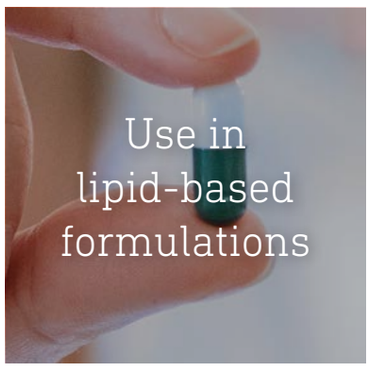
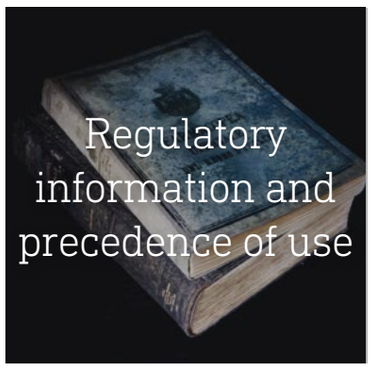
GATTEFOSSÉ

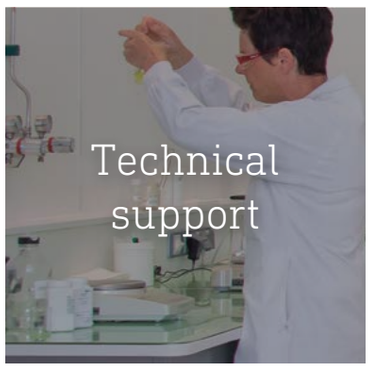
People make our name

Boost your drug bioavailability with Labrafac™ MC60, glycerol monocaprylocaprate

For a better experience, download our brochure







Labrafac™ MC60 in a nutshell »

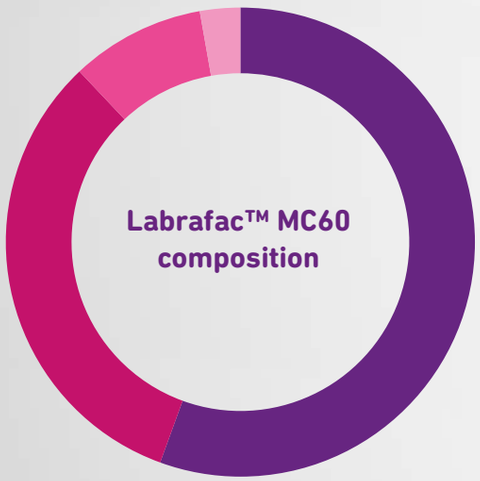
Product description

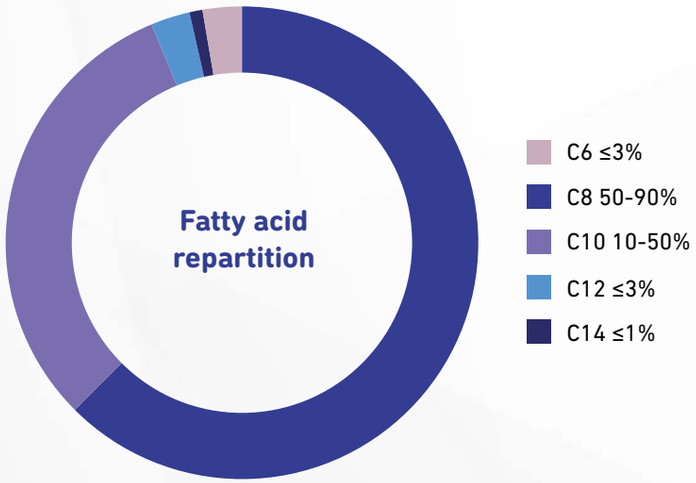
- Composition
- Liquid excipient at 25°C
- Physico-chemical properties

A well-defined multi-constituent excipient

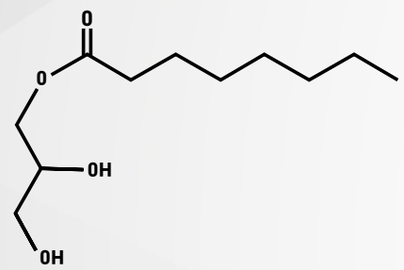
Glycerol + Caprylic acid (C8) + Capric acid (C10)

A controlled esterification process

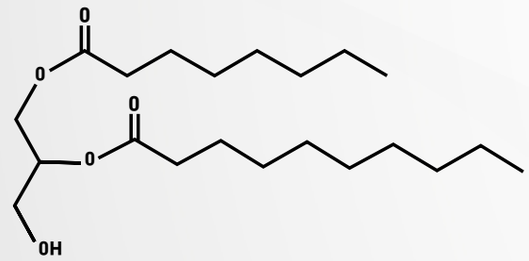




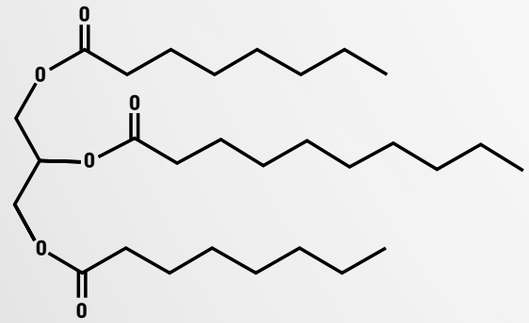
Monoglycerides



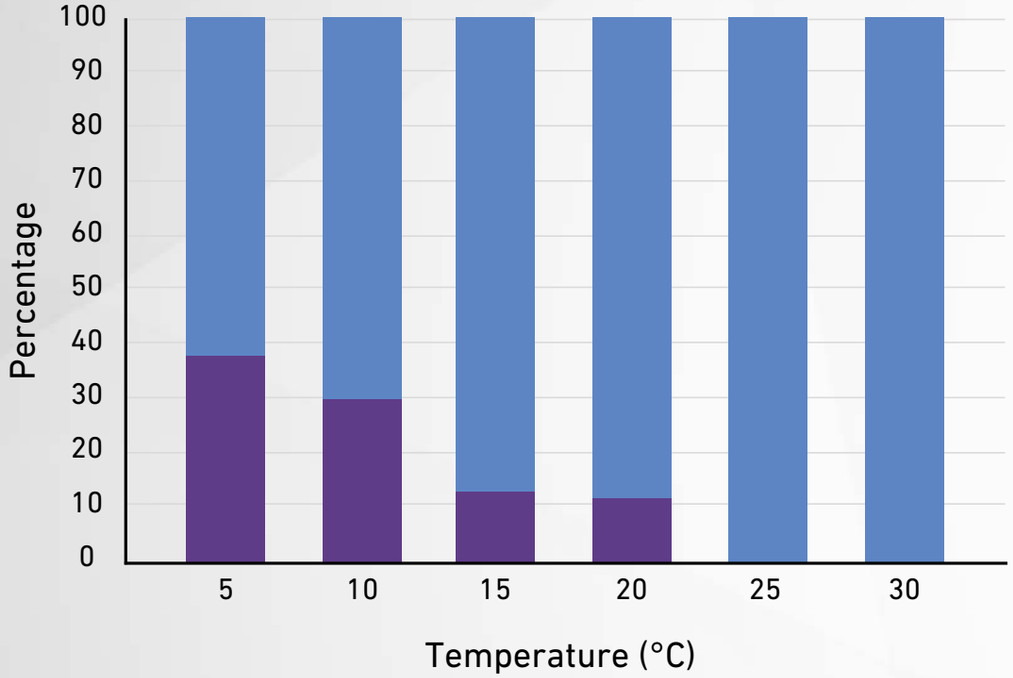
Diglycerides



Triglycerides



A liquid excipient at 25°C



Labrafac™ MC60 solid and liquid fat content repartition *vs* temperature

(NMR conditions: sample stored 1h at 0°C, then 12h at measurement temperature)

At 25°C, Labrafac™ MC60 is fully liquid. At 20°C, approximately 90% of Labrafac™ MC60 is liquid with the remaining fraction being crystallized. Therefore, partial crystallization may occur at 20°C.

Product handling

Heat (>40°C) before use to eliminate crystals if any

N_2

Flush the container with nitrogen after use

Physico-chemical properties

Labrafac™ MC60 has water insoluble surfactant properties due to its HLB of 5.

HLB	5
Viscosity (mPa.s)	120 at 20°C; 40 at 40°C
Relative density	1.006 at 20°C

Miscibility (25°C)	
Acetonitrile	Miscible
Ethanol 96°	Miscible
Methanol	Miscible
Water	≥ 90%

Miscibility at 25°C with common excipients used in lipid-based formulations

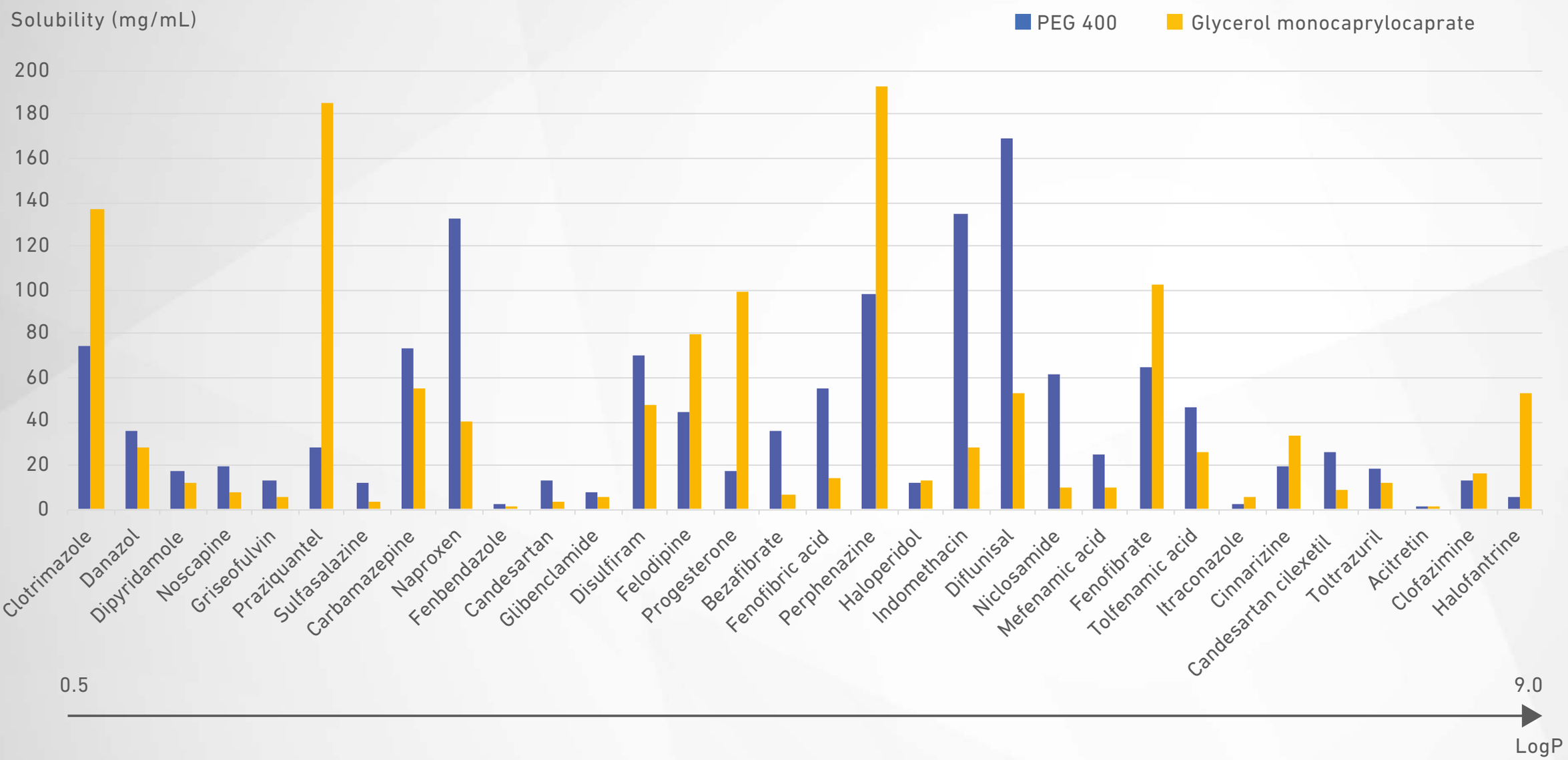
Miscible Non-miscible

		% Labrafac™ MC60								
		10	20	30	40	50	60	70	80	90
Oils	Maisine® CC	■	■	■	■	■	■	■	■	■
	Peceol™	■	■	■	■	■	■	■	■	■
	Labrafac™ Lipophile WL 1349	■	■	■	■	■	■	■	■	■
Water insoluble surfactants	Capryol® 90	■								
	Lauroglycol™ 90	■	■	■	■	■			■	■
	Plurol® Oleique CC 497	■	■	■	■	■	■	■	■	■
Water dispersible surfactants	Labrafil® M 1944 CS	■	■	■	■	■	■	■	■	■
	Labrafil® M 2125 CS		■	■	■	■	■	■	■	■
	Gelucire® 44/14	■	■						■	■
	Gelucire® 50/13	■	■	■	■	■	■			
	Labrasol® ALF	■	■	■	■					
Water soluble surfactant	Gelucire® 48/16	■	■	■	■	■				
Solvents	Transcutol® HP	■	■							
	Water									■

Product functionality

- Solubilizer
- Intestinal permeation enhancer
- Oral bioavailability enhancer

Good solubilizer for a wide range of molecules



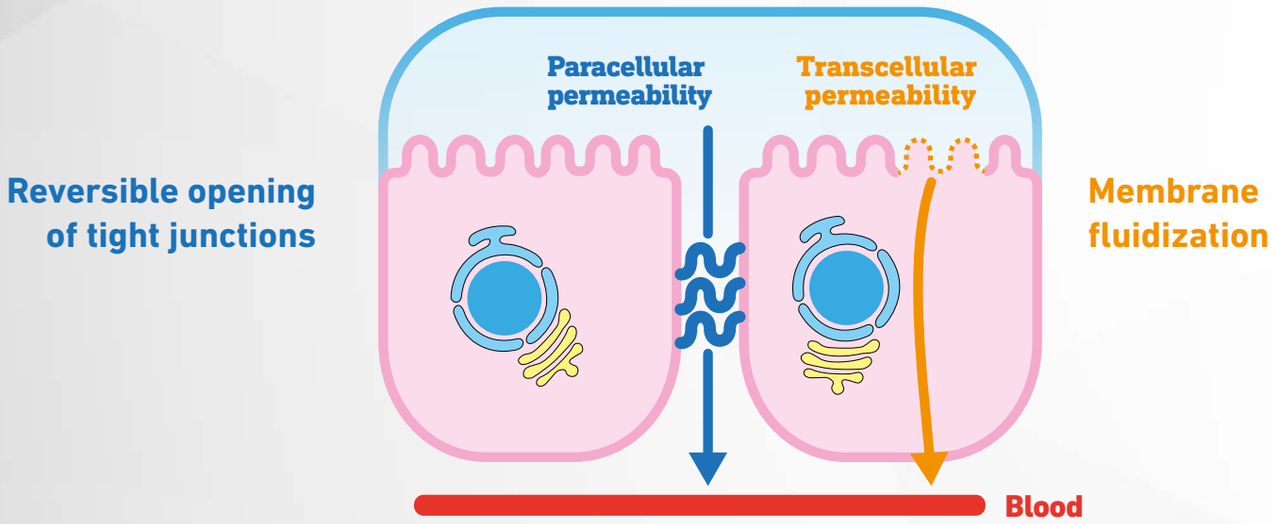
Alskar L.C. (2016) Mol. Pharmaceutics 13. 251-261

Intestinal permeation enhancer

This excipient is reported to have permeation enhancing properties due to its high content of medium chain fatty acids.

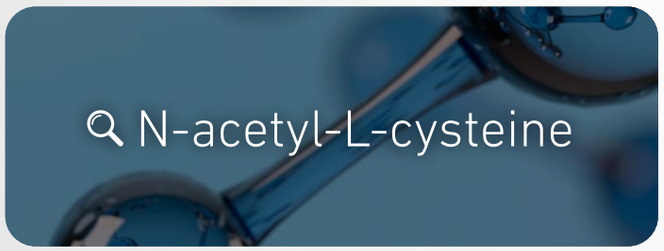
The proposed mechanism of action of C8/C10 fatty acids is a combination of:

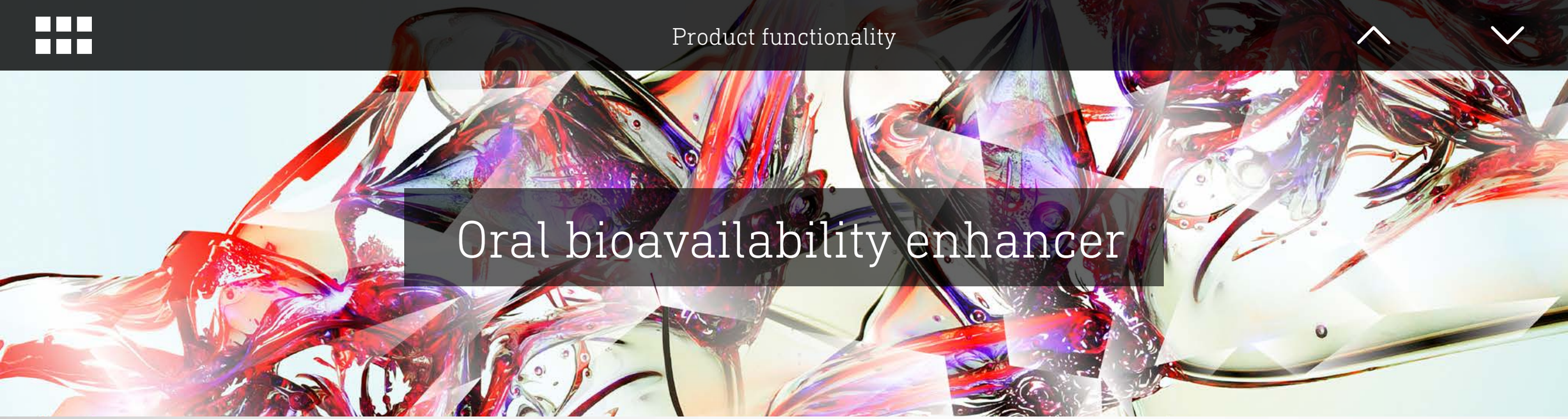
- Paracellular transport with the reversible opening of enterocytic tight junctions
- Transcellular transport due to membrane fluidization



Brayden et al., 2014; Brayden et al., 2015; McCartney et al., 2016; Twarog et al., 2019

Reported examples





Oral bioavailability enhancer

API	Increase in oral bioavailability	Reference
Atorvastatin calcium	3.45-fold for the SEDDS vs drug suspension	Yeom et al., 2015
Nisoldipine	2.4-fold for SMEDDS vs pure drug suspension	Nekkanti et al., 2016
Ticagrelor	6.4-fold for SMEDDS vs pure drug	Na et al., 2019
Valsartan	1.8-fold for SMEDDS vs capsule suspension	Dixit et al., 2010

More information on SEDDS development

Use in lipid-based formulations

- Lipid-based formulation development
- Oily formulations with dutasteride
- SEDDS with cinnarizine
- SEDDS with terfenadine

Lipid-based formulation development

Solubilization of the entire therapeutic dose

in a **single excipient**

Oily formulation

- Dutasteride

in **several excipients**

SEDDS formulation

- Cinnarizine
- Terfenadine

Lipid-based formulations are designed for poorly water-soluble drugs with the aim to:

Solubilize the therapeutic dose

Maintain solubilization throughout the digestion process

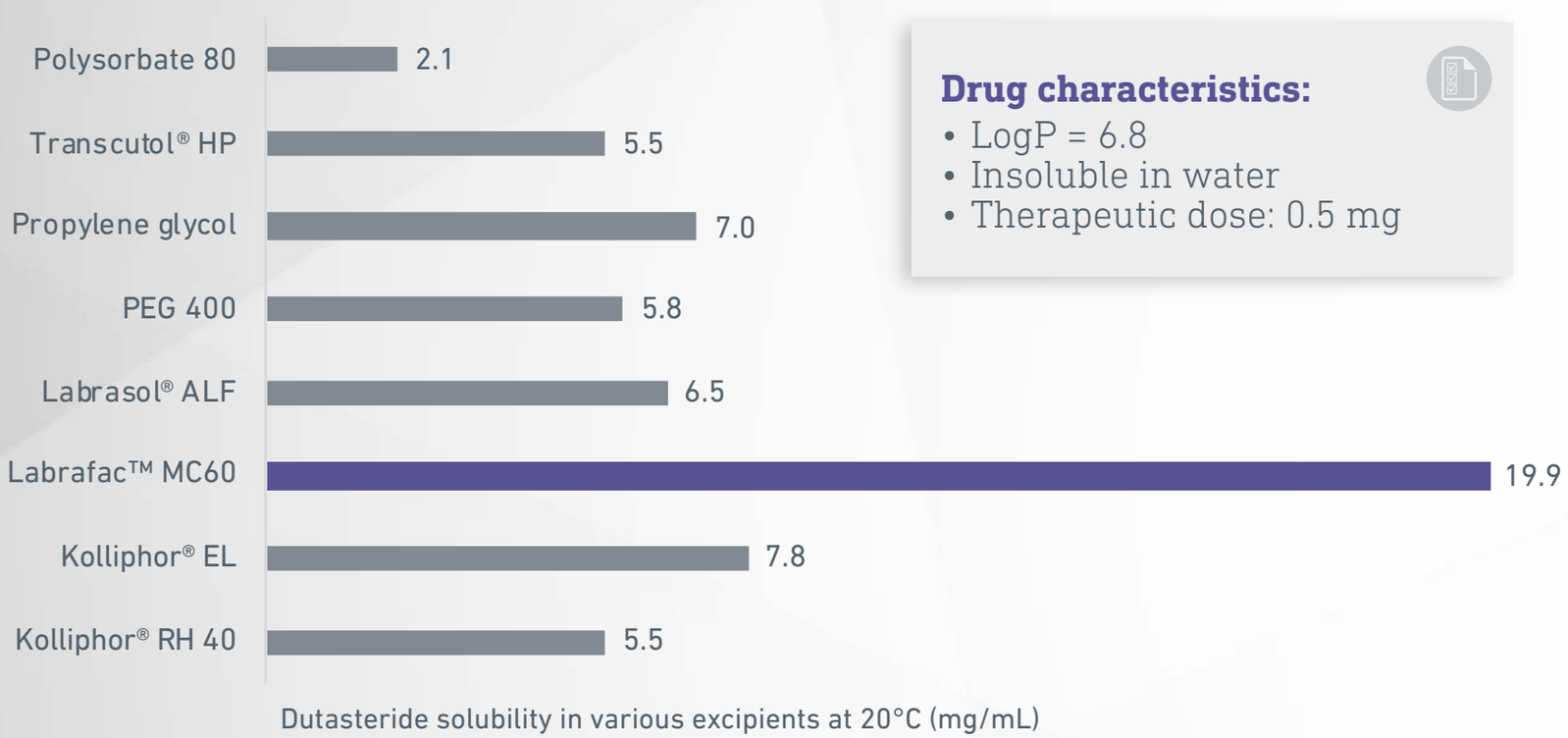
Increase oral bioavailability

More information on how to develop lipid-based formulations

Oily formulations with dutasteride

Solubility screening

Best solubilizing performance for Labrafac™ MC60: ≈ 20 mg/mL



Dutasteride solubility in various excipients at 20°C (mg/mL)

Drug characteristics:

- LogP = 6.8
- Insoluble in water
- Therapeutic dose: 0.5 mg

More information on Gattefossé method for solubility screening

Patient information leaflet

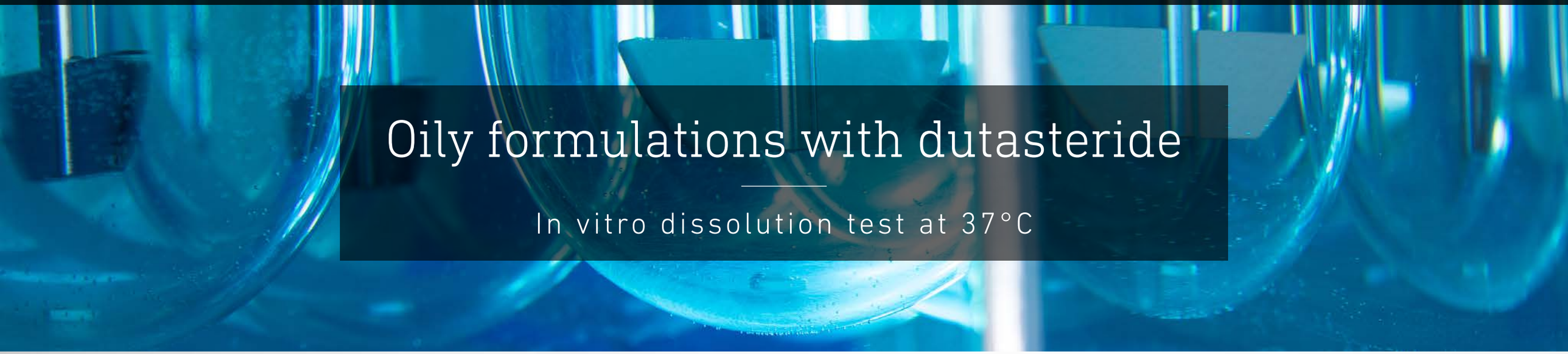
Active substance:

- dutasteride

Each soft capsule contains 0.5 mg dutasteride.

Inactive excipients:

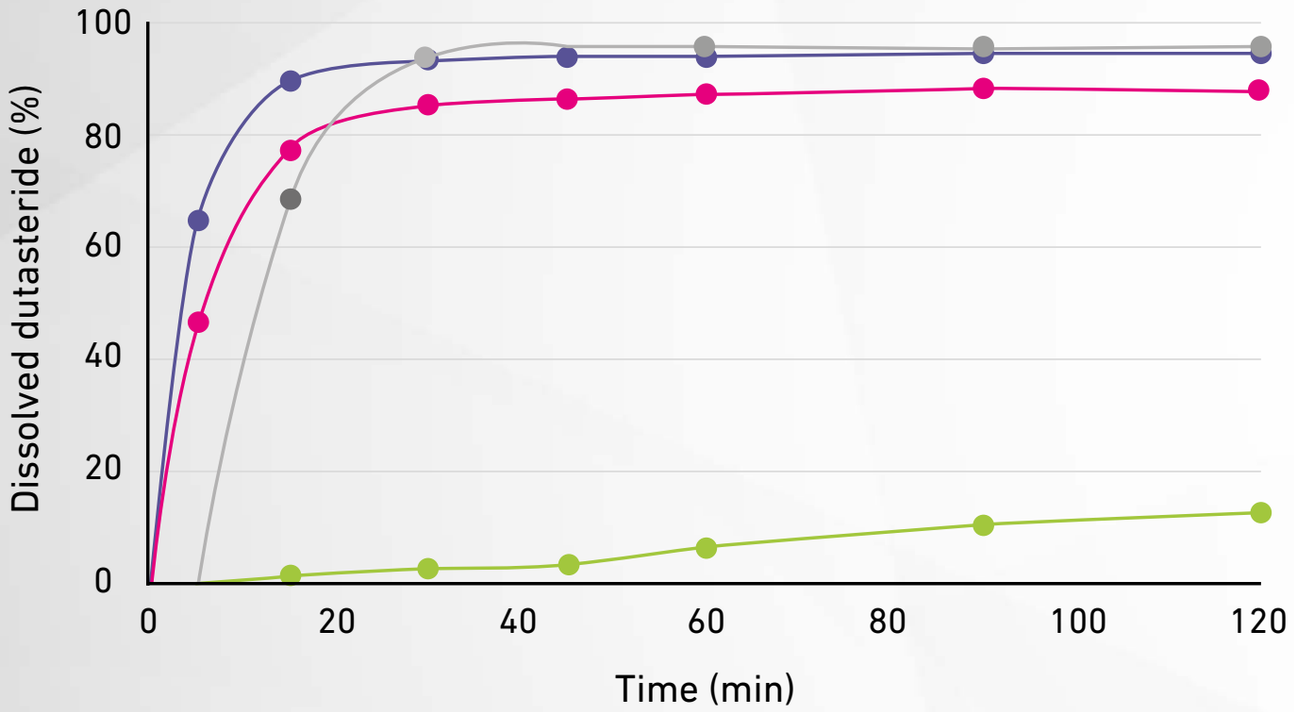
- inside the capsule: **mono and diglycerides of caprylic/capric acid** and butylated hydroxytoluene
- capsule shell: gelatin, glycerol, titanium dioxide, iron oxide yellow, triglycerides (medium chain), lecithin (may contain soya oil)



Oily formulations with dutasteride

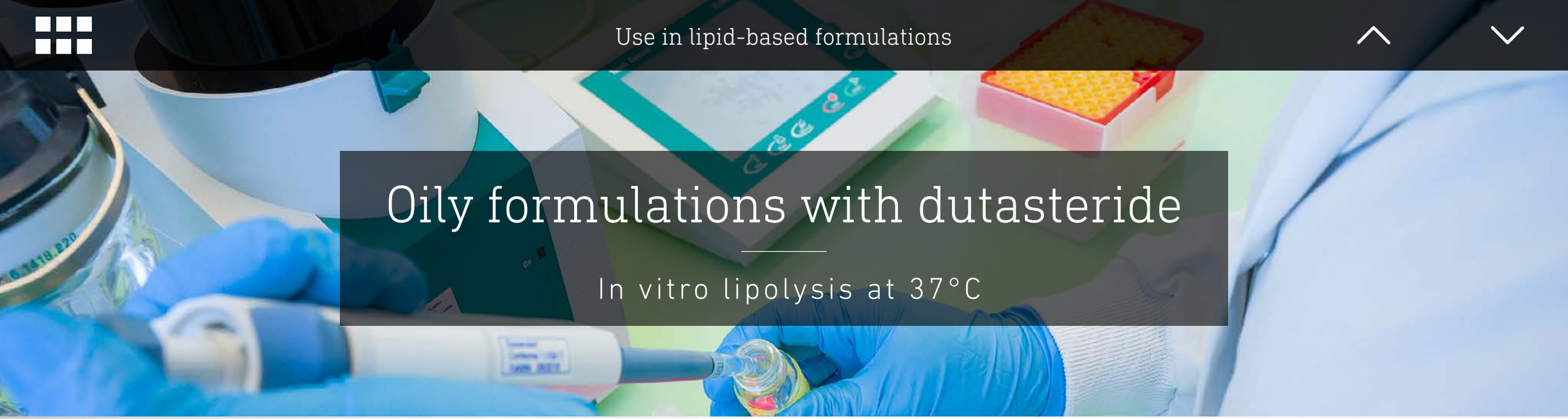
In vitro dissolution test at 37°C

Similar performance for Labrafac™ MC60 formulation and market reference



- Labrafac™ MC60 + 0.5 mg dutasteride
- Market reference 0.5 mg dutasteride
- Pure dutasteride 0.5 mg
- Glycerol monocaprylocaprate + 0.5 mg dutasteride

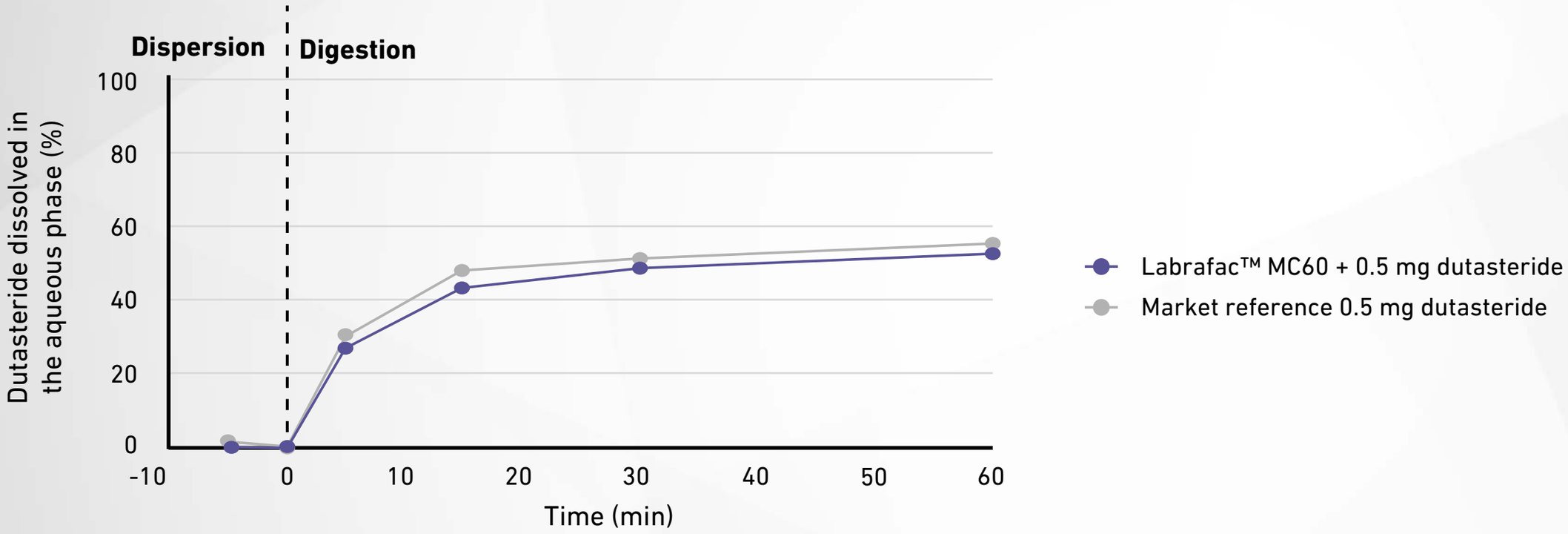
Dissolution medium: 500 ml HCl 0.1 N + 2% SDS
USP Apparatus II (paddle): 50 rpm
37±0.5°C



Oily formulations with dutasteride

In vitro lipolysis at 37°C

Equivalent performance for Labrafac™ MC60 formulation and market reference



More information on Gattefossé method for in vitro lipolysis

SEDDS with cinnarizine

Drug characteristics

- Highly lipophilic drug: LogP = 5.9
- Practically insoluble in water
- Commercial product strength: 25 to 75 mg

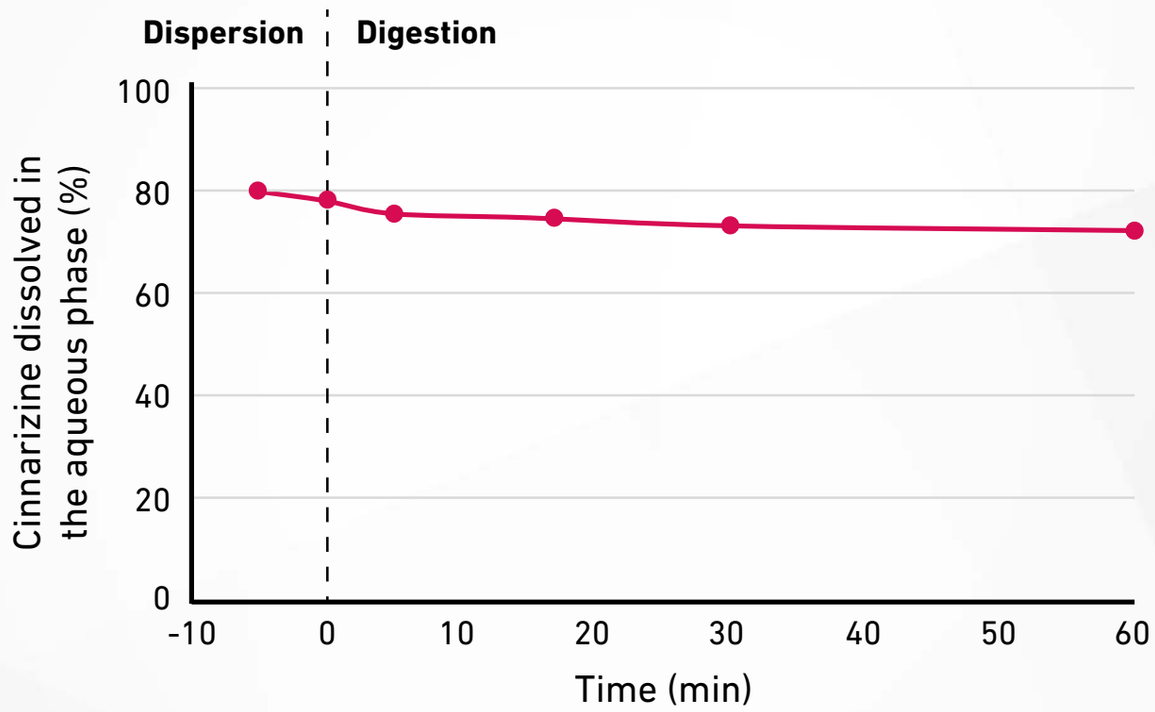
SEDDS formulation

- 75% Kolliphor® EL
- 10% Labrafac™ MC60
- 15% Maisine® CC
- 25 mg of cinnarizine per gram of SEDDS

Drug solubility in individual excipients

	Solubility at 37°C (mg/mL)
Labrafac™ MC60	30.0
Kolliphor® EL	19.6
Maisine® CC	18.8

In vitro lipolysis at 37°C



The SEDDS formulation was able to successfully maintain cinnarizine in solution during lipolysis.

SEDDS with terfenadine

Drug characteristics

- Highly lipophilic drug: LogP = 6.5
- Practically insoluble in water
- Commercial product strength: 30 to 60 mg

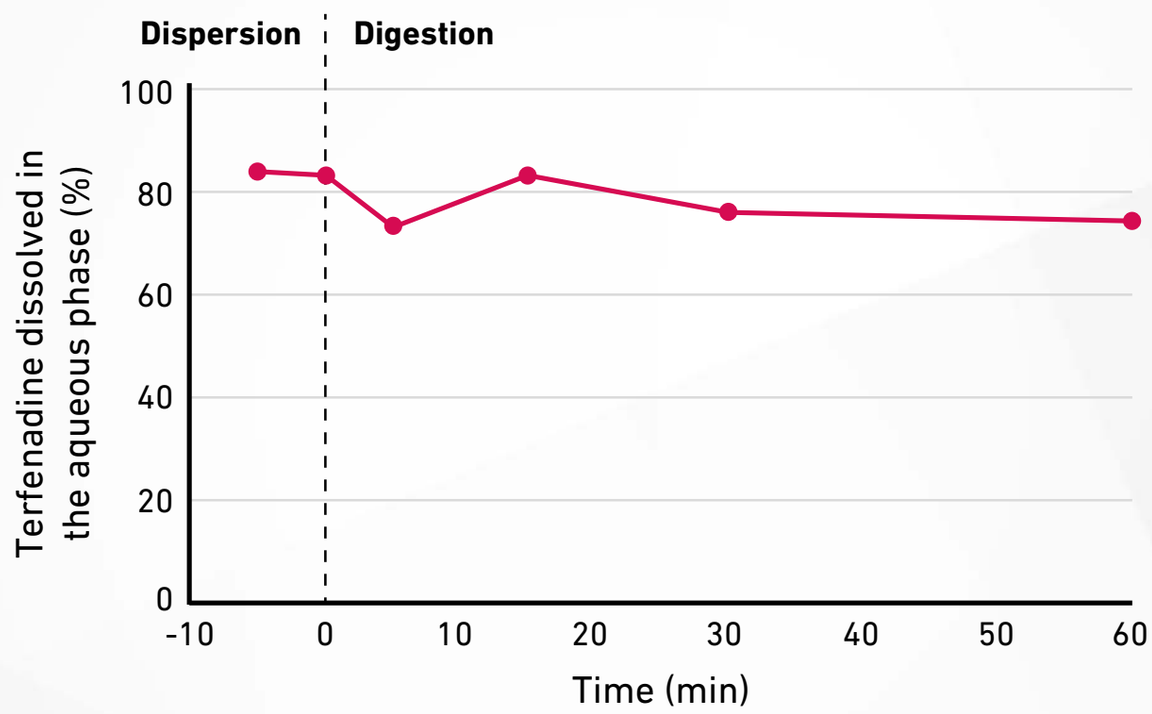
SEDDS formulation

- 45% Kolliphor® RH 40
- 40% Capryol® 90
- 15% Labrafac™ MC60
- 30 mg of terfenadine per gram of SEDDS

Drug solubility in individual excipients

	Solubility at 37°C (mg/mL)
Capryol® 90	61.8
Labrafac™ MC60	39.6
Kolliphor® RH40	21.5

In vitro lipolysis at 37°C



The SEDDS formulation was able to successfully maintain terfenadine in solution during lipolysis.

Regulatory information and precedence of use

- A multi-compendial excipient
- Maximum potency per unit dose (IID)
- Examples of commercial products

A multi-compendial excipient

USP-NF	Glyceryl Mono and Dicaprylocaprate [NOTE – May also be labeled as USP Glyceryl Monocaprylocaprate (Type I) until May 1, 2025]
Ph. Eur.	Glycerol monocaprylocaprate (Type I)
FDA Substance Registration System	UNII: U72Q2I8C85 other names

Maximum potency per unit dose (IID)

Chemical equivalent

- Glyceryl mono and dicaprylocaprate (U72Q2I8C85)

- Capsule: 765 mg
- Solution: 30 mg/mL
- Tablet: 1.3 mg

Most similar chemical

(read across approach)

- Glyceryl monocaprylate (TM2TZD4G4A)

- Capsule: 400 mg
- Solution: 349.1mg/mL

- Glyceryl monocaprylocaprate (G7515SW10N)

- Capsule: 347.5 mg

Examples of commercial products

(Source: Pharmacircle)

Soft gelatine capsules	Capsules	Tablets	Oral powder for suspension
• Ciclosporin	• Dutasteride	• Ibuprofen and hydrocodone bitartrate	• Colesevelam hydrochloride
• Dutasteride	• Tamsulosin hydrochloride and dutasteride	• Emtricitabine and tenofovir disoproxil	
• Loperamide hydrochloride	• Esomeprazole magnesium trihydrate	• Fumarate	
	• Esomeprazole magnesium	• Ezetimibe and bempedoic acid	
		• Potassium chloride	
		• Metoprolol succinate	
		• Ibuprofen and paracetamol	
		• Mycophenolic acid	
		• Chlorpromazine hydrochloride	
		• Leflunomide	
		• Sirolimus	
		• Fesoterodine fumarate	
		• Tiopronin	

Technical support

A long-established company

A pioneer in lipid-based formulations

A worldwide presence

A long tradition of knowledge sharing

High quality, functional excipients

A network of application laboratories

For technical support
and more information

www.gattefosse.com

Contact us

Labrafac™ MC60 in a nutshell

- Labrafac™ MC60, Glycerol monocaprylocaprate (type I) EP / Glyceryl Mono and Dicaprylocaprate NF

- Used to increase oral bioavailability of drugs thanks to:
  - High solubilizing capacity
  - Intestinal permeation enhancing effect

- Used in lipid-based formulations type I, II and III

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