

Solution Provider for Drug Discovery
Axcelead Drug Discovery Partners, Inc.



High-throughput screening
(HTS)

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Our HTS platforms and services for your drug discovery

- ▶ Seamless services from assay development through HTS with well-experienced and high expertise professionals
- ▶ HTS platform covering a wide range of target classes and phenotypes
- ▶ Pharma-origin vast library with high quality and diverse structure
- ▶ One-stop Hit follow-up service

> 700
projects

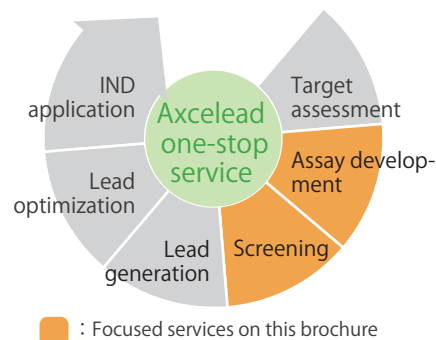
HTS
experience

> 90 %

High success
rates in hit
identification

> 1.5
million

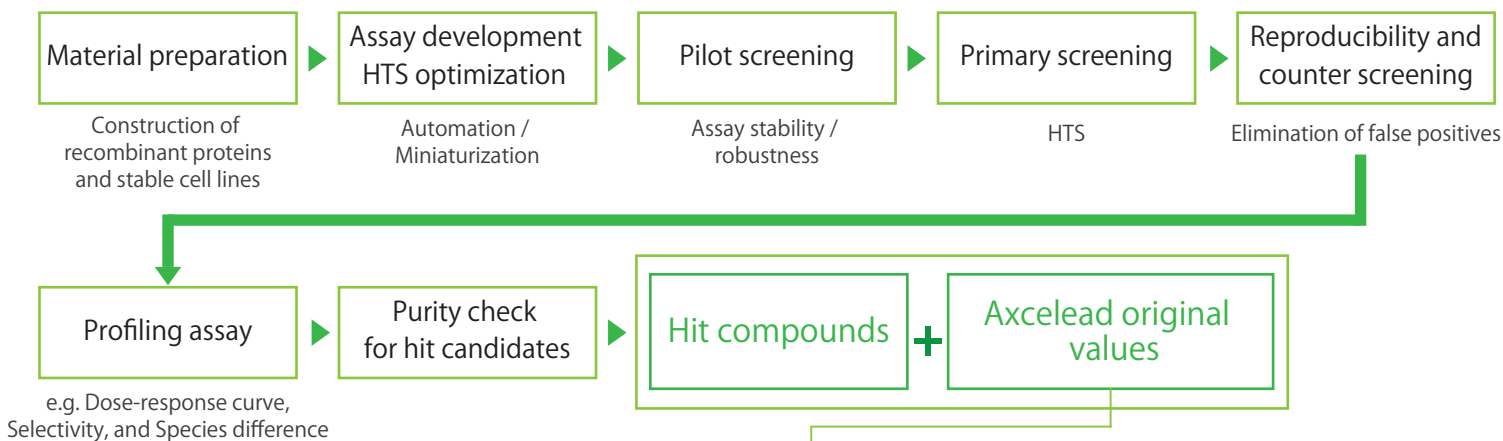
Axcelead
Compound
library



Seamless screening platform from assay development through HTS with well-experienced and high expertise professionals

- ▶ Axcelead offers an integrated screening platform including material preparation, assay development, and HTS.
- ▶ Axcelead proposes promising flexible screening plans and strategies based on customer needs.

■ Axcelead comprehensive screening services



- ▶ Axcelead provides hit compounds including high-value information.

- ▶ Compound profiles based on Axcelead original data.

- Cytotoxicity data (only for compounds tested in-house so far)
- Biological annotations of hit compounds (only for compounds tested in-house so far)
 - Axcelead provides information on possible target classes (such as GPCR, kinase, enzyme...) that your hit compounds could modulate based on Axcelead original and public data.

- ▶ Review of hit compounds by medicinal chemists in Axcelead

- Clustering of hit compounds by Axcelead original algorithm
- The number of related compounds for hit clusters in Axcelead library

- ▶ Drug-like properties (QED, HBA/HBD, AlogP, tPSA, number of aromatic ring, Fsp3 etc.)

<Result report image>

Compound No	Cluster ID	Primary assay (50% IC ₅₀)	Counter assay (50% IC ₅₀)	Primary assay (IC ₅₀)	Counter assay (IC ₅₀)	Chemical properties				Purity (%)	Notes
						HBD	HBA	AlogP			
AXL1	1	8.0E-08	>1.0E-05			95.5	kinase A inhibitor
AXL2	1	2.9E-07	>1.0E-05			90.5	kinase A inhibitor
AXL3	1	4.9E-06	>1.0E-05			95.3	kinase A inhibitor
AXL4	2	3.2E-08	>1.0E-05			85.4	promiscuous
AXL5	3	1.2E-06	>1.0E-05			97.2	
AXL6	3	2.9E-06	>1.0E-05			98.1	
AXL7	3	4.2E-06	>1.0E-05			95.4	

Assay development and high-throughput screening platforms covering a wide range of target classes

- ▶ Platforms are available for all major target classes and phenotypes.
- ▶ Axcelead proposes and conducts suitable material preparation (recombinant proteins and stable cell lines) for your HTS.

Please feel free to contact us

Major AXL assay platforms

- GPCRs
- Enzymes
- Ion channels/Transporters
- Nuclear receptors
- PPI (protein-protein interaction)
- Nucleic acids (RNA targeted drugs etc.)
- HT-ASMS screening
- Phenotypic screening

e.g.) High content screening, Reporter gene assay,
Gene expression analysis, Combination drug screening



IN Cell Analyzer 6000 (GE Healthcare)



HORNET HTS-10CB (FUJIFILM Wako)



RapidFire MS (Agilent)



Syncropatch 384 (Nanion)

Vast compound libraries with high quality and diverse structure

- ▶ Axcelead proposes the optimal compound libraries for your HTS.

AXL
compound
library

1.5 million
compounds

Diversity libraries

- Pooled library* 500,000 cpds (Standard 320,000 cpds)
- Single library 126,000 cpds

*: The pooled library consists of samples of 10 different compounds in a single well. It enables an efficient and large scale HTS.

- ▶ You can choose either or both of pooled and single libraries that pursue lead-likeness and diversity.

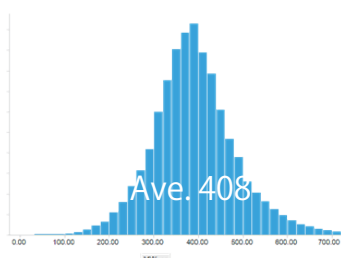
Focused libraries 41,000 compounds

- Libraries for target classes (Kinase, GPCR, Protease, PPI, etc.)
- CNS
- Covalent
- Fragment
- Macrocyclic
- Extended rule of 5
- Natural product
- Annotation
- RNA

- ▶ Low cytotoxic compounds and annotation libraries for phenotypic screening are also available.

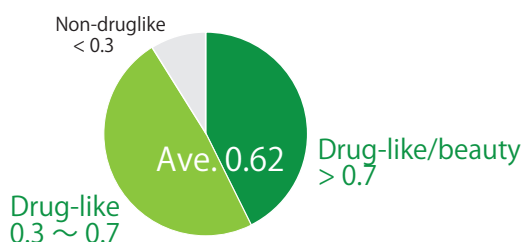
High quality compound library

Diversity of molecular weight distribution

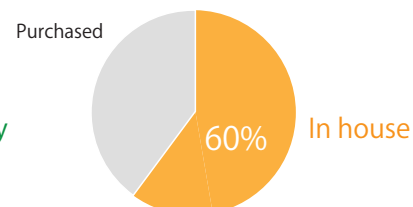


High drug-likeness

QED: Quantitative Estimate of Drug-likeness



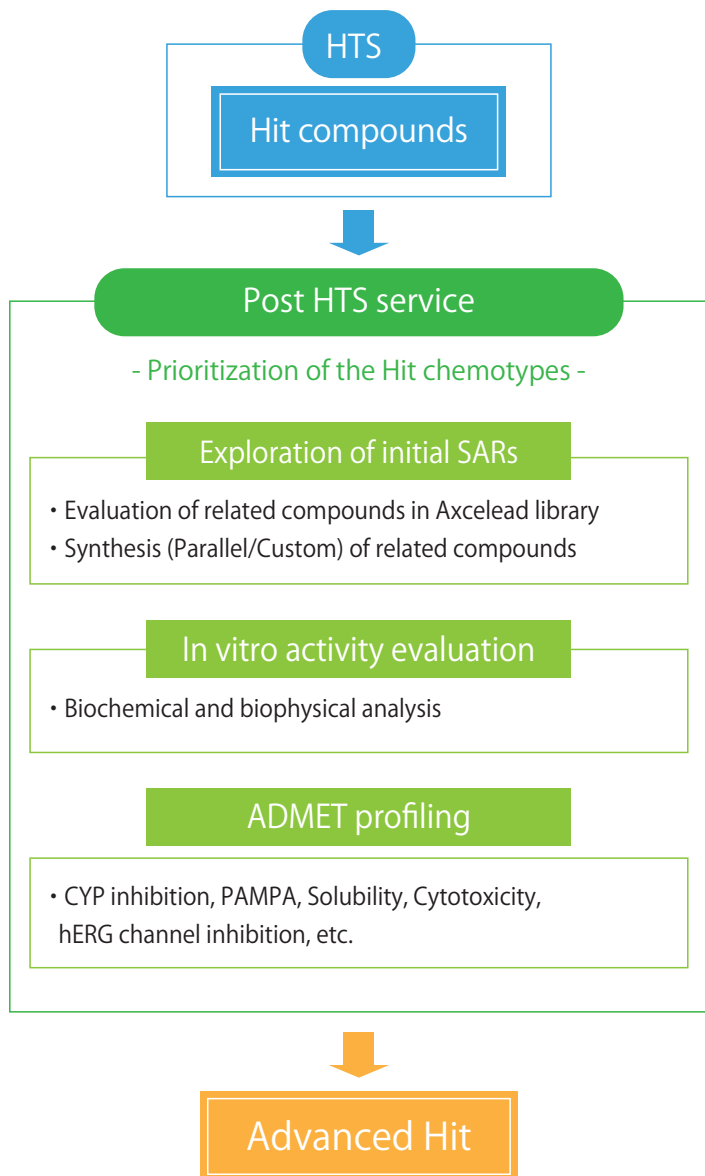
High ratio of in-house compounds designed by medicinal chemists



For customers who have received our HTS service

One-stop Post HTS service

- Axcelead supports to generate Advanced Hit compounds with several approaches to prioritize your hit chemotypes.

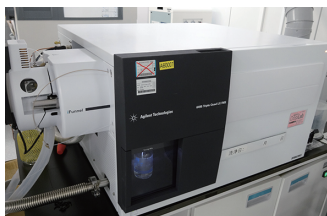


- Similarity search of hit compounds from Axcelead compound library (ca. 1.5million) and evaluation are available.
- Well-experienced Axcelead chemists in drug discovery support compound selection.
- High-throughput parallel synthesis technology provides rapid and efficient delivery of hit related derivatives.
- Axcelead provides appropriate in vitro assay systems according to your targets and evaluates the related derivatives.
- X-ray crystal structural analysis is also available.
- Axcelead offers high-throughput ADMET profiling services with extensive experience and sophisticated protocols.

■ Axcelead biophysical analysis

- Affinity selection-mass spectrometry (AS-MS)
- Thermal shift assay (TSA)
- Isothermal titration calorimetry (ITC)
- Surface plasmon resonance (SPR)
- X-ray crystallography

Application examples) SBDD, FBDD, MOA analysis, etc.



Triple. Quadrupole LCMS
(Agilent)



Biacore S200
(GE Healthcare)



MicroCal iTC200
(Malvern Panalytical)