For the use of a Registered Medical Practitioner only

1. GENERIC NAME AND BRAND NAME

Eperisone Hydrochloride Sustained Release Capsules 150 mg
RAPISONE-SR®

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each hard gelatin capsule contains:
Eperisone Hydrochloride JP 150mg
(as sustained release pellets)
Excipients q.s
Approved colours used in the capsule shell:
Carmoisine, Ponceau-4R,
Titanium Dioxide I.P., Tartrazine.

3. DOSAGE FORM AND STRENGTH

Refer section 1 & 2

4. CLINICAL PARTICULARS

4.1 THERAPEUTIC INDICATION

For Improvement of Myotonic Conditions caused by Neck-Shoulder Arm Syndrome, Scapulohumeral Periarthritis and Low Back Pain.

4.2 POSOLOGY AND METHOD OF ADMINISTRATION

One capsule per day after meals.

4.3 CONTRAINDICATIONS

This drug is contraindicated in patients with known history of hypersensitivity.

4.4 SPECIAL WARNINGS AND PRECAUTIONS FOR USE

- Eperisone may aggravate hepatic dysfunction. Careful usage in patients with hepatic function disorder is recommended.
- Weakness, sleepiness, light-headedness or other symptoms may occur during treatment with Eperisone. In the event of such symptoms, the dosage must be reduced or treatment discontinued. Patients should be cautioned against engaging in potentially hazardous activities requiring alertness, such as operating machinery or driving a car.
- Since the elderly often have reduced physiological functions, it is recommended to exercise careful supervision and take measures such as reducing the dosage.
- Eperisone should only be used in pregnant women, if the expected therapeutic benefits are evaluated to outweigh the possible risks of treatment.
- It is advisable to avoid administration of Eperisone to nursing mothers. When Eperisone must be used, breast feeding should be discontinued during treatment.

4.5 DRUG INTERACTIONS

Drug	Drug Interaction	Remarks
Methocarbamol	Concomitant use of methocarbamol with tolperizone hydrochloride, ananalogous compound may lead to disturbance of visual accommodation.	Mechanism unknown

4.6 USE IN SPECIAL POPULATIONS (SUCH AS PREGNANT WOMEN, LACTATING WOMEN, PAEDIATRIC PATIENTS, GERIATRIC PATIENTS ETC.)

Use During Pregnancy:

Eperisone hydrochloride should only be used in pregnant women or women suspected of being pregnant, if the expected therapeutic benefits are evaluated to outweigh the possible risk of treatment.
[The safety of Eperisone hydrochloride in pregnant women has not been established.]

Use During Lactation:

It is advisable to avoid the administration of Eperisone hydrochloride to nursing mothers. When Eperisone hydrochloride must be used, breast feeding should be discontinued during treatment.
[It has been reported that Eperisone hydrochloride is excreted in breast milk in an animal study (in rats).]

Pediatric

There is no data regarding use of Eperisone hydrochloride in children.

Geriatric

There is no data regarding use of Eperisone hydrochloride in elderly.

4.7 EFFECTS ON ABILITY TO DRIVE AND USE MACHINES

There is no data regarding the effects of Eperisone hydrochloride on driving or operating machinery. Until the effects of Eperisone hydrochloride are known , patients should be advised to avoid driving or operating machinery since Eperisone hydrochloride can cause sleepiness.

4.8 UNDESIRABLE EFFECTS

Clinically Significant Reactions	Shock and anaphylactoid reactions Toxic epidermal necrolysis (TEN) and Oculomucocutaneous syndrome (Stevens-Johnson syndrome)
Hepatic	Elevation of AST (GOT), ALT(GPT) and Al-P, etc.
Renal	Proteinuria and Elevation of BUN, etc.
Hematologic	Anemia
Hypersensitivity	Rash, Pruritis, Erythema exudativummultiforme
Psychoneurologic	Sleepiness, insomnia, headache and numbness in the extremities Bodily rigidity and tremor in the extremities
Gastrointestinal	Nausea/vomiting, anorexia, stomach discomfort, abdominal pain, diarrhea, constipation and thirst Stomatitis
Urinary	Urinary retention, urinary incontinence and feeling of residual urine
General	Weakness, light-headedness and generalized fatigue Muscle hypotonia and dizziness
Others	Hot flushes Diaphoresis, Edema and Palpitations

	Hiccup

4.9 OVERDOSE

Seizures have been reported in an infant after accidental ingestion of Eperisone.
Serum Eperisone concentration correlates with QTc interval in patients who overdose on Eperisone.

5. PHARMACOLOGICAL PROPERTIES

5.1 MECHANISM OF ACTION

Eperisone hydrochloride is a centrally acting muscle relaxant acting through poly and mono-synaptic reflexes in the spinal cord, exhibits vasodilator effect, increases blood flow and inhibits the pain reflex pathway. This helps in reducing the intensity of pain with eperisone and possibly contributes to its analgesic activity. Eperisone hydrochloride acts by depressing the activities of α and γ efferent neurons in the spinal cord and supraspinal structures. Its action mechanism involves inhibition of neural activity and pain sensation by blocking the voltage-gated sodium channels (VGSC) in the brain stem.

5.2 PHARMACODYNAMIC PROPERTIES

Eperisone hydrochloride is a centrally acting muscle relaxant indicated for muscle stiffness. It acts by depressing the activities of α and γ efferent neurons in the spinal cord and supraspinal structures.

Skeletal muscle relaxation

1. Inhibition of experimentally-induced muscle rigidity: Eperisone hydrochloride suppresses intercollicular section-induced decerebrate rigidity (y-rigidity) and iischemic decerebrate rigidity (a-rigidity) in rats dose-dependently.
2. Suppression of spinal reflexes: In spinal cats, Eperisone hydrochloride suppresses mono and poly-synaptic reflex potentials induced through spinal nerve efferent root stimulation to a similar degree.
3. Reduction of muscle spindle sensitivity via y-motor neurons: Eperisone hydrochloride suppresses the activity of afferent nerve fibers (la fibers) from human muscle spindles at 20 min after administration. Eperisone hydrochloride suppressess the spontaneous discharge of γ-motor neurons, but does not act directly on muscle spindles in animals. Accordingly, Eperisone hydrochloride reduces muscle spindle sensitivity viathe γ-motor neurons.

Vasodilatation and augmentation of blood flow:

1. Vasodilatory action: Eperisone hydrochloride dilates the blood vessels due to Ca** antagonistic action (in guinea pigs) on the vascular smooth muscle and muscular sympatholytic actions (in humans).
2. Augmentation of blood flow: Eperisone hydrochloride increases the volume of blood flow in skin, muscle, external and internal carotid arteries and vertebral arteries in humans, monkeys and dogs.

Analgesic action and inhibition of the pain reflex in the spinal cord:

When Eperisone hydrochloride is perfused in to the spinal cord of rats, a tail pinch-induced pain reflex is suppressed, but the reflex returns with the withdrawal of Eperisone hydrochloride. This suggests that Eperisone hydrochloride has an analgesic action at the spinal cord level.

1. Facilitation of voluntary movement:

When Eperisone hydrochloride is used in the treatment of spastic paralysis in patients with cerebral apoplexy, it improves the cybex torque curve and electromyogram and facilitates voluntary movements, such as extension and flexion of the extremities, without reducing the muscular force.

5.3 PHARMACOKINETIC PROPERTIES

The pharmacokinetics of Eperisone hydrochloride was studied after a single dose of 150 mg/day orally for 14 consequent days to 8 healthy adult male volunteers. The time to reach maximum peak plasma concentration (t_{max} ranged from 1.6-1.9 hr, the peak plasma concentration C_{max} was 7.5-7.9 ng/ml). The elimination half-life of Eperisone hydrochloride was 1 .6-1 .9 hr, the peak plasma concentration time curve (AUC) was 1 9.7-21 .1 ng.hr/ml. The plasma concentration profiles of Eperisone hydrochloride determined at days 8 and 14 did not vary significantly from those of the first day

6. NONCLINICAL PROPERTIES

6.1 ANIMAL TOXICOLOGY OR PHARMACOLOGY

Effects of eperisone, an antispasmodic in skeletal muscle, were investigated in helical strips of dog saphenous artery and vein. Eperisone relaxed saphenous arteries and veins previously contracted with norepinephrine, serotonin, acetylcholine, K+, or Ba2+. eperisone blocks postjunctional alpha 1- and alpha 2-adrenergic, muscarinic, serotonergic receptors and prejunctional alpha 2 adrenoceptors and reduces PGI2 synthesis via a mechanism other tha

Eperisone, a Ca2+ entry blocker, suppressed the action of tumor promoter in vitro and in vivo, inhibited tumor-promoter-stimulated 32Pi incorporation into phospholipids of cultured cells, and also proved to have antitumor-promoting activity in mouse skin carcinogenesis induced by 7,12- dimethylbenz[a]anthracene plus tumor promoter, 12-O-tetradecanoylphorbol-13-acetate.

7. DESCRIPTION

RAPISONE-SR is supplied for oral administration, as a sustained release capsule of Eperisone Hydrochloride. Each hard gelatin capsule of RAPISONE-SR contains Eperisone Hydrochloride JP 150mg (as sustained release pellets).

8. PHARMACEUTICAL PARTICULARS

8.1 INCOMPATIBILITIES

Not applicable

8.2 SHELF-LIFE

Refer pack

8.3 PACKAGING INFORMATION

Refer pack

8.4 STORAGE CONDITION AND HANDLING INSTRUCTIONS

Refer pack

9. PATIENT COUNSELLING INFORMATION

Advise the patients to inform the doctor before taking this medicine if

- They have previously experienced any allergic reactions(itch,rach,etc.) to any medicines.
- They have hepatic disorder.
- They are pregnant or breastfeeding.
- They are taking any other medicinal products. (Some medicines may interact to enhance or diminish medicinal effects. Beware of over-the-counter medicines and dietary supplements as well as other prescription medicines.)

10. DETAILS OF MANUFACTURER

Refer Pack for manufacturer details.

Marketed By:
Abbott Healthcare Pvt. Ltd.
Angel Space, Bldg. D-4, Gala No. 1 to 6 &
11 to 16 Ground Floor, 101 to 106 &
111 to 116 First Floor, 201 to 206 & 211 to 216
2nd Floor, Pimplas, Dist. Thane, Bhiwandi - 421 302, India.

11. DETAILS OF PERMISSION OR LICENCE NUMBER

Refer Pack for Permission/License details

12. DATE OF REVISION

Version 4.0, dated 21/09/2023

For Product Complaints/Adverse events or Queries please write to
webmasterindia@abbott.com