

Archexin™: Targeted Anti-Cancer Drug Candidate

- Archexin™ is a first-in-class, potent inhibitor of activated and native Akt protein kinase
- Akt activation leads to cancer cell proliferation and survival, angiogenesis, and drug resistance
- U.S. FDA orphan drug designation for treatment of five cancers including renal cell carcinoma (RCC), glioblastoma, and ovarian, stomach and pancreatic cancers
- Phase II trials extended evaluating Archexin for treatment of RCC and pancreatic cancer

Background

Activation of the protein kinase Akt leads to cancer cell survival, proliferation, and angiogenesis. (Fig 1) Both native and activated forms of Akt are involved in cancer cell signaling. Activated Akt also play a role as a drug resistance mechanism, in particular, of targeted therapies. Archexin™ is the first anticancer drug that inhibits both forms of Akt, with the potential to inhibit cancer survival, proliferation, and angiogenesis.

Commercial Opportunity

The global sales forecast for cancer drugs is \$60 billion by 2010. Unmet medical needs in cancer chemotherapy are limited efficacy, severe side effects and multi-drug resistance.

Archexin™ may establish a new standard of care in treating solid tumors including renal cell carcinoma (RCC) and pancreatic cancer, with potential for greater efficacy, minimal drug-related toxicity, and inhibition of drug resistance. There are over 200,000 RCC cases worldwide and 40,000 U.S. cases annually. Expected peak sales of the RCC drugs Nexavar and Sutent are \$750M and \$1.5B, respectively. Only 20% of metastatic RCC tumors respond to standard therapy, leaving remaining patients with no effective treatment. Five-year survival is less than 20%, and up to 50% of patients relapse following treatment. Pancreatic cancer is associated with an exceptionally poor prognosis and 5-year survival rate of 5% or lower. Sales of the cancer drugs Tarceva and Gemzar, which are used in pancreatic cancer, were \$886M and \$1.6B, respectively in 2007.

Registration Strategy. Archexin™ has U.S. FDA orphan drug designations for treatment of 5 cancers including renal cell carcinoma (RCC), glioblastoma, pancreatic, ovarian and stomach cancers.

Clinical Development

The Archexin™ Phase II study goals are to assess safety and preliminary efficacy. Other endpoints may include overall survival, objective tumor response, and quality of life. In Phase I study, grade 3 (G3) fatigue was the only dose limiting toxicity observed and no significant hematological effects or other adverse events were observed. Preclinical data show that Archexin™ significantly suppressed growth of xenografted tumors derived from human cancer cells. (Fig. 2)

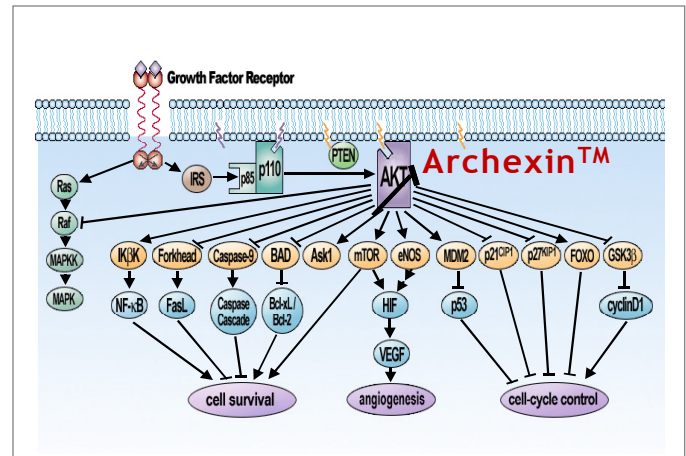


Fig 1. Akt regulates key signaling molecules important for cancer cell proliferation and survival, angiogenesis, and drug resistance. Archexin™ is the only potent inhibitor of both activated and native Akt. Current targeted anticancer drugs cannot inhibit activated Akt and face resistance in cancers; Archexin™ may inhibit Akt-mediated drug resistance.

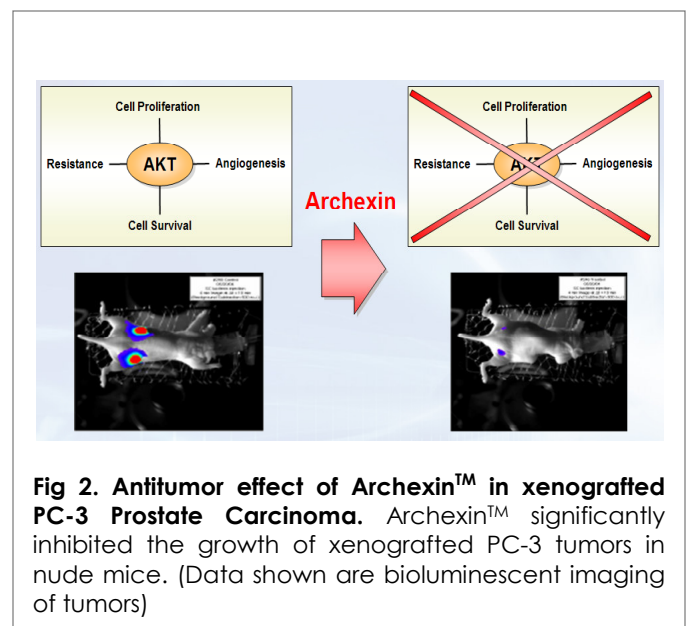


Fig 2. Antitumor effect of Archexin™ in xenografted PC-3 Prostate Carcinoma. Archexin™ significantly inhibited the growth of xenografted PC-3 tumors in nude mice. (Data shown are bioluminescent imaging of tumors)