



Protein Tyrosine Kinases: From Inhibitors to Useful Drugs (Cancer Drug Discovery and Development)

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Leading researchers, from the Novartis group that pioneered Gleevec/Glivec™ and around the world, comprehensively survey the state of the art in the drug discovery processes (bio- and chemoinformatics, structural biology, profiling, generation of resistance, etc.) aimed at generating PTK inhibitors for the treatment of various diseases, including cancer. Highlights include a discussion of the rationale and the progress made towards generating "selective" low molecular-weight kinase inhibitors; an analysis of the normal function, role in disease, and application of platelet-derived growth factor antagonists; and a summary of the factors involved in successful structure-based drug design. Additional chapters address the advantages and disadvantages of in vivo preclinical models for testing protein kinase inhibitors with antitumor activity and the utility of different methods in the drug discovery and development process for determining "on-target" vs "off-target" effects of kinase inhibitors.

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