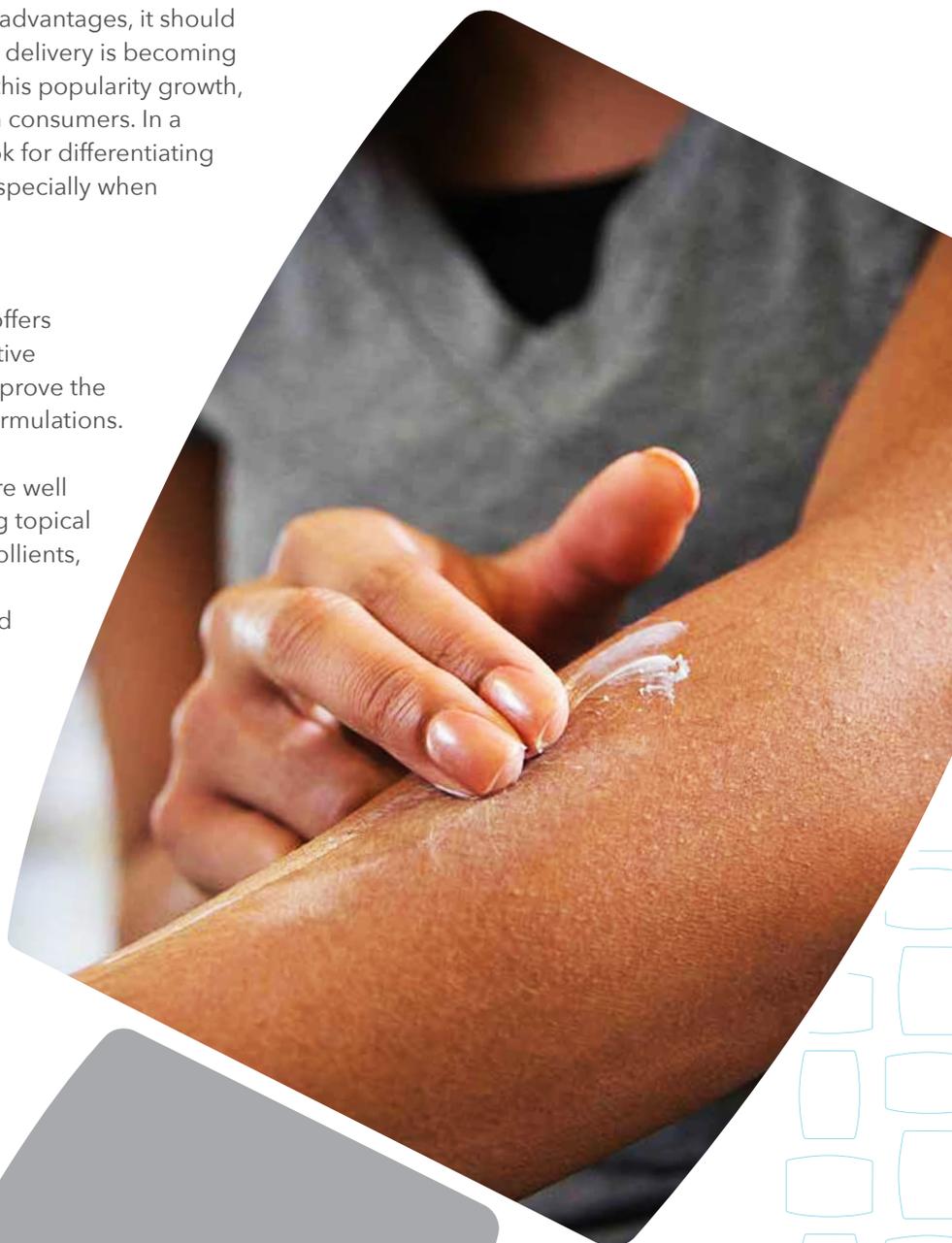


IID-Listed Ingredients for Topical Drug Delivery

Introduction

Topical formulations can effectively deliver therapeutics to a targeted area, with the added benefits of convenient application and reduced risk of systemic side effects. Due to these advantages, it should come as no surprise that this area of drug delivery is becoming a preferred route of administration. With this popularity growth, however, comes increased demands from consumers. In a crowded market, patients increasingly look for differentiating properties in the products they choose, especially when it comes to sensory attributes and how topicals “feel” on the skin.

Lubrizol Life Science Health (LLS Health) offers many ingredients listed on the FDA’s inactive ingredient database (IID) that can help improve the performance of topical pharmaceutical formulations. Lubrizol’s Carbopol® polymers, Noveon® polycarbophil, and Pemulen™ polymers are well known in the industry for use in enhancing topical products. Lubrizol also offer IID-listed emollients, emulsifiers, and humectants which have a long history of use in over-the-counter and prescription drug products. In this brief, LLS Health reviews these ingredients and their performance.



Emollients

Table 1. LLS Health IID-Listed Emollients

Trade Name	Chemical Name	IID Maximum Potency - Topical*	Typical Use Level	Functionality
Schercemol™ LL ester	Lauryl Lactate	12 mg	1 - 10%	Light emollient Water soluble, dermal penetration Hydroalcoholic, alcohol dispersible Derived-natural**
Schercemol™ DIA ester	Diisopropyl Adipate	20%	1 - 10%	Light emollient Solubilization, dermal penetration Hydroalcoholic, alcohol dispersible
Schercemol™ 318 ester	Isopropyl Isostearate	3%	1 - 10%	Medium emollient Derived-natural**
Schercemol™ OHS ester	Ethylhexyl Hydroxystearate	12%	1 - 10%	Medium emollient Derived-natural**
Schercemol™ OLO ester	Oleyl Oleate	2.55%	1 - 10%	Medium emollient Natural**
Schercemol™ 1688 ester	Cetearyl Ethylhexanoate	3%	1 - 10%	Medium emollient Derived-natural**
Glucam™ P-20 distearate emollient	PPG-20 Methyl Glucose Ether Distearate	4.75%	0.5 - 5%	Light emollient Barrier for water loss, moisturization
Solulan™ 75 (Solulan™ L-575) lanolin derivative	PEG-75 Lanolin	1.5%	0.5 - 3%	Emollient Non-ionic O/W emulsifier (HLB 15) Water, alcohol miscible
Vilvanolin™ L-101 lanolin derivative	Mineral Oil (and) Lanolin Alcohol	11%	5 - 10%	Emollient Non-ionic W/O emulsifier (HLB 8)

*The IID is updated quarterly. For the most current information, please refer to the FDA Inactive Ingredient Database.

Lubrizon Life Science

**Renewable Carbon Index (RCI) is calculated under ISO 16128. RCI = 1.00 meets the definition of natural under the ISO standard. RCI > 0.50 meets the definition of derived-natural.

Emollients are used in topical preparations to impart lubrication, spreading ease, texture, and softening of the skin. They also counter the potentially drying or irritating effects of surfactants on the skin. Emollients are oils, or are derived from the components of oils, as esters of fatty acids. Generally, the higher the molecular weight of the fatty acid moiety (carbon chain length) the richer the feel and softness to the touch.

LLS Health offers IID-listed emollients (**Table 1.**) for topical formulations, including lanolin derivatives and light and medium ester emollients; these emollients offer a variety of benefits. For example, Schercemol™ esters provide excellent sensory properties. Due to their different properties/miscibility, Schercemol™ esters can be formulated with a broad range of drugs and co-excipients, rendering them suitable for different types of formulations. Additionally, some Lubrizon emollients may provide solubilizing properties and/or serve as penetration enhancers. Several are also naturally derived, meaning their renewable carbon index (RCI) is above 0.5.

Lubrizon's IID-listed emollients are used in a variety of marketed products across several dosage forms, including creams, patches, topical solutions, ointments, lotions, wipes, foams, and gels. See **Table 2.** for a list of APIs formulated with IID-listed emollients.

Table 2. APIs Formulated with IID-Listed Emollients

API	Dosage Form
Azelaic acid	Cream
Buprenorphine	Patch
Capsaicin	Cream
Efinaconazole	Solution
Erythromycin	Ointment (eye)
Ethinyl estradiol	Patch
Fluorouracil	Cream
Halobetasol propionate	Cream
Hydrocortisone acetate	Lotion
Miconazole nitrate	Wipe
Norelgestromin	Patch
Tazarotene	Foam
Testosterone	Gel
Tretinoin	Gel
Triamcinolone acetonide	Ointment

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Emulsifiers

Table 3. LLS Health IID-Listed Emulsifiers

Trade Name	Chemical Name	IID Maximum Potency - Topical*	Typical Use Level	Functionality
Glucate™ SS emulsifier	Methyl Glucose Sesquistearate	3.5%	0.5 - 3%	Non-ionic W/O emulsifier (HLB 6.4), co-emulsifier Structurant Derived-natural**
Glucamate™ SSE-20 emulsifier	PEG-20 Methyl Glucose Sesquistearate	3.5%	0.5 - 3%	Non-ionic O/W emulsifier (HLB 15.4), co-emulsifier
Promulgen™ D nonionic emulsifier	Cetearyl Alcohol (and) Ceteareth 20	8%	1 - 5%	Non-ionic O/W emulsifier (HLB 12) Structurant Derived-natural**
Promulgen™ G nonionic emulsifier	Stearyl Alcohol (and) Ceteareth 20	4%	1 - 5%	Non-ionic O/W emulsifier (HLB 12.5) Structurant Derived-natural**

*The IID is updated quarterly. For the most current information, please refer to the FDA Inactive Ingredient Database.

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An emulsifier or emulsifying agent is an ingredient that stabilizes an emulsion. An emulsion is a thermodynamically unstable system comprising two or more immiscible liquids, one of which is dispersed in the other liquid.

LLS Health’s emulsifiers present on the FDA’s inactive ingredient database are listed in **Table 3**. These emulsifiers are non-ionic oil-in-water emulsifiers and are naturally derived. Due to their non-ionic nature, they are non-irritant, meaning they are particularly preferred for sensitive products. They also serve as structurants and may impart some emolliency to formulations.

LLS Health’s IID-listed emulsifiers add value to numerous marketed products encompassing multiple dosage forms, such as creams and lotions. See **Table 4** for a list of APIs formulated with IID-listed emulsifiers.

Table 4. APIs Formulated with IID-Listed Emulsifiers

API	Dosage Form
Adapalene	Cream
Clobetasol propionate	Lotion
Halcinonide	Cream
Hydroquinone	Cream
Mometasone furoate	Cream
Triamcinolone acetonide	Cream

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Humectants

Table 5. LLS Health IID Methyl Glucoside Humectant Listings

Trade Name	Chemical Name	IID Maximum Potency - Topical*	Typical Use Level	Functionality
Glucam™ E-10 humectant	Methyl Gluceth-10	5%	1 - 5%	Humectant, tackiness reduction
Glucam™ E-20 humectant	Methyl Gluceth-20	5%	1 - 5%	Humectant, tackiness reduction

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**Renewable Carbon Index (RCI) is calculated under ISO 16128. RCI = 1.00 meets the definition of natural under the ISO standard. RCI > 0.50 meets the definition of derived-natural.

A humectant is a substance that promotes the retention of moisture on the skin. This increased moisture can increase an active ingredient's solubility, which can then in turn increase the skin penetration. Humectants offered by Lubrizol Life Science Health are listed in **Table 5** and include Glucam™ E-10 and E-20 humectants. These ingredients deliver light, satiny after-feel to skin formulations and are effective at reducing the tack of glycerin.

There are several creams on the market containing these IID-listed humectants and formulated with a variety of APIs (**Table 6**).

Table 6. APIs Formulated with IID-Listed Humectants

API	Dosage Form
Fluocinolone acetonide	Cream
Fluorouracil	Cream
Hydroquinone	Cream
Tretinoin	Cream

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Conclusion

In summary, Lubrizol offers a wide variety of emollients, humectants, and emulsifiers, including Schercemol™ esters, lanolin derivatives, Promulgen™ emulsifiers, and methyl glucoside derivatives (Glucam™ and Glucamate™). Many of these ingredients are currently listed on the FDA's IID based on their use in prescription drug products. In addition, these ingredients also have a long history of use in over-the-counter and prescription products. LLS Health ingredients play crucial roles in optimizing product efficacy and patient experience. Sensory properties impact consumer perception of action and therefore improve compliance in topical products. Consideration of sensory properties when formulating is therefore critical to developing patient-centric products.