Merolin

Mirogabalin Besilate INN

Composition

Merolin 2.5 Tablet: Each film coated tablet contains Mirogabalin Besilate INN equivalent to Mirogabalin 2.5 mg.
Merolin 5 Tablet: Each film coated tablet contains Mirogabalin Besilate INN equivalent to Mirogabalin 5 mg.
Merolin 10 Tablet: Each film coated tablet contains Mirogabalin Besilate INN equivalent to Mirogabalin 10 mg.

Indication

Peripheral neuropathic pain, Diabetic peripheral neuropathic pain, Postherpetic neuralgia

Mode of Action

Mirogabalin has selective and potent binding affinities for $\alpha_2\delta$-1 subunit of VGCCs (Voltage Gated Calcium Channel), which reduces calcium (Ca^{2+}) influx and neurotransmission in DRG (Dorsal root ganglia), inhibiting neurotransmitter release in presynaptic neuron endings, thus gives analgesic effect.

Pharmacokinetics

Mirogabalin is rapidly absorbed after oral administration; median time to maximum plasma concentration is 0.5–1.5h. Mirogabalin has a low plasma protein binding of approximately 25%. Mirogabalin has a mean apparent volume of distribution of 64–88L after single or multiple doses. The drug is cleared mainly unchanged (61–72%) via renal excretion by filtration and active secretion, however a slight fraction (13–20%) is metabolized by hepatic uridine 5′-diphospho-glucuronosyltransferase isoforms. The mean elimination half-life of mirogabalin observed 2-4.9h. 99% of mirogabalin is excreted through the kidneys, only 1% of the dose is excreted through feces.

Dose and administration

In general, for adults, the initial dose is 5 mg of Mirogabalin is orally administered twice daily. If needed, the dose can be gradually increased by 5 mg at intervals of 1 week or longer. The dose may be adjusted according to the patient's age and symptoms in the range of 10 mg to 15 mg, and administer twice daily.

Contraindications

Mirogabalin is contraindicated in patients with known hypersensitivity to Mirogabalin or any of its components.

Side Effects

Somnolence, dizziness and headache.

Precautions

If an allergic reaction occurs, stop taking the medicine and consult with doctor. Dose adjustment is needed in patients with renal dysfunction. If taking any other medication, please consult with doctor before administering Mirogabalin. As this medicine may cause dizziness or somnolence, the patient should avoid operating in potentially hazardous activities such as driving a car. Elderly patients should be aware of falling and fracture. The patient should consult with the doctor if the signs of blurred vision or double vision appear while taking this medication.

Use in pregnancy & lactation

Pregnant women: For pregnant or potentially pregnant women, administer only if the therapeutic benefit outweighs the risks. Presence in placental passage has been reported in animal study.
Lactating women: Consider the therapeutic and breastfeeding benefits then consider continuing or discontinuing breastfeeding. It has been reported in animal study that it is transferred into milk.

Drug interactions

Mirogabalin is OAT1, OAT3, OCT2, MATE1, MATE2-K and UGT substrate. Mirogabalin does not inhibit or induce major human CYP molecular species, and does not inhibit activities of drug transporters (including OAT1, OAT3, organic cation transporter OCT1, OCT2, OATP1B1, OATP1B3, MATE1, MATE2-K, P-gp and BCRP). Co-administrated with OAT1, OAT3, OCT2, MATE1, MATE2-K or UGT inhibitors may increase mirogabalin exposure, so use with caution.

Overdose

Overdose may develop euphoria, speech disorders, headaches, and dysphagia. 15.3% of the drug can be removed by hemodialysis.

Storage

Do not store above 25° C. Protect from light. Keep out of the reach of children.

Packaging

Merolin 2.5 Tablet: Each box contains 2x10's tablet in blister pack.
Merolin 5 Tablet: Each box contains 1x10's tablet in blister pack.
Merolin 10 Tablet: Each box contains 1x10's tablet in blister pack.

Manufactured by

ZISKA PHARMA

Ziska Pharmaceuticals Ltd.
Kaliakoir, Gazipur, Bangladesh

P-3458

Version: 00