# The RTK targetPROFILER

## Assay principle



Profiling of activities and target specificities for receptor tyrosine kinases using splitSENSOR & EXTassay technologies

X targets

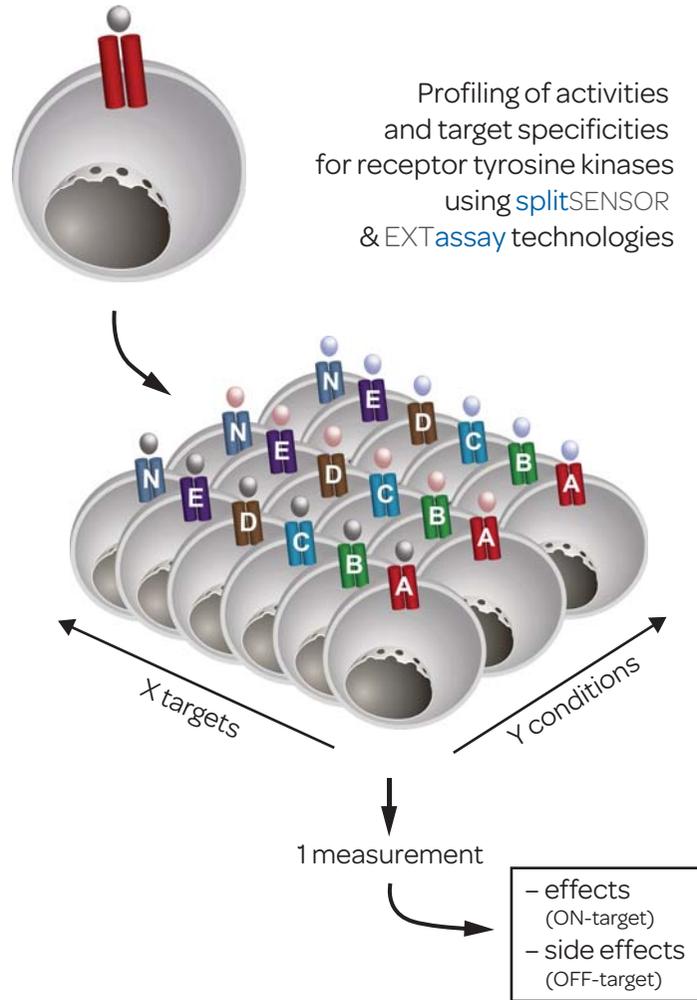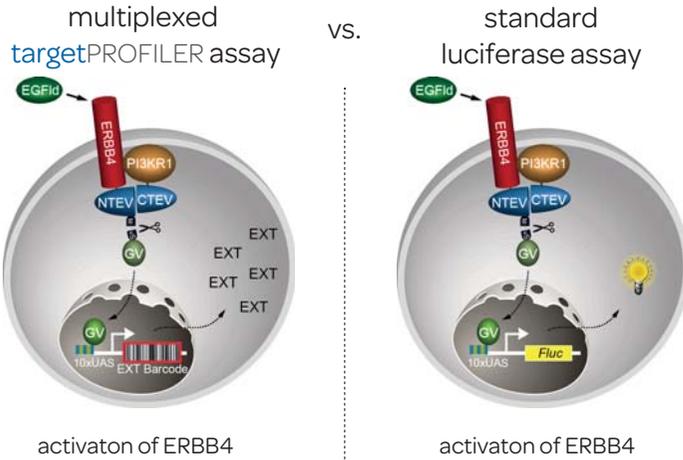Y conditions

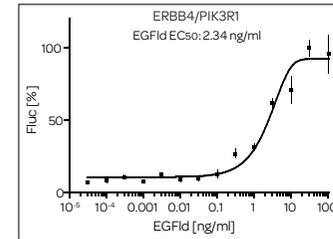1 measurement

- effects (ON-target)
- side effects (OFF-target)

The RTK targetPROFILER allows to monitor activities of receptor tyrosine kinases (RTKs) under diverse stimuli conditions (e.g. compound concentrations, time points etc.). Activities and target specificities of various RTKs can be simultaneously analysed using the EXTassay technology.
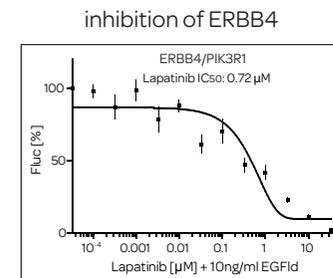
## Benchmarking example

multiplexed targetPROFILER assay   vs.   standard luciferase assay



activaton of ERBB4          activaton of ERBB4

*TO DO*



ERBB4/PIK3R1
EGFId EC50: 2.34 ng/ml

inhibition of ERBB4         inhibition of ERBB4

*TO DO*



ERBB4/PIK3R1
Lapatinib IC50: 0.72 μM

The activity of a given RTK is measured using the splitSENSOR technology. Here, an activation-dependent interaction between the RTK of choice (e.g. ERBB4) and phospho-sensor (e.g. the regulatory subnit of the PI3K, PIK3R1) causes the NTEV and CTEV moieties of the TEV protease to reconstitute its proteolytic activity. In turn, the artificial transcriptional co-activator GAL4-VP16 (GV) is released to activate an EXT barcode reporter (left) or luciferase (right).

## RTKs covered

*EGF receptor family*
EGFR
ERBB2
ERBB3
ERBB4

*FGF receptor family*
FGFR1
FGFR2
FGFR3

*Discoidin receptor family*
DDR1
DDR2

*TRK receptor family*
TRKA (NTRK1)
TRKB (NTRK2)
TRKC (NTRK3)

*VEGF receptor family*
VEGFR1
VEGFR2
VEGFR3

*Eph receptor family*
EPHA1
EPHA2
EPHA3
EPHA4
EPHB1
EPHB6

*PDGF receptor family*
PDGFRA
PDGFRB

*Insulin receptor family*
INSR
INSRR

## Benefits

✓ Highly sensitive, specific & robust assay

✓ True multiplexing (multiple receptor activities and target specificities analysed in parallel)

✓ Strong time-saving opportunities (higher amount of available data per unit of time)

✓ High cost-saving potential

✓ Each RTK also available as single standard luciferase assay (inlcuding HTS compatibility)