Gabacot-NT100

Composition: Gabapentin 400 mg + Notriptyline 10 mg tablets

Indication: Neuropathic pain

Mechanism of Action: Gabapentin is structurally related to the neurotransmitter GABA but is neither a GABA agonist nor antagonist. High affinity gabapentin binding sites are located throughout the brain. These sites correspond to the presence of voltage-gated Ca channels particularly controlling the α-2/δ-1 subunit. This channel appears to be located presynaptically and may modulate the release of excitatory neurotransmitters which participate in epileptogenesis and nociception.

Pharmacokinetic's: Absorption: Absorbed from the GI tract. Bioavailability may be increased w/ food esp high-fat meals. Time to peak plasma concentration: W/in 2-3 hr; 5 hr in fasting state and 7.3 hr (as enacarbil).

Distribution: Enters breast milk. Volume of distribution: 58 ± 6 L. Plasma protein binding: <3%. Metabolism: As enacarbil: Undergoes extensive first-pass metabolism mainly in enterocytes and liver (to a lesser extent) to form gabapentin, CO2, acetaldehyde and isobutyric acid.

Excretion: Via urine (as unchanged drug) and the remainder in the faeces. Elimination half-life: Approx 5-7 hr.

Side effects: Somnolence/sedation, angioedema, blood glucose fluctuation, breast enlargement, elevated creatine kinase and LFTs, jaundice, fever, hyponatraemia, movement disorder, Stevens-Johnson syndrome, erythema multiforme; pneumonia, viral and resp infection, UTI, infection, otitis media, leucopenia, anorexia, increased appetite; depression, anxiety, hostility, confusion and emotional lability, nervousness, abnormal thinking; dizziness, ataxia, convulsions, hyperkinesias, dysarthria, amnesia, tremor, insomnia, headache, sensations (e.g. paraesthesia, hypoaesthesia, abnormal coordination, nystagmus), visual disturbances (e.g. amblyopia, diplopia), vertigo, HTN, vasodilatation, vomiting, nausea, gingivitis, abdominal pain, dyspepsia, constipation, diarrhoea, dry mouth or throat, flatulence, dental abnormalities, facial oedema, purpura, trauma, rash, pruritus, acne, arthralgia, myalgia, back pain, twitching, impotence, fatigue, peripheral oedema, abnormal gait, asthenia, pain, malaise, flu syndrome, decreased WBC, wt gain, accidental injury, abrasion, fracture.

Potentially Fatal: Drug reaction w/ eosinophilia and systemic symptoms (DRESS).

Precaution: Patient w/ mixed seizures including absences. Discontinue if acute pancreatitis develop. Not recommended for patients who needed to sleep during daytime and remain awake at night. Abrupt withdrawal may cause rebound seizures. Renal impairment and to those undergoing haemodialysis. Childn. Pregnancy and lactation. Patient Counselling May impair ability to drive or operate machinery.

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Dosage:

Adult: Initially, 300 mg on the 1st day, 300 mg bid on the 2nd day and 300 mg tid on the 3rd day; alternatively, 900 mg daily in 3 divided doses. Dose may increase in increments of 300 mg every 2-3 days. Max: 3,600 mg daily.

Renal impairment: Haemodialysis: Loading dose: 300-400 mg followed by 200-300 mg after each 4 hr of haemodialysis.