Attractive emollient foams for pain treatments

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INTRODUCTION

Pharmaceutical foams are gaining popularity in skin treatment as they provide sensorial advantages and are a modern alternative to conventional delivery vehicles. For pain treatment, an easy spreadability, attractive texture and cosmetic afterfeel ensure patient compliance, hence therapy effectiveness.

This study aims to develop oil-in-water (O/W) foams containing diclofenac sodium (Non-Steroidal Anti-Inflammatory Drug) and exhibiting pleasant sensorial characteristics.

MATERIALS AND METHODS

Materials

Table 1. Materials.

Tradename	Chemical name	Supplier	Functionality
Diclofenac sodium	2-[(2,6-Dichlorophenyl)amino] Benzeneacetic acid sodium salt	ARCH PharmaLABS Ltd	Active Pharmaceutical Ingredient
Tefose® 63	PEG-6 stearate (and) glycol stearate (and) PEG-32 stearate	Gattefossé	O/W emulsifier
Labrafil® M 1944 CS	Oleoyl Polyoxylglycerides	Gattefossé	Oily phase co-emulsifier
Transcutol® P	Diethylene glycol monoethyl ether	Gattefossé	Solubilizer
Propylene glycol	Propane-1,2-diol	Univar	Humectant
Benzyl alcohol	Phenylmethanol	Sigma-Aldrich	Preservative

Methods

The solubility of diclofenac sodium was determined by HPLC (UV detector at 281 nm) to select appropriate solvent. Different emulsifiers and oily vehicles were screened to formulate the fully solubilized drug. In light emulsions, pH, viscosity and droplet size were measured and microscopic observations carried out. The emulsions were placed into pressurized aerosols to evaluate their foaming capacity (Figure 1). Quality and persistency of the foams were assessed at t_0 and after 3 minutes (t_3). An internal trained panel characterized the sensorial profile in comparison to market products.

Figure 1. Emulsion (left) and pressurized device (right) used to obtain the foam.

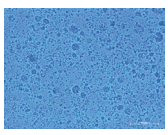
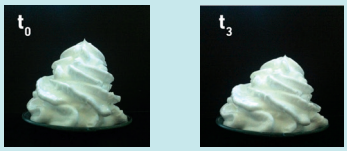
RESULTS AND DISCUSSION

The addition of Transcutol® P is required to fully solubilize diclofenac sodium. Tefose® 63 is selected for its emulsifying properties and excellent foaming capacity. The evaluation of different oily vehicles emphasizes the benefits of using Labrafil® M 1944 CS (4% W/W) as a co-emulsifier. Propylene glycol is used as humectant to enhance the foam's sensorial attributes.
The optimized formulation is composed of:

Diclofenac sodium	Tefose® 63	Labrafil® M 1944 CS	Propylene glycol	Transcutol® P	Benzyl alcohol	Water
1%	8%	4%	5%	5%	1%	76%

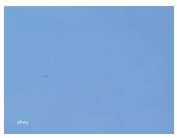
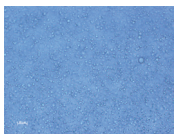
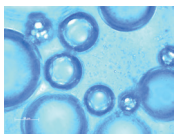
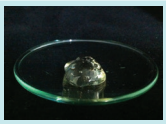
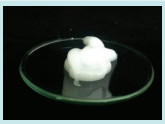
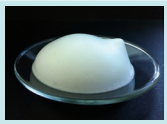
The optimized foam is characterized (Table 2) and compared to market references (Table 3).

Table 2. Characteristics of the optimized foam.

pH	7.3
Viscosity (25°C)	290 mPa.s
Droplet size	1.3 ± 0.7 µm
Microscopy (magnification 50)	
Macroscopy	

Although the optimized formula has a light texture (290 mPa.s), it enables the realization of creamy and persistent foam compared to marketed foam. The microscopic assessment shows small droplets (1.3 ± 0.7 µm) and confirms that diclofenac is fully solubilized. This Tefose® 63-based foam provides similar properties compared to the marketed foam (pH, viscosity).

Table 3. Characteristics of the market references.

Market reference	Gel	Emulgel	Foam
pH	7.7	7.3	7.2
Viscosity (25°C)	3900 mPa.s	2900 mPa.s	180 mPa.s
Microscopy (magnification 40)			
Macroscopy			

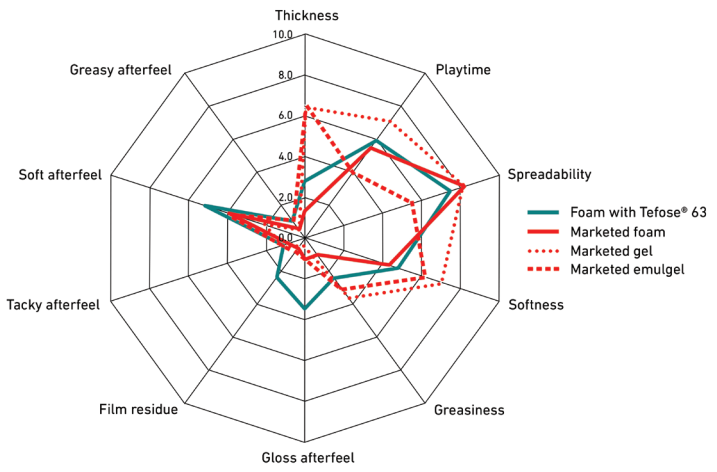


Figure 2. Sensorial evaluation of foams vs marketed products.

The sensorial analysis highlights the benefits of using foam compared to conventional topical dosage forms: light texture, easy spreadability, softness during and after application, no tacky nor greasy afterfeel (Figure 2).
The foam made with Tefose® 63 brings a higher comfort after application (gloss and afterfeel) with a better texture.

CONCLUSION

Tefose® 63 enables the formation of elegant foams using a pressurized aerosol. Simple formulation and high sensorial qualities foams were obtained with 1% diclofenac sodium. Foams have interesting emollient characteristics that can address patient compliance for pain treatment. It is a promising topical dosage form for both OTC and prescribed medicines.