

## Chapter 5C) Formulation Development: Omiganan Lotion

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Dermal Delivery of Protein/Peptide Based Antimicrobial to  
Treat Secondary Infection in Psoriasis and Eczema

## 5C.1 Introduction

The objective of our present study was to develop and characterize a lotion-based formulation of Omiganan for dermal delivery. Different excipients were screened thoroughly for the preparation of a lotion-based formulation of the Omiganan. The excipients were selected based on better compatibility and no analytical interference in the preparation of lotion.

## 5C.2 Materials and Instruments

### 5C.2.1 Materials

**Table 5C.1 List of materials**

Materials & Reagents	Manufacturers
Omiganan	S-Biochem, Kerala, India (Custom synthesis)
Methanol (A.R. & HPLC Grade)	Spectrochem Pvt. Ltd., Mumbai
Cetyl alcohol	Loba Chemie Pvt. Ltd., India
Isopropyl myristate	Loba Chemie Pvt. Ltd., India
Cetareth-25	MP Biomedicals Pvt. Ltd., Mumbai
Propylene Glycol (PG)	MP Biomedicals Pvt. Ltd., Mumbai
PVP K30	Sigma-Aldrich, USA
Steareth-10	Loba Chemie Pvt. Ltd., India
Propyl Paraben	Loba Chemie Pvt. Ltd., India
Methyl Paraben	Loba Chemie Pvt. Ltd., India
Sodium chloride (AR)	S.D. Fine Chemicals, Mumbai, India
Sodium hydroxide (AR)	Spectrochem Labs Ltd, Vadodara, India
Potassium chloride (AR)	Spectrochem Labs Ltd, Vadodara, India
Potassium dihydrogen phosphate (AR)	Spectrochem Labs Ltd, Vadodara, India
Sodium dihydrogen phosphate (AR)	Spectrochem Labs Ltd, Vadodara, India
Distilled water	Prepared In-house

### 5C.2.2 Instruments

Table 5C.2 List of instruments

Equipment	Manufacturer
Digital Weighing Balance	Shimadzu, Japan
RP-HPLC with UV Detector (gradient)	Agilent OpenLab CDS EZChrom, India
pH meter	Lab India Pvt. Ltd, Mumbai
Bath Sonicator	Remi equipments Pvt. Ltd, India
Centrifuge	Remi equipments Pvt. Ltd., India
Distillation assembly	Durga glassware, India

### 5C.3 Methods

#### 5C.3.1 Preparation of Omiganan lotion

1. The oil phase was prepared by mixing weighed quantity of cetyl Alcohol, steareth-10, cetareth-25, and isopropyl myristate and heating at 70°C.
2. The aqueous phase was prepared by dissolving the weighed quantity of Omiganan and PVP K 30 in sufficient PBS pH 5.5.
3. Methylparaben and propylparaben were dissolved in an appropriate volume of PBS pH 5.5, propylene glycol was added, and this mixture was added into the solution obtained in step 2.
4. The remaining quantity of PBS pH 5.5 was added to the aqueous phase and heated at 70°C.
5. The heated oil Phase was added into the heated aqueous phase and mixed with a magnetic stirrer. Further, the formed lotion Formulation was cooled to room temperature and filled in the tube. (at the same temperature).

The final composition of the Omiganan lotion is depicted in Table 5C.3.

**Table 5C.3 Optimized Batch of Omiganan lotion**

Ingredients	%Quantity (%w/w)
Omiganan (1%)	1%
Steareth-10	0.3%
Cetyl alcohol	4%
Ceteareth-25	2.5%
Isopropyl Myristate	5%
Propyl Paraben	0.02%
Propylene Glycol	5%
PVP K30	2%
Methyl Paraben	0.1%
Phosphate Buffer (PBS) pH 5.5	Q.S to 100 %

### 5C.3.2 Characterization of optimized Omiganan lotion

#### 5C.3.2.1 Organoleptic characteristics

The optimized Omiganan lotion was characterized for various organoleptic examinations i.e., color, phase separation, physical appearance and homogeneity by visually. The samples were placed between the thumb and index finger, and then the homogeneity and texture characteristics of the formulated Omiganan lotion were evaluated [1].

#### 5C.3.2.2 Assay

The Omiganan content in the lotion was evaluated by dissolving 100 mg of Omiganan lotion in methanol: water at a ratio of 8:2. The developed HPLC method was used to determine the % Omiganan content in the lotion.

#### 5C.3.2.3 Viscosity

The viscosity of Omiganan lotion was determined using cone and plate rheometer (Bohlin C-VOR, Malvern Instruments Ltd., UK) at  $25 \pm 1^\circ\text{C}$ . In brief, 200 mg of the sample was placed on the sample holder. After that, the spindle was lowered and kept for equilibrium for 5 min having a plate width of 20 mm and a cone

angle of 4°. Subsequently, the spindle was rotated at a shear rate of 10/s, and viscosity (Pa.S) observed was reported [2].

#### **5C.3.2.4 Spreadability**

The spreadability of Omiganan lotion was evaluated by the previously reported method [3]. Briefly, 500 mg of sample was placed on a pre-marked circle with a 1 cm diameter on the glass plate over which a second glass plate was positioned. Subsequently, 500 g weight was applied on the upper glass plate for 5 min, and any change in diameter was noted.

#### **5C.3.2.5 pH**

The pH of Omiganan lotion was measured using a digital pH meter (Lab India Pvt. Ltd, Mumbai).

#### **5C.3.2.6 Thermodynamic Stability**

The Omiganan lotion was further evaluated for the temperature cycling (3 alternate cycles at 45 °C and 4 °C for 24 h) and evaluated for any sign of instability, i.e., phase separation, precipitation, and change in color [4, 5]. Moreover, the samples were further evaluated for freeze-thaw cycle (3 alternate cycles at –20 °C and 25 °C for 24 h) and centrifugation at 4000 rpm for 30 min to examine the probabilities of phase separation and texture change under stress conditions [1, 6].

## 5C.4 Results and Discussion

### 5C.4.1 Organoleptic Characteristics

Organoleptic characteristics play a critical role in dermal formulations owing to their ability to increase consumer compliance by elevating the elegance and aesthetics of a product. The developed Omiganan lotion has a white to off-white color with a smooth texture and no signs of phase separation.

### 5C.4.2 Assay

The % drug content of the Omiganan in lotion was found to be  $99.49 \pm 0.95$  % (9.95 mg/gm)

### 5C.4.3 Viscosity

The viscosity of Omiganan lotion was found to be  $5.79 \pm 0.158$  Pa.S, lower in comparison with the developed gel-based formulations of Omiganan i.e. free Omiganan gel ( $12.49 \pm 0.367$  Pa.S), Omiganan liposomal gel ( $14.05 \pm 0.420$  Pa.S) and Omiganan NLC loaded gel ( $15.42 \pm 0.370$  Pa.S) (Refer Chapter 5A & 5B).

### 5C.4.4 Spreadability

The spreadability of Omiganan lotion was found to be  $9.20 \pm 2.68$  cm<sup>2</sup>. The spreadability of Omiganan lotion was higher than the developed gel-based formulations of Omiganan i.e. free Omiganan gel ( $6.21 \pm 2.34$  cm<sup>2</sup>), Omiganan liposomal gel ( $7.39 \pm 2.49$  cm<sup>2</sup>) and Omiganan NLC loaded gel ( $8.32 \pm 1.85$  cm<sup>2</sup>) (Refer Chapter 5A & 5B).

### 5C.4.5 pH

The pH of Omiganan lotion was found to be  $6.1 \pm 0.5$ .

### 5C.4.6 Thermodynamic Stability

The optimized Omiganan lotion did not exhibit any sign of instability i.e., creaming, phase separation, and precipitation under the applied stress conditions. Additionally, no coalescence and cracking were observed throughout the thermodynamic stability testing.

**5C.5 References**

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6. Hoopfer, D., et al., *Three-arm randomized phase III trial: quality aloe and placebo cream versus powder as skin treatment during breast cancer radiation therapy*. Clinical breast cancer, 2015. **15**(3): p. 181-190. e4.