

Q6 Describe the pharmacology of short acting insulin (actrapid) (Sept 2013)

Actrapid is a short acting human insulin preparation produced by recombinant DNA using *saccharomyces cerevisiae*.

PHARMACEUTICAL - clear fluid cartridges for penfill devices, and in 10ml vials

PHARMACODYNAMICS

MECHANISM OF ACTION → as per endogenous insulin, binds to insulin receptors (α and β subunits) on the surface of target cells, causing a shape change which results in the receptor exhibiting tyrosine kinase activity (ie; is capable of adding a phosphate group onto other proteins). This leads to the phosphorylation of several proteins within the cell, which modulate the activity of several processes - overall its actions are to increase cellular glucose uptake, protein and lipid formation, and inhibit gluconeogenesis, proteolysis and lipolysis.

SIDE EFFECTS →

Inadequate dosing or cessation of treatment in T1DM can lead to DKA

Increased activity +/- decreased PO intake can lead to hypoglycaemia

Safe to use in pregnancy and during lactation

PHARMACOKINETICS:

ADMINISTRATION

Route - subcut or IV (if insulin infusion required in the hospital setting)

Dose - depends on the individual and the blood sugar level

Onset of action - 30 min, time to peak 2-3 hours, duration 6-8 hours

DISTRIBUTION

Protein binding - minimal

METABOLISM

Degraded by insulin protease and possibly protein disulfide isomerase. No active metabolites.

ELIMINATION

Terminal half life is determined by rate of absorption from the injection site; studies have indicated 2-5 hours. Insulin in the bloodstream has a half life of several minutes.

