Diethylcarbamazine Citrate Tablets I.P.

HETRAZAN® 100

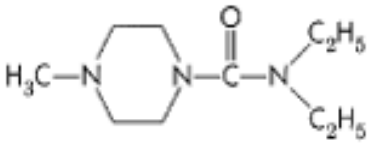
1. NAME OF THE MEDICINAL PRODUCT

Hetrazan

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each uncoated tablet contains diethylcarbamazine citrate I.P. 100 mg

The chemical name is N,N-diethyl-4-methylpiperazine-1-carboxamide and the chemical formula is $C_{10}H_{21}N_3O$



DIETHYLCARBAMAZINE

For a full list of excipients, see section 6.1

All strengths/presentations mentioned in this document might not be available in the market.

3. PHARMACEUTICAL FORM

Uncoated tablets

4. CLINICAL PARTICULARS

4.1 Therapeutic Indications

1. Filariasis
2. Tropical pulmonary eosinophilia
3. Mass drug administration

®Registered Proprietor – Wyeth Holdings LLC, USA
Licensed User – Pfizer Limited, India

4.2 Posology and Method of Administration:

Filariasis: 2 mg/kg body weight, three times a day following meals (100 mg tds for an adult of 50 kg) for 3 to 4 weeks. The course may be repeated once in six months as directed by the physician.

Tropical eosinophilia: 13 mg/kg body weight once daily or in divided doses (2 tablets of 100 mg tds for a 50 kg adult) for 4 to 7 days, or as directed by the physician.

Mass chemotherapy: 2 mg/kg for three days each month for 12 months is adequate to interrupt filarial transmission in public health programmes, or as directed by the physician.

Dosage Adjustment in Adults with Renal Impairment

Dosage reduction may be required for people with renal dysfunction or sustained alkaline urine.

4.3 Contraindications:

Hetrazan is contraindicated in patients with proven hypersensitivity to the drug.
Also, contraindicated in pregnancy (delay treatment until after delivery), infants, elderly, debilitated (usually excluded from mass treatment programmes), cardiac disease, impaired renal function.

4.4 Special Warnings and Special Precautions for Use

Administration of Hetrazan should be with care to avoid or control allergic or other untoward reactions.
In severe acute diseases, delay treatment until after recovery.
Risk of meningoencephalitis in severe infection

In severe infections, and in *O. volvulus* and /or *Loa Loa* infections, therapy may be better initiated with low doses, or with pretreatment of corticosteroids.

4.5 Interaction with Other Medicinal Products and Other Forms of Interaction

Not available

4.6 Pregnancy and Lactation

Pregnancy

Contraindicated in pregnancy

Lactation

It is not known whether DEC is distributed in breast milk or not.

4.7 Effects on Ability to Drive and Use Machines

Data not available

4.8 Undesirable Effects

Headache, lassitude, weakness may be seen; nausea and vomiting can occur.
Urticaria and asthma in asthamatics may be seen.
Reversible proteinuria, enlargement of lymph nodes might be seen.
Occasionally, allergic manifestations are seen especially in severe infections and in O. volvulus and Loa, sometimes in W. malayi.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Hetrazan, an anthelminthic agent does not resemble other antiparasitic compounds. It is a synthetic organic compound which is highly specific for several common parasites.

Hetrazan causes rapid disappearance of microfilaria of *W. bancrofti, W. malayi,* and *Loa Loa* from the blood of infected man, and of microfilaria of *O. volvulus* from skin. Microfilariae from nodules containing adult female worms, and from hydrocoele are not eliminated. It kills adult worms of *Loa Loa* and also presumably of *W. bancrofti* and *W. malayi* but not of *O. volvulus.* The mechanism of filaricidal action is unknown. The action on microfilaria is two fold: firstly, to decrease muscular activity and thus, immobilize the microfilaria due to hyperpolarization, the second to render microfilaria more susceptible to destruction by host defense mechanisms. Hetrazan causes rapid resolution of symptoms in tropical eosinophilia.

5.2 Pharmacokinetic Properties

Absorption: Readily absorbed following oral administration.

Distribution: distributed all over the body (V=3-5 L/kg)

Protein Binding: Not Available

Biotransformation: Metabolism is rapid and extensive; a major metabolite, DEC-*N*-oxide, is active. Excretion is faster in acidic urine. DEC is excreted by both urinary and extra-urinary routes; >50% of an oral dose appears in acidic urine as the unchanged drug, but this value is decreased when the urine is alkaline. Indeed, alkalinizing the urine can elevate plasma levels, prolong the plasma $t_{1/2}$, and increase both the therapeutic effect and toxicity of DEC.

Half Life: Plasma t1/2 of usual clinical doses is 4-12 hours.

5.3 Preclinical Safety Data

Not available

6. PHARMACEUTICAL PARTICULARS

6.1 List of Excipients

Lactose, Microcrystalline Cellulose (PH 102), Polacrilin Potassium (Indion 234), Colloidal Silicon Dioxide(Aerosil 200) IP, Magnesium Stearate I.P.

6.2 Incompatibilities

None

6.3 Shelf Life

24 months

6.4 Special Precautions for Storage

Store below 30°C. Protect from moisture.

6.5 Nature and Contents of Container

30 Tablets are blister packed using rear printed Aluminium foil and Clear PVDC coated PVC Film.

6.6 Instruction for Use/Handling

Keep out of reach of children.