Atosiban is considerably more expensive than the beta2-agonists.

- CAS No. 90779-69-4
- ATC Code. G02CX01
- PubChem CID 68613
- Formula $\mathbf{C_{43}H_{67}N_{11}O_{12}S_2}$
- Mol.Mass 994.199

2. Adult Dosage

Preterm labor

a) Optimal doses have not been established. **Atosiban** is given via a continuous intravenous infusion. An initial intravenous bolus is recommended to shorten the time to cessation of uterine contractions. For women with preterm labor and intact membranes, an effective intravenous (IV) dosing regimen has begun with a 6.75 milligram bolus, followed immediately by infusion of 300 micrograms/minute for 3 hours and then a 100 micrograms/minute infusion

b) A bolus dose of 2 milligrams (mg), followed by infusion of 100 micrograms (mcg)/minute has been effective. **Atosiban** was continued 6 hours after the last contraction (last contraction preceding one hour of no contractions) to a maximum of 12 hours. A higher bolus/infusion rate (6 mg/300 mcg/minute) was not more effective.

c) In women with preterm labor and intact membranes who achieve uterine quiescence with intravenous **atosiban**, maintenance therapy of 30 micrograms/minute administered by a continuous subcutaneous infusion pump may prolong uterine quiescence

2.0 Pharmacokinetics

In women with preterm labour receiving atosiban (300 mcg/min for 6-12 hours) steady state plasma concentrations were reached within an hour following the start of infusion (mean 442 ± 73ng/ml, range 298 to 533ng/ml). Atosiban clearance, volume of distribution and half-life were found to be independent of the dose.

Atosiban is 46-48% plasma protein bound in pregnant women. It crosses the placenta, a dose of 300 mcg/min administered to healthy pregnant women at term produced a fetal/maternal atosiban concentration ratio of 0.12. Atosiban is metabolised to two metabolites (M1 and M3), the main one, M1 being as potent as the parent compound in inhibiting oxytocin-induced contractions in vitro. The ratios of M1 to atosiban concentrations in plasma were 1.4 at the second hour and 2.8 at the end of the infusion. The urinary concentration of atosiban is around 50 times lower than that of M1. M1 is excreted in breast milk. Plasma concentrations rapidly decline with an initial (ta) and terminal (tb) half-life of 0.21 ± 0.01 and 1.7 ± 0.3 hours, respectively. Mean clearance was 41.8 ± 8.2 l/hr and mean volume of distribution was 18.3 ± 6.8 L. There is no