

BUZON Tablets

(Risperidone Tablets USP)

COMPOSITION:

Each film coated tablet contains:
Risperidone USP ... 1mg, 2mg, 3mg or 4mg.
[USP Specs.]

DESCRIPTION: **BUZON** (Risperidone) is a novel antipsychotic belonging to a new class of antipsychotic agent, the benzisoxazole derivatives.

PHARMACODYNAMICS: Risperidone is a selective monoaminergic antagonist with unique properties. It has a high affinity for serotonergic 5-HT₂ and dopaminergic D₂-receptors. Risperidone binds also to α 1-adrenergic receptors, and with lower affinity to H₁-histaminergic and α 2-adrenergic receptors. Risperidone has no affinity for cholinergic receptors. Although Risperidone is a potent D₂-antagonist, which is considered to improve the positive symptoms of schizophrenia, it causes less depression of motor activity and induction of catalepsy than classical neuroleptics. Balanced central serotonin and dopamine antagonism may reduce extrapyramidal side effect liability and extend the therapeutic activity to the negative and affective symptoms of schizophrenia.

PHARMACOKINETICS: Risperidone is completely absorbed after oral administration, reaching peak plasma concentration within 1 to 2 hours. The absorption is not affected by food and thus Risperidone can be given with or without meals. Risperidone is metabolized by cytochrome P-450 2D6 to 9-hydroxy-risperidone, which has a similar pharmacological activity as Risperidone. Risperidone plus 9-hydroxy-risperidone form the active antipsychotic fraction. Another metabolic pathway of Risperidone is N-dealkylation. Risperidone is rapidly distributed. The volume of distribution is 1-2L/kg. In plasma, Risperidone is bound to albumin and α 1-acid glycoprotein. The plasma protein binding of Risperidone is 88% and that of 9-hydroxy-risperidone is 77%.

INDICATIONS: **BUZON** (Risperidone) Tablet is indicated for the treatment of acute and chronic schizophrenic psychosis, and other psychotic conditions, in which positive symptoms (such as hallucinations, delusions, thought disturbances, hostility, suspiciousness) and/or negative symptoms (such as blunted affect, emotional and social withdrawal, and poverty of speech) are prominent. **BUZON** Tablet also alleviates affective symptoms such as depression, guilt feelings, anxiety associated with schizophrenia. **BUZON** Tablet is also indicated for the treatment of autistic children. Risperidone showed significant improvement in behaviour in autistic children. **BUZON** Tablet is also indicated as long-term therapy for the prevention of relapse (acute exacerbation) in chronic schizophrenic patients. In addition, **BUZON** Tablet is indicated for the treatment of behavioural disturbances in patients with dementia in whom symptoms such as aggressiveness (verbal outbursts, physical violence), activity disturbances (agitation, wandering) or psychotic symptoms are prominent.

CONTRA-INDICATIONS: Risperidone is contra-indicated in patients with a known hypersensitivity to the product.

WARNINGS AND PRECAUTIONS: Due to the α -blocking activity of Risperidone orthostatic hypotension may occur, especially during the initial dose-titration period. Risperidone should be used with caution in patients with known cardiovascular disease (e.g. heart failure, myocardial infarction, conduction abnormalities, hypovolemia, or cerebrovascular disease), and the dosage should be gradually titrated as recommended. A dose reduction should be considered if hypotension occurs. It is recommended to halve both the starting dose and the subsequent dose increments in geriatric patients and in patients with renal or liver insufficiency. Caution is also due when prescribing Risperidone to patients with Parkinson's disease since, theoretically, it might cause a deterioration of the disease. Classical neuroleptics are known to lower the seizure threshold. Caution is recommended when treating patients with epilepsy. Patients may be advised to refrain from excessive eating in view of the possibility of weight gain.

INTERACTIONS: Risperidone should be used with caution in combination with other centrally acting drugs. Risperidone may antagonize the effect of levodopa and other dopamine-agonists. Carbamazepine has been shown to decrease the plasma levels of the active antipsychotic fraction of Risperidone. Similar effects may be observed with other hepatic enzyme inducers. On discontinuation of carbamazepine or other hepatic enzyme inducers the dosage of Risperidone should be re-evaluated and if necessary decreased. Phenothiazines, tricyclic antidepressants and some beta-blockers may increase the plasma concentrations of Risperidone but not those of the antipsychotic fraction. When Risperidone is taken together with other highly protein-bound drugs, there is no clinically relevant displacement of either drug from the plasma proteins.

EFFECTS ON DRIVING ABILITY AND USE OF MACHINERY: Risperidone may interfere with activities requiring mental alertness. Therefore, patients should be advised not to drive or operate machinery until their individual susceptibility is known.

DOSAGE AND ADMINISTRATION:

Adults: **BUZON** Tablets may be given in 1 or 2 divided doses daily. The usual initial daily dose of **BUZON** Tablets is 2mg on the first day, 4mg on the second day, and 6mg on the third day. From then the dosage can be maintained unchanged, or further individualized, if needed. The usual maintenance doses are 4 to 8mg daily.

Rev: 12-18/6

