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Piroctone Olamine – Advancing Anti-dandruff Care & More

Efficient and safe scalp care for new formats & applications

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abstract

Demand for anti-dandruff hair care is increasing, with research showing dandruff and scalp related issues are particularly common when heat and moist, humid conditions are at play. Safe active ingredient Piroctone Olamine, an established cosmetics preservative, is proven also to be effective at controlling microorganisms responsible for flaking and irritation, reducing dandruff and scalp itch. Furthermore it offers efficient formulating advantages that support application of anti-dandruff action to modern formats such as clear liquid formulas, dry shampoos and leave-on hair repair tonics. We demonstrate its performance as a viable solution for formulators looking to meet hair care demands, with even broader scope of application from anti-acne skin care to deodorants.

Background and market demand

Heat and humidity are recognized contributors to oily scalp [1] and provide the ideal breeding environment for microorganisms known to trigger dandruff. By feeding on the scalp lipids, the microorganisms reduce the strength of the scalp, making it more vulnerable to external pollutants. Existing dandruff, dry scalp and scalp irritation, such as that initiated also by seborrheic dermatitis, is consequently exacerbated, creating a vicious circle for affected consumers.

A prevalence of dandruff and related scalp issues among men and women in hot countries compared to those in Western Europe and the USA underlines this experience. Consumer studies by Clariant Kantar-TNS [2] rank dandruff and itchy scalp as the top hair-related issues for respondents from China, Asia and SE Asia. Oily scalp, itself often indication of seborrheic dermatitis, affects 49% of men and 35% of women in China, as opposed to 18% men and 20% women in France and 10% men and 13% women in the US [3]. Dandruff and itchy scalp are big themes for women in Indonesia and Malaysia with 37% and 79% respectively suffering from dandruff.

Scalp issues are also common among wearers of a hijab, which could be attributed to the heat- and moisture-trapping environment created under the material. Hijab wearers in Turkey, Indonesia and Malaysia rank oily scalp, itchy scalp and dandruff as their top two hair care issues. In Turkey, 59% of hijab wearers experience scalp issues with sensitive and dry scalp a frequent occurrence. 72% of hijab wearers in Indonesia experience scalp issues with dandruff becoming worse when the hijab is worn over wet/moist hair. 80% of Malaysian women experience scalp issues and those who wear the hijab over wet/moist hair more often experience itchy scalp.

These findings suggest significant regional and country-specific demand for effective anti-dandruff scalp care; points of interest for marketeers in the context of the growing global demand for specialized products driving the shampoo segment. "Anti-dandruff" is expected to be the fastest growing segment within the global shampoo market that is projected to grow with a CAGR of approx. > 3.5% during the forecasted period 2020-2025 [4].

With increasing regulatory scrutiny on anti-dandruff active ingredients likely to restrict choice for shampoo formulators, Clariant offers the industry a good compromise between safety, performance and formulation to support future product development.* Intended to treat seborrheic dermatitis and dry scalp specifically, Piroctone Olamine has a proven ability to control the growth of microorganisms and reduce dandruff and scalp itch. It also has a low environmental impact. Piroctone Olamine is not sensitizing nor mutagenic. It is particularly suitable for formulating both shampoos and the wider hair / scalp care formats preferred by today's consumers, such as answering trends for transparent and dry shampoo products, and leave-on hair repair tonics. Furthermore, it is multifunctional, doubling as a preserving agent, and offering properties of interest to the wider Personal Care application areas of anti-acne skin care and deodorants.

This technical paper presents clinical studies and activity testing that demonstrate the ingredient's anti-dandruff performance. They underline its value as an alternative easy-to-use solution for formulating anti-dandruff scalp care relevant to modern requirements, and touch on its suitability as a one-ingredient solution for multiple purposes.

* The anti-dandruff active Piroctone Olamine is available globally, however it is recommended to check regulations in specific regions.

Introducing Piroctone Olamine

Piroctone Olamine is marketed under the registered tradenames Octopirox® and Octopirox® Plus. It has the chemical name 1 Hydroxy-4-methyl-6-(2.4.4 trimethylpentyl)-2(1H)–pyridone, 2-aminoethanol salt, **(Figure 1)**. The minimum 99% active ingredient has good solubility between 1-10% in aqueous surfactant systems as well as alcohol/water mixtures, giving a pH of 9-10 which can be adjusted using organic acids like citric acid or lactic acid. This makes it a versatile ingredient for a variety of cosmetic products.

Despite the anionic character of its molecule, Piroctone Olamine can be used together with most cationic surfactants (quaternary ammonium compounds), cationic active ingredients, and typical additives used in cosmetics. It has a long shelf-life of at least five years if stored correctly in its original sealed container at ambient temperature protected from moisture.

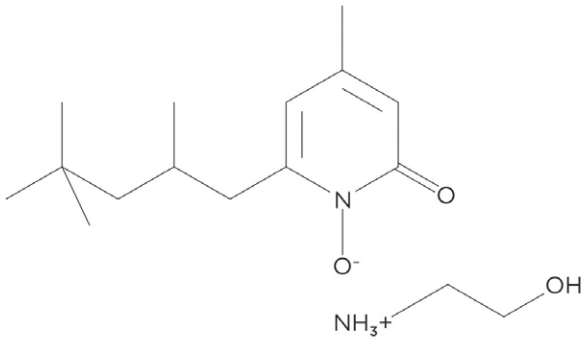


Fig. 1 Molecular structure of Octopirox® and Octopirox® Plus (INCI: Piroctone Olamine)

Dandruff and scalp care – experiments, results and discussion

Seborrheic dermatitis is widely believed to be caused by the Malassezia fungus. Microorganisms such as *Malassezia furfur* produce enzymes which decompose fats into their respective fatty acids. These and other products of decomposition, such as lipo-peroxides, irritate the scalp. As a result, mitosis and production of keratinocytes increase, leading to desquamation and parakeratosis [5].

Piroctone Olamine is an ethanolamine salt of piroctone that is known to be particularly effective against these fungi [6]. It is thought to inhibit fungal cells from using energy. It has been observed to successfully reduce the level of fungi and change the composition of lipid and fats on the scalp.

Its ability to effectively control the growth of microorganisms and reduce the occurrence of dandruff and scalp discomfort is demonstrated here through clinical studies and laboratory testing.

Dandruff and flake free

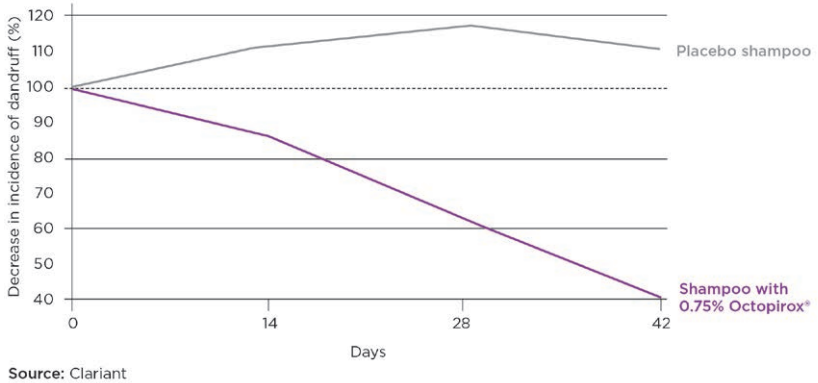
The following clinical study indicates the performance of Piroctone Olamine as an effective anti-dandruff active ingredient [7].

A shampoo formulated with Piroctone Olamine as an active was compared to a placebo shampoo. **Figure 2** highlights the efficacy of the ingredient at a concentration of 0.75% over the course of 1.5 months, showing a clear decrease of dandruff compared to the placebo.

Further testing has determined that use concentration for anti-dandruff applications can be chosen between 0.1 and 1.0%, depending on the desired finished product. For shampoo, this information was obtained through a double blind study conducted with 88 volunteers in which quantity of flakes and flake size were evaluated before, during and after treatment over five visits during an eight-week period.

STUDY: ANTI-DANDRUFF ACTION IN SHAMPOOS

In a study over the course of 1.5 months, a formulation with Octopirox® at a concentration of 0.75% showed clear decrease of dandruff compared to a placebo shampoo.



Source: Clariant

Fig. 2 Anti-dandruff action

Itch free scalp

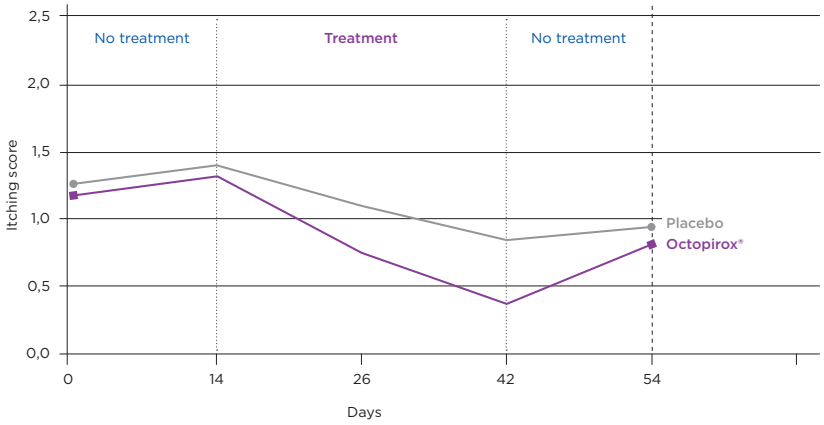
Scalp itch is a condition mostly caused by dandruff irritating the scalp. As the skin sheds its outer layer in a bid to get rid of the irritant, it causes the familiar discomfort and itchy sensation. The Clariant Kantar TNS [8] study showed that of the approximately 500 people interviewed in each country – Brazil, Switzerland, France, USA and India - up to 10% suffer from itchy scalp.

The following double blind, randomized placebo-controlled study on the efficacy of a Piroctone Olamine treat-

ment applied after 14 days (2 weeks after start of evaluation; 4 weeks treatment (from day 15 till day 42) shows that Piroctone Olamine at a concentration of 0.5% helps relieve the itching within days and after the treatment it holds several days. A reduction in itching is experienced compared to the placebo during the treatment.

Even though anti-dandruff shampoo is the largest format within scalp care area, potential other scalp care products such as conditioners / treatments, dry shampoo and cream rinses can be formulated with Piroctone Olamine. In the following sections, we highlight the particular formulation characteristics of Piroctone Olamine and indicate its suitability for achieving formats offering anti-dandruff properties that support latest consumer trends.

STUDY: ITCHING REDUCTION WITH SHAMPOO PIROCTON OLAMINE TREATMENT
In a comparison with a placebo it has been shown that a 0.5% concentration of Octopirox® helps relieve the itching within days.



Source: Doctorate thesis 2005, Marcus Blömer, 262/5000, Double-blind, randomized, placebo-controlled.

Fig. 3 Itching reduction with anti-dandruff treatment containing 0.5% Piroctone Olamine and 0.35% $ZnCl_2$ [9]

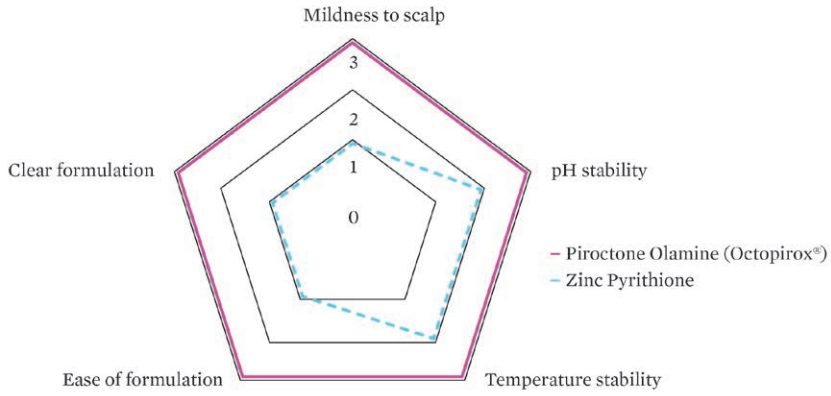


Fig. 4 Anti-dandruff action

Formulating With Piroctone Olamine

Piroctone Olamine shows a number of formulation advantages, as illustrated in the spider graph **(Figure. 4)**, which can contribute to an easy formulation process. Formulating with Piroctone Olamine is seen to be easier and more efficient than with Zinc Pyrithione. Factors such as compatibility with cosmetics raw materials, influence on viscosity in surfactant systems, pH and temperature stability, and solubility create formulation freedom for the Personal Care industry.

Formulation Stability

Piroctone Olamine makes stable formulations with no addition of a stabilizer. This is an advantage over ZnPTO, which, as a particulate material, is insoluble in water and requires a suspending agent to disperse. In some surfactant systems Piroctone Olamine may cause an additional increase in viscosity, which can be considered beneficial in order to economize on consistency modifiers during formulation development.

Influence of pH value and thermal stability

With a pKa value of ca. 7.4 Piroctone Olamine is present as free acid in neutral solutions and is chemically stable over a wide pH range, from pH 3 to pH 9. in which are typical formulation conditions for Personal Care products.

Low dosage requirements

The following typical concentrations have been determined through internal testing and indicate the low dosage requirements of the active ingredient:

- Hair shampoo 0.3-1.0%
- Hair tonics 0.05-0.1%
- Hair conditioners 0.1-0.3%
- Setting lotions / hair gels 0.05-0.2%
- Hair creams 0.1-0.3%

Application in trend formats

Our formulation development team has taken the reduction in dandruff and scalp itch benefits of Piroctone Olamine as well as its formulating characteristics into consideration to create several example product concepts that tie in with consumer format and performance preferences. The following

shampoos and leave-on treatment for scalp care combine anti-dandruff action with ingredients offering specific conditioning and, where relevant, foaming behaviours.

Transparent anti-dandruff shampoo

Consumer association between purity and transparency is leading to a rise in demand for transparent, cosmetics products. Piroctone Olamine's good solubility makes the active ingredient especially suitable for the formulation of clear products. This is of potential significance to the anti-dandruff shampoo segment, where most take the form of white viscous liquids. This is due in part to the difficulty in formulating a transparent shampoo because of the large particles and white appearance of the Zinc Pyrithione, the most common anti-dandruff agent.

To demonstrate the suitability of Piroctone Olamine for answering the market trend in this application segment, 0.5g of the ingredient (0.5% of the formulation) was used in a transparent anti-dandruff shampoo formulation with good conditioning performance.

Phase	Ingredients (trade name | INCI name)	Function	% w/w
A	Water		q.s.
	Genapol® LRO paste (Clariant) *Sodium Laureth Sulfate*	*Anionic surfactant*	**9.00**
	Genagen® SC 35 (Clariant) *Sodium Laureth Sulfate, Cocamide MEA, Aqua*	*Surfactant*	**2.00**
	Genagen® CAB 818 (Clariant) *Cocamidopropyl Betaine*	*Amphoteric surfactant*	**12.00**
B	**Octopirox® (Clariant)** *Piroctone Olamine*	*Active ingredient*	**0.50**
	Water		5.00
C	Sodium Chloride	*Consistency factor*	1.30
	Water		15.00
D	Citric Acid	*pH regulator*	q.s.

Procedure
I. Prepare phase A by adding the listed ingredients
II. Prepare phase B by ispersing Octopirox® and add to I.
III. Adjust viscosity with phase C and pH with phase D (around 5.0-6.0)

Results
pH 5.00
Viscosity (Brookfield, 20°C 20 rpm): 18000 mPa s
Stability: 3 months at 4°C, RT, 37°C, 45°C
Appearance: transparent liquid
Preservation: challenge test according to European Pharmacopoeia, pass A

Formulation 1: Transparent Anti-Dandruff Shampoo Basic formulation suitable for the mass market. Genagen® SC 35 is an effective and easy-to-use thickener, which is activated in the presence of salt. It does not contain DEA, which makes the product safe from nitrosamines. Octopirox® is not only an effective anti-dandruff agent, but also an efficient preservative for cosmetic products.

Dry anti-dandruff shampoo

It is estimated that by 2025 half of the world's population will be living in water-stressed areas [10]. The value of water is changing how young, sensible and environmentally-conscious consumers shop. They love nature and strive to protect it. To help the beauty sector to find new ways to formulate without water and offer anti-dandruff action, Clariant has successfully applied 0.5% Piroctone Olamine to a concept dry shampoo powder formulation.

Phase	Ingredients (trade name | INCI name)	Function	% w/w
A	Agenajel 21287 *Zea Mays (Corn) Starch*	*Consisency factor*	45.63
	Hostapon® SCI 85 P (Clariant) *Sodium Cocoyl Isethionate*	*Anionic surfactant*	**29.41**
	Polyglykol® 3350 P (Clariant) *PEG-75*	*Humectant*	**10.00**
	Sodium Bicarbonate	*pH regulator*	6.20
	Allantoin (Clariant) *Allantoin*	*Active ingredient*	**0.50**
	Hostapon® TPHC (Clariant) *Sodium Methyl Oleoyl Taurate*	*Anionic surfactant*	**2.00**
	Octopirox® (Clariant) *Piroctone Olamine*	*Active ingredient*	**0.50**
	Coguar 113 (Clariant) *Hydroxypropyl Guar Hydroxypropyltrimonium Chloride*	*Conditioning agent (hair)*	**0.30**
	Citric Acid	*pH regulator*	5.00
B	**Patauá Oil (Beraca)** *Oenocarpus Bataua (Pataua) Fruit Oil*	*Conditioning agent (hair)*	**0.20**
C	Fragrance 'Silk & Velvet' (Bell)	*Fragrance*	0.20

Procedure
I. Mix the components of A and blend for 5 minutes (if possible grind for 5 minutes).
II. Add B drop by drop and blend for another minute.
III. Add C drop by drop and blend for another minute.

Results
pH (1% in water) 6.5-7.0
Viscosity (Brookfield, 20°C 20 rpm): n/a
Appearance: off-white fine powder
Stability: 12 weeks at RT and 40°C

Formulation 2: BLUE GOLD Dry Shampoo Hostapon® SCI 85 and Hostapon® THPC are solid surfactants that create a generous foam when activated with water. Coguar® 113 is ideal to bring conditioning benefits on wet hair. Pataùa oil and Allantoin® Premium both care for tired scalp by promoting hydration and improving the removal of squams. Finally, the anti-dandruff property of this powder shmapoo is achieved by Octopirox®.

Its ingredients create a generous foam when activated with water and bring conditioning benefits on wet hair, in addition to the anti-dandruff properties and improving the removal of squames.

Leave-on treatment for scalp care

Similarly to specialized skin care, where ampoules and single-dose products have won consumers' hearts for applications in target areas and offer highly concentrated products promising premium performance, some scalp care product manufacturers rely on leave-on formats offering the most efficient solutions. In line with the quest for specialized, cosmeceutical-like solutions, Clariant offers a leave-on treatment formulation for scalp care, containing 0.05% Piroctone Olamine to overcome dandruff, as well as conditioning ingredients to have favourable effect on the hair. Reinforced with vitamins, the formula is intended to care for both scalp and hair, and to help consumers target the application frequency and areas of concern according to their specific, individual needs.

Phase	Ingredients (trade name | INCI name)	Function	% w/w
A	Propylene Glycol	*Solvent*	0.70
B	**Octopirox® (Clariant)** *Piroctone Olamine*	*Active ingredient*	**0.05**
C	Carbopol® 980 *Carbomer*	*Polymer (thickening/ suspending)*	0.60
D	**Genamin® KDMP (Clariant)** *Behentrimonium Chloride*	*Cationic surfactant*	**0.20**
	Genamin® CTAC (Clariant) *Cetrimonium Chloride*	*Cationic surfactant*	**0.50**
	Starch (Wheat)	*Consistency factor*	0.50
	Dimethicone Copolyol Acetate	*Silicone*	0.80
	Dimethicone Copolyol	*Silicone*	0.50
E	Water		Ad 100
	Panthenol	*Active ingredient*	0.20
	Polyquaternium-4	*Conditioning agent*	0.10
	Benzophenone-4	*Sun filter*	0.05
	Nicotinamide	*Active ingredient*	0.30
	Tocopheryl Acetate	*Ingredient protectant*	0.10
	Caustic soda (50% in water)	*pH regulator*	0.80
F	Guar Hydroxypropyltrimonium Chloride	*Polymer (conditioning/ additive)*	0.20
G	Fragrance	Fragrance	q.s.

Procedure

I. Mix the components of A and blend for 5 minutes (if possible grind for 5 minutes).
II. Add B drop by drop and blend for another minute.
III. Add C drop by drop and blend for another minute.
IV. Add I to III
V. Heat IV to about 80°C
VI. Add C to II and add V directly
VII. Stir until cool
VIII. Add the components of G at about 35°C

Formulation 3: Instant Anti-Dandruff Vitamin Hair Repair Leave-On

Antimicrobial action in wider application areas

Further to its antimicrobial action of relevance to hair and scalp care, Piroctone Olamine is proven to offer broad spectrum activity against yeast, mould, and gram positive and gram negative bacteria. This, in combination with its long shelf life and good formulation compatibility, has supported its 30 year-use in cosmetics as a preservative, both solo and in blends.

The antimicrobial action extends benefits to wider application areas with the active ingredient able to substantiate claims of interest to anti-acne treatment products, a globally growing market, and to provide differentiation to deodorants. For example, internal testing by Clariant indicates activity against Propionibacterium acnes, a gram-positive human skin commensal that prefers anaerobic growth conditions and is involved in the pathogenesis of acne, suggesting the suitability of Piroctone Olamine as an active ingredient in gel, lotion and cleanser anti-acne products. Typical concentrations in leave-on anti-acne products is a low dosage level of up to 0.2%

Its efficacy in a deodorant formulation and applicability in enhancing performance has also been demonstrated against triclosan. Piroctone Olamine is shown to offer better deodorancy when each is used at 0.1% dosage in a sample roll-on deodorant formulation. Typical concentrations of Piroctone Olamine in deodorants, established through internal testing, is low dosage of 0.1-0.3%

CONCLUSION: performance with formulation freedom for scalp care and more

The effective anti-microbial action, safety profile and formulation freedom offered by active ingredient Piroctone Olamine combine together to deliver new possibilities for formulators to create differentiated anti-dandruff scalp care products.
This technical paper has highlighted its scalp care-related benefits and features at low-dosage:

- Dandruff and flake-free
- Itch-free and mild to scalp
- Non-irritating and non-allergenic
- Suitability for transparent formulations
- Formulation ease: compatibility with cosmetics ingredients; processing stability; and solubility.

Furthermore, its proven, effective performance extends to multiple applications in deodorant and anti-acne skin care products. Piroctone Olamine is also a highly-valued broad spectrum preservative. Taking all this into account, the active ingredient presents Personal Care formulators with a versatile, low-dosage one-tool solution that can save on inventory. Importantly, it also offers the industry an alternative to existing actives currently under market scrutiny.

For more information on formulation specifics and to obtain a sample of Octopirox, visit **www.clariant.com/octopirox** or scan the QR-Code:

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