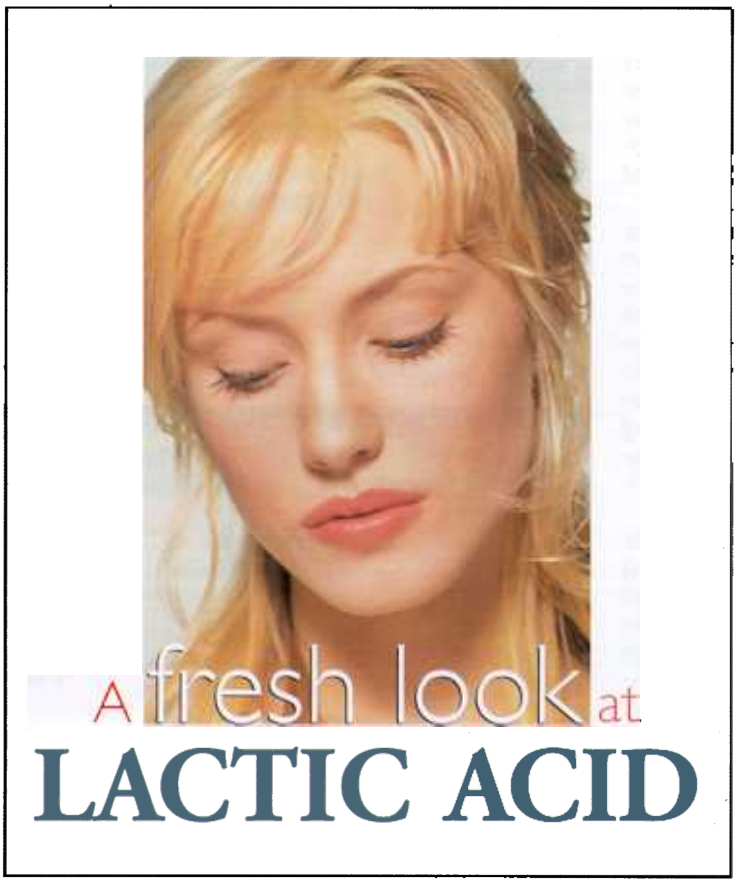
L(+) lactic acid and its lactates have been used for many years in cosmetic products but the AHA trend and the discovery, or rediscovery, of their antimicrobial and skin whitening actions have revived interest in their cosmetic applications. R M R Gijsen of Purac looks at the new opportunities they offer for skin care formulators



A fresh look at LACTIC ACID

Lactic acid was discovered in 1780 by the Swedish chemist K W Scheele[1] and is officially known as 2-hyroxy-propionic acid. The compound is the simplest hydroxy acid with an asymmetric carbon atom and it therefore exists in a racemic form (synthetic lactic acid). Lactic acid has two optically active forms, L(+) and D(-), which are mirror images of each other although they can behave quite differently, especially in living tissues.

The L(+) lactic acid is the form which is present in the human body. Every day the body produces 120g of the acid which is found in the blood, kidneys, muscles and in the skin and hair. Sodium lactate, for example, is present in the skin as part of the natural moisturising factor and L(+) lactic acid is present to reduce the skin pH.

In the human body the metabolic conversion of L(+) lactic acid is much faster than that of D(-) lactic acid. Therefore L(+) is the preferred form for use in food products and in dialysis solutions. But L(+) and D(-) also behave differently on the skin. Table 1 shows the results of an induced skin irritation test. This test was performed at a low pH (pH3) and a relatively high acid content to ensure significant irritation.

The table demonstrates that the L(+) form is milder than D(-) or synthetic lactic acid while glycolic acid is the least mild. The same variations were found in a comparative effectiveness study of different acids[2] in which no irritation was present at neutral or slightly acidic pH. A difference in effectiveness between L(+) and D(-) lactic acid and their salts has been reported in other studies. L(+) lactic acid has been shown to be the more effective for the treatment of hyperkeratonic skin conditions.[3,4] Although the difference in the behaviour of L(+) and D(-) lactic acid when applied to the skin is not yet completely understood, it does indicate that the L(+) form is more compatible with the human skin.

Table 1 - Differences in irritation potential

	Irritation score at pH3
L(+) lactic acid	2.2
D(-) lactic acid	2.8
Synthetic lactic acid	2.7
Glycolic acid	3.3

Test product: 5% acid buffered to pH3 in alcohol/water mixture applied to nasal fold area. Irritation rated on 1-4 scale.

Above: Courtesy Body Shop

Multifunctional ingredients

The main functions of L(+) lactic acid and its lactates, or salts, in cosmetic skin care products and toiletries are as:

- antimicrobial agents
- pH regulators
- moisturisers
- humectants
- AHA (skin rejuvenating agents)
- skin whitening agents

Often the compounds are used because they can simultaneously fulfil several functions in just one product.

Antimicrobial agent - L(+) lactic acid is widely used in food products to increase shelf life. Its antimicrobial action is not only due to the lowered pH but is also a result of the so-called lactate effect. This refers to the influence of the lactate ion on the energy cycle of micro-organisms which results in a reduction of bacterial growth.

Table 2 shows the results of an MIC (minimum inhibitory concentration) test conducted with L(+) lactic acid and citric acid. The data reveals the stronger antimicrobial properties of lactic acid at different pH levels.

The antimicrobial properties of lactates not only serve to stabilise the formulation but are also very useful for the treatment of conditions such as dandruff and acne. In 1946 it was established that dandruff could successfully be treated by lowering the pH of the skin with a cream containing 3 wt% buffered lactic acid.[5] Other

studies demonstrated that lactic acid has a synergistic effect when used with other antimicrobial agents.[6]

pH regulator - The pH of the skin surface ranges from 4 to 6, whereas the body's internal pH is around 7.4. The lower skin pH is caused by a higher lactic acid concentration in the skin known as the 'acid mantle' which protects the skin against microbial and fungal infections.[7]

Lactic acid is a more effective means of adjusting the pH of various products than citric acid and will even enhance the antimicrobial performance of the product despite the fact that the acid strengths are very similar (see Figure 1). By using lactic acid or buffered lactic acid it is possible to combine AHA action and/or moisturising action with pH regulation, making it possible to reduce the number of raw materials necessary in a formulation.

Moisturiser - The use of sodium lactate as a moisturiser in skin care products is one of its most well known and oldest applications. The first panel tests for moisturising properties were done more than 20 years ago.[8] Later laboratory experiments proved that the moisturising effect was directly related to sodium lactate's water-holding capacity.[9] Table 3 shows the water-holding capacities of several well known moisturisers. As is shown, sodium lactate has the highest water-holding capacity, making it the most effective moisturiser.

Humectant - This water-holding capacity is also directly related to sodium lactate's efficiency as a humectant. Its humectant action has recently been found to be very useful in the reduction of water evaporation from bar soap which otherwise could become brittle or develop cracks. Experiments have shown that the addition of small amounts of sodium lactate (such as 0.5 wt%) can reduce water loss by 5%. At the same time the addition of sodium lactate gives the soap moisturising properties.

AHA (skin rejuvenating agent) - L(+) lactic acid, which can be regarded as the human body's alpha hydroxy acid, is one of the most well known and effective of the AHAs.[2, 10] It is also the mildest AHA (see Table 1), water soluble and possesses strong moisturising properties.

Skin whitening agent - There is now a renewed interest in L(+) lactic acid and lactates because they have been shown to possess skin lightening properties at higher concentrations, enabling formulators to improve the skin whitening efficiency of existing products and to develop a variety of interesting new formulations.

The skin whitening effect of conventional agents like hydroquinone is mainly due to the denaturation and death of pigment cells. This damaging action to the skin can cause irritation and inflammation. Other well known skin whitening agents such as ascorbic acid inhibit the enzyme tyrosinase after is has been formed. Tyrosinase plays a key role in the formation of melanin. The skin whitening action of lactates is also based on the suppression of the formation of tyrosinase. *In-vivo* tests have shown that concentrations higher than 8% sodium lactate results in a significant skin whitening effect.[11]

Lactates are very suitable for use in combination with other skin whitening agents, resulting in an enhanced skin whitening effect of the product. Table 4 presents the results of a clinical test carried out with a combination of vitamin C and sodium lactate. The enhanced skin whitening effect of the combination compared with vitamin C alone is most likely due to the two different mechanisms by which both compounds influence the formation of melanin. This implies that the same enhanced effect can be expected where lactates are used in combination with other skin whitening agents. In addition, the presence of sodium lactate in the formulation also provides the product with moisturising properties.

In summary, L(+) lactic acid and lactates offer the formulator a wealth of new ways to develop effective cosmetic formulations and to enhance the effectiveness of existing products. ■

Table 2 - MIC test on staphylococcus aureus

pH	L(+) lactic acid MIC (%)	Citric acid MIC (%)
5.5	2.5	>5.0
5.0	2.0	2.5
4.5	0.5	1.5

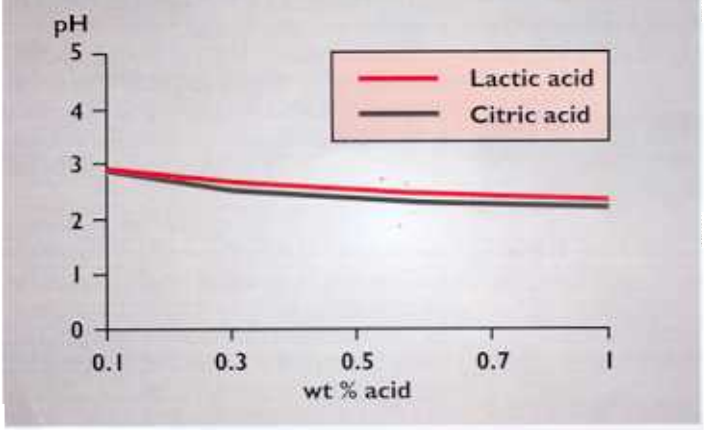
Table 3 - Water-holding capacities of different moisturisers

Compound	Water-holding capacity (mg H_2O/1000mg)
Sorbitol	21
Glycerin	40
Na-PCA	60
Na-lactate	84

Table 4 - Clinical skin whitening tests with a combination of vitamin C + sodium lactate

	Improvement with vitamin C + sodium lactate	Improvement with vitamin C (control)
After 1 month	1.4%	0.1%
After 2 months	7.7%	2.5%
After 3 months	12.5%	4.5%

Figure 1 - Lactic acid as pH regulator



References

1. Holten C H, Lactic acid, Verlag Chemie (1971)
2. Smith W, International Journal of Cosmetic Science 19, p75-83 (1996)
3. WO 95/03032, Unilever
4. EP 0 673 647 A1, Bristol-Myers Squibb
5. Stern, The Urologic and Cutaneous Review 50, p106 (1946)
6. Prottey C et al, British Journal of Dermatology 100, p475-85 (1984)
7. Yosipovitch G and Maibach H I, Cosmetics and Toiletries, p101-02 (December 1996)
8. Middleton J D, J Soc Cosmet Chem, 25, p517-34 (1974)
9. Takahashi M et al, J Soc Cos Chem, 35, p171 (1084)
10. Smith W, SCC Specialities (1993)
11. European patent application 0 423 929 A1