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135 x 260mm

GABAPENTIN

GABALION-100

100 mg Capsule
Anticonvulsant

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FORMULATION:
Each capsule contains:
Gabapentin USP.....100 mg

PRODUCT DESCRIPTION:
White / White coloured hard gelatin capsules size '2' containing white to off-white coloured powder.

PHARMACODYNAMICS:
Gabapentin readily enters the brain and prevents seizures in a number of animal models of epilepsy. Gabapentin does not possess affinity for either $GABA_A$ or $GABA_B$ receptor nor does it alter the metabolism of GABA. It does not bind to other neurotransmitter receptors of the brain and does not interact with sodium channels. Gabapentin binds with high affinity to the α2δ (alpha-2-delta) subunit of voltage-gated calcium channels and it is proposed that binding to the α2δ subunit may be involved in gabapentin's anti-seizure effects in animals. Broad panel screening does not suggest any other drug target other than α2δ.
Evidence from several pre-clinical models informs that the pharmacological activity of gabapentin may be mediated via binding to α2δ through a reduction in the release of excitatory neurotransmitters in regions of the central nervous system. Such activity may underlie gabapentin's anti-seizure activity. The relevance of these actions of gabapentin to the anticonvulsant effects in humans remains to be established.
Gabapentin also displays efficacy in several pre-clinical animal pain models. Specific binding of gabapentin to the α2δ subunit is proposed to result in several different actions that may be responsible for analgesic activity in animal models. The analgesic activities of gabapentin may occur in the spinal cord as well as at higher brain centers through interactions with descending pain inhibitory pathways. The relevance of these pre-clinical properties to clinical action in humans is unknown.

PHARMACOKINETICS:
Gabapentin is absorbed from the intestinal tract by means of a saturable mechanism. After multiple dosing, peak plasma concentrations are usually achieved within 2 hours of a dose, and a steady state is achieved within 1-2 days. Gabapentin is not appreciably metabolized and most of a dose is excreted unchanged in the urine with the remainder appearing in the feces. Gabapentin is widely distributed throughout the body but binding to plasma proteins is minimal. The elimination half-life has been reported to be about 5-7 hours. Gabapentin is distributed in breast milk.

INDICATIONS:
Used as monotherapy or adjunctive therapy in the treatment of partial seizures with or without secondary generalization; used in the treatment of neuropathic pain.

DOSAGE AND ADMINISTRATION:
Initial dose for the treatment of epilepsy is 300 mg by mouth on the first day of treatment, 300 mg twice daily on the second day, and 300 mg three times daily on the third day; thereafter, the dose may be increased in increments of 300 mg daily until effective antiepileptic control is achieved, which is usually within the range of 0.9-1.2 g daily. The maximum dose is 2.4 g daily in divided doses. Higher doses of up to 3.6 g daily administered for a short period have been reported to be well tolerated.
Initial dose for children 6-12 years of age for the treatment of epilepsy is 10 mg/kg on the first day of treatment, 20 mg/kg on the second day, and 25-35mg/kg on the third day. Recommended maintenance doses are 900 mg daily for children weighing 37-50 kg.
Administration in renal impairment: Reduced doses of Gabapentin are recommended for patients with renal impairment or those undergoing hemodialysis. For those undergoing hemodialysis who have never received Gabapentin, the recommended loading dose is 300-400 mg followed by 200-300 mg after each 4 hours of hemodialysis. Or as prescribed by the physician.

CONTRAINDICATIONS:
Gabapentin is contraindicated in patients who are hypersensitive to the active substance or to any of the excipients in the formulation.

WARNINGS:
Patients should be warned that gabapentin may affect their alertness and that caution should be exercised when driving a vehicle, operating machinery, or performing hazardous tasks. The concomitant uses of alcohol will intensify these effects.

SPECIAL PRECAUTIONS:
Gabapentin should be used with caution in patients with a history of psychotic illness. It should also be used with caution in renal impairment. Abrupt withdrawal of Gabapentin in epileptic patients may precipitate status epilepticus.
General: Although there is no evidence of rebound seizures with gabapentin, abrupt withdrawal of anticonvulsants in epileptic patients may precipitate status epilepticus.
Gabapentin is generally not considered effective in the treatment of absence seizures.
Gabapentin treatment has been associated with dizziness and somnolence, which could increase the occurrence of accidental injury (fall). There have also been post-marketing reports of confusion, loss of consciousness, and mental impairment. Therefore, patients should be advised to exercise caution until they are familiar with the potential effects of the medication.
Patients who require concomitant treatment with opioids may experience increases in gabapentin concentrations. Patients should be carefully observed for signs of central nervous system (CNS) depression, such as somnolence, sedation, and respiratory depression, and the dose of gabapentin or opioids should be reduced appropriately.
Drug rash with eosinophilia and systemic symptoms: Severe, life-threatening, systemic hypersensitivity reactions such as drug rash with eosinophilia and systemic symptoms (DRESS) have been reported in patients taking antiepileptic drugs, including gabapentin.
It is important to note that early manifestations of hypersensitivity, such as fever or lymphadenopathy, may be present even though the rash is not evident. If such signs or symptoms are present, the patient should be evaluated immediately. Gabapentin should be discontinued if an alternative etiology for the signs or symptoms cannot be established.
Anaphylaxis: Gabapentin can cause anaphylaxis. Signs and symptoms in reported cases have included difficulty breathing, swelling of the lips, throat, and tongue, and hypotension requiring emergency treatment. Patients should be instructed to discontinue gabapentin and seek immediate medical care should they experience signs or symptoms of anaphylaxis.
Abuse and Dependence: Cases of abuse and dependence have been reported in the post-marketing database. As with any CNS active drug, carefully evaluate patients for a history of drug abuse and observe them for possible signs of gabapentin abuse.
Information for patients: To ensure the safe and effective use of gabapentin, the following information and instructions should be given to patients: Patient should inform the physician about any prescription or non-prescription medications, alcohol, or drugs he/she is now taking or are planning to take during treatment with gabapentin.
Patient should inform the physician if she is pregnant, if planning to become pregnant, or if she becomes pregnant while taking gabapentin.
Gabapentin is excreted in human milk, and the effect on the nursing infant is unknown. Patient should inform the physician if she is breastfeeding an infant.
Gabapentin may impair a patient's ability to drive a car or operate potentially dangerous machinery. Until it is known that this medication does not affect the ability to engage in these activities, do not drive a car or operate potentially dangerous machinery.
Patient should not allow more than 12 hours between gabapentin doses to prevent breakthrough convulsions.
Prior to initiation of treatment with gabapentin, the patient should be instructed that a rash or other signs or symptoms of hypersensitivity, such as fever or lymphadenopathy may herald a serious medical event and that the patient should report any such occurrence to a physician immediately.

Effects on the ability to drive and use machines:
Patients should be advised not to drive a car or operate potentially dangerous machinery until it is known that this medication does not affect their ability to engage in these activities.

PREGNANCY AND LACTATION:
Fertility: There is no effect on fertility in animal studies.
Pregnancy: Gabapentin crosses the human placenta.
Congenital malformations and adverse pregnancy outcomes have been reported with gabapentin use; however, there are no adequate and well-controlled studies in pregnant women and no definite conclusions can be made as to whether gabapentin is causally associated with an increased risk of congenital malformations or other adverse developmental outcomes when taken during pregnancy. The risk of birth defects is increased by a factor of 2-3 in the offspring of mothers treated with an antiepileptic medicinal product.
Studies in animals have shown reproductive toxicity. The potential risk for humans is unknown. Gabapentin should be used during pregnancy only if the potential benefit to the mother clearly outweighs the potential risk to the fetus.
Lactation: Gabapentin is excreted in human milk. Because the effect on the nursing infant is unknown, caution should be exercised when gabapentin is administered to a nursing mother. Gabapentin should be used in nursing mothers only if the benefits clearly outweigh the risks.

ADVERSE DRUG REACTIONS:
Peripheral edema and dental abnormalities.
Nervous system disorders: Fatigue, somnolence, dizziness, ataxia, tremor, vertigo, headache, dysarthria, amnesia, confusion, insomnia, twitching, abnormal coordination, paraesthesia, nervousness, abnormal thinking, depression, hyperkinesia.
Gastrointestinal disorders: Nausea and vomiting, dyspepsia, abdominal pain, dryness of mouth or throat, constipation, diarrhea, xerostomia.
Eye disorders: Diplopia, amblyopia, nystagmus, blurred vision.
Respiratory system: Rhinitis, pharyngitis, coughing, respiratory tract infection.
Skin and subcutaneous tissue disorders: Rash, pruritus, abrasion, acne, maculopapular rash.
Psychiatric disorders: Depression, confusion, hallucinations, and psychoses.
Reproductive system disorders: Impotence.
Musculoskeletal and bone disorders: Myalgia, back pain, fracture.
Vascular disorders: Peripheral edema, vasodilation.
Blood and lymphatic system disorders: Leucopenia, purpura, decreased white blood cells.
Infections and infestations: Viral infection.
Metabolism and nutrition disorders: Increased appetite resulting in weight gain.

DRUG INTERACTIONS:
Cimetidine may increase the serum concentration of gabapentin by decreasing its clearance by 14%. Gabapentin may increase the serum concentration of felbamate norethindrone and phenytoin.
Concomitant use of Gabapentin with magnesium and aluminum-containing antacids reduces Gabapentin bioavailability by approximately 20%. It is recommended that gabapentin be taken about two hours following antacid administration. Concurrent use of Gabapentin with alcohol and other CNS depressants may increase the CNS depressant effects. False positive tests for proteinuria may occur with Ames Multistix-SG.

OVERDOSE AND TREATMENT:
Acute, life-threatening toxicity has not been observed with gabapentin overdoses up to 49 g. Symptoms of the overdoses included dizziness, double vision, slurred speech, drowsiness, loss of consciousness, lethargy, and mild diarrhea. All patients recovered fully with supportive care.
Reduced absorption of gabapentin at higher doses may limit drug absorption at the time of overdosing and hence, minimize toxicity from overdoses.
Although gabapentin can be removed by hemodialysis, based on prior experience it is usually not required. However, in patients with severe renal impairment, hemodialysis may be indicated.
An oral lethal dose of gabapentin was not identified in mice and rats given doses as high as 8000 mg/kg. Signs of acute toxicity in animals included ataxia, labored breathing, ptosis, hypoactivity, or excitation.

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

For suspected adverse drug reaction, report to the FDA: www.fda.gov.ph.
Seek medical attention immediately at the first sign of any adverse drug reaction.

STORAGE CONDITION:
Store at temperatures not exceeding 30°C.

KEEP ALL MEDICINES OUT OF REACH OF CHILDREN.

AVAILABILITY:
Alu/Alu Blister Pack of 10's (Box of 10's and 100's)

DRP-4791
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Manufactured by:
STALLION LABORATORIES PVT. LTD.
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Sign For Artwork Approval				Date	
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