

## Anti-VEGF Small Molecule for Treating Solid Tumors

By 2020 about 18.2 million Americans are estimated to be affected by cancer. Solid tumors consist a substantial proportion of all the incidences of cancer and they affect bone, blood vessels, skin, glands, brain and the epithelial lining of organs. They also make up 30% of all pediatric cancers. There is a need to develop novel therapies against solid tumors, which will not only be efficient but also have relatively low toxicity. One of the methods of action for eliminating solid tumors is to inhibit development of blood vessels that are essential for its survival. Researchers at NSU have developed and tested a novel compound that blocks VEGF (Vascular Endothelial Growth Factor) receptors, which will therefore prevent the growth of blood vessels. This inhibition of tumor angiogenesis will thus destroy the tumor by constraining its blood supply.

### Technology

Dr. Appu Rathinavelu and his research team at the Rumbaugh Goodwin Institute for Cancer Research (RGI) identified a new therapeutic compound, which inhibits receptors for VEGF, a protein necessary for growth of blood vessels. This inhibits blood vessel development, which prevents blood supply to the tumor leading to its regression. To select this specific compound the researchers at NSU utilized computational pharmacology and screened compounds available through public and commercial databases for their ability to bind to constructed models of VEGF receptors. One of the compounds, F16, demonstrated significant antiangiogenic properties. It also demonstrated anticancer properties in cell culture assays with various cancer cell lines. It proved to be as effective as paclitaxel in inhibiting breast adenocarcinoma tumor growth in vivo studies using a mouse model. Combination of F16 and a commonly used chemotherapy drug, Paclitaxel, was 20% more effective against solid tumors than Paclitaxel when used alone. F16 also inhibited tumor growth in breast cancer tumor xenograft mouse model and the tumor inhibitory effects F16 were superior to that of Taxol.

### Application

This novel compound can be used by itself or in combination with existing therapeutic agents for the inhibition of solid tumors. It has been proven to be effective in breast cancer xenograft mouse model.

### Advantages/Benefits

- This novel molecule can be used against multiple types of solid tumors as the mode of action targets VEGF receptors and inhibits development of blood vessels
- In preclinical studies with xenograft transplant mouse model, F-16 was not only effective against tumor it also demonstrated equal or less cytotoxicity relative to commonly used anticancer therapeutic agents

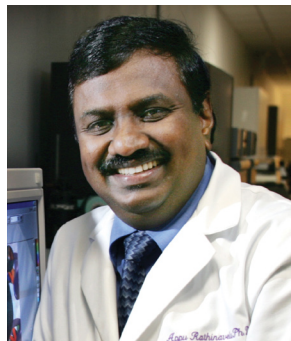
## Status of Development

Findings from in vivo Xenograft experiments, cytotoxicity assays and anticancer experiments indicate that F16 is a potent anticancer molecule against breast cancer and it did not demonstrate high levels of toxicity. Currently the researchers are evaluating its efficacy in other types of cancers and preparing to conduct larger in vivo experiments with xenograft transplant mice.

## Patent Status

US Patent issued on 10 May 2016.

## Information on Inventors



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