PACKAGE INSERT

PROBENECID TABLET 500MG

Each tablet contains:-
Probenecid 500mg

Product Description: Round, white, flat, plain tablet with single score on one side only.

Pharmacodynamics:
Probenecid is a uricosuric agent. It promotes excretion of urates and inhibits tubular reabsorption, which results in a lowering of the elevated concentration of uric acid in the plasma and in slow depletion of urate tophi and deposits in the tissues.
It inhibits the tubular secretion of penicillin and usually increases penicillin plasma level by any route the antibiotic is given. A 2 fold to 4 fold elevation has been demonstrated for various penicillins. It also enhances the plasma concentration of cephalexin and cephalothin.
Probenecid also has been reported to inhibit the renal transport of many other compounds including aminohippuric acid, aminosalicylic acid, indomethacin, sodium iodomethamate and related iodinated organic acids, 17-ketosteroids, pantothenic acid, phenolsulfonphthalein, sulphonamides, and sulphonylureas.

Pharmacokinetics:
Probenecid is rapidly absorbed from the gastrointestinal tract and is extensively bound to plasma proteins. It is metabolized by the liver and excreted in the urine mainly as metabolites. Excretion is increased in alkaline urine.
It decreases both hepatic and renal excretion of sulfobromophthalein. The tubular reabsorption of phosphorus is inhibited in hypoparathyroid but not in euparathyroid individuals.
It does not influence plasma concentration of salicylates nor the excretion of streptomycin, chloramphenicol, chlortetracycline, oxytetracycline or neomycin.

Indication:
For treatment of hyperuricemia associated with gout and gouty arthritis.
As an adjuvant to therapy with penicillins or with ampicillin, methicillin, oxacillin or cloxacillin or nafcillin for elevation and prolongation of plasma levels by whatever route the antibiotic is given.

Recommended Dosage:
Gout:
The recommended adult dosage is 250 mg twice a day for one week, followed by 500 mg twice a day thereafter. The daily dosage may be increased by 0.5 g increments every 4 weeks within tolerance (and usually not above 2 g per day) if symptoms of gouty arthritis are not controlled or the 24 hour uric acid excretion is not above 700mg.
A liberal fluid intake is recommended as well as sufficient sodium bicarbonate (3 to 7.5 g daily) or potassium citrate (7.5 g daily) to maintain an alkaline urine. When acute attacks have absent for 6 months or more and serum urate levels remain within normal limits, the daily dosage may be decreased by 500 mg every 6 months.
Probenecid and Penicillin Therapy:
Adults: The recommended dosage is 2 g daily in divided doses.
Children 2 - 14 years: Initial dose 25 mg/kg body weight daily.
Maintenance: 40 mg/kg body weight daily, divided into 4 doses.

Route of Administration: Oral

Contraindications:
Hypersensitivity to this product.
Children under 2 years of age.
Not recommended in persons with known blood dyscrasia or uric kidney stones.

Warnings and Precautions:
Exacerbation of gout following therapy with probenecid may occur; in such cases colchicine or other appropriate therapy is advisable.
Probenecid increases plasma concentration of methotrexate in both animal and human. If probenecid is given with methotrexate, the dosage of methotrexate should be reduced and serum level may need to be monitored.
In patients on probenecid, the use of salicylates in either small or large doses is contraindicated because it antagonizes the uricosuric action of probenecid. The biphasic action of salicylates in the renal tubules accounts for the paradoxical effect.
The appearance of hypersensitivity reactions requires cessation of therapy with probenecid. Because of its mechanism of action, probenecid is not recommended in conjunction with a penicillin in the presence of known renal impairment.

Interactions with Other Medicaments:
When probenecid is used to elevate plasma concentrations of penicillin, or other beta-lactams, or when such drugs are given to patients taking probenecid therapeutically, high plasma concentrations of the other drug may increase the incidence of adverse reactions associated with that drug. In the case of penicillin, or other beta-lactams, psychic disturbances have been reported.
The use of salicylates antagonizes the uricosuric action of probenecid. The uricosuric action of probenecid is also antagonized by pyrazinamide.
Probenecid produces an insignificant increase in free sulfonamide plasma concentrations but a significant increase in total sulfonamide plasma levels. Since probenecid decreases the renal excretion of conjugated sulfonamides, plasma concentrations of the later should be determined from time to time when a sulfonamide and probenecid are coadministered for prolonged periods. Probenecid may prolong or enhance the action of oral sulfonylureas and thereby increase the risk of hypoglycemia.
The concomitant administration of probenecid increases the mean plasma elimination half-life of a number of drugs which can lead to increased plasma concentrations. These include agents such as indomethacin, acetaminophen, naproxen, ketoprofen, meclofenamate, lorazepam, and rifampin.
In animals and in humans, probenecid has been reported to increase plasma concentrations of methotrexate.

Pregnancy and Lactation:
Probenecid crosses the placenta barrier and appears in cord blood. The use of any drug in women of child bearing potential requires that the anticipated benefit be weighed against possible hazards.

Side Effects:
Headache, gastrointestinal symptoms (e.g. anorexia, nausea, vomiting) urinary frequency, hypersensitivity reactions (including anaphylaxis, dermatitis, pruritus and fever), sore gums, flushing, dizziness, anaemia have occurred. Nephrotic syndrome, hepatic necrosis, and aplastic anaemia occur rarely.

Symptoms and Treatment of Overdose:
Gastric intolerance may be indicative of overdosage and may be corrected by decreasing the dosage.
In severe overdosage, probenecid causes stimulation of the central nervous system with convulsions and death from respiratory failure. Severe overdosage should be managed by emesis or lavage and symptomatic treatment.

Effects on Ability to Drive and Use Machine: Not applicable.

Storage Conditions: Store at or below 30ºC.

Pack Size: Blister pack: 10 x 10, 50 x 10 and 100 x 10 tablets per strip.
Pack Size (export only): A bottle of 500 and 1000 tablets.

Shelf-life: 5 years.

FURTHER INFORMATION CONCERNING THIS DRUG CAN BE OBTAINED FROM YOUR FAMILY PHYSICIAN / LOCAL GENERAL PRACTITIONER / PHARMACIST.

Manufacturer & Product Registration Holder:
SUNWARD PHARMACEUTICAL SDN. BHD.
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Taman Perindustrian Tampoi Indah,
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