GABAPENTIN

POLYPENTIN 100/POLYPENTIN 300

100 mg Capsule
300 mg Capsule

Anticonvulsant/Antiepileptic

Rx

FORMULATION:

Each capsule contains:
Gabapentin .... 100 mg & 300 mg

PHARMACODYNAMICS/ PHARMACOKINETICS:

Gabapentin is an amino acid, an analogue of GABA (gamma-aminobutyric acid) that is effectively used as an antiepileptic drug in the treatment of partial seizures with or without secondary generalization. It is used as an adjunctive therapy in patients who are unresponsive to or intolerant of standard antiepileptic drugs. Although gabapentin is an analogue of gamma-aminobutyric acid (GABA), it is neither a GABA agonist nor antagonist. Its mechanism of action is unknown. It is proposed that gabapentin binds with high affinity to the alpha-2-delta subunit of voltage gated calcium channels. This binding may be involved in gabapentin's anti-seizure effects in animals. Gabapentin is also used in the treatment of neuropathic pain.

Gabapentin is absorbed from the gastrointestinal tract by means of a saturable mechanism. Following multiple dosing, peak plasma concentrations usually occur within 2 to 3 hours of administration. Steady state concentration is achieved within 1 to 2 days. Gabapentin itself 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 with minimal binding to plasma proteins. The elimination half-life is about 5 to 7 hours. Gabapentin is distributed into breast milk.

INDICATIONS:

Gabapentin is an antiepileptic used effectively as monotherapy or an adjunctive therapy in the treatment of partial seizures with or without secondary generalization for patients 12 years old and above. It is also effective as an adjunctive therapy for partial seizures in pediatric patients 3-12 years old. Gabapentin is also used in the management of neuropathic pain and restless leg syndrome in adults 18 years old and above.

Benefit has been reported from the use of gabapentin for prophylaxis of migraine and cluster headaches, and for the treatment of post herpetic neuralgia and diabetic neuropathy. Gabapentin has been found to control pain, spasm, and, spasticity in patients with multiple sclerosis.

Other indications where gabapentin may be beneficial include intractable hiccups, hot flushes, Lesch-Nyhan syndrome, motor neuronal disease, Parkinsonism, post-operative pain, soft tissue rheumatism, and stiff man syndrome. Gabapentin has psychotropic properties and may be used in the management of the following psychiatric disorders: depression, post-traumatic stress disorder, and anxiety disorder.

DOSAGE AND ADMINISTRATION:

The initial adult oral dose of gabapentin for the treatment of epilepsy is 300 mg on first day; followed by 300 mg twice daily on the second day, then 300 mg thrice daily on the third day. Alternatively, 300mg of gabapentin may be given three times daily on the first day of treatment. The dose may be increased or titrated up as needed by increments of 300 mg every 2 - 3 days as needed up to a daily dose 1,800 mg per day in 3 divided doses until control of epilepsy is achieved which is usually within the range of 0.9 to 3.6 g daily. Dosage ranging from 1,800 to 3,600 mg per day has been demonstrated to be effective.

For patients with neuropathic pain, doses of gabapentin should be titrated to a usual maximum of 1,800 mg per day in three divided doses, in a similar manner to that recommended above for the treatment of epilepsy.

For adult patients with moderate to severe restless leg syndrome, daily dose of 650mg may be given with food at about 5pm.

Dosage for post herpetic neuralgia should be titrated with an initial dose of 600mg daily in the morning for 3 days then increased to 600mg twice daily.

Dosage of gabapentin should be reduced in patients with renal impairment or in those undergoing hemodialysis. Suitable maintenance doses based on creatinine clearance (CC):

Dosage based on renal function

Renal Function Creatinine Clearance (mL/min)	Total Daily Dose Range (mg/day)	Dose Regimen (mg)
>60	900-3600	300 three times a day (TID)
>30-59	400-1400	200 two times a day (BID)
>15-29	200-700	200 once daily (QD)
15[a]	100-300	100 once daily (QD)
	Post-Hemodialysis Supplemental Dose (mg)[b]	
Hemodialysis		125[b]

[a] For patients with creatinine clearance <15 mL/min, reduce daily dose in proportion to creatinine clearance (e.g., patients with a creatinine clearance of 7.5 mL/min should receive one-half the daily dose that patients with a creatinine clearance of 15 mL/min receive).

[b] Patients on hemodialysis should receive maintenance doses based on estimates of creatinine clearance indicated above administered after each 4 hours of hemodialysis as indicated in the lower portion of the table.

Dosage in elderly: Elderly patients are more likely to have decreased renal function, care should be taken in dose selection, and dose should be adjusted based on creatinine clearance values in these patients.

CONTRAINDICATIONS/PRECAUTIONS/WARNINGS:

Gabapentin should be used with caution in patients with a history of psychotic illness. Gabapentin may increase the risk for suicidal thoughts or behavior and patients should be monitored for signs of worsening depression or any unusual mood changes or behavior.

It should also be used with caution in renal impairment and in those undergoing hemodialysis. False positive readings have been reported with some urinary protein tests in patients taking gabapentin.

Caution should be exercised when withdrawing gabapentin therapy. As with other antiepileptics, withdrawal of gabapentin therapy or transition to or from another type of antiepileptic therapy should be made gradually to avoid precipitating an increase in the frequency of seizures. The dose of gabapentin should be reduced gradually over at least 7 days regardless of indication. There have been reported cases of withdrawal symptoms which includes akathisia, anxiety, confusion, diaphoresis, headache, and palpitations.

There have been several reported cases of cholestatic jaundice and rhabdomyolysis with myoglobinuria with gabapentin use in diabetic patients.

It should not be given to patients who are hypersensitive to gabapentin or its components.

PREGNANCY AND LACTATION:

Gabapentin is actively transported across the placenta and accumulates in the fetus although its effect was unclear. The distribution of gabapentin into breast milk was extensive and neonates were found to have a lower capacity to eliminate gabapentin than adults, with an elimination half-life of about 14 hours. However, the plasma concentrations in the breast-fed infants appeared to below and the relative infant dose was estimated to be 1.3 to 3.8% of the mothers' weight-adjusted dose at 0.2 to 1.3 mg/kg daily. No adverse effects were reported in the infants. It was considered that gabapentin was generally safe during breast feeding.

ADVERSE DRUG REACTIONS:

The most common adverse effects are somnolence, drowsiness or sedation, dizziness, ataxia, and fatigue. Nystagmus, tremors, diplopia, amblyopia, pharyngitis, rhinitis, dysarthria, nausea and vomiting, weight gain, edema, dyspepsia, amnesia, weakness, paresthesia, arthralgia, myalgia, headache, rashes, purpura, leucopenia, anxiety, and urinary tract infection may occur less frequently. Rarely, pancreatitis, altered liver function tests, erythema multiforme, Stevens-Johnson syndrome, and blood glucose fluctuations in diabetics have been reported. Common psychiatric effects include confusion, depression, nervousness, and more rarely hallucinations and psychoses. Other adverse effects include acute renal failure, allergic reactions, alopecia, angioedema, chest pain, hepatitis, jaundice, movement disorders such as choreoathetosis, dyskinesia and dystonia, palpitations, thrombocytopenia, and tinnitus.

Gabapentin may cause a condition known as antiepileptic hypersensitivity syndrome that may include fever, rashes, eosinophilia, and lymphadenopathy. The syndrome may involve other organs and may cause hepatitis, nephritis, hematological abnormalities, myocarditis, and myositis. Patients manifesting with such signs and symptoms should be immediately evaluated and therapy should be stopped in the absence of clear or identified cause.

DRUG INTERACTIONS:

Antacids containing aluminum with magnesium may reduce the absorption of gabapentin from the gastrointestinal tract. It is recommended that gabapentin is taken at least 2 hours after the administration of antacids containing aluminum and magnesium. Co-administration with morphine and cimetidine may reduce the renal clearance of gabapentin. Patients receiving both antacids and morphine should be monitored for signs of CNS depression and doses should be reduced accordingly.

OVERDOSAGE AND TREATMENT:

Overdosage of gabapentin particularly in combination with other CNS depressants, may result in coma. In animal studies of acute toxicity signs include ataxia, labored breathing, ptosis, sedation, hypoactivity, or excitation.

Hemodialysis may be indicated according to the patient's clinical condition or in patients with significant renal impairment. Should overdose occur, symptomatic or supportive treatment is recommended.

AVAILABILITY:

Alu/clear PVDC Blister Pack x 10's (Box of 100's).

CAUTION:

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

DR-XY43745 (Polypentin 300mg Capsule)
DR-XY43746 (Polypentin 100mg Capsule)

STORE AT TEMPERATURES NOT EXCEEDING 30°C.

For Suspected adverse drug reaction, report to the FDA:
www.fda.gov.ph

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