Formulations

Artesunate 250 €54,90*

Item number: 08165552 | **Contents:** 3.2 ml | **Base price:** €1403.12 / 100 ml | **Prescription-only**

Dosage form: Concentrate for infusion for intravenous use after dissolution in 100 ml sodium hydrogen carbonate 8.4%

and subsequent dilution in 500 ml of 0.9% NaCl. Infuse over 90-120 minutes.

Note: Store away from light at 2–8°C | **Ingredients:** Artesunate 250 mg, ethanol | **Shelf life:** 6 months

Artesunate 500 €99.90*

Item number: 08165553 | Contents: 6.4 ml | Base price: €1248.44 / 100 ml | Prescription-only

Dosage form: Concentrate for infusion for intravenous use after dissolution in 100 ml sodium hydrogen carbonate 8.4%

and subsequent dilution in 500 ml of 0.9% NaCl. Infuse over 90-120 minutes.

Note: Store away from light at 2–8°C | **Ingredients:** Artesunate 500 mg, ethanol | **Shelf life:** 6 months

You do not need any special infusion equipment and do not need to administer cortisone beforehand. Container for low-germ removal as a single dose by a healthcare professional. Use after dilution in sterile isotonic saline solution. Intended for immediate consumption.

Do not use formulations containing ethanol in the following cases:

- · Alcohol abuse, liver failure
- For children, pregnant women and during breastfeeding
- If there are known intolerances to any of the ingredients

 CAVE: Ethanol can aggravate epilepsy and diabetes mellitus and have a negative effect on driving ability. Ethanol enhances the effects of sedatives, antihistamines or anti-epileptic drugs.

Dosage (based on previous therapeutic experience, indication assessment and further therapy):

1-2 times per week for 10 applications/treatment cycle, repeat as needed.

Ordering options

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ARTESUNATE





Artesunate

Artemisinin, which occurs naturally in the annual mugwort *Artemisia annua*, was, according to tradition, used thousands of years ago in traditional Chinese medicine to reduce fever, later clinically identified as malaria. This tropical malaria, caused by Plasmodium parasites, was successfully treated in clinical studies in 1972 through the use of artemisinin [1,2].

Shortly afterwards, the semi-synthetic derivative artesunate demonstrated a better effect with minimal side effects [3]. In 2015, the discoverer Dr. Youyou Tu was awarded the Nobel Prize in Medicine [4].

In our formulations, we exclusively use pure artesunate, extracted from a natural source of artemisini

Areas of application

In addition to prophylactic and curative therapy [5,6] against multi-resistant strains of *Plasmodium falciparum*, artemisinin and its derivatives are increasingly becoming the focus of complementary therapy for cancer.

At the core of its anti-tumoural effect is the ability to selectively inhibit tumour cell growth and the tumour cell cycle. Together with otherpolyphenols such as curcumin and resveratrol, artemisinin derivatives are considered promising approaches to expanding the range of treatment options for cancer.

Furthermore, in a review study, artemisinin and its derivatives demonstrated extended anti-parasitic (e.g. against Toxoplasma gondii) and anti-viral (e.g. Ebstein-Barr and herpes viruses) properties [7].

Mode of action

The anti-carcinogenic effect of artemisinin and its derivatives is due to their ability to selectively disrupt tumour growth, its cell cycle and proliferation pathways [8]. This mechanism can be attributed to the specific characteristics of tumour cells, such as increased metabolism, increased blood flow and thus increased iron and transferrin levels. Artemisinin derivatives are not only well tolerated but also have an anti-neoplastic effect.

Artemisinin's anti-cancer properties are attributed to its endoperoxide bridge, which can form cytotoxic radicals upon reaction with heme groups or intracellular iron. These radicals predominantly cause cell cycle arrest and disrupt proliferation pathways [9-11].

The specific effect against cancer cells is due to their increased need for iron, their increased metabolism and their increased number of transferrin receptors compared to normal cells [12, 13-16].

Furthermore, artemisinin and its derivatives were able to induce various cellular signalling pathways, leading to apoptosis or necrosis in gastric andoesophageal tumour cell lines [18,18-20, 21]. Furthermore, artemisinin derivatives have been shown to reduce the risk of metastasis by increasing cell adhesion [22].

Artesunate in cancer therapy

The anti-neoplastic properties of artemisinin and its derivatives make them a valuable component of complementary cancer therapy. The National Cancer Institute in the USA tested artesunate for its anti-carcinogenic activity on 55 of the best-known cancer cell lines. In this study [23], breast, prostate, ovarian, colon, kidney, CNS and melanoma cells showed increased

sensitivity to artesunate. Further studies described a specific sensitivity to diffuse large-cell B-cell lymphoma cells [24,25]. Clinical studies on patients with cancer of the breast, cervix, liver and lungs showed tumour reductions of up to 70%, short-term life prolongation and even remission [26-28].

Artesunate as a synergistic and sensitising therapeutic component

Artemisinin derivatives also showed synergistic effects with chemotherapeutic agents. In combination therapy with gemcitabine for pancreatic carcinoma, there was a fourfold increase in growth inhibition in vitro and in vivo, with the apoptosis rate also doubling compared to monotherapy with gemcitabine [29]. Another study described increased inhibition of metastasis and cancer cell growth in murine Lewis lung carcinoma cell lines in combination with cyclophosphamide compared to monotherapy [30].

A characteristic feature of cancer cells is often acquired resistance to chemotherapeutic agents. The combination of artesunate with doxorubicin and pirarubicin resulted in increased cytotoxic effects in K562/ADR leukaemia and GLC4/ADR lung carcinoma cell lines [31]. Sensitisation was also observed in combination with cisplatin in ovarian cancer [32]. Even independently of p53 status, artemisinin was able to induce strong sensitisation to gemcitabine in hepatocellular carcinoma cells [33].

OUELLEN

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