MASPIT
A high throughput assay for the identification of cytosolic targets of small molecules: proof of principle

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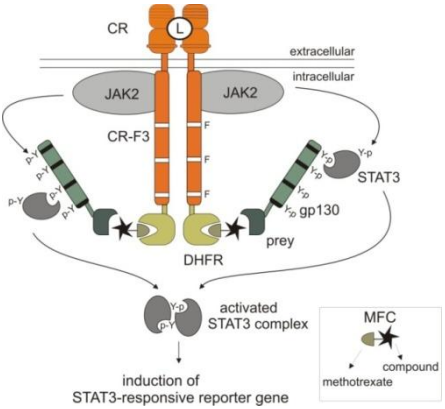
The identification of all cellular targets of biologically active small molecules not only plays a pivotal role in the development of new drugs but also provides information for the potential use of known drugs for the treatment of other medical conditions through the modulation of new targets.



MASPIT[1], a three hybrid technique based on the cytokine receptors JAK/STAT system allows rapid intracellular screening for targets of small molecules against a large collection of specifically modified humane cytosolic proteins with simple photometric readout. To this end a multifunctional methotrexate reagent was designed and synthesized which in turn allowed for validation of the MASPIT assay using FK506 as the pilot compound.

[1] Caligiuri, M.*et al. Chem. Biol.* **2006**, *13*, 711–722.