

A DNA aptamer that inhibits aberrant receptor signaling in cancer cells

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Aptamers have been attracting attention as an alternative to antibodies in diagnostic and therapeutic settings due to their high affinity and specificity of binding to their targets.¹ To date, various aptamers have been generated by *in vitro* selection targeting cancer-related biomarkers.² Growth factor receptors are key cancer biomarkers because their aberrant signaling is associated with cancer malignancy. Gene amplification and resultant overexpression of growth factor receptors often cause the formation of ligand-independent receptor dimers and resultant aberrant signaling.³

Aptamers have been utilized as tools to control the activity of growth factor receptors. Although there have been many reports of aptamers that function as antagonists and inhibit ligand-dependent activation of growth factor receptors,⁴ no aptamer has been demonstrated to inhibit ligand-independent activation that often causes the aberrant signaling and resultant cancer development and progression. In this presentation, we will talk about a DNA aptamer that targets a growth factor receptor and inhibits the constitutive aberrant activation of the target receptor.⁵ The inhibition leads to the inhibition of the downstream signaling and cell growth of a cancer cell. A series of experiments indicates that the aptamer exerts the inhibitory function by preventing the ligand-independent dimer formation of the receptor on cancer cell surface.

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