Amikacin Sulphate Injection BP

500mg/2ml

For I.M. or I.V. Injection

Composição: Cada 2ml contém:
Sulfato de Amicacina BP
Equivalente a Amicacina ..... 500 mg
Metilparabeno BP ..... 0,08% p/v
(Como conservante)
Propilparabeno BP ..... 0,02% p/v
(Como conservante)
Água para injeção BP ..... q.s.

Introduction:
Amikacin, the first semisynthetic aminoglycoside to be marketed was synthesized by acetylation of deoxystreptamine residue of Kanamycm A with l(.)-1 amino-3 hydroxy butyric acid. It was first approved by the U.S. FDA for clinical use in 1976.
Amikacin is a water soluble white crystalline powder available in the form of its sulphate salt.

Pharmacology:
The pharmacological properties of Amikacin are virtually identical to those of its parent compound Kanamycin A.

Spectrum of Antimicrobial Activity:
Amikacin is active invitro against it variety of aerobic gram-negative bacilli including those that are resistant to Gentamcin and other Aminoglycosides. The susceptible organisms include
Escherichia coli Pseudomonas Aeuginosa, indole-positive and indole-negative, Proteus species, Klebsiella, Enterobactor, Serratia species, Aeinetobacter, Citrobacter freundii, and Providencia stuartil. MIC (Minimum inhibitory Concentration) values ranging from 0.15 to 16mcg/ml have been reported from gram-negative bacilli.
Among the gram-positive organisms. Amikacin is effective against both penicillinase-and non-penicillinase producing Staphylococcus aureus; however, Streptococcus pyogenes.
foetal serum concentration is about 16% of the peak material serum concentration and maternal and foetal serum half-life values are about 2 and 3.7 hours respectively.

INDICATIONS:
Amikacin either alone or in combination with penicillins or cephalosporins (injection given separately) is in shot treatment of serous infections of the lower respiratory tract, bones and joints , CNS (including peritonitis) andin burns and postoperative infections , Also Amikacin is the aminoglycoside of choice for treating infections caused by gram negative bacilli that are known or suspected to be resistant to Gentamicin and other amino-glycosides, These include nosocomial infections, complicated and recurrent urinary tract infections and serve infections in immunocompro mised patients.
Amikacin had also been in the treatment of multidrug resistant tuberculosis .

DOSAGE :
INTRAMUSCULAR ROUTE:
(in patients with normal renal function): For adults, children and older infants, a dose of 15mg/kg/day is recommended, given in two or three equally divides doses. Owing to the short serum half-life of Amikacin , an 8-hours interval dosage is preferred to the 12 hours interval regimen. The total daily dose should not exceed 1.5 gm per day.
Neonates with normal function received a loading does of 10mg/kg of Amikacin, followed by 7.5 mg/kg given every 12 hours, for patients with impaired renal function, a loading dose of 7.5 mg/kg is given, followed by suitable modifications in the dosage regimen, depending upon the degree of renal insufficiency. Dosage may be adjusted in patients with impaired renal function either by administering normal doses at prolonged intervals or by administering reduced doses at a fixed interval. Both methods are based on the patients creatinine clearance rate or serum creatinine values, since these have been fount to correlate with ainoglycosides half lives in patients with impaired renal function. If possible, serum levels should be monitored as a guide to help determine dosage (Refer the table given below).

INTRAVENOUS ROUTE:
Dosage regimens both for normal and impaired renal function-are identical to those for intramuscular route.Amikacin the recommended dosage may be given slow i.v. infusion spread over a period of 30-60 minutes in adults and a period of 2 hours in infants and neonates.
By either route the total dose administrated should not exceed 15mg/kg/day.
The normal duration of treatment is 7-10 days, infections usually respond within 24-28 hours of administration of Amikacin, however, if no clinical response is observed within this period, treatment should be stopped and susceptibility test
Enterococcl, Streptococcus pneumoniae and other gram positive organisms are not succeptible to Amikacin .
Amikacin shows excellent activity against Mycobacterium tuberculosis and atypical mycobacteria.
The chemical modification as observed in the structure of groups of the antibiotic from the action of aminogycoside inactivating enzymes, produced by gram-negative bacilli. That is why, Amikacin is resistant to most (expect one out of 9-an adenylating enzyme) of the aminoglycoside-inactivating enzymes .
so far, no cross-resistance has been reported between Amikacin and other aminoglycosides .

MECHANISM OF ACTION:
Like other aminoglycosides , Amikacin exerts its bactericidal effect by inhibiting the polypeptide synthesis in bacteria, presumbly by cusing premature separation of ribosimes from messanger RNA.

PHARMACOKINETICS:
Like other aminoglycosides. Amikacin is administers only parenterally, as it is poorly absorbed on oral administration, the pharmacokinetics of Amikacin (for i.v. and i.m. router) are virtually identical to those of Kanamycin.
Amikacin is rapidly absorbed after intramuscular administration , in adults, peak serum levels of 18-25 mcg.ml. Are achieved approximately 1 hour after an intramuscular injection of 7.5 mg/kg and in newborn infants the same dosage achieves a peak level of 17-20 mcg per ml in one-half to one hour following the injection.
A peak serum level of 25 mcg/ml is achieved at the end of a 30 minutes infusion of Amikacin in a dose of 7.5mg/kg in adults, peak and trough levels exceeding 30 to 35 mcg/ml and 10 mcg/ml respectively are generally considered to be hazardous.
Amikacin has serum half-life of 2 hours : however, this value may be increased 5-10 fold in patients with impaired renal function, thus necessitating suitable adjustments in dosage in such cases, depending upon the degree of renal insufficiency (omit the live) Amikacin has an apparent volume of distribution about 24 litres indicating that it is mainly distributed in the extracellular space. It is also found in the cerebrospinal, amniotic and peritoneal fluids, following parenteral administration. Only a negligible amount of the antibiotic is bound to plasma proteins.
Amikacin is excreted primarily by glomerular filtration . It has a mean serum clearance rate of about 100 ml/min. and its renal clearance rate is about 94/min. in adults, with normal renal function, 94-98% of the parenteral does is excreted uncharge in urine with 24 hours.
So far no accumulation has been reported in patients with normal renal function when
Amikacin is administered repeatedly at the interval of 12 hours. Amikacin has been demonstrated to cross the placental barrier any yield significant concentrations is anmiotic fliud.

to Amikacin be performed.
Treatment failure could be either due to resistance of micro-organisms to Amikacin or due to presence of septic. foci, which require surgival drainage.
Once daily dosage of Amikacin has also recommended. Reports confirm that single daily dose of Amikacin is less nephrotoxic less ototoxic & equally effective as multple daily dosage of Amikacin. Single daily dosage of Amikacin is recommended as single daily shot of 15 mg/kg body weight per day.
Table - recommendations for dosage of Amikacin for patients with various degree of renal function.

Glomerular Filteration Rate	Serum Creatinine	Amikacin 1/2 (min)	Daily Dose (mg) of Amikacin
150	0.8	80	250 x 4
45.0	2.0	230	125 x 3
18.0	3.5	380	125 x 2
8.0	6.0	480	100 x 2
2.0	15.5	550	125 x 1
0.5		900-1000	

PRECAUTION AND CONTRA-INDICATIONS :
Since Amikacin is the only currently available aminoglycoside that is effective against gram-negative bacilli that are resistant to other aminoglycosides, its use should be restricted to treat only those infections that are known ore suspected to be caused be resistant organisms.
Amikacin is contra-indicated in patients with a known history of allergy/or hypersensitivity to Amikacin or any other aminoglycoside.
Amikacin should be given to pregnant women only when needed and is best avoided in lactating women, as so.

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