OTZ 10 (PRO-TAURINE)  
OTZ100

INCI: OXOTHIAZOLIDINE (AND) BUTYLENE GLYCOL (AND) WATER

OTZ 10 has been customized to detoxify the damages issued from UVA. Because it is not an UVA filter, OTZ 10 has to penetrate as deep as UVAs do. OTZ 100 is a more diluted version for OTZ 10, enabling formulation for niche projects.

**ANALYTICAL COMPOSITION**

- Oxothiazolidine 10% (1% for OTZ 100)
- Butylene glycol 20%
- Sodium Benzoate 0.5%
- Water sq 100%

**TECHNICAL CHARACTERISTICS**

- Limpid to slightly opalescent liquid, colorless to slightly yellow. Soluble in water
- pH: approx. 5.5

**APPLICATIONS**

- anti-photoaging
- against U.V.A damages
- anti-aging

**EXSYMOL S.A.M. - 4 avenue Albert II - MC 98000 MONACO**  
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**EXISTING STUDIES**

- Design and evaluation of a photo-protective compound capable to release taurine under oxidative stress conditions, IFSCC, September 2007, Amsterdam, The Netherlands.

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**FORMULATION**

- OTZ 10 (PRO-TAURINE) is hydrosoluble, it can be formulated in any type of product (gels, lotions, emulsions...) except anhydrous formulations. The recommended dose is 0.2% and above.
- OTZ 100 is a diluted form containing 1% of OTZ for a minimal using dose of 2% OTZ 100 displays the same formulation characteristics than OTZ 10.

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**TOLERANCE STUDY**

Clinical studies have evidenced the safety of OTZ 10 (PRO-TAURINE) in cutaneous irritation, sensitization, phototoxicity, and photoallergy.

Ocular irritation has been studied with technique HET CAM technique (Hen’s egg chorioallantoic membrane test).

Cutaneous irritation has been evaluated on human biopsies.

Mutagenic potential has been studied with the Ames test (bacterial reverse mutation assay).

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**USE RESTRICTIONS**

No particular handling or storage restriction.
**Bioavailability**

OTZ 10 has been customized in order to get an optimum penetration. Our predictive theoretical calculations (Log P, partition coefficient) have been confirmed by the experimental evaluations of the transcutaneous flow Kp (permeability constant).

<table>
<thead>
<tr>
<th>Layer</th>
<th>Kp (10^-6 cm² h⁻¹)</th>
<th>OTZ</th>
<th>Caffeine</th>
</tr>
</thead>
<tbody>
<tr>
<td>Stratum corneum</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Epidermis</td>
<td></td>
<td>6.1</td>
<td>1.0</td>
</tr>
<tr>
<td>Dermis</td>
<td></td>
<td></td>
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</tr>
</tbody>
</table>

Diffusible amount of OTZ is more than 90%.

**Protection against UVA damages**

Upon reacting with oxygen species, UVA produce toxic species as ROS (Reactive Oxygen Species), or toxic electrophilics (aldehydes) from reaction of UVA upon lipids, GAGs, or sugars. Therefore, the protecting effect of OTZ 10 from UVA has been studied either on cells submitted to UVA, ROS or aldehydes. The subsequent protection capability upon DNA damages has also been investigated.

**Cells Protection against UVA**

As an immediate consequence of UVA attack onto fibroblasts, the formation of ROS, on a culture of V79 fibroblasts, submitted to UVA, were monitored by flow cytometry using appropriate molecular probe (CMDCF-DA). Both the preventive mode, and the curative mode were studied.

**Intracellular ROS formation**

- **Curative mode** (OTZ and ref introduced during irradiation)
  - Ref = N-Ac-Cysteine 5 mM
- **Preventive mode** (OTZ and ref introduced before irradiation)
  - Ref = N-Ac-Cysteine 5 mM

**Protection against UVA**

The DNA damages were monitored through the formation of characteristic micronuclei from a culture of fibroblasts, and the quantification of these micronuclei revealed the anti-clastogenic activity of OTZ 10.

**Protection against ROS**

The protection against ROS was characterized by the free radical scavenging capability (evaluation of the OH⁺ scavenging constant Ks) as well as the usual procedure of protection of a substance (deoxyribose) submitted to free radicals.

<table>
<thead>
<tr>
<th>OH⁺ scavenging constant Ks (10⁻¹⁰ M⁻¹ s⁻¹)</th>
<th>OTZ</th>
<th>1.4</th>
</tr>
</thead>
<tbody>
<tr>
<td>Vitan C</td>
<td>1</td>
<td></td>
</tr>
<tr>
<td>Vitan E</td>
<td>1</td>
<td></td>
</tr>
<tr>
<td>Taurine</td>
<td>0.5</td>
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</tr>
</tbody>
</table>

OTZ shows a OH⁺ scavenging constant, Ks(OH⁺), comparable to that of ascorbic acid.

**Protection against toxic aldehydes**

4-hydroxynonenal (4-HNE), a major lipid hydroperoxide oxidative breakdown product, was used to stress V79 fibroblasts. Addition of OTZ 10 in the culture medium (curative mode or preventive mode) protects the cells from 4-HNE damages.

**Taurine is generated upon ROS scavenging**

OTZ was reacted with hydrogen peroxide (H₂O₂) or OH⁺ at physiological pH and temperature, and the reaction mixture content was determined by HPLC. Complete conversion of OTZ into taurine has been evidenced.

Absence of cytotoxicity related to the "detoxification" activity of OTZ 10.

Additional benefit for photoprotection, since taurine uptake by keratinocytes is induced by ultraviolet B radiations, and opposes to their detrimental effects.
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Availability

Packaging of 1, 5, 30, and 60 kg (OTZ 100 available from 5 kg)

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