

Drug Discovery & Development (CBE/BE 562)

INSTRUCTOR: Dr. Scott. L. Diamond

- #1 Intro to Drug Discovery
Overview of Pharmaceutical industry and Drug Development Costs, Discovery Pipeline
High Throughput Screening (HTS), Instrumentation, Robotics/Automation

- #2 Assay Design and Sensitivity, Cell based screening, Fura-2 ratio, loading signaling
Gfp-calmodulin-gfp integrated calcium response, Estrogen/ERE-Luc HTS
problems with cell based screening (toxicity, permeability, nonspecificity)

- #3 Enzyme kinetics, Fluorescence, Linearity, Inner-filter effect, quenching
Time dynamics of a Michaelis-Menton Reaction
Competitive Inhibitor; FLINT, FRET, TRF, FP, SPA, alpha-screen

- #4 Solid Phase Synthesis and Combinatorial Chemistry
Z-factor , Assay Optimization

- #5 Enzyme HTS (kinases, proteases), orthogonal screening
Case Study: Cathepsins

- #6 ADMET, Lipinski parameters
Solubility, pharmacokinetics

- #7 **MIDTERM**

- #8 Development: Drug Substance and Formulation

- #9 Development: Stability/Packaging/Labeling

- #10 Quality Control: cGMP Manufacturing and compliance

- #11 Quality Control: Analytical Methods and Specifications

- #12 Regulatory: FDA regulation

- #13 Regulatory: IND and NDA requirements

- #14 **TEST #2**

GRADING		
HOMEWORK & Group Project	15 %	PART 1
MIDTERM	40 %	
Quizes (15%)/TEST #2 (30%)	45 %	PART 2
	100 %	Total