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Discovery of a Potent and Selective FLT3 Kinase Inhibitor by Fragment Evolution

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FLT3 (FMS-Like Tyrosine kinase 3), a member of class III receptor tyrosine kinase family, is a promising therapeutic target for acute myeloid leukemia (AML). Activating FLT3 mutations are found in approximately 30% of AML patients and associated to poor prognosis. To discover a novel FLT3 inhibitor, we performed a screening of our in-house fragment library. The screening hit was rapidly progressed to a potent and selective FLT3 inhibitor.