# Lipoid

**OTC Products** 



## **Phospholipids in OTC Applications**

Phospholipids are amphiphilic, endogenous molecules with excellent biocompatibility and tolerability. Therefore, they are an ideal choice for various "over-the-counter" (OTC) products, including formulations for sensitive tissue such as the ocular surface. Phospholipids can serve as active principle, as excipients to modulate tissue interaction, and as building blocks to form lipid particles such as emulsions and liposomes (Fig. 1).

Liposomes are the lipid particles of primary interest in OTC products. Their intriguing cell-like structure enables the encapsulation of watersoluble actives and the solubilization of lipidsoluble actives. In addition, liposomes interact excellently with the underlying tissue after topical administration and have outstanding moisturizing properties. The phospholipids predominantly used in marketed OTC formulations are soybean phosphatidylcholine and hydrogenated soybean phosphatidylcholine.

Moreover, phospholipids from further natural sources like sunflower are available in high quality on an industrial scale.

Synthetic phospholipids derived from natural raw materials with tailor-made, well-defined fatty acid composition (e.g. 1,2-dimyristoylphosphatidylcholine (DMPC)) enhance the diversity of this versatile class of excipients.





*Fig.* 1: Schematic depiction of phospholipids, an emulsion oil droplet, and a liposome.

### Abbreviations:

**DMPC:** 1,2-Dimyristoylphosphatidylcholine, **DMPG:** 1,2-Dimyristoylphosphatidylglycerol, **GLD:** Glyceryl dioleate, **PC:** Phosphatidylcholine, **PL:** Phospholipids

# Marketed OTC Products with Phospholipids



## **Ocular Administration**

Polar lipids are essential components of the tear film. They stabilize the outer non-polar lipid layer that prevents evaporation and thereby keeps the eye mucosa moisturized. About 70 % of these polar lipids are saturated and unsaturated phospholipids<sup>[1]</sup>.

In conditions like irritated and dry eyes, topically applied phospholipids moisturize the eye surface and restore the protective lipid layer (Fig. 2)<sup>[2]</sup>. The phospholipids may be administered in different formulations such as eye drops or liposomal sprays (Table 1).



Fig. 2: Schematic depiction of compromised and restored tear film.

Trade product	Product Type	Active Principle	Phospholipid	Application	Company
Dailies Total1®	Contact lense	Phosphatidylcholine	DMPC	Lubricating contact lense	Alcon
Lipitear®	Microemulsion spray	Phospholipids/medium-chain triglycerides	PL	Dry eye, discomfort	PharmaStulln
Optrex Actimist®	Liposomal spray	Phospholipids, vitamin A+E	Soybean PL	Dry eye	Reckitt Benckiser
Oftalses Blepha Defense	Liposomal spray	Lactoferrin and bisabolol	Soybean PL	Blepharitis (itching, irritation, redness, scales)	Sesderma
Systane <sup>®</sup> Complete	Eye drops	Paraffin, guaraprolose, propylene glycol	DMPG	Dry eyes	Alcon
TearsAgain®	Liposomal spray	Phosphatidylcholine, vitamin A+E	Soybean PC	Dry eye	Optima Pharm.



#### Administration in the Nasal and Oral Cavity

A surfactant film at the air-mucus interface, which contains mainly saturated and unsaturated phospholipids, covers the nasal mucosa (Fig. 3). The surfactant film reduces the surface tension and viscosity of the mucosa, which results in a better removal of particles, viruses, and bacteria by means of an increased ciliary clearance. Phospholipid-containing nasal products moisturize the nasal mucosa, restore the surfactant film, and reduce irritation, e.g., during the occurence of seasonal allergic rhinoconjunctivitis<sup>[3]</sup>.

The same healing effects of phospholipids apply to treatment of dry and irritated oral mucosa. For this purpose, phospholipids often serve as active principle but may also be combined with additional actives **(Table 2)**.



Fig. 3: Schematic depiction of the physiological nasal and oral mucosa with intact phospholipid surfactant layer.

Trade product	Product Type	Active Principle	Phospholipid	Application	Company
Echinaforce® Throat Spray	Spray	Echinacea and sage extracts	Soybean PL	Sore throat associated with cough, cold, and flu	A. Vogel
episil®	Spray	GLD/Phosphatidylcholine	Soybean PC	Oral mucositis (as side effect of chemotherapy) as mouth and throat spray	Camurus
LipoAerosol®	Liposomal Spray	Phospholipids	Soybean PL	Moisturizing and reinforcing the natural mucosal lining of the upper and lower respiratory tract	Optima Pharm.
LipoNasal®	Liposomal Spray	Phospholipids	Soybean PL	Moisturizing and nurturing the nasal mucosa	Optima Pharm.
LipoSalvia®	Liposomal Spray	Phospholipids	Soybean PL	Moisturizing and nurturing the oral mucosa	Optima Pharm.



### **Further Applications**

Phospholipids are used in a broad variety of other OTC formulations (Table 3).

In dermal topicals, phospholipids can modulate intrinsic metabolism pathways and restore the skin barrier properties. The latter is typically achieved via the application of saturated phospholipids.

Unsaturated phospholipids may increase the skin interaction of co-formulated actives <sup>[4]</sup>.

In oral dosage forms, phospholipids function as emulsifiers and building blocks for colloidal species that solubilize lipophilic actives and nutrients. Moreover, essential phospholipids serve as an active, typically in products for liver protection.

Also in other dosage forms, phospholipids fulfill miscellaneous functions. E.g., in hard fat-based suppositories for rectal application, phospholipids are added to facilitate the processability of melts with large amounts of drug.

The highly versatile use as technical and functional components (Table 4) underscores the suitability of phospholipids for a wide variety of OTC formulations.

#### Concluding remarks

The large-scale availability of Lipoid's natural and synthetic phospholipids enable the development and optimization of OTC products for any administration site. Phospholipids function as active principle or enhance the performance of the co-formulated active. Due to their natural occurrence, phospholipids perfectly complement plant-based actives and underscore the natural character of herbal medicinal products. Moreover, their excellent tolerability makes phospholipids ideal excipients for self-medication, even for treatment of irritated and injured tissue.

Table 4: Key functions of phospholipids as technical or functional component in pharmaceutical formulations.

Technical Use	Functional Use
<ul> <li>Emulsifier</li> <li>Wetting agent</li> <li>Component of colloidal and liquid crystalline structures (e.g. liposomes)</li> <li>Solubilizor</li> </ul>	<ul> <li>Reduction of irritation</li> <li>Moisturizer</li> <li>Penetration enhancer</li> <li>Bioavailability enhancer</li> <li>Texturizer</li> </ul>
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Table 3: Examples of	phospholipid-based OTC p	products for further applications

Administration	Trade product	Active (Principle)	Type of PL	Application	Company
Dermal	Diclac®	Diclofenac sodium	Soybean PC	Topical pain management	Hexal
	Hametum®	Hamamelis destillate	PL	Hemorrhoids	Schwabe
	LMX	Lidocaine HCl	Hydrogenated PL	Topical anesthesia	Ferndale Laboratories
	Betaisodona® Advanced	PVP-iodine	Hydrogenated Soybean PC	Wound healing	Mundipharma
	Bepanthen® Foam Spray	Dexpanthenol	PC	Minor burns and sunburns	Bayer Healthcare
Oral, ingestion	Livotone	Silymarin	PL	Liver support	Mega Lifesciences
	Lipidavit® SL	Soybean PL	Soybean PL	Hypercholesterolemia, liver support	Rodisma-Med Pharma
Rectal	Ben-u-ron®	Paracetamol	Soybean PL	Pain relief	bene-Arzneimittel

Lipoid offers a wide range of natural and synthetic phospholipids in pharmaceutical quality to formulate advanced OTC products.

#### **References** (Additional references upon request)

- [1] Shine, W. E., McCulley, J. P., Polar lipids in human meibomian gland secretions. *Current Eye Research* 26, 89 94 (2003).
- [2] Lee, S., Dausch, S., *et al.*, A new therapy concept with a liposome eye spray for the treatment of the dry eye. *Klinische Monatsblätter für Augenheilkunde* 221, 1 – 12 (2004).
- [3] Bohm, M., Avgitidou, G., *et al.*, Liposomes: A new nonpharmacological therapy concept for seasonal-allergic-rhinoconjunctivitis. *European Archives of Oto-Rhino-Laryngology*, 269, 495 502 (2012).
- [4] van Hoogevest, P., Brusseit, B., *et al.*, Phospholipids: Natural functional ingredients and actives for cosmetic products, *SOFW Journal*, 139, 188 (2013).





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