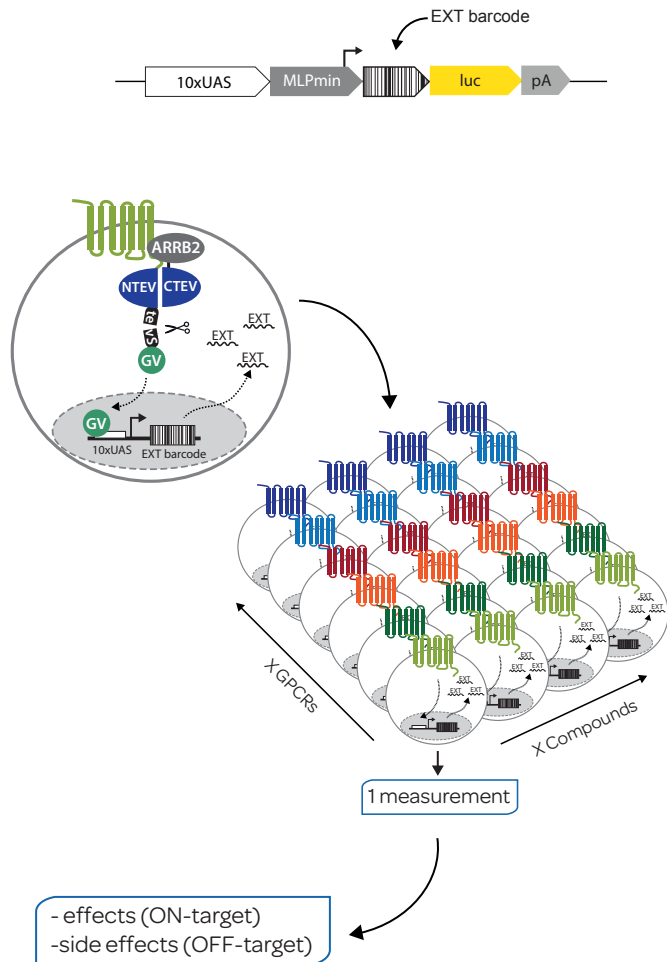


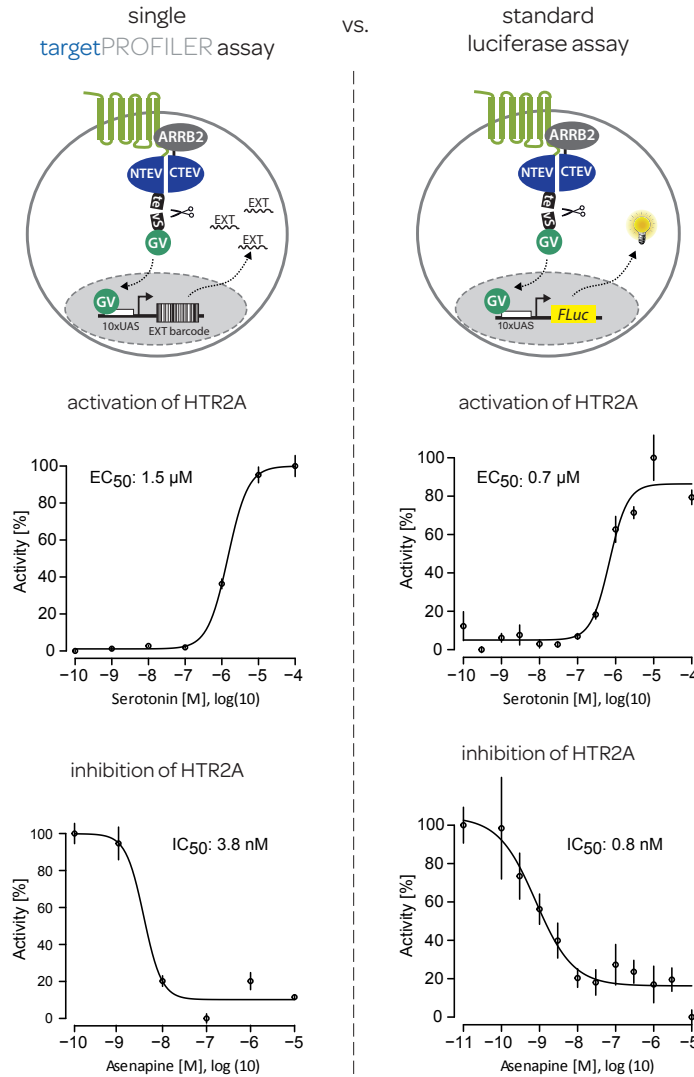
Assay principle

Profiling of activities and target specificities for G protein-coupled receptors using **splitSENSOR** & **EXT assay** technologies



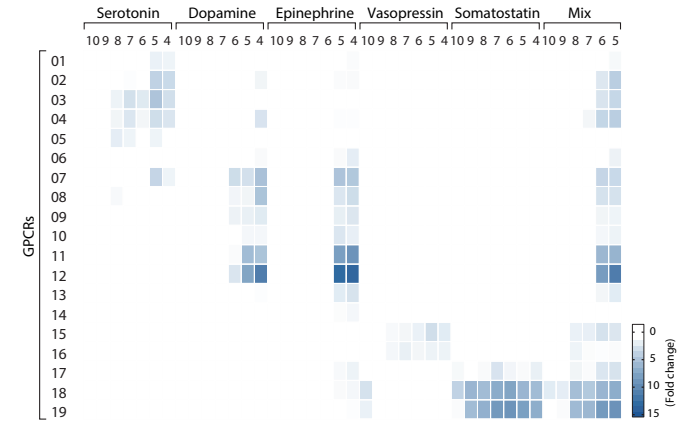
The GPCR **targetPROFILER** allows to monitor activities of G protein-coupled receptors (GPCRs) under diverse stimuli conditions (e.g. compound concentrations, time points etc.). Activities and target specificities of various GPCRs can be simultaneously analysed using the **EXT assay** technology.

Benchmarking example



The activity of a given GPCR is measured using the **splitSENSOR** technology. Here, an activation-dependent interaction between the GPCR of choice (e.g. HTR2A) and beta-arrestin (ARRB2) 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).

GPCR **targetPROFILER** example



GPCRs covered

α-adrenergic receptors

ADRA1A
ADRA2B
ADRA2C

β-adrenergic receptors

ADRB2

Vasopressin receptors

AVPR1A
AVPR2

Dopamine receptors

DRD1
DRD2
DRD4
DRD5

Serotonin receptors

HTR1A
HTR2A
HTR4
HTR5A
HTR7

Somatostatin receptors

SSTR1
SSTR2
SSTR3

Benefits

- ✓ Highly sensitive, specific & robust assay performance (biological and internal EXT replicates, calibrators)
- ✓ True multiplexing by NGS readout (multiple target activities and specificities profiled in parallel)
- ✓ Transient transfection of **targetPROFILER** library (suitable for large variety of heterologous cells)
- ✓ Strong time- and cost-saving opportunities (generates large amount of data in one measurement)
- ✓ Each GPCR also available as single **targetSCREENER** assay (includes HTS compatibility)