

The target

The receptor tyrosine kinase FLT3-wt (FMS-like tyrosine kinase 3 (also known as Flk2 for Fetal liver kinase 2) belongs to the class III receptor family. Expression of FLT3-wt is found in early myeloid and lymphoid precursor cells and plays a crucial role in the development of the haematopoietic system.

In 70-90% of all AML/ALL patients leukemia cells display increased FLT3-wt levels, furthermore, in some of these patients activating internal tandem duplications (ITDs) or point mutations within the FLT3-wt gene were identified. These observations characterize FLT3 as a potential cancer target.

Cellular phosphorylation assay

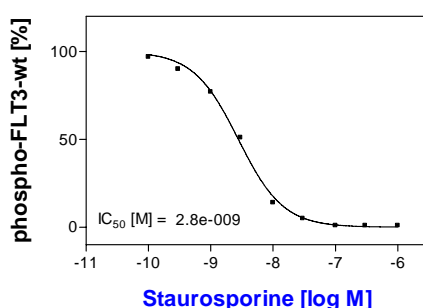
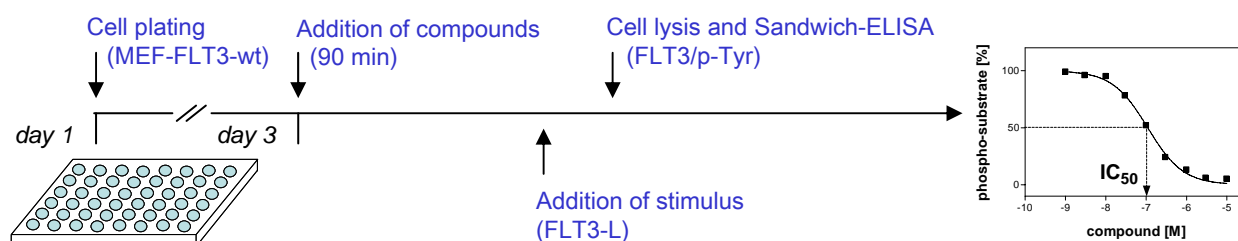


Figure 1: Assay validation. Staurosporine is a potent inhibitor of the FLT3-L induced phospho-FLT3-wt signal found in the described cells. The graph shows a representative result.

ProQinase's cellular FLT3-wt phosphorylation assay was generated on a mouse embryonal fibroblast (MEF) background. Cells express exogenously a full-length FLT3-wt molecule. Binding of FLT-3 ligand (FLT3-L) activates receptor autophosphorylation via the mechanism of receptor dimerisation. After stimulation with FLT3-L phospho-FLT3 levels are determined by a sandwich ELISA system. The assay is validated based on known inhibitors of FLT3-wt kinase activity (see Figure 1).

You ship your compounds – ProQinase performs the testing



- IC₅₀ values are determined by testing 9 compound concentrations in semi-logarithmic steps (each concentration in duplicates).
- Quality assurance is provided by calculation of Z' factors for Low/High controls on each assay plate and by including a full IC₅₀ curve for Staurosporine to monitor adequate dose/response relation in your assay run.

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