

Case Study: Hit Identification

50,000 compounds screened through cell-based assay to IC₅₀
then selectivity cell assay against related receptor

aurelia
bioscience

bioassays + screening

Client Aim:

- Identify compounds that interacted (either in a stimulatory or inhibitory manner) with a two pore non-voltage gated potassium channel implicated in migraine
- Identify hit compounds from a 50,000 compound collection
- Retest and IC₅₀ hits to identify active compounds (inhibitors of activators of the channel)
- Perform a selectivity screen with the active compounds against another two pore channel expressed in the heart – remove compounds with activity against this channel
- Client had a less than a six month timeline to complete all studies

Aurelia Bioscience Role:

- Develop a robust cell-based assay using the transiently expressed target in cells using the FLIPR Tetra technology for both activators and inhibitors of the channel
- Screen 50,000 compounds through an assay in which the cells transiently express the two pore channel
- Perform dose-responses on active compounds
- Develop a robust cell-based selectivity assay and screen the active compounds in this assay

