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DEVELOPMENT AND CHARACTERIZATION OF LIDOCAINE-LOADED TRANSDERMAL GEL

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Abstract:

The present study was undertaken to formulate and evaluate the transdermal gel of Lidocaine. Lidocaine is a drug of choice used in the treatment of hypertension. The transdermal gel has gained more and more importance because gel-based formulations are better percutaneously absorbed than creams and ointment bases. Therefore, the transdermal gel of Olmesartan was prepared using different polymers such as Carbopol 934, containing a permeation enhancer, PEG 400 at different proportions. The study encompasses compatibility studies using FTIR spectra, drug content, viscosity, spreadability, Extrudability, and pH determination. Further, the optimized formulation F2 was evaluated by in vitro diffusion study. The preliminary compatibility studies conducted revealed that there was no interaction between Lidocaine with excipients. In vitro drug release study was carried out with a Franz diffusion cell using a cellophane membrane in pH 7.4 phosphate buffer as the diffusion medium. Formulation batch F2 containing Carbopol 934P and PEG 400 permeation enhancer showed 94.69%, Stability studies conducted under accelerated conditions were shown satisfactory results. It was concluded that Carbopol gel 934 containing Olmesartan showed good spreadability, Extrudability, stability and pH. So, the transdermal gel had wider prospect for transdermal preparations.

Keywords: *Lidocaine Carbopol 934, Extrudability, spreadability in vitro diffusion studies.*

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INTRODUCTION:

Topical drug administration is a localized drug delivery system anywhere in the body through ophthalmic, rectal, vaginal, and skin as topical routes [1]. Skin is one of the most readily accessible organs on the human body for topical administration and is the main route of topical drug delivery system for the topical treatment of dermatological diseases as well as skincare, a wide variety of vehicle ranging from solids to semisolids and liquid preparation is available to clinician and patients. [2] Within the major group of semisolid preparations, the use of transdermal gels has expanded both in cosmetics and in pharmaceutical preparations. Transdermal application of gels at pathological sites offer a great advantage in a faster release of the drug directly to the site of action, independent of water solubility of the drug as compared to creams and ointments. [3] The term 'gel 'was introduced in the later 1800 to name some semisolid material according to pharmacological, rather than molecular criteria. The U.S.P. defines Gels as a semisolid system consisting of dispersion made up of either small inorganic particles or large organic molecules enclosing and interpenetrated by a liquid. The inorganic particle forms a three –dimensional "house of card" structure. [4] Gels consist of a two-phase system in which inorganic particles are not dissolved but merely dispersed throughout the continuous phase and large organic particles are dissolved in the continuous phase, randomly coiled in the flexible chains. [5] Within the major group of semisolid preparations, the use of transdermal gels has expanded both in cosmetics and in pharmaceutical preparations. A gel is a colloid that is typically 99% weight liquid, which is immobilized by surface tension between it and a macromolecular network of fibers built from a small amount of gelating substances present[6]. Topical drug administration a localized drug delivery system anywhere in the body through ophthalmic, rectal, vaginal, and skin as topical routes. Skin is one of the most accessible organs of the human body for topical administration and the main route for topical drug delivery systems. Number of medicated products are applied to the skin or mucous membrane that either enhance or restore a fundamental function of the skin or pharmacologically alter an action in the underlined tissues. [7]

MATERIALS:

Lidocaine was collected as a gift sample from Hetero laboratories, Hyderabad, polymers, super disintegrants and other excipients were purchased from AR chemicals.

METHODODOLOGY:

Formulation development

Table-1: Composition of lidocaine transdermal gel (F1 to F4)

CONTENTS	F-1	F-2	F-3	F-4
Drug	100mg	100mg	100mg	100mg
Carbopol 934	2g	3g	-	-
Sodium CMC	-	-	2g	3g
PEG-200	0.05ml	0.05ml	0.05ml	0.05ml
TEA	0.5ml	0.5ml	0.5ml	0.5ml
Methyl paraben	0.05g	0.05g	0.05g	0.05g
Water	50ml	50ml	50ml	50ml

FT-IR study:

Compatibility of the drug with excipients was determined by FT-IR spectral analysis, this study was carried out to detect any changes in the chemical constitution of the drug after combined it with the excipients. The samples were taken for the FT-IR study.

Preparation of Transdermal gels:

Transdermal gels were prepared by weighing required quantities of either Carbopol or sodium CMC. Gel base was prepared by hydration of gelling agent, accurately weighted Lidocaine was dissolved in PEG and this drug solution was added slowly with stirring in a previously prepared gel base. Triethanolamine was added to adjust pH. The preservative was added finally with continuous stirring the final formulation was made up to 50g with distilled water. The prepared gels were kept for 24 hrs for complete polymer dissoution.

EVALUATION OF GELS:

The prepared gels were proposed to be evaluated for drug content, pH, Viscosity, Extrudability, Spreadability, In vitro release characteristic, and the selected gel formulation subjected for Stability studies.

Estimation of Drug content:
1gm of Lidocaine gel was dissolved in a sufficient quantity of 7.4 pH to get the clear solution, volume was made up to 100ml with 7.4 pH. 1gm of the gel was diluted to 10ml with 7.4 pH. Absorbance was measured at 235nm using a UV spectrophotometer.

pH Measurements:
pH measurements of the gel were carried out using a digital pH meter by dipping the glass electrode completely into the gel system to cover the electrode. The results were tabulated as follows.

Determination of viscosity:
Viscosities of the gels were determined by using Brookfield Viscometer (model-RVTP). Spindle type, RV-7 at 20 pm. 100gm of the gel was taken in a beaker and the spindle was dipped in it and rotated for about 5 minutes and then reading was taken. The results were shown in Table 18

Extrudability:
It is a useful empirical test to measure the force required to extrude the material from the tube. The formulations were filled in a collapsible metal tube with a nasal tip of 5mm opening tube extrudability was then determined by measuring the amount of gel, extruded the tip when pressure was applied on tube gel. The extrudability of the formulation was checked and the results were tabulated.

Determination of spreadability:
One of the criteria for a gel meets ideal quality is that it should possess good spreadability. About 1 gm of gel formulation was weighed and kept at the center of the glass plate of standard dimensions (10x10cm) and another glass plate placed over it carefully, that the gel was sandwiched between the two slides. 2 kg weight was placed at the center of the plate (avoid sliding of the plate). The diameter of the gel in cms, after 30 minutes was measured and the results were tabulated.

IN-VITRO DRUG RELEASE
The release studies were carried out in 10 ml Franz diffusion cell containing 10 ml Phosphate buffer. Phosphate buffer pH 7.4 (10ml) was placed in a 10 ml beaker. The beaker was assembled on a magnetic stirrer and the medium was equilibrated at 37±5^{0}C. The dialysis membrane was taken and one end of the membrane was sealed. After separation of non entrapped Lidocaine gel was filled in the dialysis membrane and another end was closed. The dialysis membrane containing the sample was suspended in the medium.1ml of aliquots were withdrawn at specific intervals, filtered after withdrawal and the apparatus was immediately replenished with the same quantity of fresh buffer medium.

Stability studies
The success of an effective formulation can be evaluated only through stability studies. The purpose of stability testing is to obtain a stable product that assures its safety and efficacy up to the end of shelf life at defined storage conditions and peak profile.

The prepared Lidocaine gel was placed on plastic tubes containing desiccant and stored at ambient conditions, such as at room temperature, 40±2^{o}c, and refrigerator 2-8^{o}c for 90 days.

RESULTS AND DISCUSSION:

Drug - excipient compatibility studies (FT-IR):
The compatibility between the drug and the selected polymers and other excipients was evaluated using the FTIR peak matching method. There was no appearance or disappearance of peaks in the drug-polymer mixture, which confirmed the absence of any chemical interaction between the drug, polymer, and other chemicals.

FTIR spectrum of pure drug (lidocaine):

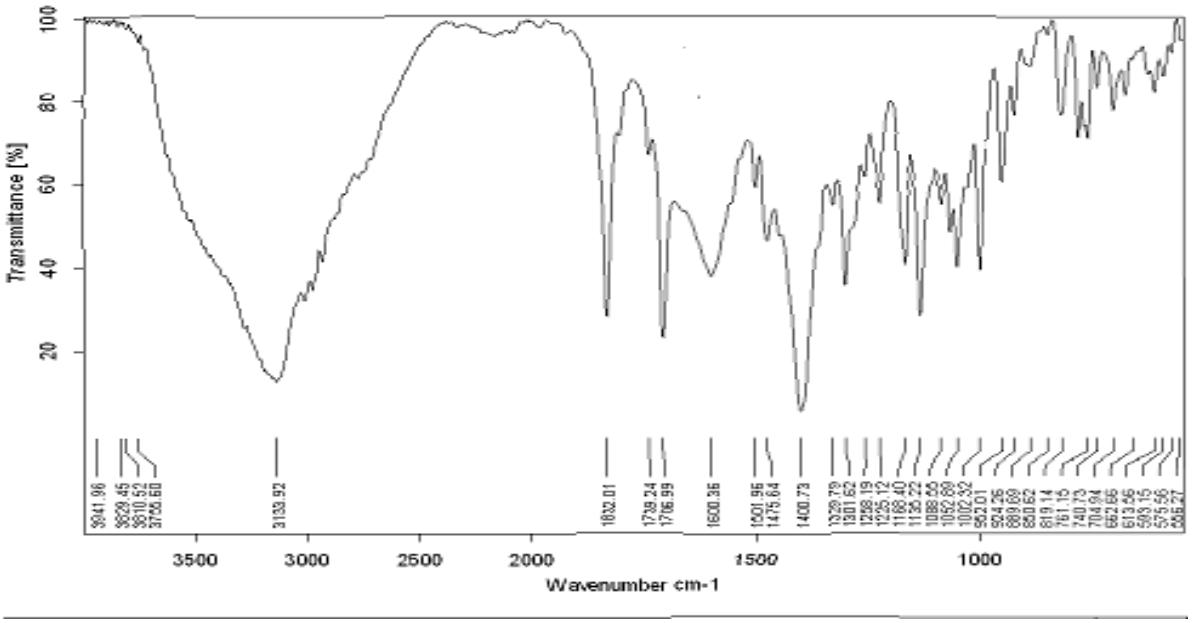

Fig- : 1 FTIR Studies of Pure Drug

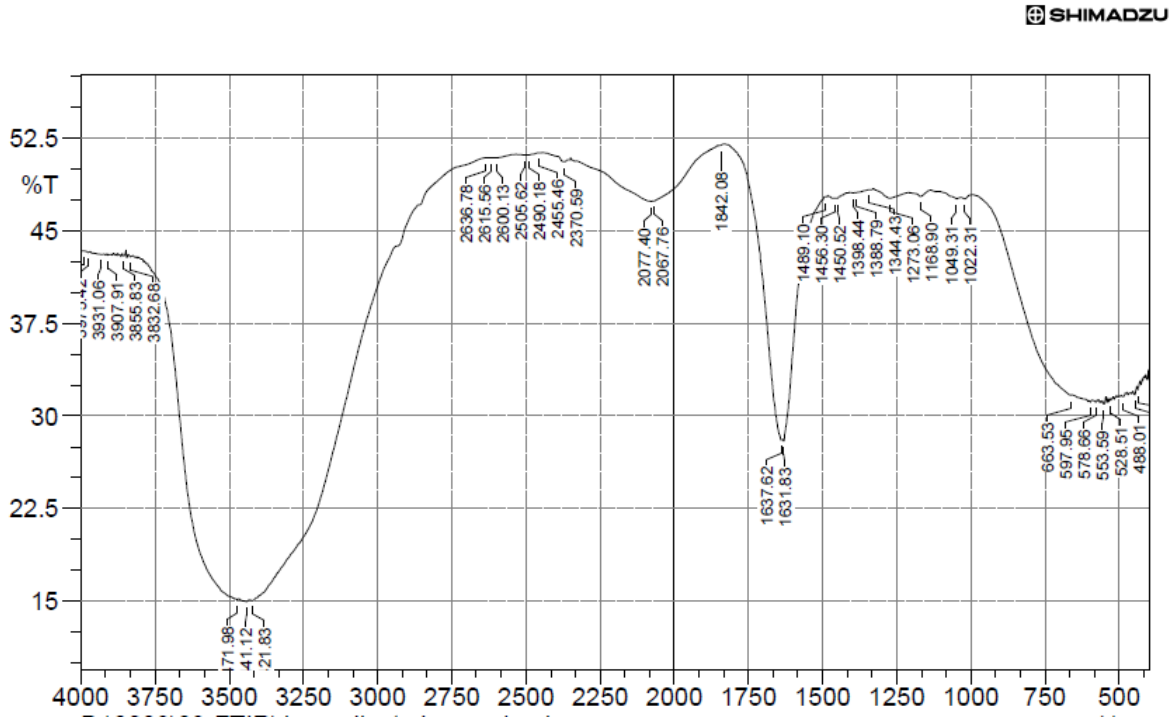

Fig-:2 FTIR Spectra of Optimized formulation

pH DETERMINATION:

Table:2 Ph Determinationof gel formulations

S.NO.	FORMULATION CODE.	pH (S.D)
1.	F1	6.92
2.	F2	7.32
3.	F3	7.26
4.	F4	6.98

The pH of Lidocaine gel formulations was determined by using a digital pH meter, the measurement of pH of each formulation was done in triplicate and average values were calculated.

Estimation of Drug content:

The drug content analysis of the prepared formulations has shown that the process employed to prepare the gels was capable of giving uniform drug content with minimum batch variability. All the gels were found to have drug content in the range of 91.37–97.85%.

Table: 3 Drug content of gel formulations

Formulation code	Drug content (%)
F1	91.48
F2	97.85
F3	92.89
F4	94.64

Determination of viscosity:

The viscosity of the gels was determined using Brookfield Viscometer. The viscosity of the formulations was ranged from 10,000 to 20,000cps and the results were shown in Table

Table: 4 Viscosity of gel formulations

FORMULATION	VISCOSITY IN CPS
F1	16,000
F2	14,000
F3	18,000
F4	12,000

Extrudability:

The extrudability of the gel formulations were checked as per the procedure. Extrudability of carbopol 934 gels were excellent than sodium CMC and gel and the results were shown in Table.

Table:5 Extrudability of gel formulations

Formulation	Extrudability
F1	++
F2	+++
F3	+++
F4	+

+++Excellent, ++Good, +Not satisfactory

Determination of spreadability:

The spreadability of gels was determined as per the procedure. From spreadability, data is observed that the formulation with carbopol-934 showed maximum (8.2cm), whereas the formulations with carbopol-940, HPMC, and Sodium CMC were showed significant spreadability. The results were tabulated in Table

Table:6 spreadability of gel formulations

Formulation	Time is taken (minutes)	spreadability (cm)
F1	30	6.2
F2	30	8.2
F3	30	7.6
F4	30	5.9
F5	30	7.4

IN VITRO DRUG RELEASE STUDIES:

In vitro drug release of gel, formulations were carried out as per the procedure. The percentage release of drugs from different gel formulations at the end of 8hrs was determined.carbopol-934 shows maximum release (94.69%). The addition of a permeation enhancer improves the drug release from gel formulation. In the case of and Sodium CMC gels, the release was much lesser than carbopol gels. The addition of permeation enhancer drug release was improved.Based on the drug release F2 was the best formulation and the percentage release was found to be So, stability was carried out for F2 formulation.

The percentage release of drug from different gel formulations was shown in Table

Drug release studies of all formulations:

Table-: 7 Cumulative %drug release

TIME (hours)	F1	F 2	F3	F4
0	0	0	0	0
1	12.98	17.10	18.31	16.52
2	23.23	28.66	28.55	32.18
4	37.68	39.12	31.78	44.53
6	50.36	48.73	50.27	59.57
8	61.85	60.64	65.28	64.32
10	69.94	71.19	72.85	74.68
12	87.62	94.59	89.97	92.72

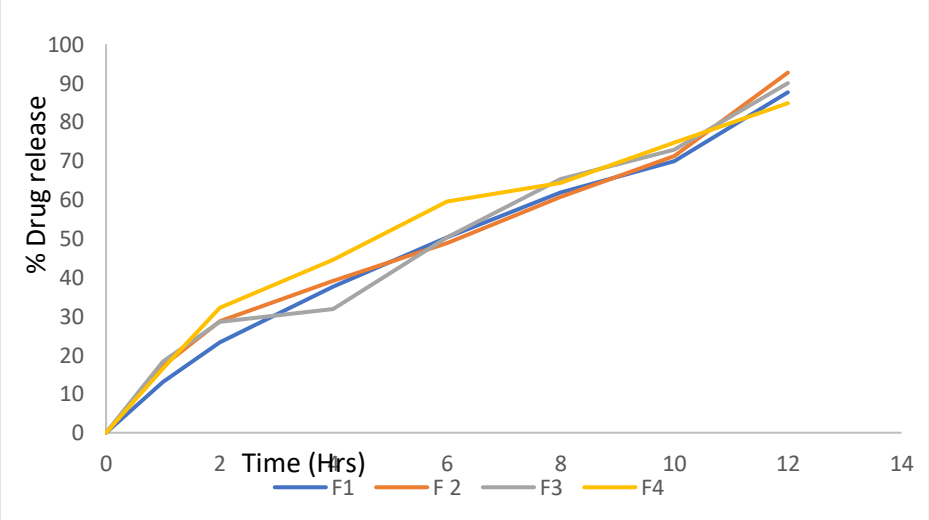

Fig-: 2 Comparative Dissolution profile of F1-F4

Stability studies:

The stability studies were carried out for formulation 2 at 40˚C ± 2˚C/75% ± 5% RH for 3 months as per ICH guidelines and the results are summarized. The results indicated that the microspheres did not show any significant physical changes during the study period. The results of stability studies show that there is about 94.69 percentage of the drug is present in the formulation and 94.69 percentage of in vitro drug release after storage at 40˚C for 90 days, it indicates the good stability of the Lidocaine gel.

Table-: 8 Stability studies of optimized formulations at 40 ± 2 ^{0}C and 75 ± 5% RH for 3 months

F.Code	Parameters	Initial	1st Month	2nd Month	3rd Month	Limits as per specifications
F2	25^{0}C/60%RH % Release	92.72	91.63	90.62	90.59	Not less than 85%
F2	30^{0}C/75% RH % Release	92.72	91.61	90.86	90.54	Not less than 85%
F2	40^{0}C/75% RH % Release	92.72	91.60	91.57	90.52	Not less than 85%

SUMMARY AND CONCLUSION:

The present work describes a study on "Formulation and Evaluation of Lidocaine Transdermal Gel"It is evident from the IR spectrum that all the polymers used in the gel formulations were compatible with the drug Lidocaine.Different formulations of Lidocaine were prepared by using Carbopol-934 and Sodium carboxymethyl cellulose in varying proportions. The gel was prepared using Carbopol-934 has maximum drug content than the others.The pH of the formulations ranged from 6.2 to 8.3 and viscosity is from 10,000 to 20,000cps. The spreadability data showed that the formulation with Carbopol- 934 has the highest value (8.2cm), whereas the others have significant values.The release was highest for the formulation F2 (Carbopol-934) and with the addition of a permeation enhancer, the drug release was improved.Stability studies were carried out by placing the gels in a collapsible tube at 4-5^{0}c. The result indicates that the prepared gel was both stable physically and chemically at all storage conditions.

REFERENCES:

1. Loyd VA., et al. "Ansel's pharmaceutical dosage forms and drug delivery systems. 9*th* ed. Philadelphia: Lippincott Williams & Will- dns; (2011).
2. Ofner CM., et al. "Encyclopedia of Pharmaceutical Technology". Informa Healthcare (2007): 1875-1890.
3. "The United States Pharmacopoeia 32, the National Formulary 27. Maryland: The United States Pharmacopoeial Convention". (2009): 667.
4. Cooper and Gunn. "Disperse systems. In Carter SJ, editor. Tutorial Pharmacy". CBS Publishers and Distributors (2000): 68-72.
5. Martin Sinko PJ and Singh Y. "Martin's Physical Pharmacy and Pharmaceutical Sciences". 6*th* ed. Philadelphia: Lippincott Williams & Willdns (2006): 430.
6. http://en.wikipedia.org [Internet]. Gel [updated 2014 December 13]. Available from: http://en.wikipedia.org/wiki/Gel.
7. Zatz JL., et al. "Pharmaceutical dosage form: Disperse system". Marcel Dekker (2005): 399-421.
8. Niyaz BB., et al. "Formulation and evaluation of Gel containing Fluconazole-Antifungal agent". International Journal of Drug Development and Research 3.4 (2011): 109-128.
9. Goyal S., et al. "Novel Anti-Inflammatory Topical Herbal Gels Containing Withania somnifera and Boswellia serrata". International Journal of Pharmaceutical and Biological Archives 2.4 (2011): 1087-1094.
10. Attwood D. "Disperse systems. In Aulton ME, editor. Pharmaceutics-The Science of Dosage Form Design". London: Churchill Livingstone (2002): 83-85 85-91, 528-529.
11. Jones D. "Pharmaceutics-Dosage Form and Design". 1*st* ed. London: Pharmaceutical Press (2008).
12. Flynn GL., et al. "Parameters of skin condition and function. In Kydonieus AF, Berner B, editors. Transdermal delivery of drugs". Volume II. Boca Raton: CRC Press (1987): 3-17.
13. Ranade VV and Hollinger MA. "Transdermal drug delivery. In Kydonieus AF, Berner B, editors.

Transdermal delivery of drugs". Volume I. Boca Raton: CRC Press (2004): 207-248.
14. Kydonieus AF. "Fundamentals of transdermal drug delivery. In Kydonieus AF, Berner B, editors. Transdermal delivery of drugs". Volume I. Boca Raton: CRC Press (1987): 3-16.