Fachico

(Thiocolchicoside)

Tablets

Injection

Composition:

Fachico tablet:

Each tablet contains: Thiocolchicoside (SPL)..... 4mg

Fachico injection:

Each 2ml ampoule contains: Thiocolchicoside (SPL).... 4mg

Description:

Fachico contains thiocolchicoside that is a muscle relaxant with anti-inflammatory and analgesic properties. Thiocolchicoside is a semi-synthetic derivative of colchicine, a natural anti-inflammatory glycoside which originates from the flower seeds of Gloriosa superba. The molecular formula is $C_{27}H_{33}NO_{10}S$ and its molecular weight is 563.6g/mol.

Clinical Pharmacology:

Mechanism of action:

Thiocolchicoside is a muscle relaxing agent that works through selective binding to the GABA-A receptor. It prevents muscle contractions by activating the GABA inhibitory motor pathway. This medication acts as a competitive GABA receptor antagonist and inhibits glycine receptors with similar potency as nicotinic acetylcholine receptors. In one study, thiocolchicoside inhibited the function of recombinant human strychnine-sensitive glycine receptors composed of the alpha-1 subunit with a potency (median inhibitory concentration of 47 microM) lower than that apparent with recombinant GABA-A receptors.

Pharmacokinetics:

Absorption:

After oral administration, no thiocolchicoside is detected in plasma. Only two metabolites are observed, the pharmacologically active metabolite SL18.0740 and an inactive metabolite SL59.0955. For both metabolites, maximum plasma concentrations occur 1 hour after thiocolchicoside administration. After a single oral dose of 8mg of thiocolchicoside the Cmax and AUC of SL18.0740 are about 60ng/mL and 130ng.h/mL respectively. For SL59.0955 these values are much lower, Cmax around 13 ng/mL and AUC ranging from 15.5 ng.h/mL (until 3h) to 39.7 ng.h/mL (until 24h).

Distribution:

In humans, the binding of thiocolchicoside to human serum proteins is low (13%) and not dependent on the therapeutic concentrations of thiocolchicoside. Serum albumin is mainly involved in protein binding.

Metabolism:

After oral administration, thiocolchicoside is first metabolized in the aglycon 3-demethylthiocolchicine or SL59.0955. This step mainly occurs by intestinal metabolism explaining the lack of circulating unchanged thiocolchicoside by the oral route of administration.

SL59.0955 is then glucuroconjugated into SL18.0740 which has equipotent pharmacological activity to thiocolchicoside and thus supports the pharmacological activity after oral administration of thiocolchicoside. SL59.0955 is also demethylated into di-demethyl thiocolchicine.

Elimination:

Thiocolchicoside is not eliminated unchanged, rather as one of the three metabolites found in either feces (≅79 %) or in urine 20%. SL18.0740 and SL59.0955 are found in urine and feces while the di-demethyl thiocolchicine is only recovered in feces. After oral administration of thiocolchicoside, the SL18.0740 metabolite is eliminated with an apparent $t_{1/2}$ ranging from 3.2 to 7 hours and the metabolite SL59.0955 has $t_{1/2}$ averaging 0.8h.

Pharmacodynamics:

Thiocolchicoside is a semi-synthetic sulphurated derivative of colchicoside, a natural glycoside obtained from meadow saffron, with muscle relaxant activity but no curare-like effects. It appears to have selective agonist activity on the GABA-ergic and glycinergic receptors, which might explain its activity on reflex, rheumatic and traumatic contractures and no spasm of central origin. Thiocolchicoside has no effects on voluntary motility and does not interfere with respiratory muscles. It has no effects on the cardiovascular system.

Indications:

Thiocolchicoside is indicated for:

Post-traumatic and post-operative pain, acute and chronic lumbar and sciatic pain, symptomatic treatment of painful muscular spasms in lower back, spastic sequelae of hemiparesis, Parkinson's disease and parkinsonian symptoms particularly the neurodyslectic syndrome, cervicobrachial neuralgia, persistent torticollis and as

adjuvant treatment of painful muscle contractures in acute spinal pathology in adults and adolescents from 16 years ownwards.

Precautions:
Thiocolchicoside may precipitate seizures, especially in patients with epilepsy or those at risk for seizures.
Reduce the dosage as necessary, in case of diarrhea.
Effects on ability to drive and use machines:
There is no data available on the effect on driving vehicles and using machines. Somnolence may occur commonly and that has to be taken into account when driving vehicles and operating machines.

Adverse reactions:
In rare cases, diarrhoea, gastric pain, nausea and heartburn have been reported after oral administration. The drug only very rarely causes drowsiness. Infrequent cases of skin rash allergies or erythema have been reported. Rare cases of cutaneous allergic reactions including angioedema and very rare cases of anaphylactic reactions such as hypotensive or anaphylactic shock have been reported following the intramuscular administration.

Overdosage:
No cases of overdosage are known or have been reported in patients treated with thiocolchicoside.

Dosage and administration:
For oral use:
The recommended and maximal dose is 8mg every 12 hours (i.e. 16mg per day). The treatment duration is limited to 7 consecutive days.
For IM use:
The recommended and maximal dose is 4mg every 12 hours (i.e. 8mg per day). The treatment duration is limited to 5 consecutive days.

Special populations
Children: Thiocolchicoside is not recommended for use in children below 16 years of age.

Use in pregnancy and lactation:
Studies conducted in animals have shown a reproductive toxicity including teratogenic effects. There is insufficient clinical data to evaluate safety of use in pregnancy. Thus, the potential hazards for the embryo and fetus are unknown. In consequence, thiocolchicoside is contraindicated in pregnancy and women of childbearing potential. Since, thiocolchicoside passes into the mother's milk, the use of this drug is contraindicated during breastfeeding.

Drug Interactions:
No known cases of drug interactions have been reported with thiocolchicoside.

Instructions:
General:
Store at 15-30°C. Keep all medicines out of the reach of children. To be sold on the prescription of a registered medical practitioner only. Physician sample is not for sale.
For Tablet:
Protect from heat, light and moisture.
For Injection:
Protect from heat and light. Avoid freezing and injection should not be used if ampoule is leaking, solution is cloudy or contains undissolved matter.

Presentation:
Tablets:
Fachico (Thiocolchicoside) Tablet 4mg is available in Alu-Alu pack of 2*10 tablets.
Injection:
Fachico (Thiocolchicoside) Injection 4mg/2ml in pack size of 6 ampoules. Each ampoule is of 2ml.

فیچیکو

گولیاں | انجیکشن

(تھائیوکولچیکوسائیڈ)

خوراک: دواڈاکٹر کی ہدایت کے مطابق استعمال کریں۔
ہدایات: دوا کو 15-30° سینٹی گریڈ پر رکھیں۔ تمام دوائیں بچوں کی پہنچ سے دور رکھیں۔
صرف مستند ڈاکٹر کے نسخہ پر فروخت کریں۔
برائے گولیاں: گرمی، روشنی اور نمی سے محفوظ رکھیں۔
برائے انجیکشن: گرمی اور روشنی سے محفوظ رکھیں۔ منجمد ہونے سے بچائیں۔ انجیکشن کے لیک ہونے، دھندلا ہونے یا اس میں کوئی غیر حل پذیر شے نظر آنے کی صورت میں ہرگز استعمال نہ کریں۔

Manufactured by:
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