

MODULE 9.5

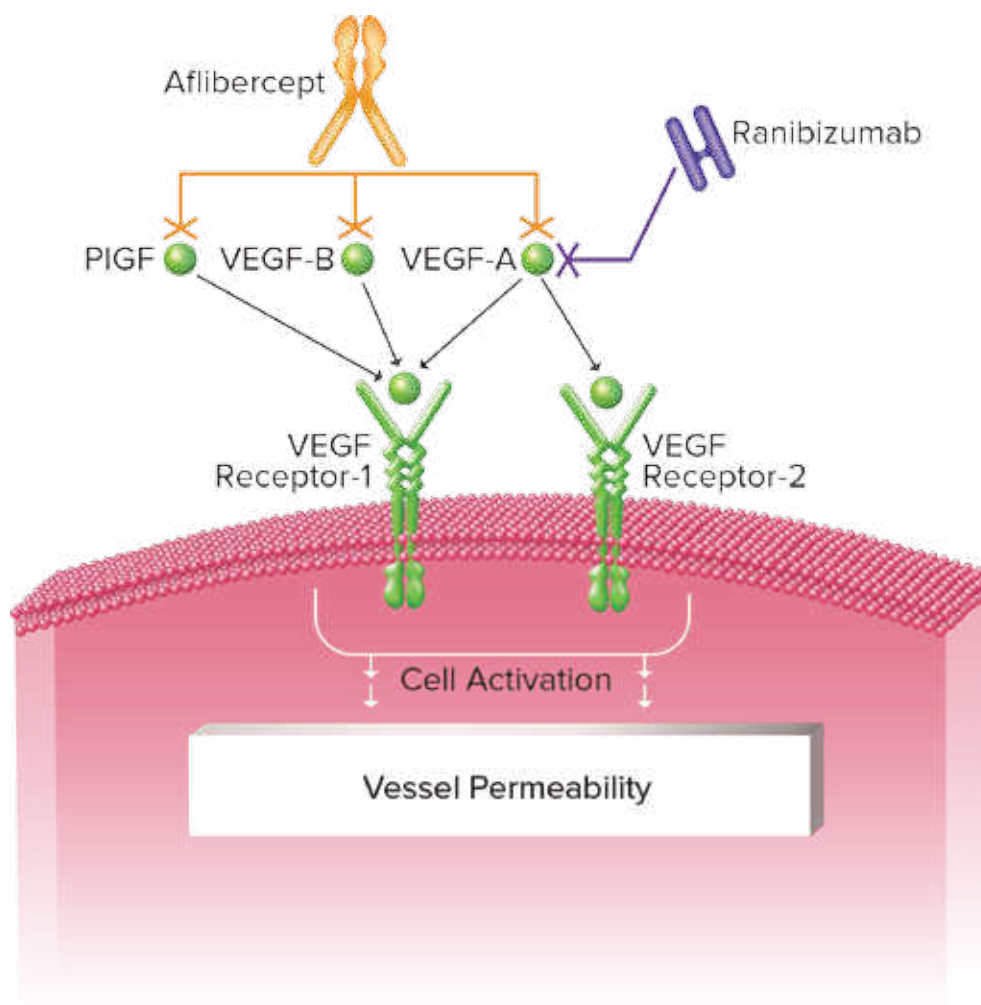
Eylea (Aflibercept)

Aflibercept (EYLEA; Regeneron Pharmaceuticals, Inc; Tarrytown, New York, NY; and Bayer Healthcare Pharmaceuticals; Berlin, Germany) is a 115-kDa anti - vascular endothelial growth factor (anti-VEGF) fusion protein. The agent was developed using “trap technology” in which the extracellular binding domains of VEGF receptor (VEGFR)-1 and -2 were combined with the Fc segment of human immunoglobulin-G1 backbone. Similar to bevacizumab and ranibizumab, aflibercept binds all isomers of the VEGF-A family. Additionally, aflibercept binds to VEGF-B and placental growth factor.²

Acting as a soluble circulation trap for VEGF, aflibercept binds firmly to the target, clears it from the vitreous,³ and therefore inhibits binding and activation of the VEGF receptors. The affinity of aflibercept to VEGF-A is

considerably higher than that for monoclonal anti-VEGF antibodies. This high-affinity binding is observed in vivo as 1-month intravitreal binding.⁴

Aflibercept is FDA approved for the treatment of neovascular age-related macular degeneration, macular edema following retinal vein occlusion, and diabetic macular edema.⁵ The recommended intravitreal dosing for aflibercept is 2 mg every 4 weeks (monthly) for the first 5 injections followed by 2 mg once every 8 weeks (2 months). The most frequent ocular adverse events with aflibercept have been conjunctival hemorrhage, eye pain, cataract, vitreous floaters, increased intraocular pressure, and vitreous detachment.⁵ You'll learn more about the clinical studies that evaluated aflibercept in Module 10.



PIGF: Placental growth factor

VEGF-A: Vascular endothelial growth factor A

VEGF-B: Vascular endothelial growth factor B

VEGF Receptor-1: Vascular endothelial growth factor receptor (kinase-impaired)

VEGF Receptor-2: Vascular endothelial growth factor receptor (highly active kinase)

References

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