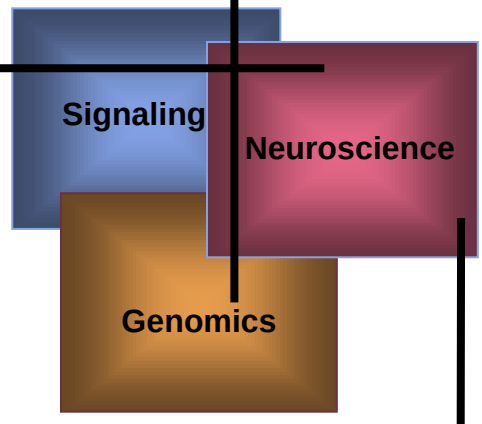
The Department of Pharmacology

Proudly Presents the Seminar Series:



Frontiers in Pharmacology

Ariel Fernandez, Ph.D.,

Karl F. Hasselmann Chair in Engineering
Professor of Bioengineering
Rice University

Translational concepts in drug discovery:
Redesigning IMATINIB into a safer drug and taking it back to the clinic

I shall present on current research endeavors in my research group focusing on controlling specificity in molecularly targeted anticancer therapy. A basic goal is to reduce toxic side effects by structure-based drug design exploiting our understanding of the molecular basis of specificity. Particular emphasis will be placed on engineering kinase inhibitors (KIs) with minimal clinical uncertainty.

We have developed a novel method to discriminate activity from safety in these small molecules. The method is based on critical elements in the effector location of small molecules that protect the structural weaknesses of the target protein by "wrapping" them upon binding. We now know that wrapping defects are not conserved across structurally similar proteins, and this enables us to avoid drug cross-reactivity and its associated side effects. We shall redesign imatinib exploiting the wrapping concept, reduce its cross-reactivity, and deliver it back to the clinic as a safer therapeutic agent retaining the anticancer activity of the parent compound. This translational research will lay the foundation of a novel enabling technology, the wrapping technology, with enormous benefit to patients exposed to molecularly targeted treatment.

Friday, October 23rd
10:15 am
(please note time change)
GBSF Auditorium
(Rm. # 1005)