# ALDOXI TABLETS

# METHYLDOPA U.S.P 250mg

## SUMMARY OF PRODUCT CHARACTERISTICS (SmPC)

## 1. NAME OF THE MEDICINAL PRODUCT

METHYLDOPA U.S.P 250mg

## 2. QUALITATIVE AND QUANTITATIVE COMPOSITIONS

Each tablet contains 250mg Methyldopa.

For a full list of excipients, see section 6.1.

## 3. PHARMACEUTICAL FORMS

White to off white biconvex uncoated tablet embossed with "ALDOXI 250" on one side and SAM on the other side.

## 4. CLINICAL PARTICULARS

### 4.1 Therapeutic Indication.

Methyldopa is indicated in the treatment of hypertension (mild, moderate, severe) and an adjunct with diuretic.

### 4.2 Posology and method of administration.

### Posology

**Adults:**

Usual initial dose is 250mg (1 tablet) two or three times daily for two days. This is then adjusted by small increment or decrements not more frequently than every 2 days according to reponse of the patient.

The usual maintenance dose is 0.5 to 2g daily.

It is administered orally

### 4.3 Contraindications

Methyldopa is contraindicated in patient with active hepatic disease such as acute hepatitis and active cirrhosis, histroy of depression, phaeochromocytoma, porhyria.

### 4.4 Special warnings and precaution for use.

The use of methyldopa in women who are or maybe pregnant require that anticipated benefits be weighed against possible risks.
Methyldopa appears in breast milk; therefore, caution should be exercised if methyldopa is given to a breast-feeding mother.

## 4.5 Interaction with other medicinal product and other forms of interaction.

Methyldopa is safe and has no history with other molucle and other forms of interaction.

## 4.6 Pregnancy and Lactation.

**Pregnancy**

Methyldopa does cross placental barrier and appears in cord blood. Although no obvious teratogenic effects have been reported, the possibility of foetal injury cannot be excluded and the use of the drug in women who are or maybe pregnant require that anticipated benefits be weighed against possible risks.

**Lactation**

Methyldopa appears in breast milk; therefore, caution should be exercised if methyldopa is given to a breast-feeding mother.

## 4.7 Effect on the ability to drive and use machine.

Methyldopa has sedative effect but transient hence may not have adverse effect on ability to drive and use machine.

## 4.8 Undesirable effect.

Sedation, usually transient, may occur during the initial period of therapy or whenever the dose is increased, headache, asthenia, or weakness may be noted as early and transient symptoms, dry mouth, depression, diarrhoea, fluid retention, failure of ejaculation, liver disorders, haemolytic, anaemia, systemic lupus, erythematosus like syndrome, parkinsonism, rashes, nasal stuffiness, dizziness, light headaches and symptoms of cerebrovascular insufficiency.

## 4.9 Overdose.

Overdosage of methyldopa rarely occurs but in the event of overdosage, symptomatic and supportive measures should be employed. When ingestion is recent, gastric lavage or emesis

may reduce absorption, when ingestion has been earlier, infusion may be helpful to promote urinary excretion.

# 5. PHARMACOLOGICAL PROPERTIES

## 5.1 Pharmacodynamic properties.

Methyldopa is an antihypertensive agent which may act centrally by stimulating alphaadrenergic receptors. It inhibits the decarxylation of dopa to dopamine but this action does not appear to be responsible for its hypotensive effect. It is suggested that a metabolite, alphamethylnoradrenaline, may acts as a flase transmitter in the central nervous system. Methyldopa reduces the tissue concentrations of dopamine, noradrenaline, adrenaline and serotonin.

Methyldopa is an effective antihypertensive agent that reduces both supine and standing blood pressure symptomatic postural hypotension, exercise hypotension, diumal blood pressure variations raely occur. By adjustment of dosage morning hypotension can be prevented without sacrifing control of afternoon blood pressure, methyldopa has no direct effect of cardiac function and usually does not reduce glomerular filtration rate, renal blood flow, or filtration fraction cardiac output usually is maintained without cardiac acceleration in some patients the heart is slowed.

Because of relative freedom from adverse effects on kidney function, methyldopa can be of benefit in the control of high blood pressure, even in the presence of renal impairment. It may help arrest or retard the progression on renal function impairment and damage due to sustained elevated blood pressure. Normal or elevated plasma rennin activity may decrease in the course of methyldopa therapy. The ability to inhibit dopa decarboxylase and to deplete animal tissues of norepinephrine resides solely in the L-isomer. In man, the antihypertensive activity appears to be solely to the L-isomer

## 5.2 Pharmacokinetic properties.

Absorption

When administered orally, methyldopa is absorbed by an active amino acid transporter. Peak concentrations in plasma occur after 2 to 3 hours. The drug is distributed in a relatively small apparent volume (0.4 liter/kg) and is eliminated with a half-life of about 2 hours.

Metabolism

Methyldopa is a prodrug that is metabolized in the brain to the active form. Its concentration in plasma has less relevance for its effects than is true for many other drugs.

Excretion

Excretion was entirely renal and there was no evidence of enterohepatic recycling. Most of an intravenous dose was excreted within the first four hours as unchanged methyldopa and virtually complete within forty-eight hours. Elimination was bisphasic with a radioactivity determined half-life of 0.74 to 1.10 hours for the α-phase and 8.0 to 65.0 hours for β-phase. Less than half an orally administered dose was absorbed and some of this was conjugated in the gut before absorption, this conjugate being detected in the urine only following oral administration.

## 5.3 Preclinical safety data.

Product is not a new chemical entity therefore this section is not applicable.

# 6. PHARMACEUTICAL PARTICULARS

## 6.1 List of excipients

Di-calcium Phosphate

Maize Starch

Methyl Paraben

Propyl Paraben

Sodium metabisulphite

P. V. P (K - 30)

Aerosil

Sodium starch glycolate

Magnesium Stearate

Purified talc.

Methylene chloride

COATING

Isopropyl ALCOHOL

Methylene chloride

## 6.2 Incompatibilities

Unknown

## 6.3 Shelf-life

36 Months

## 6.4 Special precautions for storage

Protect from heat and moisture and store in a cool dry place below $30^0$C

Dosage: as prescribed by the Physician

## 6.5 Nature and composition of immediate packaging

Blister with Transparent PVC/Aluminium foil and packs of 10 x 10 tablets in a carton and

500tablets in nylon and placed in plastic jar with tamper – proof seals.

6.6 Special precautions for disposal <and other handling>

No special requirements. Any unused product or waste material should be disposed of in accordance with local requirements

## 7. MARKETING AUTHORISATION HOLDER

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