Handbook of
PHARMACEUTICAL EXCIPIENTS

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Second Edition

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American Pharmaceutical Association
Washington

The Pharmaceutical Press
London

1994

A catalogue record for this book is available from the British Library.

Library of Congress Catalog Card Number: 94–79492.

International Standard Book Number (ISBN) in the UK: 0 85369 305 6
International Standard Book Number (ISBN) in the USA: 0 91730 66 8

Typeset in Great Britain by Alden Multimedia, Northampton.
Printed and bound in Great Britain by

Lecithin

1. Nonproprietary Names

USPNF: Lecithin
See also Section 4.

2. Synonyms

E322; egg lecithin; *Epikuron*; *Espholip*; *LSC*; mixed soybean phosphatides; ovolecithin; *Ovothin*; soybean lecithin; soybean phospholipids; vegetable lecithin.

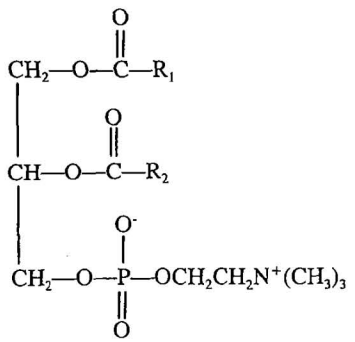
3. Chemical Name and CAS Registry Number

Lecithin [8002-43-5]
The chemical nomenclature and CAS registry numbering of lecithin is complex. The commercially available lecithin, used in cosmetics, pharmaceuticals and food products, although a complex mixture of phospholipids and other materials, may be referred to in some literature sources as 1,2-diacyl-*sn*-glycero-3-phosphocholine (trivial chemical name, phosphatidylcholine). This material is the principal constituent of egg lecithin and has the same CAS registry number. The name lecithin and the CAS registry number above are thus used to refer to both lecithin and phosphatidylcholine in some literature sources.
See also Section 4.

4. Empirical Formula Molecular Weight

The USPNF XVII describes lecithin as a complex mixture of acetone-insoluble phosphatides, which consist chiefly of phosphatidylcholine, phosphatidylethanolamine, phosphatidylserine and phosphatidylinositol, combined with various amounts of other substances such as triglycerides, fatty acids and carbohydrates as separated from a crude vegetable oil source.
The composition of lecithin and hence its physical properties varies enormously depending upon the source of the lecithin and the degree of purification. Egg lecithin, for example, contains 69% phosphatidylcholine and 24% phosphatidylethanolamine, whilst soybean lecithin contains 21% phosphatidylcholine, 22% phosphatidylethanolamine and 19% phosphatidylinositol, along with other components.[1]

5. Structural Formula



α-phosphatidylcholine
Where, R_1 and R_2 are fatty acids which may be different or identical.

Lecithin is a complex mixture of materials, *see* Section 4. The structure above shows phosphatidylcholine, the principal component of egg lecithin, in its α-form. In the β-form the phosphorus containing group and the R_2 group exchange positions.

6. Functional Category

Emollient; emulsifying agent; solubilizing agent.

7. Applications in Pharmaceutical Formulation or Technology

Lecithins are used in a wide variety of pharmaceutical applications. They are also used in cosmetics[2] and food products.
Lecithins are mainly used in pharmaceutical products as dispersing, emulsifying and stabilizing agents and are included in intramuscular and intravenous injections, parenteral nutrition formulations and topical products, such as creams and ointments.
Lecithins are also used in suppository bases,[3] to reduce the brittleness of suppositories and have been investigated for their absorption enhancing properties in an intranasal insulin formulation.[4] Lecithins are also commonly used as a component of enteral and parenteral nutrition formulations. Liposomes in which lecithin is included as a component of the bilayer have been used to encapsulate drug substances and their potential as novel delivery systems has been investigated.[5]
Therapeutically, lecithin and derivatives have been used as a pulmonary surfactant in the treatment of neonatal respiratory distress syndrome.

Use	Concentration (%)
Aerosol inhalation	0.1
IM injection	0.3-2.3
Oral suspensions	0.25-10.0

8. Description

Lecithins vary greatly in their physical form, from viscous semiliquids to powders, depending upon the free fatty acid content. They may also vary in color from brown to light yellow, depending upon whether they are bleached or unbleached.
Lecithins have practically no odor. Those derived from vegetable sources have a bland or nut-like taste, similar to soybean oil.

9. Pharmacopeial Specifications

Test	USPNF XVII (Suppl 6)
Water	$\leqslant$ 1.5%
Arsenic	$\leqslant$ 3 ppm
Lead	$\leqslant$ 0.001%
Heavy metals	$\leqslant$ 0.004%
Acid value	$\leqslant$ 36
Hexane-insoluble matter	$\leqslant$ 0.3%
Acetone-insoluble matter	$\geqslant$ 50.0%

10. Typical Properties

Density:
0.97 g/cm^3 for liquid lecithin;
0.5 g/cm^3 for powdered lecithin.
Iodine number:
95-100 for liquid lecithin;
82-88 for powdered lecithin.
Isoelectric point: ≈ 3.5
Saponification value: 196
Solubility: lecithins are soluble in aliphatic and aromatic hydrocarbons, halogenated hydrocarbons, mineral oil and fatty acids. They are practically insoluble in cold vegetable and animal oils, polar solvents and water. When mixed with water however, lecithins hydrate to form emulsions.

11. Stability and Storage Conditions

Lecithins decompose at extreme pH. They are also hygroscopic and subject to microbial degradation. When heated, lecithins oxidize, darken and decompose. Temperatures of 160-180°C will cause degradation within 24 hours.
Fluid, or waxy, lecithin grades should be stored at room temperature or above; temperatures below 10°C may cause separation.
All lecithin grades should be stored in well-closed containers protected from light.

12. Incompatibilities

Incompatible with esterases due to hydrolysis.

13. Method of Manufacture

Lecithins are essential components of cell membranes and may thus in principle be obtained from a wide variety of living matter. In practice however, lecithins are usually obtained from vegetable products such as soybean, peanut, cottonseed, sunflower, rapeseed, corn or groundnut oil. Soybean lecithin is the most commercially important vegetable lecithin. Lecithin obtained from eggs is also commercially important and was the first lecithin to be discovered.
Vegetable lecithins are obtained as a by-product in the vegetable oil refining process. Polar lipids are extracted with hexane and after removal of the solvent a crude vegetable oil obtained. Lecithin is then removed from the crude oil by water extraction. Following drying the lecithin may then be further purified.[1]
With egg lecithin, a different manufacturing process must be used since the lecithin in egg yolks is more tightly bound to proteins than in vegetable sources. Egg lecithin is thus obtained by solvent extraction from liquid egg yolks using acetone or from freeze dried egg yolks using ethanol.[1]
Synthetic lecithins may also be produced.

14. Safety

Lecithin is a component of cell membranes and is therefore consumed as a normal part of the diet. Although excessive consumption may be harmful, oral doses of up to 80 g daily have been used therapeutically in the treatment of tardive dyskinesia.[6] When used in topical formulations lecithin is generally regarded as a nonirritant and nonsensitizing material.[2]

15. Handling Precautions

Observe normal precautions appropriate to the circumstances and quantity of material handled. Lecithins may be irritant to the eyes; eye protection and gloves are recommended.

16. Regulatory Status

GRAS listed. Accepted as a food additive in Europe. Included in the FDA Inactive Ingredients Guide (inhalations, IM and IV injections, oral capsules, suspensions and tablets, rectal, topical and vaginal preparations). Included in nonparenteral and parenteral medicines licensed in the UK.

17. Pharmacopeias

Aust, Mex and USPNF.

18. Related Substances

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19. Comments

Lecithins contain a variety of unspecified materials and care should therefore be exercised in the use of unpurified lecithin in injectable or topical dosage forms as interaction with the active substance or other excipients may occur. Unpurified lecithins may also have a greater potential for irritancy in formulations.
Supplier's literature should be consulted for information on the different grades of lecithin available and their applications in formulations.

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