Aripen®

Aripiprazole

Description: Aripiprazole (**Aripen®**) is an atypical antipsychotic drug that exhibits high affinity for dopamine D_2 and D_3, serotonin 5-HT_{1A} and 5-HT_{2A} receptors, moderate affinity for dopamine D_4, serotonin 5-HT_{2c} and 5-HT_7, alpha1-adrenergic and histamine H_1 receptors and moderate affinity for the serotonin reuptake site. Aripiprazole has no appreciable affinity for cholinergic muscarinic receptors.

Mode of action: The mechanism of action of Aripiprazole (**Aripen®**) as with other drugs having efficacy in schizophrenia, is unknown. However, it has been proposed that the activity of aripiprazole in schizophrenia is mediated through a combination of partial agonist activity at D_2 and 5-HT_{1A} receptors and antagonist activity at 5-HT_{2A} receptors. This is associated with improvement of depressive, cognitive and negative symptoms.

Pharmacokinetics: Aripiprazole (**Aripen®**) is well absorbed, with peak plasma concentrations occurring within 3-5 hours after dosing. Aripiprazole undergoes minimal pre-systemic metabolism. The absolute oral bioavailability of the tablet formulation is 87%. There is no effect of a high fat meal on the pharmacokinetics of aripiprazole.
Aripiprazole is widely distributed throughout the body with an apparent volume of distribution of 4.9 L/kg, indicating extensive extravascular distribution. At therapeutic concentrations, aripiprazole and dehydro-aripiprazole are greater than 99% bound to serum proteins, binding primarily to albumin.
Aripiprazole is extensively metabolised by the liver primarily by three biotransformation pathways: dehydrogenation, hydroxylation and N-dealkylation.
The mean elimination half-life for aripiprazole is approximately 75 hours.

Composition
Aripen® 10 mg Tablet: Each tablet contains Aripiprazole INN 10 mg.
Aripen® 15 mg Tablet: Each tablet contains Aripiprazole INN 15 mg.

Indications: Aripiprazole is indicated for the treatment of schizophrenia, schizoaffective disorder, acute manic and mixed episodes associated with bipolar I disorder.

Dosage and administration: *Schizophrenia:* The recommended starting and target dose for aripiprazole is 10 or 15 mg/day administered on a once-a-day schedule without regard to meals. Dosage increases should not be made before 2 weeks, the time needed to achieve steady state.
Bipolar mania: 30 mg once daily, without regard to food.

Contraindications: Aripiprazole is contraindicated in patients with a known hypersensitivity to the product.

Side effects: Adverse effects occurring in 2% or more of patients receiving aripiprazole in short-term clinical studies and more frequently than with placebo include headache, anxiety, insomnia, nausea, vomiting, lightheadedness, somnolence, constipation, akathisia, asthenia, rash, rhinitis, tremor, blurred vision, coughing and fever.

Precautions: Aripiprazole should be used with caution in patients with known cardiovascular or cerebrovascular disease and/or conditions with history of seizure and/or conditions that would predispose patients to hypotension (e.g. dehydration, hypovolemia, concomitant antihypertensive therapy). Aripiprazole should be used with caution when administered in patients exposed to conditions that may contribute to an elevation in core body temperature (e.g., dehydration, extreme heat, strenuous exercise, concomitant use of anticholinergic agents), in patients at risk for aspiration pneumonia, in patient with neuroleptic malignant syndrome and tardive dyskinesia.

Use in pregnancy and lactation: *Pregnancy:* No information is available. It should be used only if potential benefit outweighs risk. *Lactation:* Aripiprazole is distributed into milk in rats. It is not known whether aripiprazole is distributed into milk in humans. Women who receives aripiprazole should not breast-feed.

Drug interactions: Caution should be exercised when aripiprazole is taken in combination with centrally acting drugs and alcohol. Carbamazepine could cause an increase in aripiprazole clearance and lower blood levels. Ketoconazole, quinidine, fluoxetine or paroxetine can inhibit aripiprazole elimination and cause increased blood levels.

Overdosage: The reported sign and symptoms with aripiprazole overdose are nausea, vomiting, asthenia, diarrhoea and somnolence. No specific information is available on the treatment of overdose with aripiprazole. An electrocardiogram should be obtained in case of over dosage and, if QTc interval prolongation present, cardiac monitoring should be instituted. Otherwise, management of overdose should concentrate on supportive therapy, maintaining an adequate airway, oxygenation and ventilation, and management of symptoms. Close medical supervision and monitoring should continue until the patient recovers.

Storage: Store in a cool and dry place, protected from light.

Packaging
Aripen® 10 mg Tablet: Each carton contians 10X3 tablets in blister pack.
Aripen® 15 mg Tablet: Each carton contains 10X2 tablets in blister pack.

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Ideas for healthcare

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