Title (en)

METHODS OF USING OLIGONUCLEOTIDE ARRAYS TO SEARCH FOR NEW KINASE INHIBITORS

Title (de)

VERFAHREN DURCH GEBRAUCH AN OLIGONUKLEOTIDARRAYS ZUM SUCHEN VON NEUEN KINASE-INHIBITOREN

Title (fr)

TECHNIQUES PERMETTANT D'UTILISER DES BANQUES CHIMIQUES POUR RECHERCHER DE NOUVEAUX INHIBITEURS DES KINASES

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Application

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Abstract (en)

[origin: WO9934018A1] The generation of selective inhibitors for specific protein kinases would provide new tools for analyzing signal transduction pathways and possibly new therapeutic agents. We have invented an approach to the development of selective protein kinase inhibitors based on the unexpected binding mode of 2,6,9-trisubstituted purines to the ATP binding site of human CDK2. The most potent inhibitor, purvalanol B (IC50 = 6 nM), binds with a 30-fold greater affinity than the known CDK2 inhibitor, flavopiridol. The cellular effects of this class of compounds were examined and compared to those of flavopiridol by monitoring changes in mRNA expression levels for all genes in treated cells of Saccharomyces cerevisiae using high-density oligonucleotide probe arrays.

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