Nurite® Injection

Composition:

Each ml contains:

Mecobalamin ..... 500 mcg

(Light-sensitive)

Description:

Mecobalamin is one of the two active coenzyme forms of vitamin B-12. It is a co-factor to the enzyme methionine synthetase that functions to transfer methyl groups for the regeneration of methionine from homocysteine.

Mecobalamin is closely involved in folate metabolism, which is pivotal for the synthesis of purines and pyrimidines. Mecobalamin also acts as a methyl donor for the synthesis of lecithin, a major component of myelin sheath.

Pharmacology:

- Mecobalamin plays an important role in transmethylation as a coenzyme of methionine synthetase in the synthesis of methionine from homocysteine.
- Mecobalamin is well transported to nerve cell organelles, and promotes nucleic acid and protein synthesis. Mecobalamin is better transported to nerve cell organelles than cyanocobalamin in animals.
- Mecobalamin promotes axonal regeneration. Mecobalamin normalizes axonal skeletal protein transport in sciatic nerve cells from animal models with streptozotocin induced diabetes mellitus. It exhibits neuropathologically and electrophysiologically inhibitory effects on nerve degeneration in neuropathies induced by drugs, such as adriamycin, acrylamide, and vincristine models of axonal degeneration in mice and neuropathies in animals with spontaneous diabetes mellitus.
- Mecobalamin promotes myelination (phospholipid synthesis).
  Mecobalamin promotes the synthesis of lecithin, the main constituent of medullary sheath lipid and increases myelination of neurones in animal tissue culture more than cobalamin does.
- Mecobalamin restores delayed synaptic transmission and diminished neurotransmitters to normal.
  Mecobalamin restores end plate potential induction early by increasing nerve fiber excitability in crushed sciatic nerve. In addition, Mecobalamin normalizes diminished brain tissue levels of acetylcholine in animals fed a choline-deficient diet.
- Mecobalamin promotes the maturation and division of erythroblasts, thereby alleviating anaemia.
  Mecobalamin promotes nucleic acid synthesis in bone marrow and promotes the maturation and division or erythroblasts, thereby increasing erythrocyte production.
- Mecobalamin brings about a rapid recovery of diminished red blood cell, hemoglobin, and hematocrit in vitamin B-12 deficient animals.

Pharmacokinetics:

Single – dose administration :

When a single dose of I.M. or I.V. Mecobalamin

500mcg was administered to healthy adult volunteers, the time required for the total vitamin B_{12} level to reach a peak (Tmax) was 0.9 ± 0.1 hour after I.M. administration and immediately within 3 minutes after I.V. administration. The peak serum total vitamin B_{12} level (Cmax) was 22.4 ± 1.1 ng/ml after i.m. administration and 85.0 ± 8.9 ng/ml after i.v. administration. The area under the blood concentration – time curve (AUC) at 144 hours after administration was 204.1 ± 12.9 hr.ng/ml after I.M. administration and 358.6 ± 34.4 hr.ng/ml after I.V. administration.
On the other hand, the rate of binding saturation showed a similar increase in both groups of subjects for 144 hours after administration.

Repeated – dose administration :
500 mcg / day of Mecobalamin was administered intravenously to healthy adult volunteers for 10 consecutive days. Serum total vitamin B_{12} level measured before each administration increased day to day. After 2 days of administration, the serum level of total vitamin B_{12} was 5.3 ± 1.8 ng/ml, about 1.4 times the 24 – hour value (3.9 ± 1.2 ng/ml). At 3rd day of administration it was increased to 6.8 ± 1.5 ng/ml, about 1.7 times the 24 hour value and this level was maintained until the last dosing.

Indications:
Peripheral neuropathy, dementia, alcoholic neuropathy, drug induced neuropathy, trigeminal and occupational neuralgia, Parkinson's disease, Bell's palsy, megaloblastic anaemia, cancer, male impotence, hyperhomocysteinemia, sleep disturbances.

Dosage and Administration:
Peripheral neuropathies:
The usual dose for adults is 1 ampoule (500 mcg of Mecobalamin) three times a week, administered intramuscularly or intravenously.
The dosage may by adjusted according to the patient's age and symptoms.

Megaloblastic anaemia:
The usual dose for adults is 1 ampoule (500mcg of Mecobalamin) a day, administered intramuscularly or intravenously three times a week. After approximately 2 months of medication, the dose should be reduced to a single administration of ampoule at 1 to 3 month intervals for maintenance therapy.

Not to be used in newly born or premature infants

Precautions

Hypersensitivity: Use of this product should be discontinued if symptoms of hypersensitivity, such as rashes, occur.

Others: Pain and induration may occur infrequently at the site of intramuscular injection.

Headache, diaphoresis or hot sensation may occur rarely.

Mecobalamin is susceptible to photolysis. It must be used promptly after the package is opened and care must be taken not to expose the ampoule to direct light.

Adverse reaction:

Anaphylactic reaction: anaphylactic reaction such as decrease in blood pressure or dyspnea may occur. Patient should be monitored after administration of dose.

Storage:

Store in a cool, dry and dark place. Protect from light and heat.

Presentation:

Available in 1 ml ampoules.