

The target

The receptor tyrosine kinase ERBB2 belongs together with EGFR and ERBB3/B4 to the ERBB receptor family. These receptors transduce a variety of signals crucial for the processes of cellular proliferation, survival and apoptosis. Since ERBB2 lacks a physiological ligand, the activation mechanism involves either homo- or heterodimerization with other ligand-activated ERBB receptors.

Importantly, overexpression of ERBB2 was found to be responsible for cellular transformation and could be identified in several cancer types.

Cellular phosphorylation assay

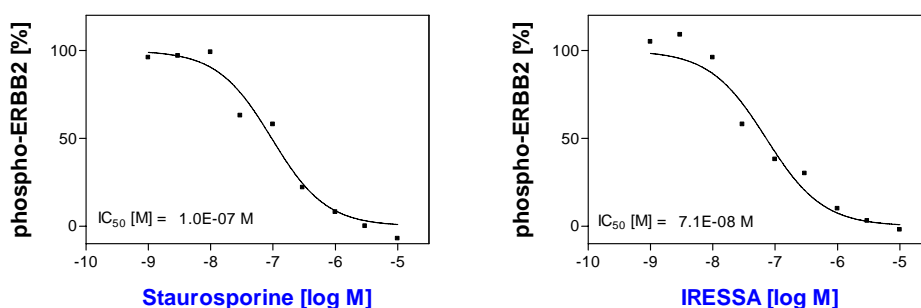
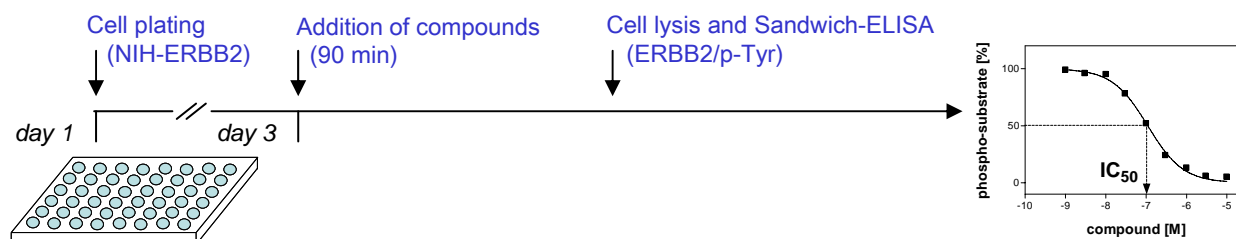


Figure 1: Assay validation. Staurosporine and IRESSA are potent inhibitors of the phospho-ERBB2 signal found in the described cells. The graphs show representative results.

ProQinase's cellular ERBB2 phosphorylation assay was generated based on a NIH3T3 background. Cells exogenously express a full-length ERBB2. After clonal selection a transformed cell line with a high level of autophosphorylated ERBB2 was obtained. By adding Staurosporine phospho-ERBB2 levels are largely decreased and thus the dynamic behaviour to determine inhibitory potentials of compounds was achieved. Phospho-ERBB2 levels are quantified by Sandwich-ELISA technique. The assay is validated based on known inhibitors of ERBB2 kinase activity (see Figure 1).

You ship your compounds – ProQinase performs the testing



- IC_{50} 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 IC_{50} curve for Staurosporine to monitor adequate dose/response relation in your assay run.

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