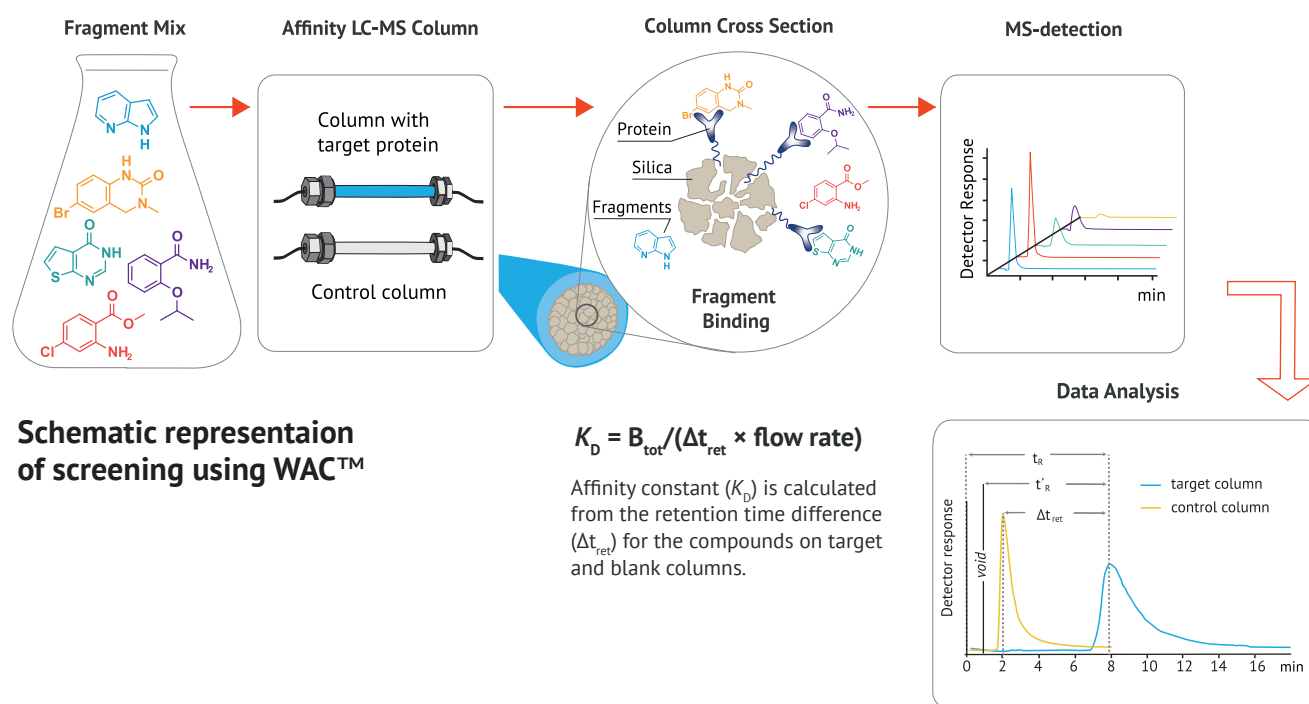


Fragment Screening in Lead Discovery by Weak Affinity Chromatography

WAC™

Weak Affinity Chromatography



WAC™ Facts

- Screening and binding assay in one experiment
- Measure fragment affinity to immobilized protein
- Built-in quality control (MS)

WAC™ Key Advantages

- Robust and accurate (validated against NMR and X-ray)
- Quick set-up and workflow (3 weeks turnaround)
- High throughput (2000-3000 cmpds/week)
- Low material consumption (<5 mg protein)
- Find mM hits by screening fragments at low concentration (1-5 μ M)
- Output – High quality data for MedChem (hits with K_D values)

WAC™ Applications

- Screen for novel chemical starting points
- Assess druggability of new targets
- Find differentiated backups in mature projects
- Rescue mode for challenging targets (PPI etc.)

WAC™ Fragment Screen

- In-house collection or client fragments
- Two step milestone process:
 - 1 Feasibility and set-up
 - 2 Full screen

Description

- Feasibility using client's reference cmpds
- Client supply protein or protein production included
- Preparation of column with immobilized protein
- Screening in duplicates
- Optional validation of hits using NMR or TSA

Deliverables

- List of hits sorted after Δt_{ret}
- Report with results

Follow-up Activities

Opportunity for rapid fragment hit expansion by accessing our integrated lead discovery platform (medicinal chemistry, computational chemistry, X-ray crystallography, biophysical validation, biochemical and cell-based screening, *in vitro* ADME etc.)