INTERNATIONAL JOURNAL IN PHARMACEUTICAL SCIENCES

Journal Homepage: https://www.ijpsjournal.com

OPEN ACCESS

Research Article

Formulation And Optimization Of Anti-Acne Lotions Containing Azelaic Acid For The Use Of A Topical Applications

Deepak Kumar[1], Dr. M.A. Sheela

KIET Group of Institutions, Delhi-NCR, Ghaziabad

ARTICLE INFO

Received: 17 Oct 2023
Accepted: 18 Oct 2023
Published: 22 Oct 2023

Keywords:
Azelaic acid, Acne-vulgaris, Anti-acne lotion

DOI:
10.5281/zenodo.10031154

ABSTRACT

Acne-vulgaris are a common inflammatory and non-inflammatory disease that is caused by Propionibacterium acne and can occur at any age. Now a days many therapies are used for the treatment of acne such as systemic, topical, herbal, hormonal, and combination therapies. To treat the acute to chronic acne lotion containing azelaic acid is formulated. Azelaic acid belongs to the class of drugs congaing di-carboxylic acids, which is characterized by antibacterial, anti-keratinizing, and anti comedogenic effects on acne. The anti-acne azelaic acid drug exhibits often very low solubility and low permeability. Hence topical preparation of azelaic acid shows difficulties due to its low permeability and solubility. Drugs in suitable dosage form and optimum concentration provide the better penetration and anti-acne effect. In the acne treatment line anti-acne azelaic acid lotion is a novel formulation. Its novelty lies in the lotion contain mostly water and very little oil. Azelaic acid cream contains 50% oil and 50% water, due to this reason lotion have a much thinner consistency compared to cream-based products. This means lotions are ideal for oily skin when compare to creams. This formulation absorbs easily into the skin without any topical residue. For optimum penetration of azelaic acid this formulation is carefully formulated by selective excipients that help to absorb excessive oil from the skin without touching the natural oil balance.

INTRODUCTION

Acne is a kind of inflammation that affects skin follicles. Acne-vulgaris is a systemic inflammatory disease of the pilosebaceous unit, which is more generally referred to as acne. [1] Identification of pus-forming bacteria is caused by the triggering of *propionobacterium* acne and *staphylococcus* epidermis. It is also characterized by abnormalities in sebum production, follicular inflammation, bacterial proliferation and inflammation.[2] Condition of skin in acne vulgaris that occurs when dead skin cells, bacteria and oil (sebum) are blocked by hair follicles Blemishes on skin blackheads, whiteheads, cysts and pimples including caused by the blocked follicles. Main

***Corresponding Author:** Deepak Kumar
Address: *KIET Group of Institutions, Delhi-NCR, Ghaziabad*
Email : jideepak1234@gmail.com

Relevant conflicts of interest/financial disclosures: The authors declare that the research was conducted in the absence of any commercial or financial relationships that could be construed as a potential conflict of interest.

causes of common acne is hormones, mainly around puberty.[3]

Acne is most common skin disorder it affects more than 70millions of Indians. Patient can experience significant psychological morbidity & rarely mortality due to suicide. Patients can experience significant psychological morbidity & rarely mortality.[4] Initial steps in the development of acne is the formation of the micromedo. Follicular keratinocytes that exhibits including cohesiveness do not shed normally leading to retention and accumulation. Androgen stimulates enlargement of sebaceous glands including sebum production. Abnormal keratinocytes material & sebum collects in microcomedo. This leads to the build up of pressure at this stages a non-inflammatory comedo may be seen clinically. This microenvironment allows the proliferation of bacterium this gram +ve metabolites triglycerides and free fatty acids this produces pro-inflammatory mediators. With inflammatory mediators microcomedo may rupture and release immunogenic keratin & sebum thus stimulating an even greater inflammatory response.[5] The goals of pharmaceutical therapy for acne are to reduce morbidity and to prevent complication. Azelaic acid lotion is a first line treatment for mild and moderate acne due to its effectiveness & mild side effects. In the topical surface treatment different types of lotion are used to inhibit the growth of bacteria on surface infections. In the market lacto-calamine lotion are available and are widely prescribed by several dermatologist. Azelaic acid formulations are easily absorbs into the skin without any topical residue. For effective penetration of this formulation is carefully formulated by selective excipients that help to absorb excessive oil from the skin without touching the natural oil balance.[6]

Topical RRR-tocopherol, kaolin clay, azelaic acid, cinnamon, and hybrid treatments are all used to cure acne. [7]

In the literature over the past decades, systematic treatment of acne-vulgar has been stated to be less effective than topical treatment because of its pilosebacous skin unit. Azelaic acid is chosen to be a novel medicine in this review.

MATERIALS AND METHODS

All of the ingredients were obtained from a pharmaceutics laboratory of KSOP which is analytical grade.

Table 1: Description of ingredients used Calamine lotion preparation

S. No	INGREDIANTS	COMPANY	CATEGORY
1	Azelaic acid	Central Drug House(P) Ltd,:- Post Box No,7138 New Delhi-110002	API
2	Kaolin Clay	Central Drug House(P) Ltd,:- Post Box No,7138 New Delhi-110002	Astringent
3	Zinc Oxide	Central Drug House(P) Ltd, Corp. Office 7/28 Vardaan House, Daryaganj, New Delhi-110002 India	Protective
4	Bentonite	Central Drug House(P) Ltd, Corp. Office 7/28 Vardaan House, Daryaganj, New Delhi-110002 India	Suspending agent
5	Tri-Sodium Citrate	Central Drug House(P) Ltd, Corp. Office 7/28 Vardaan House, Daryaganj, New Delhi-110002 India	Chelating agent
6	Liquefied phenol	Central Drug House(P) Ltd, Corp.	Antiseptic/preservatives
7	Glycerine	Central Drug House(P) Ltd, Corp. Office 7/28 Vardaan House, Daryaganj, New Delhi-110002 India	Soothing agent
8	Acetone	Central Drug House(P) Ltd, Corp.	Solvent
9	Ethanol	Changshu Hongsheng Fine Chemical Co. Ltd.	Surfactant
10	Purified water	-	Vehicle

The lotion was prepared by mixing two solutions:

- Sol-A was prepared by simple mixing the ingredients in the required amount in a beaker using a magnetic stirrer. This ensured that all of the acetone had evaporated leaving behind AZA dissolved in the cinnamon oil. There was no sign of separation of the oil and the drug.
- Sol-B was made by triturating Lacto-calamine lotions with various humectants in a motor pestle. The appropriate amount of kaolin clay, zinc oxide, and bentonite were triturated with a solution of tri-sodium citrate in about 70% water, and then liquefied phenol and the humectant were added to the mixture with sufficiently filtered water to make 100ml.[8-9]
- Finally, the Sol-B was added in the stable Sol-A and the mixture was homogenized at 5000rpm for 15minutes. This was followed by the addition of the co-surfactant, Ethanol with simultaneously stirring. The presence of Ethanol in the lotion ensured two purposes firstly it served as the secondary solvent for the formulations and secondly it act as a co-surfactant thereby increasing the CMC in the lotions. The prepared lotion was left for stabilization for 24hours.

Table 2: Description of Humectants used

Humectants	Synthetic /Natural	Source	Chemical constituents	Properties	USES
Glycerin	Synthetic	Semi-synthetic	It's a polyol-containing compound. Triglycerides are glycerine's backbone.	It's a clear, odourless viscous liquid with a sweet taste and a high hygroscopicity.	Acne treatment, cleanser, toner, moisturiser
Cinnamon extract	Natural	Cinnamon bark	**Cinnamaldehyde, Cinnamyl Acetate, Eugenol,** and **Eugen ol Acetate.**	It is of a golden-yellow colour, with the characteristic odour of cinnamon and a very hot aromatic taste.	Natural antibacterial, Acne prevention,
Vitamin-E oil	natural	vegetable oils	Vitamin-E	Vitamin E is a slightly yellow to amber, nearly odorless, clear, viscous oil.	antioxidamt

Table 3: Formulation table:

INGREDIANTS	F1	F2	Marketed preparation
Azelaic Acid	2.4gm	2.45gm	2.4gm
Cinnamon oil	1ml	0 ml	1ml
Acetone	1ml	0ml	1ml
Kaolin clay	15gm	15gm	15gm
Zinc oxide	5gm	5gm	5gm
Bentonite	0gm	3gm	0gm
Sodium citrate	0.3gm	0.3gm	0.3gm
Liquefied Phenol	0.5gm	0.5gm	0.5gm
Glycerine	5ml	5 ml	5ml
Ethanol	20ml	20ml	20ml
Vitamin-E oil	0.56 ml	0.56 ml	0.56ml
Water	q.s.	q.s.	q.s.

Evaluation Parameters:

Determination of pH:

In a 100ml beaker, of lotion 5 ± 0.01gn was precisely measured. 45ml of water was applied, and the lotion was distributed in it. The pH of the suspension was measured using a pH metre at 27°C.[10]

Visual Appearance

By contrasting the colour of the lotion to the original colour and appearance of the AZA lotion, the visual appearance of the formulation was measured at each stability test condition. Every time the appearance of a formulation was assessed, photos were taken and put in the same position in the laboratory. The formulations were photographed with a digital camera about 15 cm apart.[11]

Viscosity Test

The viscosity of the lotion was calculated using a Brookfield viscometer (Brookfield DV-III base unit Rev A). For the determination of the viscosity of the formulation, the product was immersed in the equipment and the viscosity reading was noted. The product sample was maintained at a temperature 25°C.[12]

Determination of water content

Weighing 10g of the sample and transferring it to the flask The apparatus was attached to the condenser with 200ml of toluene and a few fragments of pumice powder. The flask was heated to the point that the toluene began to boil and then refluxed. When the H2O was distilled, the heat supply was turned off.[13]

Water % by mass = V X D x 100/ M

Where, V = volume of water in ml at room temperature collecting in receiving tube

D = density of water at room temperature

M = Mass in (gm.) of the material taken for the test

RESULT AND DISCUSSION

Table 4: Evaluation of different acne lotion

S.no	Parameters	F1	F2	Marketed preparation
1	Colour	white	white	white
2	pH	6.9	7.4	6.8
3	Viscosity	43.9	35.4	44.5
4	Water content	90%	86%	89%

The lotion's pH spectrum was found to be 6-7 and is ideal for skin pH. The pH nearer to the skin available were all formulations. Washing with tap water dissolved the skin lotion quickly After application of a set lotion volume the emolliency, slipperyness and amount of residue were noticed. It was noticed that no colour shift was achieved when formulations were maintained for a long time. The results of water content and viscosity of both formulation F1 and F2 showed satisfactory value.

CONCLUSION:In the work it was concluded here that azelaic acid lotion from Acne could be prepared. Formulation F1, as compared to other formulations, showed best performance. The skin pH and viscosity is identical in pH.

ACKNOWLEDGMENT:

The authors want to acknowledge the management and library of Kiet School of pharmacy, Muradnagar Ghaziabad-210206(UP) for providing necessary infrastructure and literature for the compilation of the work.

REFERENCES

1. Bajaj jaideep and Sharma Dinesh Journal of Chemical and Pharmaceutical Research, 2015, 7(10):616-620
2. Brown SK, Shalita AR, Lancet, 1998, 351, 1871–1876

3. Gollnick HP, Krautheim A, Dermatology, 2003, 206 [1], 29–36.
4. https://www.researchgate.net/publication/309528101
5. H Gollnick, "Azealic acid –pharmacology, toxicology and mechanism of action on keratinization in vitro and in vivo", Journal of dermatological Treatment (1993) 4,Suppl. I, S3-S7
6. http://www.authorstream.com/Presentation/pharmareddy-2110659-lotions/
7. Semin Cutan Med Surg, Zaenglein AL. 2008, 27 [3], 177–182.
8. Tripathy M, Tripathi D, Giri T.K, Verma S, Alexander A. Crosslinked biodegradable alginate hydrogel floating beads for stomach site specific controlled drug delivery of Metronidazole. Farmacia, 2013
9. Alexander A, Giri TK, Thakur D Badwaik H, Tripathi DK, Tripathy M, . Biodegradable IPN hydrogel beads of pectin and grafted alginate for controlled delivery of diclofenac sodium. Journal of Materials Science: Materials in Medicine. 24(5), 2013:1179-1190.
10. http://rjtcsonline.com/HTMLPaper.aspx?Journal=Research+Journal+of+Topical+and+Cosmetic+Sciences%3bPID%3d2016-7-2-1
11. Sankula Kameswararao and Priscilla M. Geethika, Formulation and Dissolution of Diltiazem Hydrochloride Immediate Release Tablets. The Pharma Innovation Journal 2014; 3(5): 05-10
12. Shukla P, Singh A, Gawri S, Sonwane S. In vitro propagation of Barleria prionitis Linn and its antibacterial activity, Int. J. Pharma Prof. Res . 2011; 2:198-200.
13. Badwaik HR, Thakur D, Sakure K, Giri TK, Nakhate KT, Tripathi DK. Microwave Assisted Synthesis of Polyacrylamide Grafted Guar Gum and its Application as Flocculent for Wastewater Treatment. Research Journal of Pharmacy and Technology. 2014;7: 401-407.

HOW TO CITE: Deepak Kumar, Dr. M.A. Sheela, Formulation And Optimization Of Anti-Acne Lotions Containing Azelaic Acid For The Use Of A Topical Applications, Int. J. in Pharm. Sci., 2023, Vol 1, Issue 10, 205-209. https://doi.org/10.5281/zenodo.10031154