

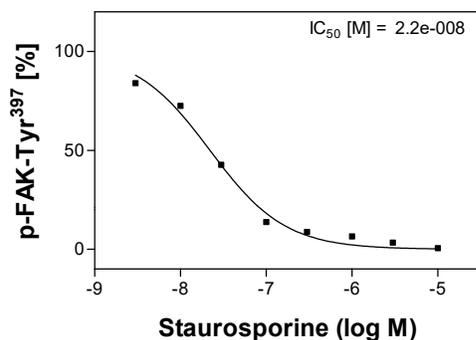
## ➤ The Target

The focal adhesion kinase (FAK) is a non-receptor tyrosine kinase that plays an important role in signal transduction pathways of integrin or growth factor receptor mediated cell adhesion. FAK has an important role in a large number of processes involved in the development and progression of cancer (survival, migration, proliferation and invasion).

FAK overexpression/activity is found in a variety of human cancers making it an attractive target for anti-cancer therapy.

## ➤ Cellular Phosphorylation Assay

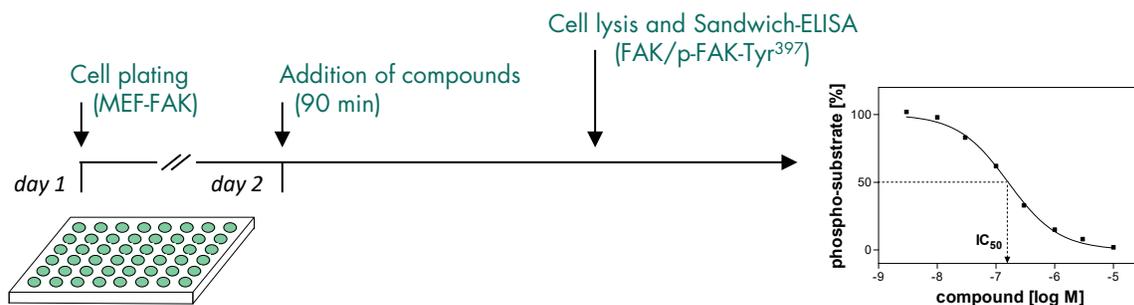
The cellular phosphorylation assay for FAK determines the autophosphorylation on Tyr<sup>397</sup> of the FAK protein. Murine embryonal fibroblast cells deficient of the endogenous FAK express a human full-length FAK which is constantly phosphorylated in adherent cells. By adding Staurosporine phospho-FAK-Tyr<sup>397</sup> levels are largely decreased and thus the dynamic behaviour to quantify inhibitory potentials of compounds can be obtained. The assay is validated based on known inhibitors of FAK kinase activity (see Fig. 1).



**Figure 1: Assay validation.**

Staurosporine is an inhibitor of the phospho-FAK-Tyr<sup>397</sup> signal found in the described cells. The graph shows a representative result.

## ➤ You ship your compounds – Reaction Biology performs the testing



- IC<sub>50</sub> values are determined by testing 8 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<sub>50</sub> curve for a reference inhibitor to monitor adequate dose/response relation in your assay run.