Eusolex® UV-Pearls™
Unique process

1 Emulsification

Oil phase:
Monomer +
UV filters

Water

2 Hydrolysis

3 interfacial polycondensation

Schematic representation of the polycondensation reaction to form the three-dimensional shell of Eusolex® UV-Pearls™ consisting of SiO₂ tetrahedrons.
Eusolex® UV-Pearls™
Three-dimensional shell

Loading, i.e. UV Filter content ~ 40 %

Particle size
~1.0 µm

White, aqueous suspension of capsules
General performance delivered by encapsulation

• Hydrophobic UV filters now available for the water phase

• Easy incorporation in formulation, excellent solubility

• Improved skin feeling of formerly tacky ingredients

• High physical integrity thanks to stable encapsulation system (ultraturrax, pressure, temperature etc.)

• Reduced percutaneous penetration
  
  dermal uptake studies:
  in vivo (photo-acoustics),
  in vitro (differential stripping, membrane model),
  ex vivo (human epidermal uptake)
Eusolex® UV-Pearls vs General UV filter requirements

Safe for use ✓ toxicological dossiers of the encapsulated UV filters well known

Easy handling ✓ just addition to the water phase

Excellent solubility ✓ easy incorporation of up to 20 – 25 % of the suspension

Nice skin feeling ✓ no direct skin contact with tacky UV filters due to encapsulation

Photostable systems ✓ thanks to physical separation of OMC and BMDBM

Compliance with regulations ✓ e.g. Colipa ratio for appropriate UVA protection feasible
Eusolex® UV-Pearls vs General UV filter requirements

Safe for use ✓ toxicological dossiers of the encapsulated UV filters well known

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Portfolio
dispersions with encapsulated UV filters

Eusolex® UV-Pearls™ OMC, Item no. 130805
INCI: Water (for EU: Aqua), Ethylhexyl Methoxycinnamate, Silica, PVP, Phenoxyethanol, Methylparaben, Propylparaben, BHT

Eusolex® UV-Pearls™ 2292, Item no. 130801
INCI: Water (for EU: Aqua), Ethylhexyl Methoxycinnamate, Silica, PVP, Phenoxyethanol, Chlorophenesin, Disodium EDTA, BHT

Eusolex® UV-Pearls™ B-O, Item no. 130804
INCI: Water (for EU: Aqua), Octocrylene, Butyl Methoxydibenzoylmethane, Silica, PVP, Phenoxyethanol, Chlorophenesin, Disodium EDTA

Eusolex® UV-Pearls™ B-O 2, Item no. 130806
INCI: Water (for EU: Aqua), Octocrylene, Butyl Methoxydibenzoylmethane, Silica, PVP, Phenoxyethanol, Methylparaben, Propylparaben
Eusolex® UV-Pearls™ – encapsulated OMC
Improved photostability of OMC/BMDBM

BMDBM photo-stability of the system OMC/BMDBM after UV irradiation (15 min equal to 1 MED).

Blue line: 3 % BMDBM/7.5 % OMC (as Eusolex® UV-Pearls™).

Red line: 3 % BMDBM/7.5 % free OMC.

Conclusion:
The entrapment of OMC in Eusolex® UV-Pearls™ prevents its chemical interaction with BMDBM, leading to an overall significantly improved photo stability of the combination OMC/BMDBM.
Eusolex® UV-Pearls™

*in vivo* SPF boost

**Conclusion:**

Eusolex® UV-Pearls™ are able to boost the *in vivo* SPF in distinct formulations.
Eusolex® UV-Pearls™
in vivo SPF boost – UV filters in O + W phase!

**Conclusion:**
Eusolex® UV-Pearls™ are able to double the *in vivo* SPF in distinct formulations.
New UVA/B requirements

• Commission of the European Communities (EC)
  – Recommends minimum UVA PF for sunscreens
  – in vitro preferred; reference: \( \text{in vivo} \) PPD / \( \text{in vivo} \) SPF
  – \( \frac{1}{3} \) of labeled SPF and minimum \( \lambda_{\text{crit}} = 370 \text{ nm} \)

• COLIPA*
  – In vitro method (UVAPF) in line with EU
    (includes irradiation step)
    
    \[ \text{COLIPA} -  RATIO = \frac{\text{SPF}_{\text{label}}}{\text{UVAPF}} \]
  – Ratio \( \leq 3 \)
  – New symbol:

*Recommendation No 21/Guideline No 20&22
Combination fulfills EU/COLIPA ratio

in vitro UVA PF according to COLIPA method 2007, N = 20 (5 plates x 4 spots), T(0.95%)<15%
The UVA capsule is available now!

Eusolex® UV-Pearls™ B-O

Encapsulated Butyl Methoxydibenzoylmethane for the water phase
Butyl methoxydibenzoylmethane & Octocrylene refined in a pearl
Composition of the UVA capsule Eusolex® UV-Pearls™ B-O

An aqueous dispersion with approx. 40 % UV filter

~ 9 % BMDBM (Avobenzone)
~ 31 % Octocrylene
~ 57 % Water

INCI: Water (for EU: Aqua), Octocrylene, Butyl Methoxydibenzoylmethane, Silica, PVP, Phenoxyethanol, Chlorphenesin, Disodium EDTA

→ Octocrylene acts as a solubilizer and photo stabilizes BMDBM at the same time

→ The mixture has an intrinsic balanced protection against harmful UV-rays
UV spectrum
Eusolex® UV-Pearls™ B-O

→ Intrinsic Balanced protection against harmful UV-rays
SPF and UVA performance of Eusolex® UV-Pearls™ B-O

- Int'l SPF Test: 7.9 SPF
- SPF to be labelled: 6 SPF
- Colipa in vitro UVA-PF: 4.1 SPF
- Colipa ratio: 1.5

$\lambda_{\text{Crit.}} = 374 \text{ nm}$

7 % OCR, 2 % BMDBM (as capsule)
Effect of Eusolex® UV-Pearls™ B-O on SPF

MDA-S-46-02
4.25 % OCR & 0.8 % BMDBM in the oil phase
5 % Eusolex® UV-Pearls™ B-O in the water phase

MDA-S-46-05
8.5 % OCR & 1.6 % BMDBM in the oil phase
3 % Eusolex® UV-Pearls™ B-O in the water phase

- in vivo SPF
- SPF to be labeled
- in vitro UVA PF
Effect of Eusolex® UV-Pearls™ B-O on SPF

Total amounts of
- 5.85 % OCR & 1.2 % BMDBM in formulation MDA-S-46-02
- 9.4 % OCR & 1.9 % BMDBM in formulation MDA-S-46-05

generate unexpectedly high SPF values.
Encapsulated UV-Filter
## Use Level

**Eusolex® UV-Pearls™ B-O**

<table>
<thead>
<tr>
<th>Eusolex® UV-Pearls™ B-O in finished product:</th>
<th>5%</th>
<th>7.5%</th>
<th>10%</th>
<th>15%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Avobenzone Content:</td>
<td>0.4</td>
<td>0.7</td>
<td>0.9</td>
<td>1.4</td>
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<tr>
<td>Octocrylene Content:</td>
<td>1.6</td>
<td>2.3</td>
<td>3.1</td>
<td>4.7</td>
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</table>
Eusolex® UV-Pearls™ B-O
Less is more… formulating with a minimum number of UV filters!

<table>
<thead>
<tr>
<th>Eusolex® UV-Pearls™ B-O in the water phase:</th>
<th>5%</th>
<th>7.5%</th>
<th>10%</th>
<th>15%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Avobenzone Content:</td>
<td>0.4</td>
<td>0.7</td>
<td>0.9</td>
<td>1.4</td>
</tr>
<tr>
<td>Octocrylene Content:</td>
<td>1.6</td>
<td>2.3</td>
<td>3.1</td>
<td>4.7</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Free UV filters in the oil phase:</th>
<th></th>
<th></th>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Avobenzone Content:</td>
<td>4.6</td>
<td>4.3</td>
<td>4.1</td>
<td>3.6</td>
</tr>
<tr>
<td>Octocrylene Content:</td>
<td>8.4</td>
<td>7.7</td>
<td>6.9</td>
<td>5.3</td>
</tr>
</tbody>
</table>

Regulatory aspects and patent situation, especially regarding DSM patent families EP 780119 and US 6,033,649 have to be considered!
SENSORY ASSESSMENT of encapsulated UV filters

- Safe for use ✓ toxicological dossiers of the encapsulated UV filters well known
- More than safe ✓ ‘Sunglasses for the Skin®’ prevent direct skin contact of UV filters
- Easy handling ✓ just addition to the water phase
- Excellent solubility ✓ easy incorporation of up to 20 – 25 % of the suspension
- Nice skin feeling ✓ no direct skin contact with tacky UV filters due to encapsulation
- Photostable systems ✓ thanks to physical separation of OMC and BMDBM
- Compliance with regulations ✓ e.g. Colipa ratio for appropriate UVA protection feasible
encapsulated UV filters in the water phase vs conventional UV filters in the water phase

- Sensory Study with 20 volunteers (female, 18-65 years)
- Single blind, randomized, intra-individual comparison
- Comparison of 2 formulations

<table>
<thead>
<tr>
<th>Ingredient</th>
<th>INCI</th>
<th>0-2-1 [%]</th>
<th>0-2-2 [%]</th>
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<tbody>
<tr>
<td><strong>A</strong></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Eusolex® 232</td>
<td>PHENYL BENZIMIDAZOLE SULFONIC ACID</td>
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<tr>
<td>Triethanolamin 90% Care</td>
<td>TRIETHANOLAMINE, AQUA (WATER)</td>
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<td>1,10</td>
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<tr>
<td>RonaCare® Ecbin</td>
<td>ECTOIN</td>
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<tr>
<td>Glycerol</td>
<td>GLYCERIN</td>
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<tr>
<td>Methyl-4-hydroxybenzoate</td>
<td>METHYL PARABEN</td>
<td>0,15</td>
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<tr>
<td>Titriplex® III</td>
<td>DISODIUM EDTA</td>
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<td>Water demineralized</td>
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<td>Eusolex® HMS</td>
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<td>Eusolex® OS</td>
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<tr>
<td>Eusolex® 9020</td>
<td>BUTYL METHOXYDIBENZOXYMETHANE</td>
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<tr>
<td>RonaCare® AP</td>
<td>BIS-ETHYLHEXYL HYDROXYDIMETHOXY BENZYLMA</td>
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<tr>
<td>Eumulgin B 2</td>
<td>CETEARETH-20</td>
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<td>1,20</td>
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<tr>
<td>Miglyol 8810</td>
<td>BUTYLENE GLYCOL DICAPRYLATE/DICAPRATE</td>
<td>6,00</td>
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<td>Cetiol A</td>
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<tr>
<td>Cetiol CC</td>
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<tr>
<td>Syncrowax HGLC</td>
<td>C 18-36 ACID TRIGLYCERIDE</td>
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<tr>
<td>Antaron V-220 F</td>
<td>PVP/EICOSENE COPOLYMER</td>
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<tr>
<td>Pemulen TR-2</td>
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<tr>
<td>Propyl-4-hydroxybenzoate</td>
<td>PROPYLE PARABEN</td>
<td>0,05</td>
<td>0,05</td>
</tr>
<tr>
<td><strong>C</strong></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>Eusolex UV-Pearls OMC</td>
<td></td>
<td>5,40</td>
<td></td>
</tr>
<tr>
<td>Water demineralized</td>
<td>AQUA (WATER)</td>
<td>25,00</td>
<td>20,00</td>
</tr>
</tbody>
</table>
Questionnaire - Assessment

1. Appearance: Seems more glassy after Application
2. Appearance: Glossier after Application
3. Distribution: Better to distribute
4. Distribution: More whitening after Distribution
5. Distribution: Permeates faster
6. Leaves a better Skin Feeling
7. Causes a better Smoothness
8. Feels "lighter"
9. Leaves a stronger Care Effect on the Skin
10. Better Moisturization of Skin
11. **Sticks more**
12. Greasier
13. **Cream Characteristics:** More velvety
14. **Cream Characteristics:** More silky
15. **Cream Characteristics:** More pliant
17. Cream Characteristics: More waxy
18. Stronger Cooling Effect
UV filters in the oil phase
Homosalate 7 %
Octocrylene 3 %
Ethylhexyl Salicylate 2 %
Avobenzone 3 %

Majority evaluated formulation with capsules to be lighter, less greasy & less sticky

Spray with 2 % PBSA in water phase
Spray with 5 % Eusolex UV-Pearls 2292 in water phase - corresponding to 2 % OMC
both
Conventional UV filters for the water phase

- require time consuming processing (neutralization, separate phase)
- require pH neutral/basic values
- influence ionic nature gel network
- influence ionic nature emulsion
- are hygroscopic
- can be tacky
- may induce coloration
- may not be approved world-wide
- may not be recommended for W/O
- may have an undesirable skin feeling
- may have an unpleasant smell

Eusolex® UV-Pearls™

- simple handling
- pH flexibility
- no influence on gel network
- no influence on formulation base
- odorless
- nice skin feeling
- world-wide approval
- colorless
- suitable for W/O and O/W alike
Conventional UV filters for the oil phase

- may have a challenging processability
- may be colored
- may have a high melting point
- may be limited in their solubility
- may not be recommended for W/O
- may have patent restrictions
- may have an unpleasant skin feel
- may not be approved world-wide

Eusolex® UV-Pearls™

→ simple handling
→ nice skin feeling
→ world-wide approval
→ colorless
→ suitable for W/O and O/W alike
Eusolex® UV-Pearls™
Positioning vs competitive UV filters

ZnO
• challenging processability
• pH drift
• protection performance in formulation over time
• limited approval

Eusolex® UV-Pearls™
→ simple handling
→ suitable for O/W and W/O alike
→ nice skin feeling
→ odorless
→ world-wide approval
Eusolex® UV-Pearls™
Keywords for the formulator

**SIMPLE** – easy handling, pH flexibility, odorless, no influence on formulation base

→ No solubility issues of Avobenzone when using Eusolex® UV-Pearls™ B-O

**STABLE** – the UV-Pearls™ encapsulation system is strong against stress

→ Avobenzone is adequately photostabilized when using Eusolex® UV-Pearls™ B-O

**PLEASANT** - excellent sensory profile, good skin feeling of once sticky ingredients

**INNOVATIVE** - hydrophobic UV filters for the water phase provide new formulation possibilities and pave the way for emollients of choice
## Eusolex® UV-Pearls™

### Appealing facts for the end-user

**Pleasance**  
Improved skin feeling of emulsions  
Low spreadibility (Face Care)  
Transparency after topical application

**Safety**  
High reduction of percutaneous penetration  
Sunglasses for the Skin™  
  - have a low allergy potential  
  - are less irritant  
  - provide an increased safety

**Modernity**  
New formulations offer fresh choice of Day Care and Sunscreens