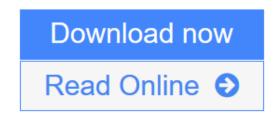


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Andy Barker, David Anrews



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The epidermal growth factor receptor (EGFR) tyrosine kinase is a target for cancer chemotherapy. This chapter describes the discovery of small-molecule inhibitors of the kinase, their characterization and the medicinal chemistry programme that resulted in the identification of gefitinib. The development and studies undertaken to progress the drug in the clinic are presented together with a brief summary of other inhibitors of the EGFR kinase and their properties.

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