Pegaptanib (Macugen®)

Pegaptanib sodium (Macugen®, OSI-Eyetech Pharmaceuticals, Pfizer), was the first anti-VEGF inhibitor available for the treatment of choroidal neovascularization(60).

This medicine is part of a new drug set called aptamers.

The aptamers are synthetic oligonucleotides which acquire a specific tridimensional shape and allow high specificity and affinity to a great extent of therapeutic agents.

These compounds are chemically synthetised with the use of nucleotide bases and the use of reverse transcription and PCR - polymerase chain reaction technology(61).

Pegaptanib sodium is a 28-base ribonucleic acid (RNA) oligonucleotide with two branched 20KDa polyethylene glycol (PEG) moieties attached in order to increase the half-life of the drug in the vitreous cavity.

The RNA sugar background is modified to prevent its degradation by endogenous endo and exo-nucleases(62).

Pegaptanib sodium specifically targets the VEGF165 isoform(63).

The pharmacokinetics of pegaptanib following intravitreous injection were profiled in a study of 147 subjects with exsudative AMD (Apte RS, 2007).

Either 1 or 3 mg of pegaptanib sodium per study eye was administered every 6 weeks for 54 weeks.

For the 1 mg dose, mean maximal plasma concentrations were 20 – 24 ng/ml, and pegaptanib was measurable (> 8 ng/ml) in the plasma for up to 1 week after injection.

The mean apparent terminal plasma half-life, determined from the 3 mg group, was 10 days.

There was no plasma accumulation with administration of repeated doses.

In addition, no serum antibodies against pegaptanib were detected(64, 65).

In monkeys' eyes, biologically active pegaptanib could be detected in the vitreous humor for at least 28 days, following a single 0.5 mg intravitreous injection dose(66).

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