Case Study: Hit to Lead

1,100 compound IC_{50} against two cell-based assays then hits-to-lead SAR program in collaboration with chemistry CRO to generate novel IP

aurelia bioscience
bioassays + screening

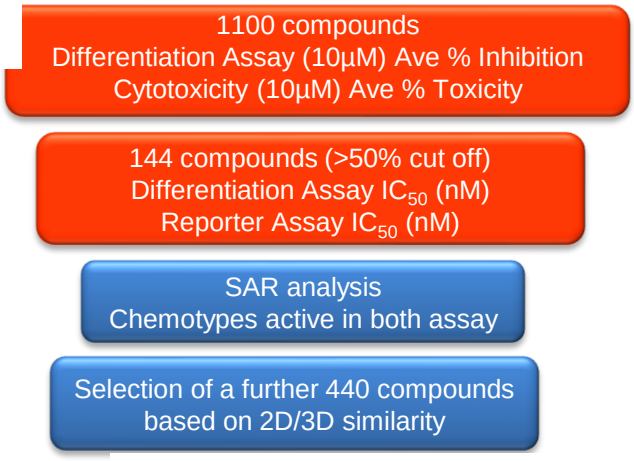
Client Aim:

- Use their proprietary 'Molecular Pharmacology' software used to 'weight' biological pathways and interactions to identify key nodes essential for biological processes
- Identify hit compounds from a selected set of 1,100 compounds
- Screen the 1,100 compounds in two cell-based assays designed to detect anti-proliferative effects then IC_{50} in both assays and a selective cyto-toxicity assay
- Generate a novel IP position with new compounds in a twelve month period

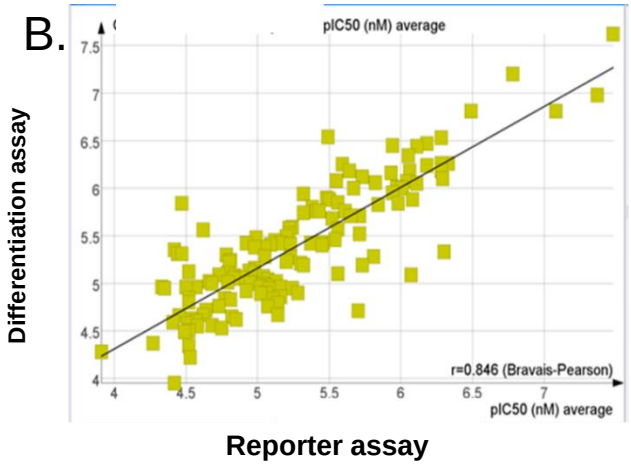
Aurelia Bioscience Role:

- Develop two robust cell assays to determine cellular differentiation and cyto-toxicity
- Screen 1,100 compounds as dose-response through both assays
- Collaborate with Horizon Discovery on development of CRISP cell lines for evaluation
- Work with Fidelta, the chemistry CRO employed by the client, to adhere to a one week design-make-test cycle for compound progression throughout the course of the project

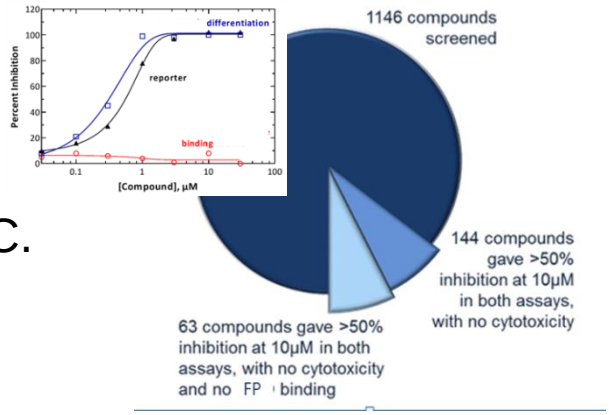
A.



B.



C.



D.

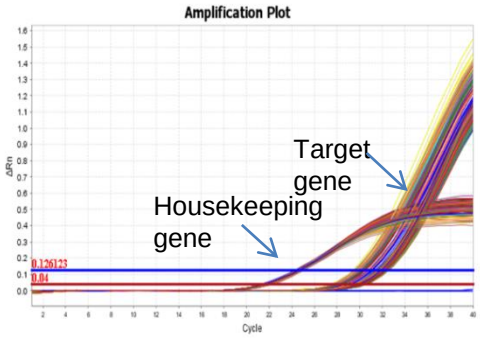


Fig. 1.A. 1,100 compounds were selected for IC50 determination in each of two cell-based assays for this oncology target to differentiate compound activity. B. Correlation of IC50 from each assay and selection of compounds taken forward. C. Generation of a further Fluorescence Polarisation (FP) assay designed to examine binding of the compounds to the target. D. Further target mechanism of action studies were performed using both Western analysis (not shown) and qPCR (shown in D.).

Outcome: Client tested compound activity in-vivo and generated a strong patent position

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