Summary of Product Characteristics

1. NAME OF THE MEDICINAL PRODUCT

Diclofenac Diethylamine, Linseed Oil, Methyl Salicylate & Menthol Gel

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Composition:

Diclofenac Diethylamine BP……...1.16 % w/w

Eq. to Diclofenac Sodium BP…....1.00 % w/w

Linseed Oil BP….....3.00 % w/w

Methyl Salicylate BP….....10.00 % w/w

Menthol BP….....5.00 % w/w

Gel Base.....Q.S.

3. PHARMACEUTICAL FORM

White to off white colour gel.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Diclofenac Diethylamine, Linseed Oil, Methyl Salicylate & Menthol Gel is used to relieve pain and reduce swelling in a number of painful conditions affecting the joints and muscles. It relieves rheumatic and muscular pain, and reduces swelling and inflammation, e.g., in injuries involving the tendons, ligaments, muscles and joints. For the relief of sprains, strains or bruises, backache, tendinitis (e.g., tennis elbow), and for localized and mild arthritis.

4.2 Posology and method of administration

Posology

Adults and Adolescents over 12 years of age: Depending on the size of the painful area to be treated, 2-4 g (a circular shaped mass approximately 2.0-2.5cm in diameter) Gel should be applied 3- 4 times daily to the affected sites and gently rubbed. Duration of treatment depend on the indication and the patient's response. It is advisable to review the treatment after 2 weeks.

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Method of administration: Cutaneous use.

Apply on healthy skin only.

After application, the hands should be washed, unless these are being treated.

Linseed Oil, Diclofenac Diethylamine, Methyl Salicylate and Menthol Gel can be used as additional treatment to the oral administration of non-steroidal anti-inflammatory drugs.

4.3 Contraindication

- Hypersensitivity to the active substance or to any of the excipients used in the formulation.
- Patients with or without chronic asthma in whom attacks of asthma, urticaria or acute rhinitis are precipitated by acetylsalicylic acid or other non-steroidal anti- inflammatory drugs (NSAIDs).
- The use in children and adolescents aged less than 14 years is contraindicated.
- Third trimester of pregnancy.
- Patients with renal impairment.

4.4 Special warnings and precautions for use

Linseed Oil, Diclofenac Diethylamine, Methyl Salicylate and Menthol Gel should be applied only on unbroken skin and not on open wounds. Occlusive dressing is not recommended after application. Care should be taken to avoid contact with the eyes and mucous membranes. Use with caution in severe disorders of the liver and kidney functions, bronchial asthma, pregnancy (first and second trimesters), and elderly patients.

4.5 Interaction with other medicinal products and other forms of interaction

Diuretics, Angiotensin Converting Enzyme Inhibitors (ACE inhibitors) and Angiotensin II Antagonists (AAII): NSAIDs may decrease the effectiveness of diuretics and other antihypertensive medicinal products. In some patients with impaired renal function (e.g., dehydrated patients or elderly with impaired renal function) the co-administration of an ACEI or AIIA and cyclooxygenase inhibitor agents may result in the progression of renal function deterioration, including the possibility of acute renal insufficiency, which is usually reversible. The occurrence of these interactions should be considered in patients applying

diclofenac, particularly if in large areas of the skin and for prolonged periods, in combination with ACEI or AIIA. Consequently, this drug combination should be used with caution, especially in elderly patients. Patients should be properly hydrated and the need to monitor the renal function after the beginning of the concomitant therapy and periodically thereafter should be analyzed. Since systemic absorption of diclofenac from a topical application is very low such interactions are very unlikely.

4.6 Fertility, pregnancy and lactation

Pregnancy

The systemic concentration of diclofenac is lower after topical administration, compared to oral formulations. Consequently, diclofenac is contraindicated during the third trimester of pregnancy.

Lactation

Like other NSAIDs, diclofenac passes into breast milk in small amounts. However, at therapeutic doses of topical diclofenac no effects on the suckling child are anticipated. Because of a lack of controlled studies in lactating women, the product should only be used during lactation under advice from a healthcare professional. Under this circumstance, this medicinal product should not be applied on the breasts of nursing mothers, nor elsewhere on large areas of skin or for a prolonged period of time.

4.7 Effects on ability to drive and use machines:

Cutaneous application of topical diclofenac has no or negligible influence on the ability to drive and use machines.

4.8 Undesirable effects

Infections and infestations: Rash pustular.

Immune system disorders: Hypersensitivity (including urticaria), angioneurotic oedema.

Respiratory, thoracic and mediastinal disorders: Asthma.

Skin and subcutaneous tissue disorders: Rash, eczema, erythema, dermatitis (including dermatitis), dermatitis bullous, Photosensitivity reaction, Burning sensation at the application site, Dry skin

Although less likely with the topical administration, some side effects normally associated with systemically administered diclofenac may also occur. The prolonged use of diclofenac in a relatively extensive area can cause systemic side effects such as nausea, vomiting, diarrhoea or epigastric pain.

Reporting of suspected adverse reactions: Reporting suspected adverse reactions after authorisation of the medicinal product is important. It allows continued monitoring of the benefit/risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions to TMDA

4.9 Overdose

The low systemic absorption of topical diclofenac renders overdoses very unlikely. However, undesirable effects similar to those observed following an overdose of Diclofenac tablets can be expected if Topical diclofenac is inadvertently ingested (1 tube of 100 g contains the equivalent of 1,000 mg diclofenac sodium). In the event of accidental ingestion resulting in significant systemic adverse effects, general therapeutic measures normally adopted to treat poisoning with non-steroidal anti-inflammatory medicines should be used. Gastric decontamination and the use of activated charcoal should be considered, especially within a short time of ingestion.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Pharmacotherapeutic group: Pharmacotherapeutic group: Topical products for joint and muscular pain, Anti-inflammatory preparations, non-steroids for topical use, ATC code: M02AA15 Diclofenac is a phenylacetic acid derivative. It leads to the inhibition of cyclooxygenase activity, which then leads to the inhibition of the synthesis of prostaglandin and other mediators of inflammation. Diclofenac acts as anti- inflammatory and analgesic agent in the treatment of topical symptoms of rheumatic and non-rheumatic pains of the locomotor apparatus

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5.2 Pharmacokinetic properties

Absorption

After topical application, diclofenac is well-absorbed into the subcutaneous layers of the skin. In healthy volunteers, the maximum level of diclofenac after a 7.5 g dose of 1% of concentration was, on average, approximately 3.9 ng/ml. After several days of treatment, the concentration on skin and soft tissues of patients with arthrosis reached values 30 to 40 times higher than the ones from plasma. The diclofenac absorption in the 1% concentration applied on the healthy skin reached 6 to 7% in healthy individuals.

Distribution

The diclofenac concentration was measured on plasma and tissue and synovial fluid after topical administration in the hands and knees joints. Maximum plasma concentration was about 100 times lower than after oral administration. Diclofenac binds 99.7% to plasma proteins, mainly albumin (99.5%).

Biotransformation

Biotransformation of diclofenac involves partly glucuronidation of the intact molecule, and mainly single and multiple hydroxylations, most of which are converted to glucuronide conjugates (hydroxyl-gluconates). The main metabolite is 4-hydroxy-diclofenac (30%-40%). All the metabolites are biologically active, but to a much smaller extent than diclofenac.

Elimination

Diclofenac and its metabolites are excreted mainly in the urine. Total clearance of diclofenac from plasma is 263 ± 56 ml/min. The terminal plasma half-life is of 1-2 hours. Its metabolites have similar plasma half-lives of 1-3 hours. Approximately 60% of the dose administered is eliminated in the urine in the form of metabolites, only 1% in the form of diclofenac. The remaining is eliminated as metabolites by bile and in faeces.

5.3 Preclinical Safety Data

Not Applicable

Summary of Product Characteristics

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Benzyl alcohol, Acrypol 940, Butylated hydroxytoluene, Tween 80, Purified Water

6.2 Incompatibilities

Not applicable.

6.3 Shelf life

36 months.

6.4 Special precautions for storage

Store below 30^0C. Protect from light. Do not Freeze.

6.5 Nature and contents of container

30 gm Lami tube

6.6 Special precautions for disposal and other handling

None

7. Manufacture

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