



DuPont™ Liveo™ silicone topical excipients addressing drug delivery performance challenges for skin disorder management



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Purpose

From transdermal to topical applications, DuPont™ Liveo™ silicones are used widely in various pharmaceutical applications and dermatological therapies. Formulations with silicone material offer various dosage forms and textures for dermatological formulations. Silicone enhances other formulation benefits, such as proven aesthetics, functional film characteristics and long-lasting effects that are well-adapted for different skin diseases. This poster highlights recent studies where silicone technologies have been successfully used as topical excipients in different dermatological formulation concepts. Formulation concepts presented are developed with innovative silicone excipients to help tackle today's healthcare challenges, provide drug delivery efficacy and encourage patient compliance.

Methodology

In-vitro release testing

The release experiment with salicylic acid or betamethasone dipropionate (BDP) was carried out using a Franz diffusion cell console at 32°C for a period of 12 hours. Polyether sulfone membrane (PES, 0.22 µm pore size) from Millipore Corporation, Billerica, Massachusetts, USA, was used as the membrane. All samples were analyzed using a UPLC system to determine the salicylic acid or BDP.

Sensory evaluation

The sensory evaluation for skin care products was designed to provide a sensory profile of selected formulations, assessed individually and rated versus one another, evaluated by an experienced panel. All sensory data were analyzed using critical response tables with significance for $\alpha < 0.05$.

Substantivity versus time

The skin substantivity versus time of silicone-based formulations was evaluated using an attenuated total reflection Fourier transform infrared spectrometer (ATR-FTIR) equipped with a skin analyzer device, allowing direct measurement on the panelist's forearm.

Formulation concepts

Concept 1: Spray for ostomy | Ostomy Barrier Spray OS3010

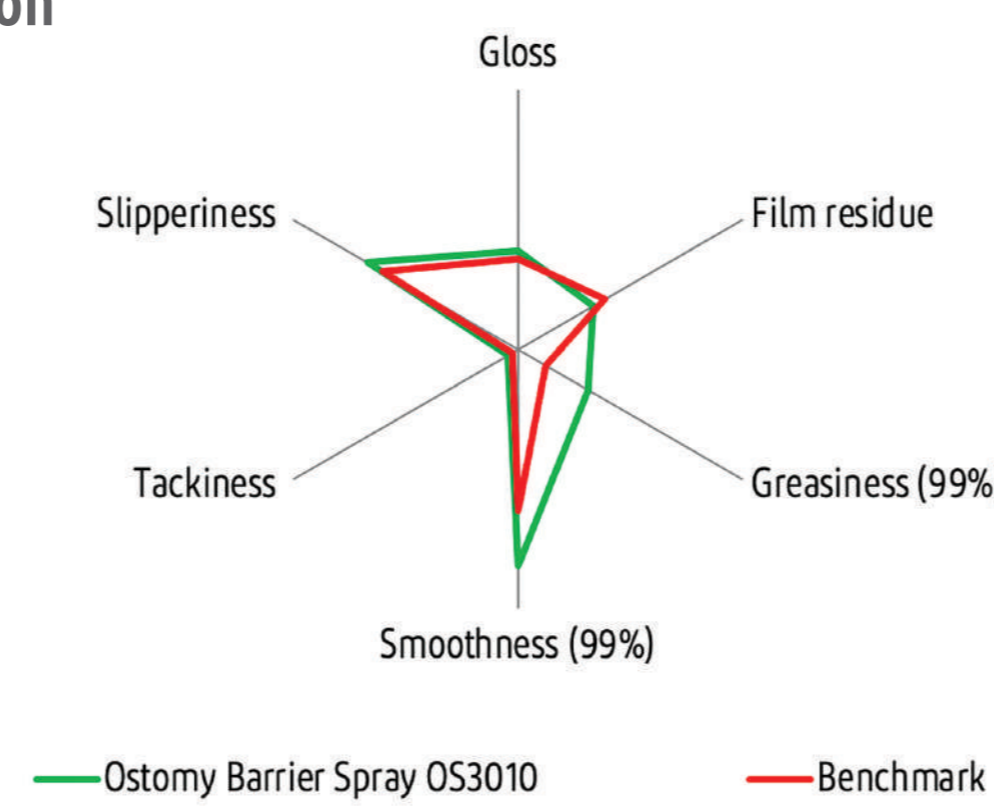
Formulation containing silicone resin blend that forms an invisible, substantive, conformable film on the skin.

Formulation

Product	Chemical description	Formulation OS3010 % w/w
Liveo™ Q7-9180 Fluid, 1 cSt	Trisiloxane	40
Liveo™ Q7-9180 Fluid, 0.65 cSt	Disiloxane	40
Liveo™ TE-9720 Resin Blend	Trimethylsiloxysilicate and Dimethicone	20

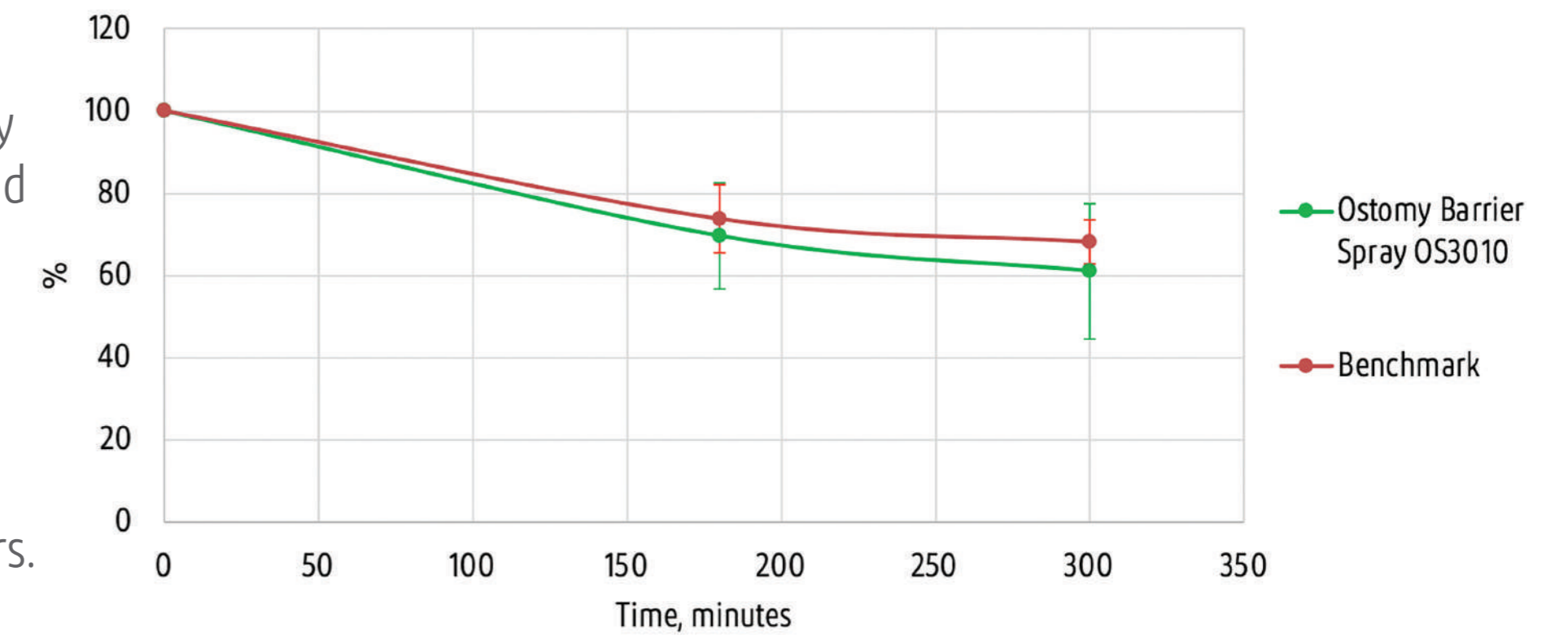
Sensory evaluation

Compared to the benchmark, the Ostomy Barrier Spray shows smoother feel and greasier feel, which is linked to the presence of dimethicone, a non-volatile material.



Substantivity versus time

Both the Ostomy Barrier Spray and the benchmark show good substantivity; 60% of silicone remains on the skin after 5 hours.



Concept 2: Gel for scar | SiCar Gel SC3013

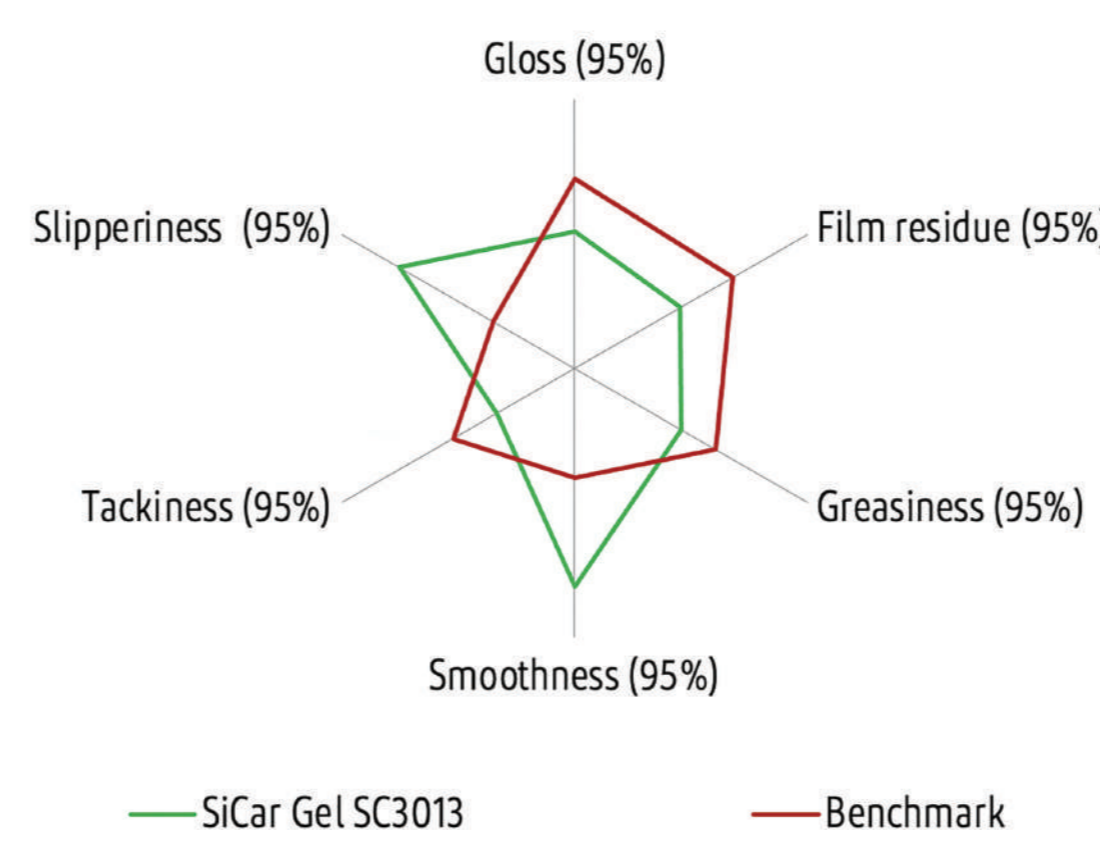
This concept is based on the long history of silicone gel efficacy in scar management by providing a pleasant feel and moderate substantivity on skin.

Formulation

Product	Chemical description	Formulation SC3013 % w/w
Liveo™ TE-9720 Resin Blend	Trimethylsiloxysilicate and Dimethicone	20
Liveo™ Dimethiconol Blend 20	Dimethicone and Dimethiconol	10
Liveo™ TE-9330 Silicone Elastomer Blend	Dimethicone and Dimethicone Crosspolymer	70

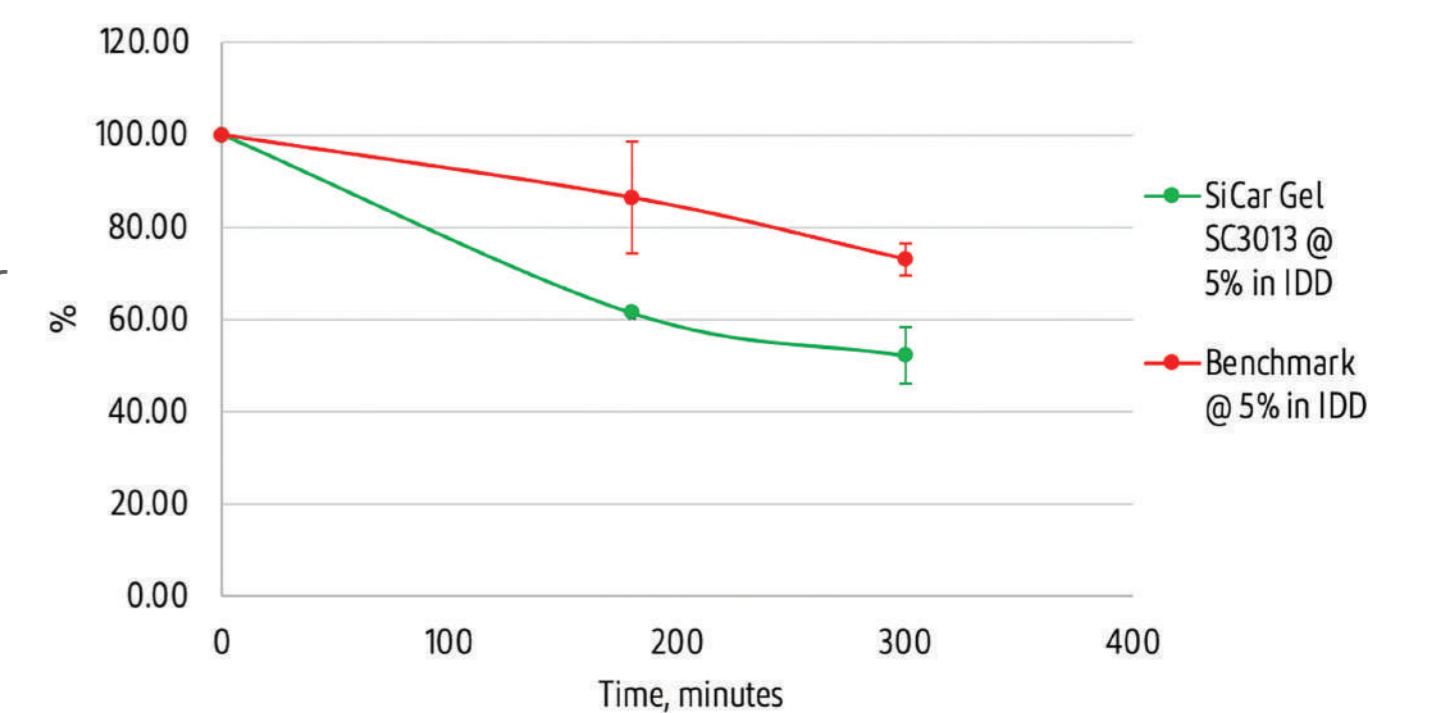
Sensory evaluation

Compared to the benchmark, the SiCar Gel shows a smoother, less-greasy, less-tacky feel.



Substantivity versus time

Medium substantivity is observed for the SiCar Gel.



Concept 3: Creams for psoriasis | Psoriasis Light Cream PS3017 & Psoriasis Easy-to-Spread Cream PS3018

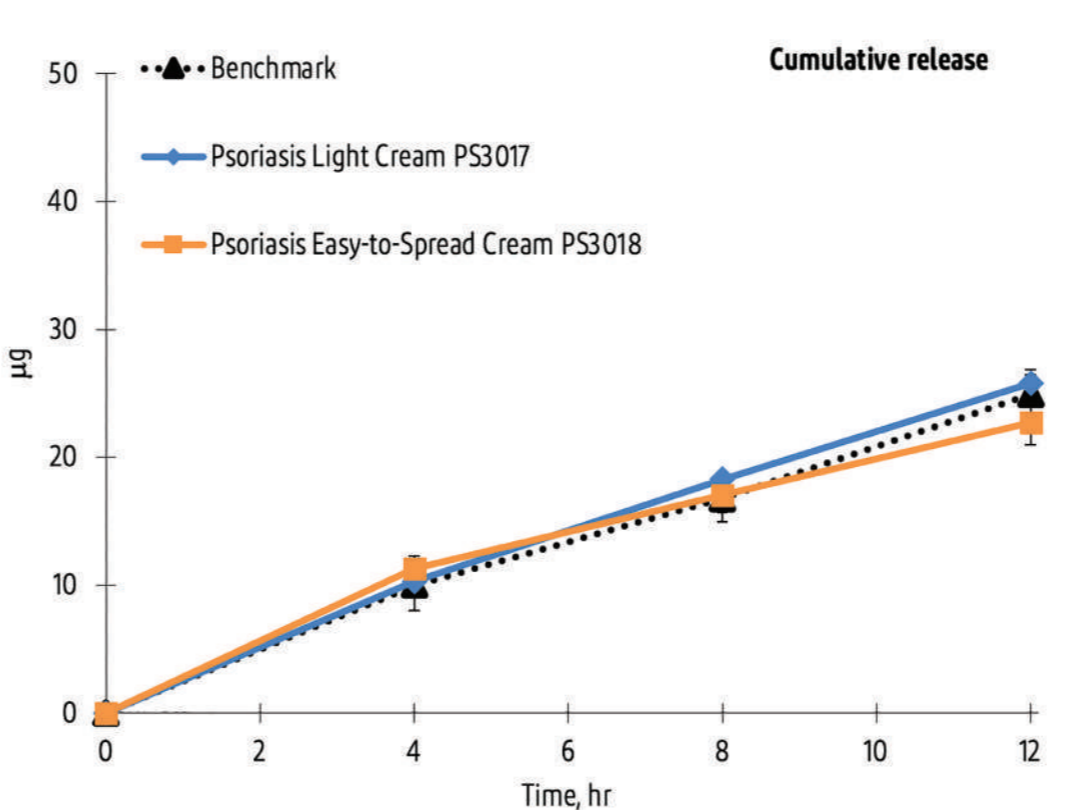
This concept is to develop a cream loaded with BDP that is designed to treat psoriasis and improve patient compliance.

Formulation

Product	Chemical description	Formulation PS3017 with BDP	Formulation PS3017	Formulation PS3018 with BDP	Formulation PS3018
		% w/w	% w/w	% w/w	% w/w
Phase A					
Cetearyl Alcohol	Cetearyl Alcohol	4	4	4	4
Ethylhexyl Stearate	Ethylhexyl Stearate	3	3	3	3
Medium-chain triglyceride	Caprylic/Capric Triglyceride	2	2	2	2
O/W emulsifier	Steareth-2	3	3	3	3
O/W emulsifier	Steareth-21	2	2	2	2
Liveo™ TE-9320 Silicone Elastomer Blend	Dimethicone and Dimethicone Crosspolymer	10	10	-	-
Liveo™ TE-9330 Silicone Elastomer Blend	Dimethicone and Dimethicone Crosspolymer	-	-	10	10
Betamethasone Dipropionate (BDP)	Betamethasone Dipropionate	0.055	-	0.055	-
Phase B					
Glycerin	Glycerin	3	3	3	3
Water	Aqua	72.6	72.6	72.6	72.6
Euxyl® PE 9010	Phenoxyethanol and Ethylhexylglycerin	0.4	0.4	0.4	0.4

In-vitro release testing

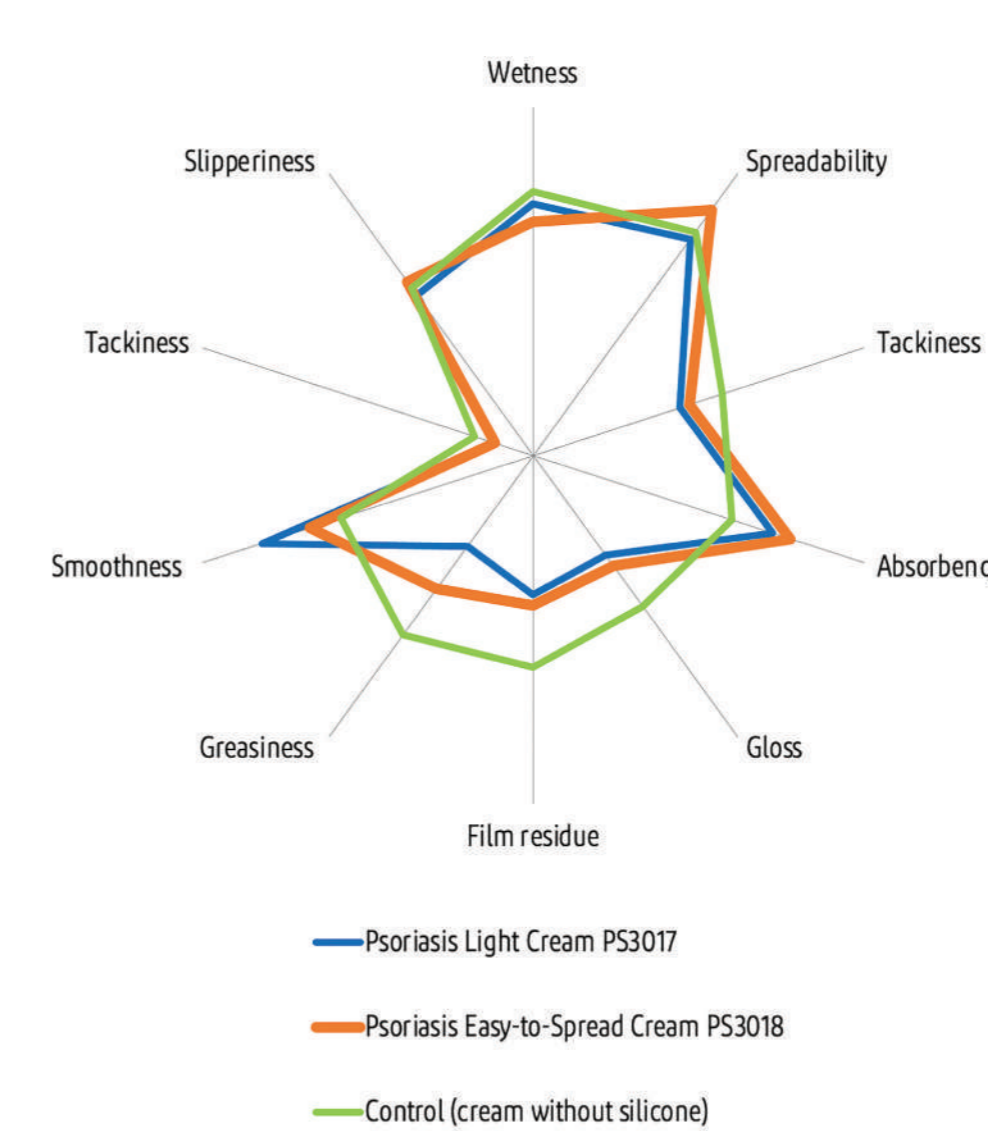
The release of BDP from both Psoriasis Light Cream and Psoriasis Easy-to-Spread Cream is comparable to the benchmark.



Sensory evaluation

For those creams, the presence of:

- Liveo™ TE-9320 Silicone Elastomer Blend improves smoothness.
- Liveo™ TE-9330 Silicone Elastomer Blend provides a richer feel and better spreadability.



Statistical results ($\alpha < 0.05$)	Wetness	Spreadability	Tackiness	Absorbency	Film residue	Greasiness	Smoothness	Tackiness	Slipperiness
Psoriasis Light Cream PS3017	a	a	a	ab	a	a	a	a	a
Psoriasis Easy-to-Spread Cream PS3018	a	b	ab	a	a	a	b	a	a
Control (cream without silicone)	a	a	b	b	b	b	b	a	a

For each parameter, the use of the same letter indicates the products show no significant difference (95%).

Concept 4: Cream for Acne | Acne Matte Serum AC3016

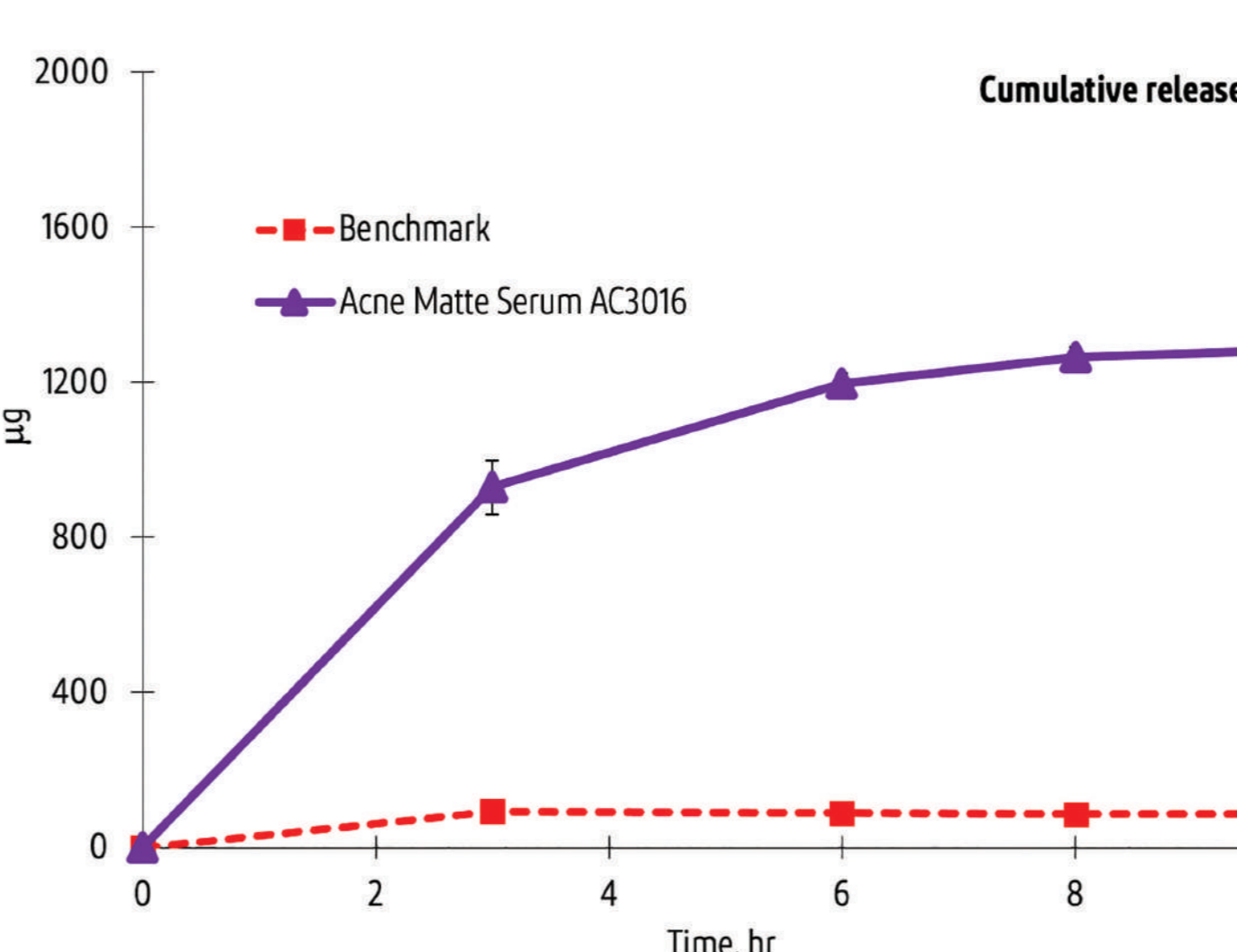
This concept is to develop a cream that delivers salicylic acid combined with the non-comedogenic properties of silicone.

Formulation

Product	Chemical description	Formulation AC3016 % w/w
Phase A		
Cetearyl Alcohol	Cetearyl Alcohol	4
C12-15 Alkyl Benzoate	C12-15 Alkyl Benzoate	5
O/W organic emulsifier	Cetearyl Glucoside and Cetearyl Alcohol	5
Liveo™ TE-9320 Silicone Elastomer Blend	Dimethicone and Dimethicone Crosspolymer	10
Phase B		
Propylene Glycol	Propylene Glycol	15
Salicylic Acid	Salicylic Acid	2
Phase C		
Water	Aqua	58
Euxyl® PE 9010	Phenoxyethanol and Ethylhexylglycerin	1

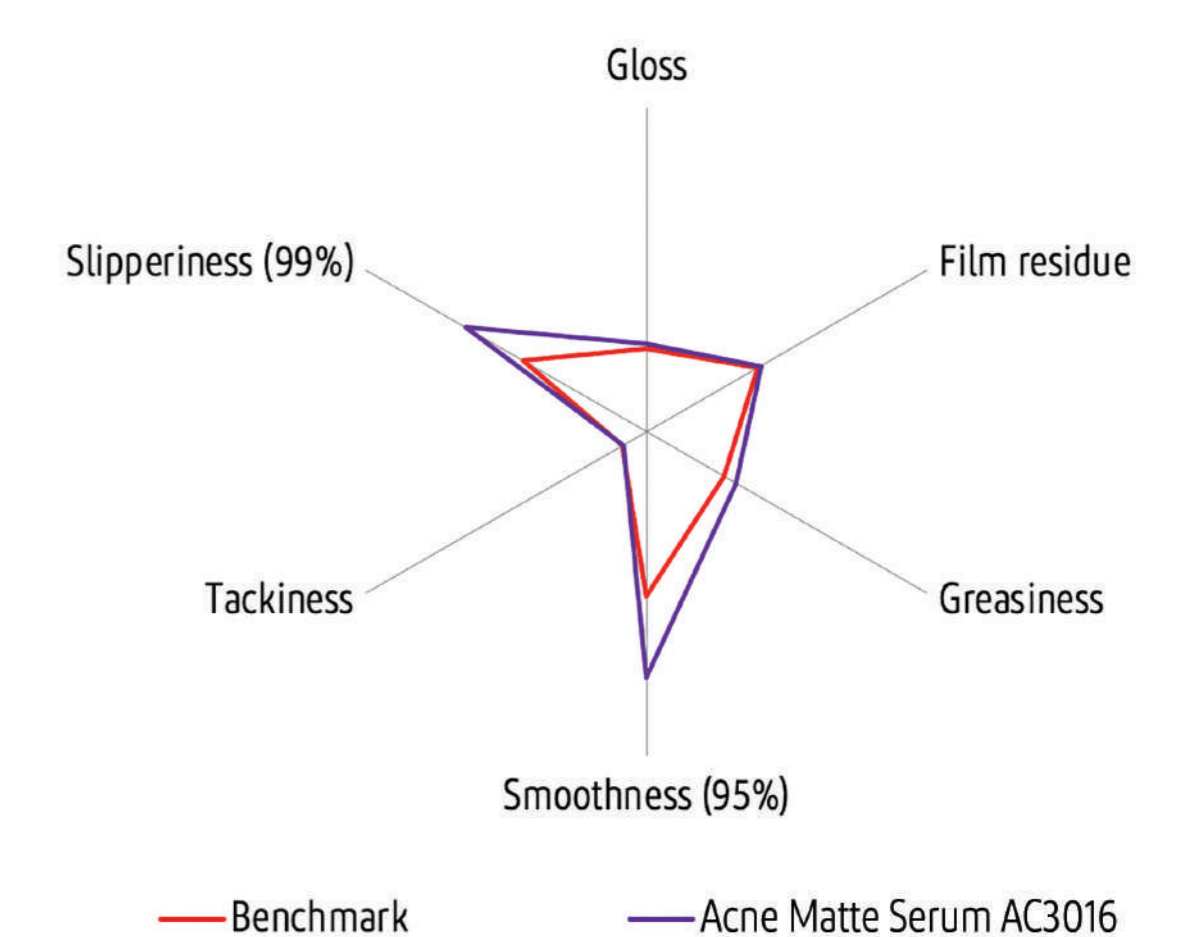
In-vitro release testing

Compared to the benchmark, a higher amount of salicylic acid is released from the Acne Matte Serum.



Sensory evaluation

Compared to the benchmark, the Acne Matte Serum shows smoother feel and higher slipperiness.



Conclusion

The versatility of silicone chemistry functionalities and characteristics translates into an easy-to-use excipient toolbox for topical applications. A wide range of formulation options to load, stabilize and release various drugs for dermatological and local treatments is offered, as demonstrated by the different silicone concepts in this poster. Optimizing the efficiency of drug delivery and behavior of the formulations on skin certainly would lead to better perceived efficacy by the user, associated with a more pleasant sensory feel required for specific skin disease. Such performance benefits potentially may increase patient compliance with treatment requirements.