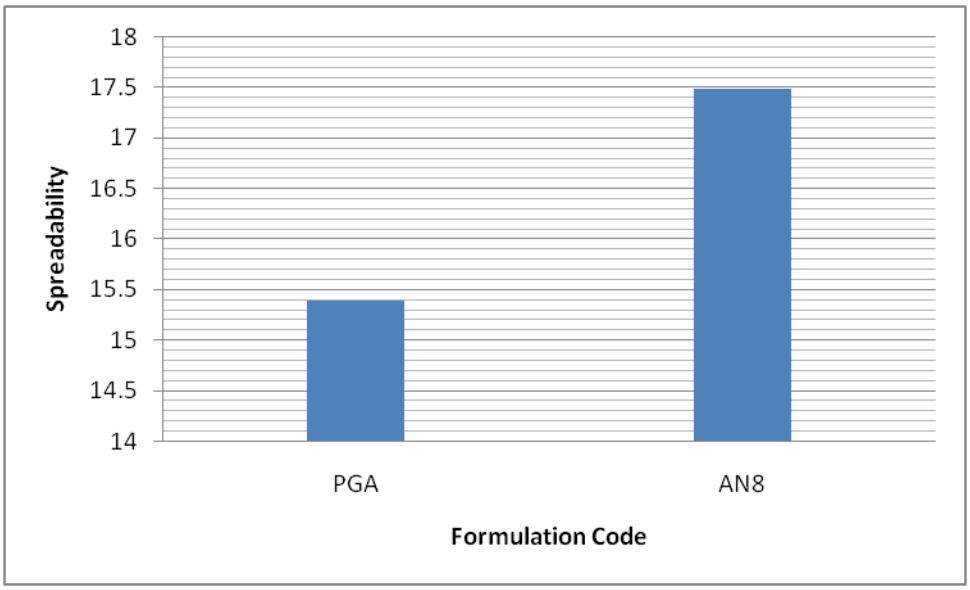

Fig. 3: Comparative spreadability of plain amd niosomal gel containing Allicin

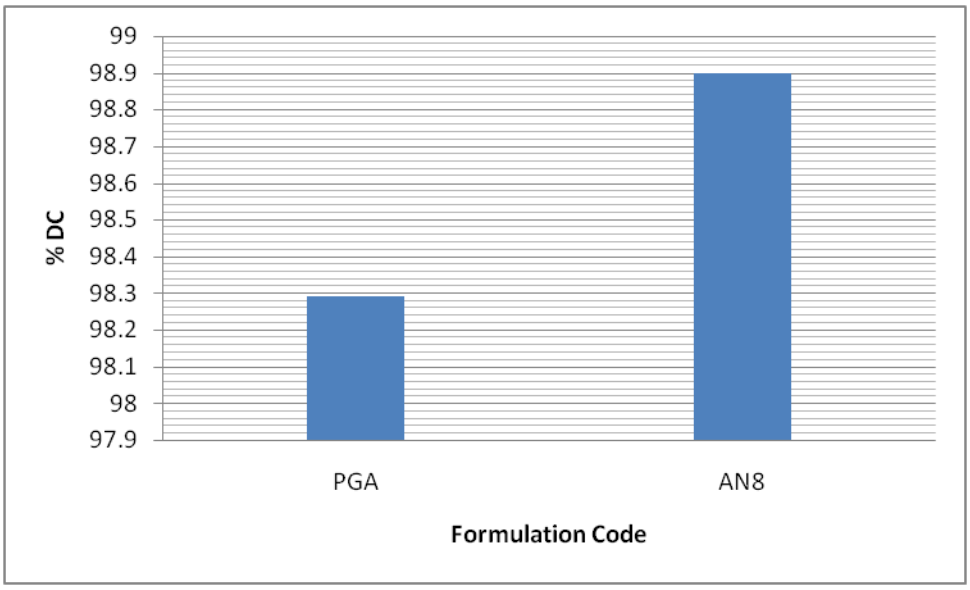

Fig. 4: Comparative drug content of plain amd niosomal gel containing Allicin

Table 3: Comparative *In Vitro* Dissolution Profile of plain and niosomal gel formulation containing Allicin

Time (h)	Cumulative % of Drug Release	
	PGA	AN8
0	0	0
1	20.13	30.12
4	35.29	41.30

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8	44.28	52.39
12	56.39	61.20
16	68.20	73.19
20	77.80	78.18
24	85.21	88.26

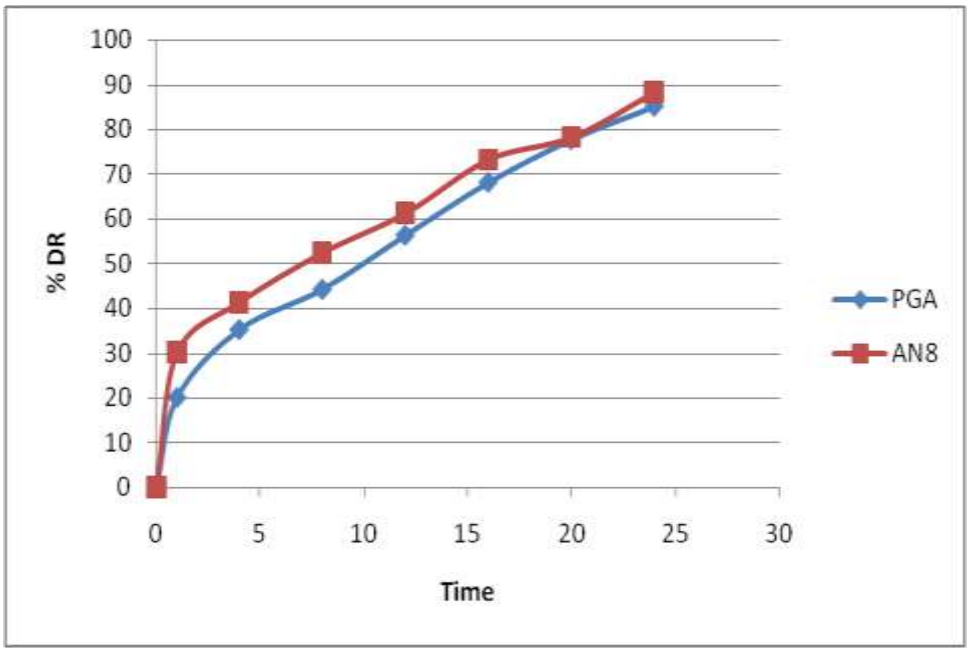

Fig. 5: Comparative % drug release of plain amd niosomal gel containing Allicin

Stability studies

The best niosomal gel formulation containing Allicin i.e., AN8 (was stored at 2-8° in refrigerator, 30 ± 2° temperature and 60 ± 5% RH, for a period of one month. Sedimentation of the particle was found at 30 ± 2° (RH 60 ± 5%). The vesicle size, entrapment efficiency and drug content of the best formulation showed that there was no significant change in these parameters when stored at 2-8°. The particle size was found to be increased, entrapment efficiency and drug content was found to get decreased when stored at 30 ± 2° (RH 60 ± 5%) as compared to the initial results. Thus, it can be concluded that 2-8° and ambient humidity are the most suitable for storage of prepared niosomes of Allicin.

Table 4: Comparative Stability studies of optimized niosomal gel containing Allicin at different storage conditions

Formulation Code		**Particle size (µm)**		**Entrapment efficiency (%)**		**Drug content (%)**
AN8	Initial	2.83±0.77	Initial	85.28±0.41	Initial	98.29±0.11
	Final	2.92±0.09	Final	81.11±0.07	Final	97.28±0.17

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