

## Pegaptanib ( Macugen® )

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

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 synthesised with the use of nucleotide bases and the use of reverse transcription and PCR - polymerase chain reaction technology<sup>(61)</sup>.

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<sup>(62)</sup>.

Pegaptanib sodium specifically targets the VEGF165 isoform<sup>(63)</sup>.

The pharmacokinetics of pegaptanib following intravitreal injection were profiled in a study of 147 subjects with exudative 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<sup>(64, 65)</sup>.

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

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