

From fragment-based discovery to clinical candidate: protein kinase inhibitors for treatment of leukemias and solid tumours.

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Fragment-based discovery has recently emerged as a new approach for the generation of novel therapeutic agents. The use of high throughput X-ray crystallography, as well as NMR, in fragment-based discovery approaches will be described briefly. These techniques have been used to identify a range of novel lead molecules targeting key signal transduction enzymes involved in cell growth control e.g. cyclin-dependent kinases, aurora kinases, protein kinase B. The further development of clinical drug candidates from these fragment derived leads will be reviewed. The utility of these molecules in the treatment of both leukemia and solid tumours is now being explored in clinical trials.