

BODIPY-FL NILOTINIB (TASIGNA) FOR USE IN CANCER RESEARCH

SUMMARY

The National Cancer Institute's Laboratory of Cell Biology is seeking statements of capability or interest from parties interested in collaborative research to further develop, evaluate, or commercialize bodipy conjugated tyrosine kinase inhibitors that are currently used in the clinic for the treatment of CML or gastric cancers.

REFERENCE NUMBER

E-009-2010

PRODUCT TYPE

- Research Materials

KEYWORDS

- Tyrosine Kinase Inhibitor (TKI)
- Tassigna
- BODIPY-FL
- Nilotinib

COLLABORATION OPPORTUNITY

This invention is available for licensing.

CONTACT

John D. Hewes

NCI - National Cancer Institute

240-276-5515

John.Hewes@nih.gov

DESCRIPTION OF TECHNOLOGY

The National Cancer Institute's Laboratory of Cell Biology is seeking statements of capability or interest from parties interested in collaborative research to further develop, evaluate, or commercialize bodipy conjugated tyrosine kinase inhibitors that are currently used in the clinic for the treatment of CML or gastric cancers. We are also interested in evaluating third generation tyrosine kinase inhibitor derivatives as modulators of ABC drug transporters to improve the efficiency of chemotherapy in animal (mouse) model system. In addition, we can identify possible pharmacokinetic interactions of the novel kinase inhibitors with ABC drug transporters.

Investigators at the National Institutes of Health have produced a fluorescently labeled derivative of the clinically-approved, tyrosine kinase inhibitor (TKI) nilotinib (Tassigna) for use in research. This was

accomplished by conjugating the fluorescent dye BODIPY-FL to nilotinib.

The TKI imatinib (Gleevec) is the first targeted therapeutic developed and is used as first line treatment of Philadelphia chromosome-positive (Ph+) cancers like chronic myeloid leukemia (CML). Although imatinib is highly effective, after continued use the cancer cells frequently become resistant to the drug. Nilotinib is a second generation TKI developed to overcome imatinib resistance, but eventually it can also result in drug resistance.

The fluorescent nilotinib conjugate was developed to study the mechanism by which cancer cells become resistant to nilotinib and better understand its cytotoxic effects.

Development Status:

- Ready for use
- Pre-clinical data available

POTENTIAL COMMERCIAL APPLICATIONS

- Use in monitoring cellular accumulation of nilotinib using flow cytometry, fluorescent microscopy, or other fluorometric techniques
- Use as an in vivo probe with experimental models and in clinical studies for analyzing drug efficacy, pharmacokinetic profile and drug localization
- Use for the study of cytotoxic effects of nilotinib in important physiological locations such as the heart and brain
- Use in identifying other potential targets of nilotinib in different types of cancer
- Use in in vivo imaging to identify potential physiological barriers to drug penetration into tissues

COMPETITIVE ADVANTAGES

- Material is ready for use reducing time and effort to duplicate
- BODIPY-FL dye is compatible with commonly-used fluorescein dye optics and has superior fluorescent properties to fluorescein
- BODIPY-FL Nilotinib (Tasigna) is compatible and can be used for both in vitro and in vivo studies.

INVENTOR(S)

[Suresh V Ambudkar](#) (NCI), [Suneet Shukla](#) (NCI), [Amanda P Skoumbourdis](#) (NCATS), [Craig J Thomas](#) (NCATS)

DEVELOPMENT STAGE

- Prototype

PUBLICATIONS

<http://www.ncbi.nlm.nih.gov/pubmed/21630681>

PATENT STATUS

NCI Technology Transfer Center

<https://techtransfer.cancer.gov/pdf/e-009-2010.pdf>

- **Not Patented:** Research Tool -- patent protection is not being pursued for this technology

THERAPEUTIC AREA

- Cancer/Neoplasm