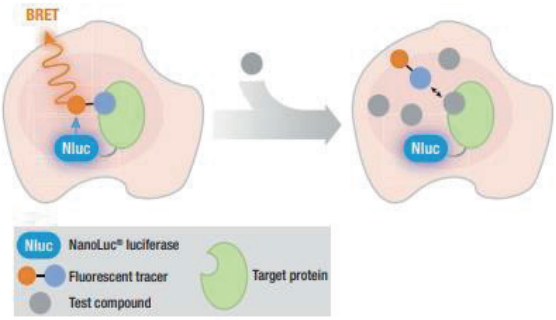
Kinase Compound Profiling

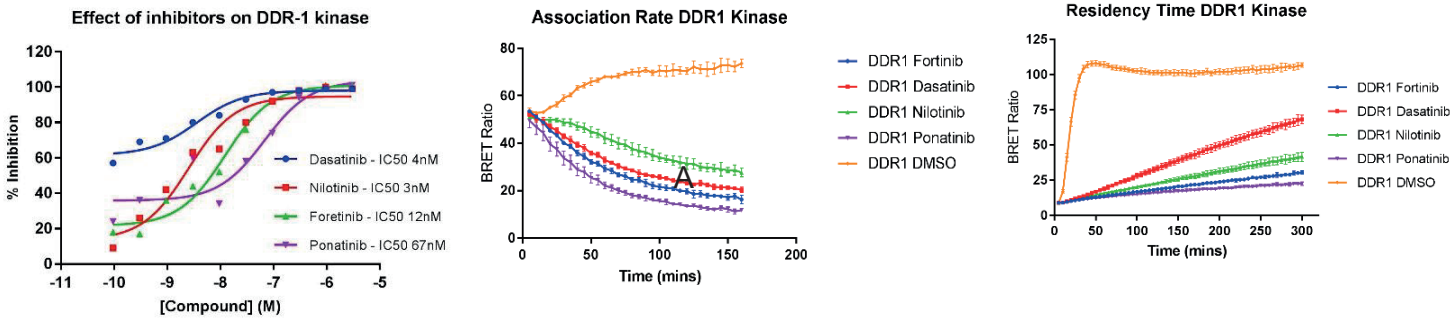
“Re-energise your kinase drug discovery”

How it works:

- Using Promega’s NanoBRET™ technology, Aurelia Bioscience has implemented target engagement intracellular kinase assays designed to study the interaction of compounds on kinase targets in intact living cells.
- This quantitative analysis can be performed in cultured cells via energy transfer between a 19-kDa luciferase (NanoLuc, Nluc)-tagged kinase and a cell-permeable fluorescent energy transfer probe introduced to the assay medium.
- Addition of competing compounds results in a dose-dependent decrease in NanoBRET™ signal, which allows quantitation of the intracellular affinity of the test compound for the target kinase.



Compound engagement measured in a competitive format using a cell-permeable fluorescent NanoBRET™ tracer



A B C

Competitive inhibition (A) of compound binding to DDR1 kinase in living cells. Studying both the association rate (B) and the residence time (C) of compounds at the target kinase allows kinetic binding parameter evaluation during compound SAR development

Advantages:

- First biophysical technique to profile compound binding for intracellular targets – truly functional pharmacology assay
- **Intracellular** examination of compound binding to target kinase at **physiological ATP** concentrations in live cells
- Expression of **full-length** kinase target in cells
- Cells can be disrupted (with digitonin) to release cellular content from the cell and the assay can be performed as a **pseudo biochemical binding assay**
- Examines the K-on (association rate) and K-off (dissociation rate) of compounds in live cells
- The approach can be used to look at allosteric inhibitors in addition to ATP competitive inhibitors
- This technique is applicable to a wide range of kinases

*Please turn over for examples of available kinases

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Examples of available kinase from Aurelia Bioscience:

Kinase	Family	Alias
ABL1	TK	ABL
AURKA	Other	AurA, STK7, STK15
AURKB	Other	AurB, STK12
AURKC	Other	AurC, STK13
DDR1	RTK	PTK3, DDR, NTRK4, trkE
DDR2	RTK	TKT, NTRKR3, TYRO10
EPHA1	RTK	EPHT, MGC163163
EPHA8	RTK	EEK, EK3, HEK3
FGFR1	RTK	HBGFR, KAL2, FLT2
FGFR2	RTK	KGFR, BEK
FGFR3	RTK	CEK2, ACH, JTK4
FGR	TK	SRC2, c-fgr, c-src2, p55-Fgr
IRAK3	TKL	IRAK-M
IRAK4	TKL	REN64
JAK3	TK	JAKL
JNK3	CMGC	MAPK10, SAPKbeta PRKM10
LCK	TK	YT16
LYN	TK	JTK8
NUAK1	CAMK	ARK5, KIAA0537
NUAK-2	CAMK	NF1-like kinase 2, SNARK
RIOK2	Atypical	
RIPK2	TKL	RIP2, GIG30, CARDIAK, RICK, CCK
SIK1	CAMK	SIK, MSK
SIK3	CAMK	QSK, L19, KIAA0999
SRC	TK	SRC1, ASV

Recently added:

Cyclin and cyclin dependent kinase combinations have recently been added to our list to include a number of kinase mutations – for a copy of our recent poster on CDK/cyclin combinations and the influence on compound binding please get in touch (see below)

Contact us for full list: info@aureliabio.com

Our list is being updated constantly - contact us if your target is not on this list

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