Grasil® Injection

(Amikacin Sulphate)

COMPOSITION:

Grasil® 25mg Injection
Each ml contains:
Amikacin Sulphate USP
equivalent to Amikacin.....25mg

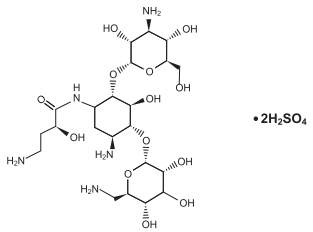
Grasil® 50mg Injection
Each ml contains:
Amikacin Sulphate USP
equivalent to Amikacin.....50mg

Grasil® 100mg Injection
Each 2ml contains:
Amikacin Sulphate USP
equivalent to Amikacin.....100mg

Grasil® 250mg Injection
Each 2ml contains:
Amikacin Sulphate USP
equivalent to Amikacin.....250mg

Grasil® 500mg Injection
Each 2ml contains:
Amikacin Sulphate USP
equivalent to Amikacin.....500mg

DESCRIPTION:
Amikacin sulphate is a semi-synthetic aminoglycoside antibiotic derived from kanamycin has following structural formula, D-streptamine, O-3-amino-3-deoxy-a-b-glucopyranosyl)1>6)-O-[6-amino-6-deoxy-a-D-glucopyranosyl(1>4)]-N1-(4-amino-2-hydroxy-1-oxobutyl)-2-deoxy-(S)-,sulphate (1:2)(Salt) It has the following molecular formula $C_{22}H_{43}N_5O_{13} \cdot 2H_2SO_4$ with a molecular weight of 781.75



$\cdot 2H_2SO_4$

PROPERTIES:
Amikacin is a semi-synthetic derivative of kanamycin. It belongs to aminoglycoside antibiotic and amikacin (sulphate) is less toxic than parent drug, kanamycin. The aminoglycosides are the compound containing characteristic amino sugars joined to a hexose nucleus in glycoside linkage and their polarity accounts for their pharmacokinetic properties. Antibiotics require constant drug level in body for therapeutic effect. This is achieve by taking the medication at regular interval of time throughout the day and night as prescribed. Amikacin (sulphate) is important to take the drug for the full time period as prescribed. If you discontinue the therapy, it may result in ineffective treatment

PHARMACOKINETICS:
Oral absorption of amikacin (sulphate) is found to be 0.5% ±0.5. Volume of distribution is found to be 0.2-0.25 l/kg and plasma protien binding is < 10%. Renal excretion accounts for 100-800 mg/l and plasma half life is 2.2 - 2.5 hours

CLINICAL PHARMACOLOGY:
Intramuscular Administration
Amikacin is rapidly absorbed after intramuscular administration. In normal adult volunteers, average peak serum concentrations of about 12,16, and 21 mg/ml are obtained 1 hour after intramuscular administration of 250mg (3.7mg/kg), 375mg (5mg/kg), 500 mg (7.5mg/kg), single doses, respectively. At 10 hours, serum levels are about 0.3µg/ml, 1.2µg/ml, and 2.1µg/ml, respectively
Intravenous Administration
Single doses of 500 mg (7.5mg/kg) administered to normal adults as an infusion over a period of 30 minutes produced a mean peak serum concentration of 38 µg/ml at the end of the infusion, and levels of 24 µg/ml, 18 µg/ml, and 0.75 µg/ml at 30 minutes, 1 hour, and 10 hours post-infusion, respectively. 84% of the administered dose was excreted in the urine in 9 hours and about 94% with in 24 hours

MICROBIOLOGY:
Gram-negative
Amikacin is active in vitro against Pesudomonas species, Escherichia coli, Proteus species (Indole-positive and indole-negative), Providencia species, Klebsiella-Enterobacter-Serratia species, Acinetobacter species and Citrobacter freundii
Gram-positive
Amikacin is active in vitro against pencillinase and non pencillinase producing Staphylococcus species, including methicillin-resistant strains

INDICATIONS AND USAGE:
Amikacin sulphate injection is indicated in the short-term treatment of serious infections due to susceptible strains of Gram-negative bacteria, including Pseudomonas species, Escherichia coli, species of indole-positive and indole-negative Proteus, Providencia species, Klebsiella-Enterobacter-Serratia species, and Mima-Herellea species
Clinical studies have shown amikacin sulphate injection to be effective in bacterial septicemia (including neonatal sepsis); in serious infections of the respiratory tract, bones and joints, central nervous system (including meningitis) and skin and soft tissue; intra-abdominal infections (including peritonitis); and in burns and post-operative infections (including post vascular surgery). Clinical studies have shown amikacin also to be effective in serious complicated and recurrent urinary tract infections due to these organisms. Aminoglycosides including amikacin sulphate injection, are not indicated in uncomplicated initial episodes of urinary tract infections unless the causative organisms are not susceptible to antibiotics having less potential toxicity

CONTRA-INDICATIONS:
Hypersensitivity to amikacin and aminoglycosides

WARNINGS AND PRECAUTIONS:
Amikacin should be used with caution in patients with history of kidney diseases, vertigo, hearing loss, mysthenia gravis, parkinsonisms. Use with caution in patients who are sensitive to aminoglycosides. Perform monthly blood counts test for atleast 4 months. Keep patients well hydrated to prevent chemical irritation or nephrotoxic reactions. Take appropriate measures in case of secondary infections

Pregnancy
Category D

Nursing Mothers
It is not known whether this drug is excreted in human milk. As a general rule, nursing should not be undertaken while a patient is on a drug since many drugs are excreted in human milk

Paediatric Use
Aminoglycosides should be used with caution in premature and neonatal infants because of the renal immaturity of these patients and the resulting prolongation of serum half-life of these drugs

Patients treated with aminoglycosides should be under close clinical observation because of the potential ototoxicity and nephrotoxicity associated with their use. Safety for treatment periods which are longer than 14 days has not been established

SIDE EFFECTS:
The severe or irreversible adverse effects of amikacin (sulphate), which give rise to further complications, include nephrotoxicity, neuromuscular blockage, renal tubular acidosis

Drug Interactions
Use of other nephrotoxic drugs (including other aminoglycosides, vancomycin, some cephalosporins, ciclosporin, cisplatin and fludarabine), or of potentially ototoxic drugs such as ethacrynic acid and perhaps furosemide, may increase the risk of aminoglycoside toxicity. It has been suggested that use of an antiemetic such as dimenhydrinate may mask the early symptoms of vestibular ototoxicity. Care is also required if other drugs with a neuromuscular-blocking action are used. The neuromuscular blocking properties of aminoglycosides may be sufficient to provoke sereve respiratory depression in patients given general anaesthetics or opioids

DOSAGE:
The recommended dose for adults, children, and older infants with normal renal function is: 15mg/kg/day in 2 or 3 equal doses administered at equally divided intervals; i.e. 7.5mg/kg every 12 hours or 5mg/kg every 8 hours. Treatment of patients with large body mass should not exceed 1.5g/day
When amikacin is indicated in newborns, it is recommended that a loading dose of 10 mg/kg be administered initially to be followed with 7.5mg/kg every 12 hours

Duration of Treatment
Duration of treatment depends on severity of the illness and on the clinical and biological course. The usual duration of treatment is 7 to 10 days, it is desirable to limit the duration of treatment to short-term when ever feasible. The total daily dose by all routes of administration should not exceed 15 mg/kg/day

STABILITY:
See expiry on the pack

PRESENTATION:
Grasil® 25mg/ml injection in 1ml ampoule
Grasil® 50mg/ml injection in 1ml ampoule
Grasil® 100mg/2ml injection in 2ml vial
Grasil® 250mg/2ml injection in 2ml vial
Grasil® 500mg/2ml injection in 2ml vial

INSTRUCTION:
Keep out of reach of children
Avoid exposure to heat, light and freezing
Store between 15 to 30°C
Improper storage may deteriorate the medicine

Caution: Injection should not be used if container is leaking, solution is cloudy or it contains un-dissolved particle(s)

گریسل انجکشن
(امیکاسن سلفیٹ)

خوراک: ڈاکٹر کی ہدایت کے مطابق استعمال کریں
ہدایات: بچوں کی پہنچ سے دور رکھیں
دوا کو دھوپ، گرمی اور منجمد ہونے سے محفوظ ۱۵ سے ۳۰ ڈگری سینٹی گریڈ
کے درمیان میں رکھیں ورنہ دوا خراب ہو جائیگی
تنبیہ: انجکشن کے لیک ہونے، دُھندلا ہونے یا اس میں کوئی غیر حل
پذیر شے نظر آنے کی صورت میں ہرگز استعمال نہ کریں

Manaufactured by:
SAMI Pharmaceuticals (Pvt.) Ltd.
F-95, S.I.T.E., Karachi-Pakistan
www.samipharmapk.com

220 mm

71 mm