METAPHARMACEUTICAL IND SL
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TECHNICAL DATA SHEET

002614-TDS-ENG-2023

DAPSONA (EUR. PH.)		
DESCRIPTION DCI: DAPSONE	DESCRIPTION DOE: DAPSONA	
CAS Nº: 80-08-0	EC Nº: 201-248-4	AEMPS CODE: 708A
MOL. WEIGHT: 248.3	MOL. FORMULA: C12H12N2O2S	ARTICLE CODE: 002614

ATTRIBUTES	SHOULD BE
Appearance	White or slightly yellowish-white, crystalline powder
Solubility	Pratically insoluble in water, freely soluble in acetone, sparingly soluble in ethanol (96 %). It dissolves in dilute mineral acids
Identification A	Complies
Identification B	Complies
Identification C	Complies
Melting point	175 - 181 ºC
Related substances	
Impurity B	=< 0.4 %
Impurity A	=< 0.3 %
Impurity C	=< 0.3 %
Unspecified impurities	=< 0.10 %
Total impurities	=< 1.0 %
Loss on drying	=< 1.5 %
Sulfated ash	=< 0.1 %
Assay	99.0 - 101.0 %

COMPLIES WITH

European Pharmacopoeia 11.0

STORAGE

Keep the containers tightly closed. Store in a dry, cool and weel-ventilated place.

REMARKS

DAPSONE is subjected to the requirements of the ICH Q3D "Elemental Impurities" guideline and the requirements of guides EMA/CHMP/ICH/82260/2006.

Absence of N-nitrosamines impurities has been ensured after a risk evaluation according to ICH Q9, ICH M7 and in accordance with guidelines EMA/428592/2019 Rev 2 and EMA/189634/2019.

Certificates of residual solvents, allergens, non-GMO and BSE-TSE, among others, are available upon request.

All methods of analysis are validated by official pharmacopoeias or are validated by internal methods of the manufacturer, which can be obtained at specific request. The above information does not exempt from the obligation to identify the product before use.

Properties and uses
It is an active sulfone against numerous microorganisms, although it is used mainly for its effect against Mycobacterium leprae, on which it has a bacteriostatic action. It probably acts by inhibiting the synthesis of folic acid by susceptible organisms. Likewise, it is active against Plasmodium and Pneumocystis carinii.
It is absorbed almost completely in the digestive tract, and peak concentrations are reached at 2 - 8 h. It binds 50-80% to plasma proteins. It is widely distributed and suffers enterohepatic cycle. The half-life is 10 - 50 h. It is excreted mainly in

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the urine. It crosses the placental barrier and passes into breast milk. It is used as part of multi-drug therapy for all types of leprosy and for the prophylaxis of leprosy and in people in contact with the patient.
Normally, it is used orally, although it has also been administered intramuscularly, but this pathway is painful and can cause abscesses.
DAPSONE is also used in the treatment of dermatitis herpetiformis and other dermatoses, in acne, in the prophylaxis of malaria (together with pyrimethamine), in the therapy and prophylaxis of Pneumocystis carinii pneumonia, in the prophylaxis of toxoplasmosis, and in the treatment of cutaneous leishmaniasis.

Dosage
Oral route, at a dose of 50 - 300 mg / day according to pathology.
Topical route, at 2.5 - 5%.

Side effects
The most frequent adverse reactions are various degrees of hemolysis and methemoglobinemia, which usually appear in some patients.
Agranulocytosis can occur when it is associated with other agents in the prophylaxis of malaria, but very rarely when DAPSONE alone is used.
Cutaneous hypersensitivity reactions, such as rashes and pruritus, may occur and, more rarely, maculopapular eruptions, exfoliative dermatitis, toxic epidermal necrolysis, and Stevens-Johnson syndrome.
There is also the DAPSONE syndrome, which appears as a mononucleosis.
More infrequent are nausea, vomiting, anorexia, headache, hepatitis, and psychosis.
It is excreted through breast milk, having been reported cases of hemolytic anemia in infants.

Precautions
Currently, it is considered that the benefits of DAPSONE in the therapy of leprosy in pregnancy, weigh more than the potential risk of it. Therefore some authors recommend using folic acid together.
In hepatic and / or renal insufficiency the doses must be reduced.

Contraindications
Hypersensitivity to sulfones, severe anemia, deficiency of glucose-6-phosphate dehydrogenase or methaemoglobin reductase, and anemia, due to the probable increase of its toxic effects, and advanced renal amyloidosis.

Interactions
The p-aminobenzoic acid antagonizes its bacteriostatic effects.
Probenecid may increase its action and / or toxicity.
Rifampin decreases its effect by stimulating the activity of hepatic microsomal enzymes.
Plasma concentrations of DAPSONE and trimethoprim are increased when used together in patients with AIDS.

Formulation examples

Anti-acne gel
DAPSONE - **3.5 %**
Zinc oxide - **3 %**
Hydroxyethylcellulose gel c.s.p. - **30 g**

DAPSONE capsules
DAPSONE - **100 mg**
for a capsule No. 50