Ivermectin B1 - General Information:

Ivermectin B1 (22,23-dihydroavermectin B1a + 22,23-dihydroavermectin B1b) is a broad-spectrum anti-parasite medication, traditionally used against worms (except tapeworms), but more recently found to be effective against most mites and some lice too. It is mainly used in humans in the treatment of onchocerciasis, but is also effective against other worm infestations (such as strongyloidiasis, ascariasis, trichuriasis and enterobiasis).

Pharmacology:

Ivermectin B1 is a semisynthetic, anthelminitic agent. It is an avermectin which a group of pentacyclic sixteen-membered lactone (i.e. a macrocyclic lactone disaccharide) derived from the soil bacterium Streptomyces avermitilis. Avermectins are potent anti-parasitic agents. Ivermectin B1 is the most common avermectin. It is a broad spectrum antiparasitic drug for oral administration. It is sometimes used to treat human onchocerciasis (river blindness). It is the mixture of 22,23-dihydro-avermectin B1a (at least 90%) and 22,23-dihydro-avermectin B1b (less than 10%).

Ivermectin B1 for patients

STROMECTOL should be taken on an empty stomach with water.

Strongyloidiasis: The patient should be reminded of the need for repeated stool examinations to document clearance of infection with *Strongyloides stercoralis.*

Onchocerciasis: The patient should be reminded that treatment with STROMECTOL does not kill the adult *Onchocerca* parasites, and therefore repeated follow-up and retreatment is usually required.

Ivermectin B1 Interactions

Ivermectin B1 Contraindications

STROMECTOL is contraindicated in patients who are hypersensitive to any component of this product.

Additional information about Ivermectin B1

Ivermectin B1 Indication: For the treatment of intestinal (i.e., nondisseminated) strongyloidiasis due to the nematode parasite *Strongyloides stercoralis*. Also for the treatment of onchocerciasis (river blindness) due to the nematode parasite *Onchocerca volvulus*. Can be used to treat scabies caused by *Sarcoptes scabiei*.

Mechanism Of Action: Ivermectin B1 binds selectively and with high affinity to glutamate-gated chloride ion channels in invertebrate muscle and nerve cells of the microfilaria. This binding causes an increase in the permeability of the cell membrane to chloride ions and results in hyperpolarization of the cell, leading to paralysis and death of the parasite. Ivermectin B1 also is believed to act as an agonist of the neurotransmitter gamma-aminobutyric acid (GABA), thereby disrupting GABA-mediated central nervous system (CNS) neurosynaptic transmission. Ivermectin B1 may also impair normal intrauterine development of O. volvulus microfilariae and may inhibit their release from the uteri of gravid female worms.

Drug Interactions: Not Available

Food Interactions: Not Available

Generic Name: Ivermectin

Synonyms: 22,23-Dihydroxy-avermectin B; 22,23-Dihyroavermectin B1; 5-O-demethyl-22,23-dihydro-avermectin A1a

Drug Category: Anthelmintics; Antinematodal Agents; Antiprotozoal Agents

Drug Type: Small Molecule; Approved

Other Brand Names containing Ivermectin: Ivermectin B1; Ivermectin-luminol; Mectizan;Stromectol;

Absorption: Moderately well absorbed. Improved absorption with high fat meal.

Toxicity (Overdose): LD_{50} = 29.5 mg/kg (Mouse, oral). LD_{50} = 10 mg/kg (Rat, oral). Adverse effects include muscle or joint pain, dizziness, fever, headache, skin rash, fast heartbeat.

Protein Binding: 93%

Biotransformation: Primarily hepatic. Ivermectin and/or its metabolites are excreted almost

exclusively in the feces over an estimated 12 days, with less than 1 % of the administered dose excreted in the urine.

Half Life: 16 hours (also reported at 22-28 hours)

Dosage Forms of Ivermectin B1: Tablet Oral

Chemical IUPAC Name: Not Available

Chemical Formula: C95H146O28

Ivermectin on Wikipedia: http://en.wikipedia.org/wiki/Ivermectin

Organisms Affected: Parasitic nematodes and other roundworms