Cellular Phosphorylation Testing Service for EGF-R Kinase Mutant Panel

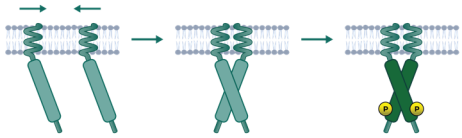
CELLULAR ASSAY SERVICES

➢ EGF-R Mutants offered

wild-type	Δ752-759
G719S	T790M
Δ746-750/T790M	T790M/L858R
Δ746-750/C797S	T790M/C797S/L858R
Δ746-750/T790M/C797S	L858R
Δ747-749/A750P	L861Q

➢ Assay Technology

Rat1 fibroblasts express the cellular domain of EGF-R Mutants fused to a designed transmembrane domain. The designed transmembrane domain causes a constitutive EGF-R mutant autophosphorylation.

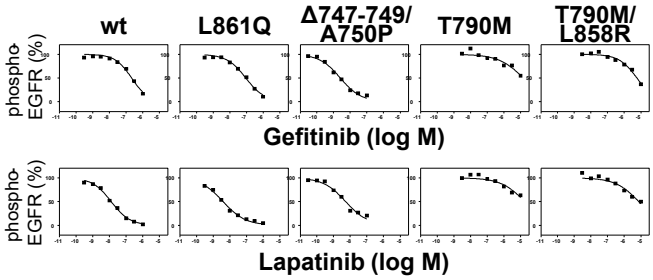


➢ Advantages

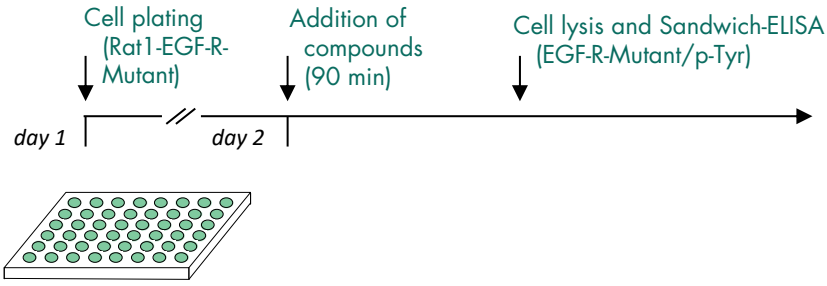
- same cellular background
- HTS feasible
- standardized assay procedure

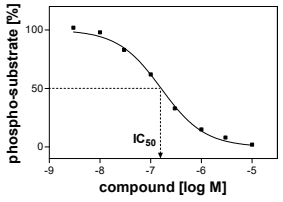
➢ Study Example

Figure 1: *Gefitinib and Lapatinib were tested for the inhibition of EGF-R phosphorylation on selected EGF-R mutants Both compounds lack efficient inhibition of EGF-R mutant T790M and T790M/L858R.*



➢ You ship your compounds – Reaction Biology performs the testing





- IC_{50} 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_{50} curve for a reference inhibitor to monitor adequate dose/response relation in your assay run.

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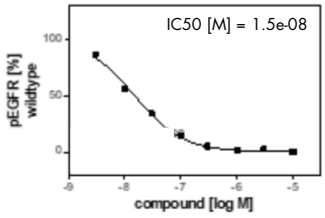
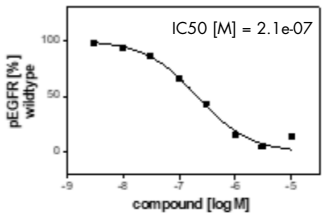
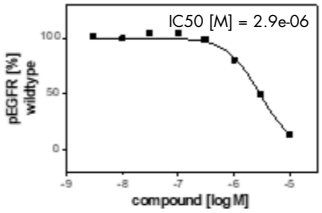
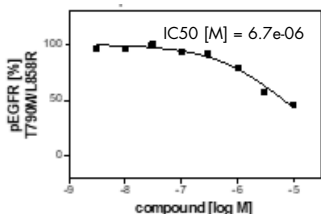
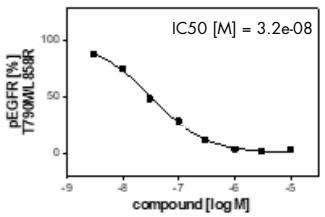
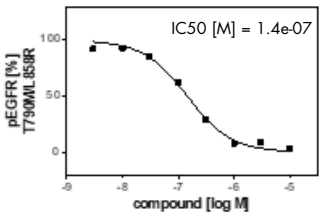
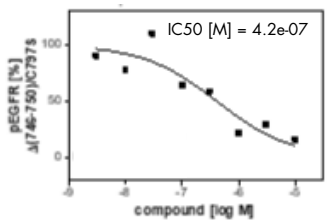
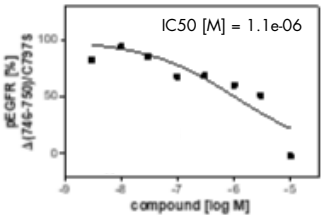
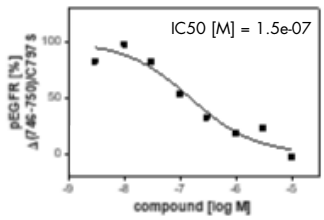
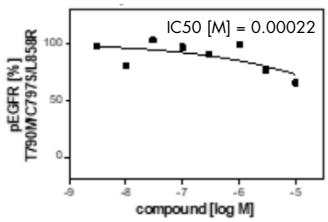
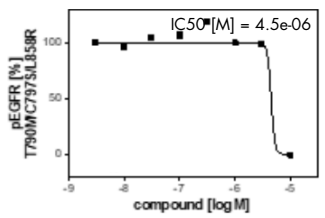
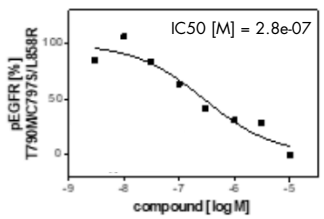
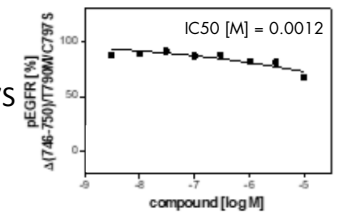
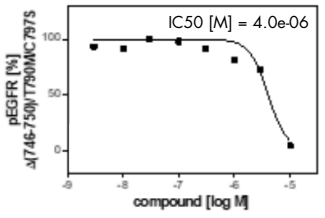
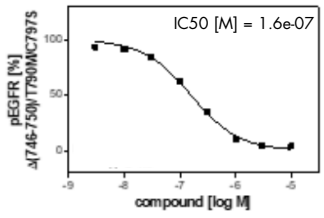
REACTION BIOLOGY

CELLULAR ASSAY SERVICES

➢ EGF-R Mutants carrying the C797S mutation in comparison to some other variants

	Lapatinib	Osertinib	Brigatinib
EGF-R wild-type			
EGF- R T790M/L858R			
EGF-R Δ746-750/C797S			
EGF-R T790M/C797S/L858R			
EGF-R Δ746-750/T790M/C797S			
EGF-R L858R