



DESIGN AND CHARACTERIZATION OF PROTACATACHUIC ACID BASED EMULGEL FOR TREATMENT OF ACNE VULGARIS

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Abstract: A new method of topical medication delivery is emulsion. The current study aims to improve the transdermal administration of antiacne emulgel by designing, preparing, and statistically optimizing protocatachuic acid emulgen. The emulsifying agents Span & Tween and carbapol 934 were chosen as the independent variables. The spreadability and viscosity are the dependent variables. Physical evaluation, spreadability, viscosity, pH, and the mechanism of action were assessed for the prepared protocatachuic acid emulgel using antibacterial, skin irritation and skin penetration. Comparing the antimicrobial to normal streptomycin, the lowest inhibit concentration was 31.2 µg/ml against S.aureus. Reduced skin cell irritation is shown by a higher percentage of inhibition against epidermis cells as compared to the conventional aspirin IC50 value and %. Good skin approval property for formulation authorization.

Index Terms - Emulgen, Acne , protocatachuic acid, antimicrobial ,Skin Permeation.

Introduction

ACNE VULGARIS

- Blackheads, whiteheads, and pimples are all frequent skin conditions that cause nodules to appear on the skin. Acne vulgaris is the medical term for the condition.
- The face, neck, chest, shoulders, and back are the main areas affected.
- Dead skin cells and oil block the hair follicles, causing them to form.
- Even though acne vulgaris is typically seen in teenagers, it can afflict people of all ages.
- It may result in skin infections and, in certain situations, scars. Around 85% of teenagers and 2/3 of people over the age of 18 suffer from a chronic ailment known as acne vulgaris.
- With over 95% of teenagers having this condition. Adolescent acne prevalence has been found in several big studies to range from 81 to 95 percent in young men and 79 to 82 percent in young women.

EMULGEL

• Emulgels are a newly developed drug delivery method that is becoming widely used for the administration of hydrophobic medications. This formulation, which combines gel and emulsion, is thought to be a novel kind of drug delivery method.

• Emulgels have a long shelf life, are translucent, emollient, thixotropic, greaseless, easily removable, and spreadable.

• Nowadays, a variety of medications, including analgesics, anti-inflammatory, anti-acne, and anti-fungal agents, are delivered via emulgels.

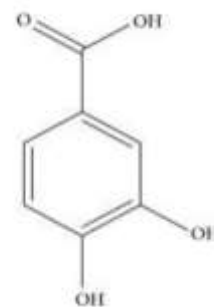
PROTocatechuic ACID

• One kind of naturally occurring phenolic acid that is extensively distributed is protocatechuic acid (PCA). Protocatechuic acid (PCA) has anti-inflammatory and antioxidant properties.

• A variety of studies on protocatechuic acid (PCA) have been conducted as well as its derived forms.

• Protocatechuic acid (PCA) has been shown to have a wide range of activities linked to oxidative stress-related bodily harm.

• The activities such as: Antimicrobial activity, Antioxidant activity, Antidiabetic activity, Anticancer activity, Antiageing activity, Antiulcer activity.



Need and Objective

- Acne is most common among teenagers, though it affects people of all ages. Depending on its Severity, acne can cause emotional distress and scar the skin.
- Hormonal changes that make the skin oilier. These may be puberty, menstrual periods, pregnancy, Birth control pills, etc.
- People with acne have said that their skin makes them feel unattractive, embarrassed, or self-Conscious.
- Some people say that having acne makes them feel on edge.
- Severe acne is associated with increased depression, anxiety, poor self-image and poor self-Esteem.

Aim

“Formulation, Development and Evaluation of Topical Emulgel Protocatechuic acid for the Treatment of acne vagaries.”

Objectives

- To evaluate protocatechuic acid based Emulgel for treatment of acne.
- Characterization of Emulgel: Perform comprehensive characterization studies including Physical appearance, pH, viscosity, spreadability, drug content uniformity, and stability under Various storage conditions.
- To perform skin permeation study.

PLAN OF WORK

- PHASE I
 1. Literature Survey
 2. Selection and Procurement of all required ingredients Drugs and Excipients
 3. Pre-formulation Studies
 4. Compatibility Studies PHASE-II preparation of protocatechuic acid base Emulgel for Inflammation acne by any suitable method
- PHASE II
Preparation of protocatechuic acid based Emulgel for inflammatory acne by any suitable method
Method of preparation:- Formulation of emulsion with any suitable method and Incorporation of prepared emulsion in gel base
- PHASE III
Evaluation Of Emulgel
 1. Physical Evaluation
 - Colour
 - Odour
 - Texture
 2. pH Determination
 3. Viscosity
 4. Spreadability
 5. Skin Irritation
 6. Skin Permeation
 7. Extrudability
 8. Microbial Assay
- PHASE IV
Data interpretation and conclusion

Materials and Methods

Protocatechuic acid was obtained from Otto chemie PVT.LTD. Carbapol 934 was obtained from Atharva Enterprises, Kolhapur. Spans 80, Tween 80, Liquid Paraffin, Triethanolamine, Methyl Paraben, Propylene Glycol were obtained from Atharva Enterprises, Kolhapur.

Equipment's

The UV-VIS Spectrophotometer from the company of Kuv-1110, Digital Melting Point Apparatus for the temperature measurement produced from the Cole-Parmer, Bath Sonicator for the stirring ie. For sonication sourced from the Labman Scientific Instrument company, for the stirring and shaking of mixture Mechanical Stirrer from the Redmi is used, the weight of compound taken through the Digital Electrical Balance from the production of Contech and the Viscometer for the viscosity determination sourced from the company named Brookfield

Design of Experiment

The qualitative components and levels were used to construct emulgel formulations in line with a factorial design display shown in Tables 1 and 2. To develop and evaluate the experimental design, it was carried out. Two separate factors were evaluated: The amount of Carbapol is (0.5, 1 and 1.5%w/w), while the amount of Emulsified Agent Ratio (Span: Gap) is (1:1)%w/w (X2). Viscosity (cp) (Y1) and spreadability (Y2) were selected as the dependent variables. Desirability was calculated in order to determine the ideal formula that was the subject of additional study.

Preparation of Emulgen

Carbopol 934 was dissolved in clean water and continuously shaken at a moderate speed using a mechanical shaker to create the gel phase in the formulations. Triethanolamine was then used to bring the pH down to 6.5. After that, span 20 was dissolved in light liquid paraffin to make the oil phase of the emulsion, and tween 20 was dissolved in filtered water to create the aqueous component. Protonatechuic acid was dissolved in ethanol, while methyl parabens were dissolved in propylene glycol. The resulting solutions were combined with the aqueous phase. After the aqueous and oily phases had each been heated to 75 degrees Celsius independently, the oily phase was introduced to the aqueous phase and vigorously stirred until it cooled to room temperature.

Table No.1 DOE study

Factors (Independent variable)	Factor level uses		
	Low	Medium	High
Amount of carbapol 934 (X1)	0.5	1.5	1
Amount of Emulsified agent (X2) (Span: Tween)	2	6	4
Response (Dependent Variable)			
Y1 = Percentage Viscosity (%cp)			
Y2 = Percentage spreadability (%min/sec)			

Table No. 2 Formulation

Sr	Ingredient	F1	F2	F3	F4	F5	F6	F7	F8	F9
1	Carbapol 934 (gm)	0.5	0.5	1	1	1.5	1	1.5	0.5	1.5
2	Emulsifying Agent (1:1)(Span: Tween)(ml)	2	6	4	4	6	2	2	4	6
3	Protocatachuic acid(mg)	50	50	50	50	50	50	50	50	50
4	Liquid Paraffin(ml)	7.5	7.5	7.5	7.5	7.5	7.5	7.5	7.5	7.5
5	Proplene glycol(ml)	5	5	5	5	5	5	5	5	5
6	Methyl Paraben(gm)	0.1	0.1	0.1	0.1	0.1	0.1	0.1	0.1	0.1
7	Triethanolamine(ml)	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.	q.s.
8	Water(ml)	100	100	100	100	100	100	100	100	100

Evaluation

- Physical evaluation: This test recorded the Emulgel's color, flavor, texture, and state.
- Spreadability: To test the emulgel's spreadability, one gram of each sample was put inside a one-centimeter diameter that had been previously demarcated. Draw a circle on a glass block. Subsequently, a second glass block was weighed and placed on top, applying a weight of approximately 100 grams for five minutes. The diameter rise that resulted was measured in order to assess the Emulgel's distribution.
- pH measurement: A digital pH meter was used to measure the pH after 1 gram of Emulgel was mixed with 25 milliliters of distilled water.
- Viscosity Study: Emulgels are complex dosage forms created through the mixing of emulsions and gels. The product has a longer skin contact time because of the gel ingredient's increased viscosity. In

addition to improving skin penetration, the emulsion component protects the active ingredients against hydrolysis and degradation.

- **Antibacterial Activity:** The ability of a molecule to destroy or suppress the growth of germs without posing a threat to nearby tissues is referred to as antibacterial activity. The main mechanisms of antibacterial activity include inhibiting the construction of bacterial cell walls, changing the permeability of cell membranes, obstructing bacterial metabolism, and obstructing protein and nucleic acid production.
- **Skin Permeation:** This test evaluates the amount of molecules in goods that go through the skin, such as pharmaceuticals and cosmetics. Test results are crucial for numerous items.
- **Skin Irritation:** To evaluate the possibility of skin irritation in chemical and mixture tests, a human epithelial model reconstruction is used.
- **Extrudability:** The ability to push or force something out of something is known as extrudability. The process of a compression-extrusion test involves exerting force on a product until it passes through one or more outlets, which could be one or more test cell slots or holes.

Report

Pre formulation Test

- **Melting Point:-** The estimated melting point is 197-200 °C, while the reported melting point is 199 °C. Performing on digital melting point app.
- **Solubility Test:-** Soluble in ethanol and slightly soluble in water.
- **UV Spectroscopy:-** The foundation of ultraviolet-visible (UV-VIS) spectroscopy is the idea that Different spectra are produced when chemical substances absorb ultraviolet or visible Light. This method maps a substance's absorbency and quantifies the interaction Between light and matter. A spectrum is created when matter absorbs light and Experiences excitation or de-excitation. This makes it possible for scientists to gauge How quickly a light beam diminishes after passing through an object. The UV for PCA is 257nm.
- **FTIR Study:-** Fourier Transform Infrared Spectroscopy (FTIR) is a disperse method that uses the principle that many gases absorb infrared radiation at specific frequencies to identify chemical bonds in a molecule. The value for PCA is 2924.25 cm⁻¹ and for Carbapol 1711.50 cm⁻¹ the formulation mixture represents the value around 1200cm⁻¹ so not much fluctuations in values

Formulation Test

- **Physical evaluation**
 1. **Colour:-** White
 2. **Homogeneity :-** . The homogeneity of prepared serum has been shown to be fine.
 3. **Consistency :-** It was observed that the colour of the gel was light white with a look, which On the application was found to be smooth.

- Spreadability

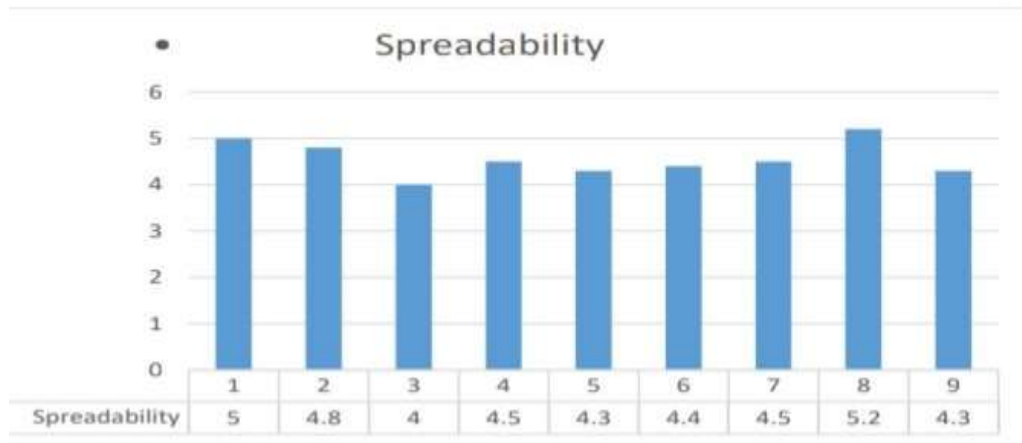


Fig.No. 1 Spreadability

- pH studies

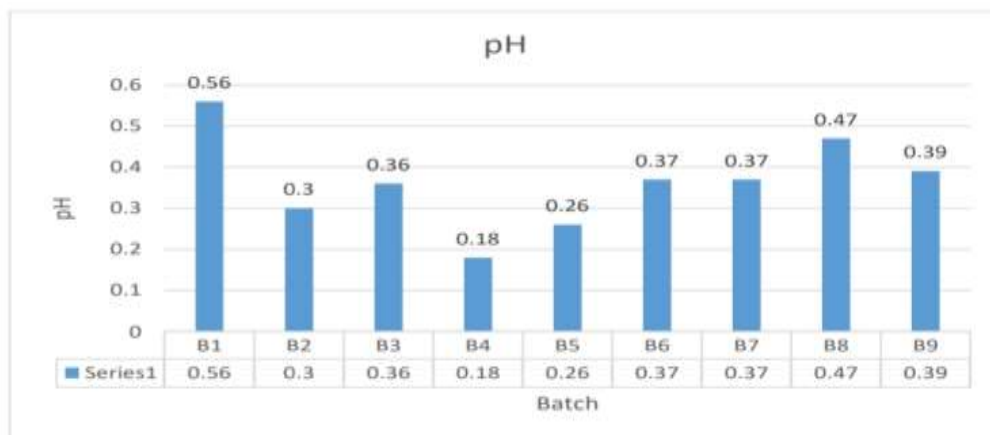


Fig.No.2 pH studies

- Viscosity Study

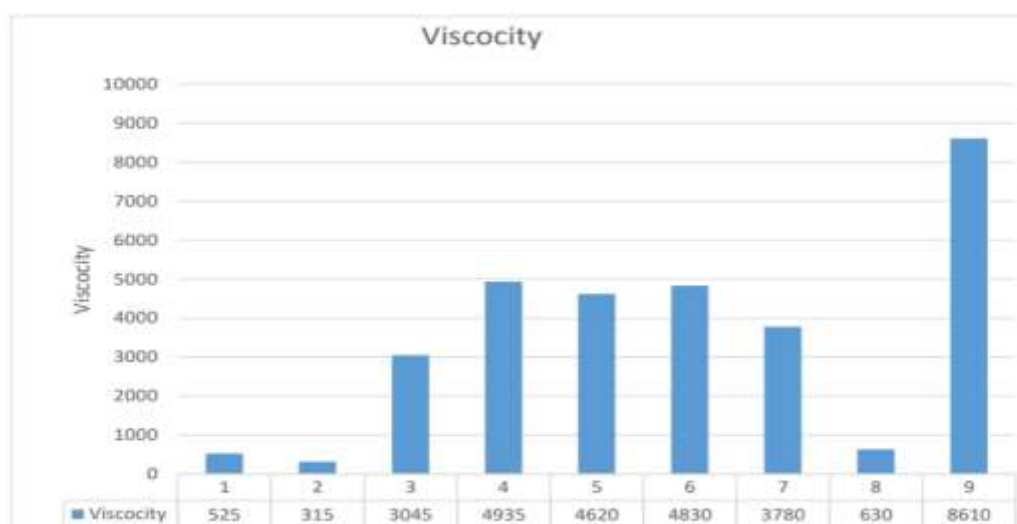


Fig.No. 3 Viscosity Study

- Design of Experiment

Table No. 3 DOE result

		Factor 1	Factor 2	Response 1	Response 2
Std	Run	A:Carbopol 934	B:Emulsifying agent	Viscosity	Spredability
				CP	min/sec
1	1	0.5	2	525	5
7	2	0.5	6	315	4.8
6	3	1.5	4	3045	4
5	4	1	4	4935	4.5
8	5	1	6	4620	4.3
3	6	1.5	2	4830	4.4
2	7	1	2	3780	4.5
4	8	0.5	4	630	5.2
9	9	1.5	6	8610	4.3

- Antibacterial activity :-After specific incubation period the test sample I showing the minimum inhibitory Concentration at 31.2µg/ml against S. aureus as compared to standard streptomycin.
- Skin Irritation:- At the different Concentrations Sample Code 1 shows High percent of inhibition Against epidermis cells as compared to standard drug Aspirin. On the basis of IC50 Value and Percentage of viability we canconclude that the given sample is irritant to the skin cells.
- Skin Permeation:- On the basis of microscopic evaluationwe can conclude that the given sample has good skin Permeation property
- Extrudability:-

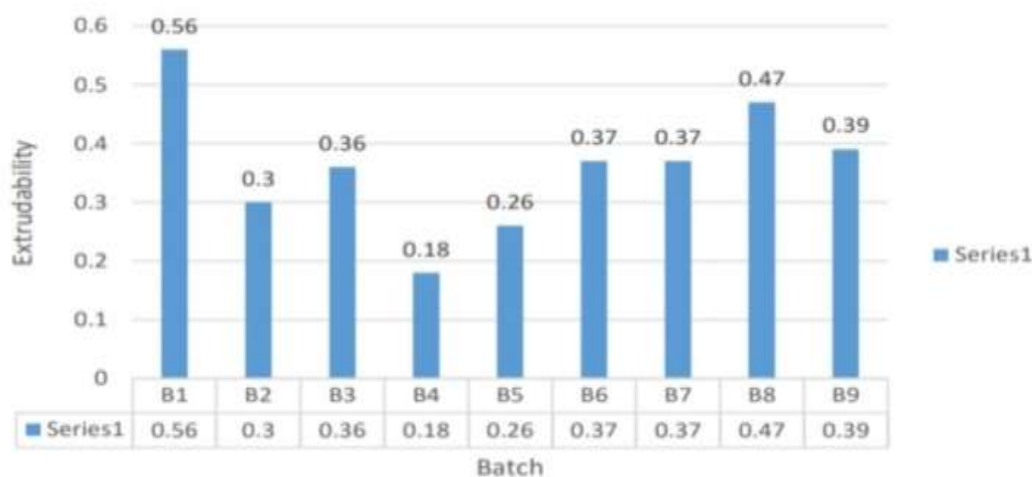


Fig.No. 4 Extrudability

Conclusion

Emulgels offer advantages like quick drug implementation, improved stability, low production costs, and gastrointestinal compatibility. Protocatechuic acid (PCA) is a naturally occurring phenolic acid with various uses, including antibacterial, anti-viral, neuroprotective, antifibrotic, anti-ageing, anti-ulcer, and anticancer. A study investigates the drug profile of PCA, a compound isolated from *Boswellia dalzielii* and *Diospyros melanoxylon*, and its excipient profile. The experimental design involved a factorial design with two independent factors assessed, and FTIR study to identify chemical bonds. The study evaluated the emulgel's antibacterial activity and skin irritation test. The results showed a significant model with an F-value of 6.84, suggesting potential problems with the model and data.

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