xxxxxxxx-5343

RISPERIDONE

ASPIDON

Antipsychotic

FORMULATION
Each film coated tablet contains:
Risperidone…….. 2 mg / 3 mg / 4 mg

CLINICAL PHARMACOLOGY
Risperidone with the precise mechanism of action as other antipsychotic drugs, is known but the antipsychotic activity is mediated through a combination of dopamine type 2 (D_2) and serotonin type 2 ($5HT_2$) antagonism.
Risperidone is a selective monominergic antagonist with high affinity (Ki of 0.12 to 7.3 nM) for the serotonin type 2 ($5HT_2$), dopamine type 2 (D_2) a_1 and a_2 adrenergic, and H_1histaminic receptors. Risperidone has no affinity for cholinergic muscarinic or b_1 and b_2 adrenergic receptors.
The relative oral bioavailability of Risperidone after administration of a single 1 mg tablet was 94%. After oral administration of solution or tablet, mean peak plasma concentrations occurred at about 1 hour. The apparent half-life of Risperidone was three hours. Steady state concentrations of Risperidone are reached in 1 day in extensive metabolizers.
Total plasma protein binding of Risperidone was about 90% over the in vitro concentration range of 0.5 to 200mg/mL and increased with increasing concentrations of a_1 acid glycoprotein. After oral administration, the elimination half-life of the active antipsychotic fraction is 24 hours.
Total recovery of Risperidone at one week was nearly up to 85%, including 70% in the urine and 15% in the feces. Risperidone is extensively metabolized in the liver to a major active metabolite, 9-hydroxyrisperidone that is equi-effective with Risperidone with respect to receptor binding activity and some effects in animals. Plasma concentrations of Risperidone, 9-hydroxyrisperidone, and Risperidone plus 9-hydroxyrisperidone are dose proportional over the dosing range of 1 to 16 mg daily (0.5 to 8 mg b.i.d). Food does not affect either the rate of extent of absorption. Thus, Risperidone can be given with or without meals. The absolute oral bioavailability was 70%.

PHARMACOKINETICS
Risperidone is readily absorbed after oral doses, peak plasma concentrations being reached within 1 to 2 hours. It is extremely metabolized in the liver to hydroxylation to its main active metabolite, 9-hydroxylation; oxidative N-dealkylation is a minor metabolic pathway. Hydroxylation is mediated by the cytochrome P450 isozyme CYP2D6 and is the subject of genetic polymorphism. Excretion is mainly in the urine and to a lesser extent, in the feces. Risperidone and 9-hydroxyrisperidone are about 88% and 77% bound to plasma proteins, respectively.

INDICATION
Risperidone is indicated for the management of the manifestation of psychotic disorders. It is indicated for the treatment of acute and chronic schizophrenic psychoses, and other psychotic conditions.

CONTRAINDICATIONS
Risperidone is contraindicated in patients with a known hypersensitivity to the product.

PRECAUTIONS
Risperidone may induce orthostatic hypotension associated with dizziness, tachycardia, and in some patients syncope especially during the initial dose titration period, probably reflecting its alpha-adrenergic antagonistic properties. Risperidone should be used with caution in patients with known cardiovascular disease like myocardial infarction, Ischaemia, heart-failure or conduction abnormalities, cerebrovascular diseases and conditions which would pre-dispose patients to hypotension like dehydration hypovolinea and treatment with anti-hypertensive medicine.

DOSAGE & ADMINISTRATION
Schizophrenia:
When switching from other antipsychotics, where medically appropriate, gradual discontinuation of the previous treatment while Risperidone therapy is initiated is recommended.

Adults
Risperidone may be given once or twice daily. Treatment should be started with 2mg/day, whether for acute or chronic condition. The dosage may be increased to 4 mg/day on the second day. Some patients, such as first episode patients, may benefit from a slower rate of titration. From then on, the dosage can be maintained unchanged, or further individualized, if needed. Most patients will benefit from daily doses between 4 and 6 mg/day although in some, an optimal response may be obtained at lower doses.
Doses above 10 mg/day generally have not been shown to provide additional efficacy to lower doses and may increase the risk of extrapyramidal symptoms. Doses above 10 mg/day should only be used in individual patients if the benefit is considered to outweigh the risk. Doses above 16 mg/day have not been extensively evaluated for safety and therefore should not be used.

Elderly & Patients with renal and liver disease
A starting dose of 0.5 mg b.d. is recommended. This dosage can be individually adjusted with 0.5 mg b.d. increments to 1 to 2 mg b.d. Risperidone should be used with caution in this group of patients until further experience is gained.

Children
Use of Risperidone for schizophrenia in children aged less than 15 years has not been formally evaluated.

Bipolar Mania:

Adults
Risperidone should be administered on a once daily schedule, starting with 2 mg. Dosage adjustments, if indicated, should occur at intervals of not less than 24 hours and in dosage increments of 1 mg per day. A dosing range between 1 and 6 mg per day is recommended.
As with all symptomatic treatments, the continued use of Risperidone must be evaluated and justified on an ongoing basis.

Elderly & Patients with renal and liver disease
A starting dose of 0.5 mg b.d. is recommended. This dosage can be individually adjusted with 0.5 mg b.d. increments to 1 to 2 mg b.d. Risperidone should be used with caution in this group of patients until further experience is gained.

Combined use with mood stabilisers
There is limited information on the combined use of Risperidone with carbamazepine in bipolar mania. Carbamazepine has been shown to induce the metabolism of risperidone producing lower plasma levels of the antipsychotic fraction of Risperidone. It is therefore not recommended to co-administer Risperidone with carbamazepine in bipolar mania patients until further experience is gained. The combined use with lithium or valproate does not require any adjustment of the dose of Risperidone.

ADVERSE EFFECTS
Commonly observed adverse effects are : Insomnia, agitation, anxiety and headache.
Less commonly observed effects are : Somnolence, fatigue, dizziness, impaired concentration, constipation, dyspepsia, nausea, abdominal pain, blurred vision, erectile dysfunction, ejaculatory dysfunction, orgasmic dysfunction, rhinitis, rash. In addition to orthostatic hypotension hypertension has been reported frequently.

CAUTION
Foods, Drugs, Devices and Cosmetics Act prohibits dispensing without prescription.

STORAGE CONDITION
Store at temperatures not exceeding 30^{o}C.

AVAILABILITY
RISPERIDONE (Aspidon) 2 & 3 mg In a box of 20 (Blister strip of 10 Tablets).
RISPERIDONE (Aspidon) 4 mg In a box of 50 (Blister strip of 10 tablets).

torrent PHARMA

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