



Spec-Chem Ind.

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Piroctone Olamine

- A. Technical Data Sheet
- B. Formulation Example
- C. Efficacy Comparison between Piroctone Olamine
and Z.P.T. and Climbazole
- D. Antimicrobial Action of Piroctone Olamine



A. Technical Data Sheet

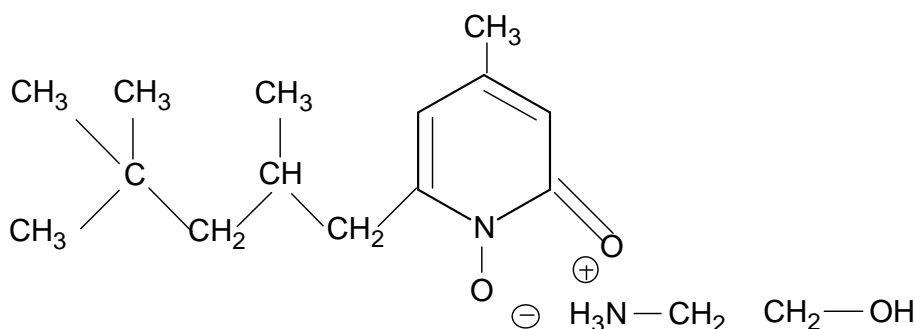
1. Chemical Overview

Common Name: Piroctone Olamine

Chemical Name:

1-Hydroxy-4-methyl-6-(2,4,4-trimethylpentyl)-2-(1H)pyridinone,2-aminoethanol salt

Structure:



Empirical formula: C₁₄H₂₃NO₂·C₂H₇NO

CAS Registry Number: 68890-66-4

EINECS No. : 272-574-2

Chemical class: Heterocyclic compounds; Organic salts.

Reported product categories: Shampoos (non-coloring); Tonics, Dressings and other hair grooming aids.

2. Physical-chemical properties

Appearance: White or slightly yellow crystalline powder.

Solubility: Freely soluble in 10% ethanol in water; soluble in solution containing surfactants in water or in 1%-10% ethanol; slightly soluble in water and in oil. The solubility in water varies by pH value, and is a litter larger in neutral or weak basic solution than in acid solution.

PH: 8.5-10.0 (1% suspension in water, 20°C)

PKa: 7.4

Melting range: 130°C-135°C

Thickening: Piroctone olamine increases the viscosity of many surfactant system.

Stability:

a). pH: Stable in solution of pH 3 to pH 9.

b). Heat: Stable to heat, and to short time of high temperature above 80°C. Piroctone olamine in shampoo of pH 5.5-7.0 remains stable after one year of storage at a temperature over 40°C.



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- c). Light: Decompose under direct ultraviolet radiation. So it should be protected from light.
- d). Metals: An aqueous solution of piroctone olamine degrades in the presence of cupric and ferric ions.
- Compatibility: Piroctone olamine is compatible with many cosmetic ingredients, especially with cationic surfactants (tetramine salt) and cationic active components. In some cases, this re-mixture increase the solubility of piroctone olamine.

3. Efficacy

The scalp defends itself against micro-organisms and fungus infections. The defence system protects the scalp against all kinds of influences that it is exposed to. Sometimes this defence system becomes weakened and a certain fungus infection develops called Pityrosporum Ovale. This fungus infection causes considerable cell multiplication. The skin is no longer in a position to defend itself; the scaling becomes rapid, and starts to gather on the scalp. A superfluous cell situation mixing with skin sebum causes dandruff to be formed. People with dandruff experience itchiness of the scalp. Besides this, their problem is very often an ongoing one.

Piroctone olamine is an anti-dandruff active ingredient, soothes inflamed scalp and reduces flaking. It has a specific action against Pityrosporum Ovale, the agent responsible for the production of dandruff. The anti-dandruff product containing piroctone olamine destroys the fungus infection that is responsible for the dandruff and works against the formation of new dandruff, makes the scalp stay clean, itch free and prevents the formation of new dandruff. You become free of all the inconvenience relating to dandruff.

After six weeks of treatment, the scalp dandruff decreased 81.7% by using a shampoo containing 0.5% piroctone olamine, and 68.6% by a 0.5% zinc pyrithione shampoo.

4. Toxicity

- Acute toxicity: Acute oral LD₅₀ –rat 8100mg/kg, practically nontoxic
- Subchronic toxicity: NOEL: 100mg/kg/d (rat, orally, 3 months)
- Chronic toxicity and carcinogenicity: Negative
- Mutagenicity: Negative
- Irritation to skin and eye: Very low

5. Special Functions of Piroctone Olamine

The reason that piroctone olamine finds favour in the eyes of manufacturers and technicians is not only because of its good antidandruff action, solubility and safety, but also its special functions such as thickening preservation and elimination of body offensive odour.



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5.1 Increasing thickness

Piroctone olamine has an obvious action of increasing thickness of shampoo (Base shampoo contains 8% AES, 4%AME-Mg, 3%AAB, and water), when the concentration of piroctone olamine reaches 0.3-0.4% in base shampoo the viscosity of shampoo is about 3000m.pas. So the thickening agent may not be added, or added in a less amount when piroctone olamine is added to the shampoo. This not only simplifies the production process but also raise the product stability and reduce product cost.

5.2 Wide-spectrum of Antibacteria and antifungi

Piroctone olamine has a wide range of antibacterial and antifungi action, it has been used in soap, cream to eliminate body offensive smell, or to replace preservative.

5.3 Preservative function

5.4 Elimination of body offensive smell

6. Uses

Piroctone olamine is a broad-spectrum microbiocide/microbiostat, may be used in formulating antidandruff shampoo, hair keep and hair care, soap, etc.

Rate of Application

Antidandruff hair keep:	0.1-0.8% active ingredient.
Antidandruff fixing agent:	0.05-0.2% active ingredient.
Antidandruff hair emulsion:	0.1-0.3% active ingredient.
Antidandruff hair supporting:	0.05-0.1% active ingredient.
Preservative:	0.2-0.5% active ingredient
Smell-eliminating agent:	0.2-0.5% active ingredient
Smell-eliminating scented soap:	0.2-0.5% active ingredient.

7. Main Specifications

Appearance	White or slightly yellow crystalline powder
Identification	Positive
Odor	Almost odorless
Content	Not less than 98%
Melting point	130 - 135°C
Loss on drying	Not more than 0.3%
Ash (SO₄)	Not more than 0.2%
pH value (1% aq. solu. 20°C)	8.5 - 10.0
Heavy metals	Not more than 20ppm
Amino Ethanol	20.1 to 20.9% dried base



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8. Package & Storage

25kg drum lined black plastic bag. Protect from moisture.

If stored correctly in its original container piroctone olamine can be kept for at least three years.



B. Formulation Example

1. Anti-dandruff & flexible shampoo

Formulation No.: 08060101B

Ingredients	Wt. (%)	Function
Deionized Water	To 100	
EDTA-2Na	0.10	Chelate
AESA (70%)	8	Cleanser
K ₁₂ A (70%)	8	Cleanser
TC-8025	5	Conditioner
C-14-S (guar hydroxypropyltrimonium chloride)	0.15	Conditioner
Cetearyl Alcohol	0.5	Hair moisturizer
Glycol Distearate	1.0	Pearlescing effect
CMEA	1	Thickener, stabilize foam
Dehydrogenated tallow phthalic acid amide	0.5	Suspending agents
Lauryl Hydroxysultaine	3	Thickener, stabilize foam, mild cleanser
CAB-35 (Lauramidopropyl Betaine)	2	Thickener, mild cleanser
Dimethicone (and) laureth-23 (and) sodium lauryl sulfate	2	Moisturize hair, conditioner
CY-1	0.05	Preservatives
P.O.	0.5	Antidandruff
Citric Acid	Adjust pH to 6.0-6.2	
Fragrance	q.s.	

Procedure:

1. Disperse C-14-S in water;
2. Add TC-8025 in water, add EDTA-2Na;
3. Add AESA, K₁₂A into the vessel, heat to 75°C-80°C to dissolve.
4. Heat Glycol Distearate, CMEA, Cetearyl Alcohol to 80°C, and under stirring add to the vessel, mix for 15-20 minutes.
5. Cool to 50°C, add in turn TC-1352, TC-SHD and CAB-35.
6. Disperse P.O. in suitable quantity of water, then add to the above system.
7. Stir well, adjust pH with citric acid. And then fill into the package.



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2. Anti-dandruff hair conditioner

Formulation No.: 08101502A

	Ingredients	Wt. (%)	Function
Oil	Cetearyl Alcohol	6.0	Thickener,
	Behenamidopropyl PG-Dimonium Chloride	1.0	Conditioner
	TC-1214 DC-200 (100cst)	1.5	Increase hair luster and flexible.
	TC-1233	1.5	Increase hair luster and flexible.
Water	Glycerin	3.0	Moisturizer
	HEC (hydroxyethyl cellulose)	0.5	Thickener, stablizer
	TC-POLYQUAT 200L	0.3	Softening agents, antistatic agent
	Deionized Water	To 100	
	P.O.	0.3	Anti-dandruff
	Preservative	q.s.	Preservatives
	Fragrance	q.s.	

Procedure:

1. Heat oil ingredients (except TC-1214 and TC-1233) to 75°C;
2. Add TC-POLYQUAT 200L, HEC into water phase vessel, stir and disperse well, then heat to 70-75°C.
3. When water phase is at 70-75°C, under stirring add oil phase to the emulsifying pot, then start emulsification.
4. Cool to 55-60°C, add the mixture of TC-1214 and TC-1233 (previously mixed well) to the emulsification, and emulsify for 3 minutes.
5. Cool to 40-45°C, add P.O. (previously disperse in water), preservative, perfume, stir for 10-15 minutes, then fill into the package.



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3. Anti-dandruff scented shampoo (containing 14.4% active detergent substances)

Prescriptions:

A. Genapol ZRO (AES 28%):	40.00%
B. Genapol AMG:	8.00%
C. Piroctone Olamine:	0.2-0.5%
D. Essence:	0.3%
Water:	46.85%
Dye solution:	q.s.
Preservative:	q.s.
E. Citric acid:	Adjust pH to 6-7
F. Sodium chloride:	4.00%

4. Pearl-light shampoo (contain 17.7% active detergent substances)

Prescription:

A. Genapol ZRO (AES 28%):	60.00%
B. Genamin KBT:	3.0%
C. Piroctone Olamine:	0.2-0.5%
D. Essence:	0.3%
Water:	Add to 100%
Dye solution:	q.s.
Conservative:	q.s.
E. Citric acid:	Adjust to pH 6-7
F. Sodium chloride:	4.10%



C. Efficacy Comparison between Piroctone Olamine and Z.P.T. and Climbazole

Desquamation is actually a normal physiological metabolism of head cuticular layer and corneal layer. But because of the effects of various internal and external factors such as hypersteatosis, the action of microorganism bacteria and sebaceous lyase, the metabolism of corneal layer is incomplete which make your head pruritus, and the dandruff snowed. This not only makes you feel inferior and worried, but also makes other people feel uncomfortable.

At present, many antidandruff agents, such as zinc pyrithione (ZPT) and Climbazole (CLM), have been developed, but these agents are limited to be used only in shampoo because of their toxicity or poor solubility. The soluble antidandruff agent, piroctone olamine, is the sole antidandruff agent which may be used in all kinds of hair products because of its good antidandruff action, solubility and safety. At the same time, because of its special properties of preservative and thickening action, it has been used in other fields besides hair products.

1. Antidandruff action

1.1 Comparison of antidandruff effect of different antidandruff agents

1.1.1 Comparison of antidandruff effect between Z.P.T and piroctone olamine with the same amount in shampoo.

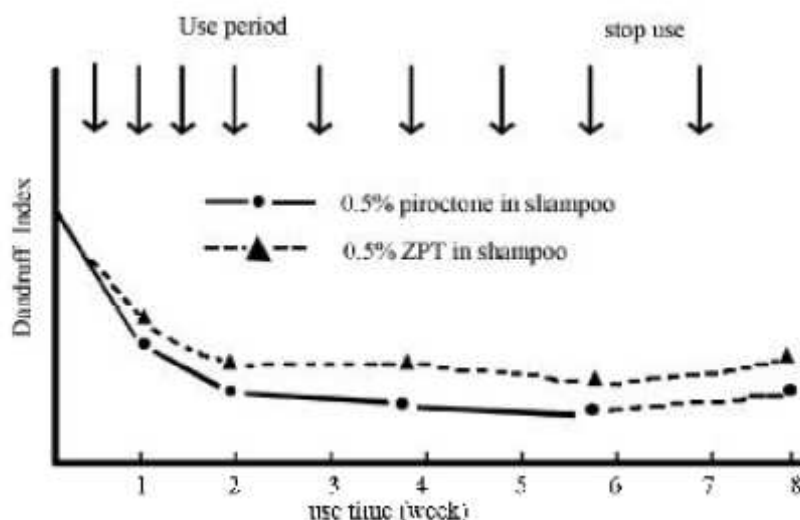


Fig1. comparison of antidandruff effect of piroctone with ZPT

Fig 1 shows that, when apply separately shampoo containing 0.5%Z.P.T and shampoo containing 0.5% piroctone olamine, and after uses 8 times for 6 weeks, it was found that piroctone olamine can reduce dandruff by 81.7%, while Z.P.T reduces dandruff by 68.6%.



1.1.2 Comparison of antidandruff effect with different amount of Z.P.T and piroctone in shampoo.

Table 1. Comparison of antidandruff effect between Z.P.T and piroctone (after two weeks of use)

Item	Piroctone	Z.P.T	Percentage of cases in total subjects	
			Z.P.T>piroctone	Piroctone>Z.P.T
Hair care essence	0.3	0.3	9	29
	0.5	1.0	8	33
shampoo	0.75	1.0	7	47
	1.0	1.0	8	49

Note: > means better than later one

Table 1 shows that, shampoo containing 0.5%, 0.75% and 1% piroctone are markedly superior to shampoo containing 1% Z.P.T in antidandruff effect.

1.1.3 comparison of antidandruff effect between piroctone and climbazole.

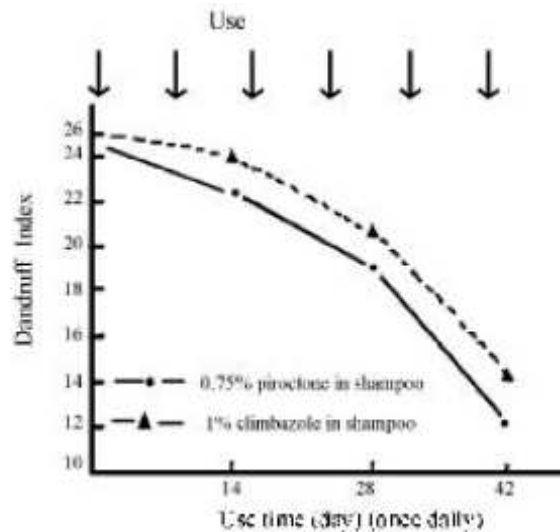


Fig2. comparison of antidandruff effect of piroctone with climbazole (CLM)

Fig 2 shows that, after use shampoo containing 0.75% piroctone for six weeks, dandruff reduces by 51.4%. And it should be pointed out that the antidandruff effects are very obvious in the second and the fourth week, it was 9.1% and 23.5%, respectively. The dandruff reduced by 44.2% after use shampoo containing 1% climbazole for six weeks, and it reduced by 4.8% and 18.1% in the second and fourth week, respectively.



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Table 2. Comparison of antidandruff effect between piroctone and climabozle

Item	Use time (days)											
	7			14			28			42		
	B	C	Δ	B	C	Δ	B	C	Δ	B	C	Δ
Average dandruff index	24.9	24.3		23.7	22.1		20.4	18.6		13.9	11.8	
Reduced dandruff and difference of antidandruff effect				1.2	2.2	1.0	4.5	5.7	1.2	11.0	12.5	1.5
Ratio of reduced dandruff (%)				4.8	9.1		18.1	23.5		44.2	51.4	

Note: B- shampoo containing 1% climbazole; C- shampoo containing 0.75% piroctone

Table 2 shows the comparison of antidandruff effect between shampoo containing 0.75% piroctone and shampoo containing 1% climbazole.

1.2 Comparison of anti-itch effect between piroctone and Z.P.T

Table 3 Comparison of anti-itch effect between piroctone and Z.P.T in hair essence and shampoo

Item	Piroctone	Z.P.T	Percentage of cases in total subjects	
			Z.P.T>piroctone	Piroctone>Z.P.T
Hair care essence	0.15	0.3	10	30
	0.3	0.3	20	31
	0.5	1.0	10	25
shampoo	0.75	1.0	16	33
	1.0	1.0	15	34

Note: > means former is better than later one



D. Antimicrobial action of piroctone olamine

1. Sample

Piroctone olamine (Spec-Chem Inc., China)

2. Experimental bacteria

11 pathogenic bacteria, including 4 bacteria and 7 fungi.

Bacteria:

Aerobic: *Staphylococcus aureus*, *Staphylococcus epidermidis*, *Escherichia coli*;

Anaerobic: *Propionibacterim acnes*;

Fungi: *Trichophyton rubrum*, *Trichomyces mentagrophytes*, *Microsporum canis*,
Epidermophyton floccosum, *Candida albicans*, *Candida tropicalis*, *Malassezia furfur*.

Culture medium

Bouillon culture-medium containing no antibiotics (antimicrobial); 4% olive oil is added to the culture-medium when culture *Malassezia furfur*. Fluid thioglycollate medium is used for anaerobic microorganisms.

3. Method.

Preparation of culture medium containing piroctone olamine.

Weigh accurately 10mg of piroctone olamine, dissolve in 5mg of absolute ethanol, add slowly 5ml of distilled water, shake to completely dissolved obtain a stock solution having a concentration of 1mg/ml. The stock solution is diluted double in proper order. The diluted solutions are separately added to the culture medium in the ratio of 1:9. The final concentrations of piroctone olamine in culture medium are as following

- (1) 100 mg/L;
- (2) 50 mg/L;
- (3) 25 mg/L;
- (4) 12.5 mg/L;
- (5) 6.25 mg/L;
- (6) 3.125 mg/L;
- (7) 1.6 mg/L;
- (8) 0.8 mg/L;
- (9) 0.4 mg/L;
- (10) The basal culture medium containing no piroctone olamine is used as control.

3.1 Microorganisms amount inoculated:

$0.1 \times 10^6 - 1.0 \times 10^6$ CFU



Incubating conditions

Bacteria: 37°C 24h;

Fungi:

Cutaneous fungi: 26°C 7d;

Candida: 30°C 48h;

Malassezia furfur: 37°C 48h;

3.2 Observation

The control tube containing basal culture medium with no antimicrobial in it is used as reference. If microorganisms grow in the tube containing piroctone, it shows no inhibition to the microorganisms. No microorganisms grow shows inhibition action.

4. Results

The MIC (Minimum inhibition concentration) of piroctone olamine to 11 microorganisms are listed in Table 5.

Table 5 The MIC of piroctone olamine to 11 microorganisms

Microorganisms	MIC (mg/L)
Bacteria	
Aerobic	
<i>Staphylococcus aureus</i>	6.25
<i>Staphylococcus epidermidis</i>	6.25
<i>Escherichia coli</i>	12.5
Anaerobic	
<i>Propionibacterim acnes</i>	6.25
Fungi	
Cutameous fungi	
<i>Trichophyton rubrum</i>	6.25
<i>Trichomyces mentagrophytes</i>	12.5
<i>Microsporum canis</i>	12.5
<i>Epidermophyton floccosum</i>	6.25
Candida	
<i>Candida albicans</i>	25
<i>Candida tropicalis</i>	25
Malassezia furfur	12.5

Conclusion: The MIC of Piroctone Olamine to 11 microorganisms is between 6.25 and 25mg/L.