

Essentiale® is produced in the form of capsules (Essentiale® Forte N) and solution for injections (Essentiale® N).

**Name in Cyrillic:** Эссенциале Форте Н, Эссенциале Н

**Active substance:** Phospholipides

**Pharmacologic effect:** Hepatoprotective.

Essentiale® provides the liver, the metabolic activity of which is disturbed, with a high dose of ready for assimilation, high-energy essential phospholipids. These essential phospholipids are ideally combined with natural endogenous phospholipids in chemical structure. They mainly penetrate into the liver cells, invading their membranes.

**Essential Phospholipids:**

- normalize liver function and enzymatic activity of liver cells;
- reduce the level of energy costs of the liver;
- promote the regeneration of liver cells;
- convert neutral fats and cholesterol into forms that facilitate their metabolism;
- stabilize the physico-chemical properties of bile.

**Pharmacodynamics:**

Essential phospholipids are the main structural elements of the cell membrane and cell organelles. In liver diseases, there is always damage to the membranes of liver cells and their organelles, which leads to disruption of the activity of enzymes and receptor systems associated with them, a deterioration in the functional activity of liver cells and a decrease in the ability to regenerate.

Phospholipids, which are part of Essentiale®, correspond in their chemical structure to endogenous phospholipids, but surpass endogenous phospholipids in activity due to their higher content of PUFAs (essential). The incorporation of these high-energy molecules into damaged areas of hepatocyte cell membranes restores the integrity of liver cells and promotes their regeneration. The cis-double bonds of PUFAs prevent the parallel arrangement of hydrocarbon chains in the phospholipids of cell membranes, the phospholipid structure of the cell membranes of hepatocytes is "loosened", which leads to an increase in their fluidity and elasticity, and improves metabolism. The resulting functional blocks increase the activity of enzymes fixed on the membranes and contribute to the normal physiological pathway of the most important metabolic processes.

Phospholipids, which are part of Essentiale®, regulate the metabolism of lipoproteins, transferring neutral fats and cholesterol to the sites of oxidation, mainly due to an increase in the ability of HDL to bind to cholesterol.

Thus, there is a normalizing effect on the metabolism of lipids and proteins; detoxification function of the liver; on the restoration and preservation of the cellular structure of the liver and phospholipid-dependent enzyme systems, which ultimately prevents the formation of connective tissue in the liver and promotes the natural restoration of liver cells.

With the excretion of phospholipids into bile, the lithogenic index decreases and bile stabilizes.

In patients with non-alcoholic fatty liver disease, the use of essential phospholipids in controlled randomized clinical trials led to a significant reduction in the degree of steatosis. In clinical and observational studies, the use of Essentiale® in patients with chronic liver disease resulted in relief of general condition and symptoms, such as increased fatigue/weakness, decreased appetite, pain or discomfort in the abdomen, a feeling of early satiety, a feeling of fullness or heaviness after eating, bloating, nausea. Significant improvement in symptoms was seen in studies as early as 4 weeks (30 days) of therapy.

The use of essential phospholipids in controlled and observational studies in patients with psoriasis led to a regression of psoriatic rashes, a decrease in the psoriasis prevalence and severity index (PASI). The addition of essential phospholipids to PUVA therapy made it possible to achieve faster remission while reducing the total dose of UV irradiation.

**Pharmacokinetics:**

More than 90% of ingested phospholipids are absorbed in the small intestine. Most of them are cleaved by phospholipase A to 1-acyl-lysophosphatidylcholine, 50% of which immediately undergoes reverse acetylation into polyunsaturated phosphatidylcholine during the process of absorption in the intestinal mucosa. This polyunsaturated

phosphatidylcholine enters the bloodstream with the lymph flow and from there, mainly in the form associated with HDL, enters the liver.

Pharmacokinetic studies in humans have been performed with radioactively labeled dilinoleylphosphatidylcholine (3H and 14C). The choline moiety was labeled with 3H and the linoleic acid residue was labeled with 14C.

C<sub>max</sub> 3H is achieved 6-24 hours after administration and is 19.9% of the prescribed dose. T<sub>1/2</sub> of the choline component is 66 hours.

C<sub>max</sub> 14C is achieved 4-12 hours after administration and is up to 27.9% of the prescribed dose. T<sub>1/2</sub> of this component is 32 hours.

In feces, 2% of the administered dose of 3H and 4.5% of the administered dose of 14C are found, in the urine - 6% of 3H and only a minimal amount of 14C.

Both isotopes are more than 90% absorbed in the intestine.

#### **Indications:**

- chronic hepatitis; cirrhosis of the liver; fatty degeneration of the liver of various etiologies; toxic liver damage; alcoholic hepatitis; liver dysfunction in other somatic diseases;
- toxicosis of pregnancy;
- prevention of recurrence of the formation of gallstones;
- psoriasis (as an adjuvant therapy);
- radiation syndrome.

#### **Contraindications:**

- hypersensitivity to phosphatidylcholine, soy, soybeans or other ingredients of the drug;
- children under 12 years of age (lack of sufficient evidence base).

#### **Side effects:**

Essentiale® is usually well tolerated by patients, but it may cause allergic reactions, as it contains soybean oil.

#### **Interaction:**

The interaction of Essentiale® N (Essentiale® forte N) with anticoagulants cannot be excluded. It is necessary to adjust the dose of anticoagulants when used together with Essentiale® N (Essentiale® forte N).

#### **Dosing and Administration:**

##### Capsules :

Capsules should be swallowed whole with plenty of water (about 1 cup).

For adolescents over 12 years old and weighing more than 43 kg, as well as for adults, Essentiale® forte N is recommended to take 2 capsules 3 times a day with meals.

The recommended course of therapy is 3 months.

##### Injection :

Essentiale® N is intended for intravenous administration, it should not be administered intramuscularly due to possible local irritation reactions. Unless otherwise recommended by a physician, 1–2 ampoules (5–10 ml) or in severe cases, 2–4 ampoules (10–20 ml) per day. The contents of 2 ampoules can be administered simultaneously. Do not mix in the same syringe with other drugs. It is recommended to dilute the solution with the patient's blood in a ratio of 1:1.

If it is necessary to dilute the drug, only 5 or 10% dextrose solution is used for infusion administration, and the solution of the diluted drug should remain clear throughout the entire time of administration.

Do not dilute the drug with electrolyte solutions (isotonic solution, Ringer's solution)!

It is recommended to supplement parenteral administration with oral administration of the drug as soon as possible.

**Manufacturer:** Sanofi (Germany)

**Reliable supplier with fast Worldwide shipping:** RussianMeds Online Store <https://russianmeds.com>

**Storage:** The temperature is not above 25 °C (77 °F) - for capsules ; 2-8 °C (36-46 °F) - for ampoules