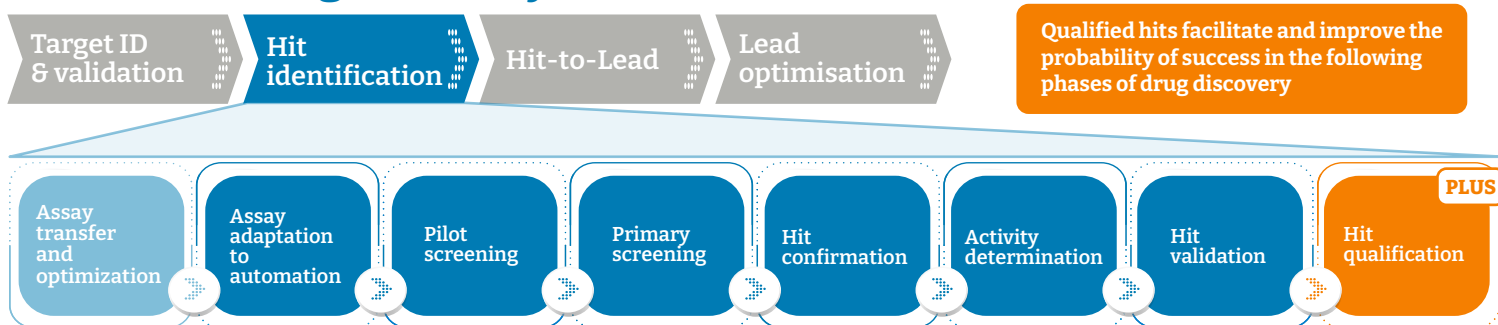


HTS^{PLUS}

Unlock the true value of hits derived from your HTS campaign

The HTS^{PLUS} experience at Axxam emphasizes the importance of integration with the final goal of identifying validated and high-quality hits.

Drug Discovery Process



Qualified hits facilitate and improve the probability of success in the following phases of drug discovery

Thorough evaluation of hits for an informed selection and prioritization leading to a faster hit-to-lead phase

Biological Qualification

Determination of X_{50} values
Determination of specificity
Additional selectivity/mode of action (MoA)/orthogonal assays

Chemical Qualification

Medicinal chemistry consultancy: *e.g.* chemical tract, IP position, cluster analysis, etc.
Chemoinformatic support
Hit selection, hit expansion (commercial analogs) and preliminary SAR analysis
Re-synthesis of hits and synthesis of a limited strategic number of non-commercial analogs to accelerate hit-to-lead phase
Physico-chemical properties & preliminary ADME characterization

PHASE		HTS	HTS ^{PLUS}
Assay development	• Target assay (provided by client or developed by Axxam)	✓	✓
	• Specificity assay	✓	✓
	• Orthogonal assay (if possible)	✓	✓
	• Selectivity assay (if possible)	✓	✓
Pilot screening	• On target assay/specificity assay	✓	✓
Compound collection	• AXXDiversity or client library	AXXDiversity or client library	AXXDiversity library
Primary screening	• On target assay	✓	✓
Hit confirmation	• On target assay/specificity assay	✓	✓
	• Rescue analysis	Optional	✓
Activity determination	• On target assay/specificity assay	✓	✓
	• Purity check on liquid (AXXDiversity)	✓	✓
	• Confirmation from powders	✓	✓
Hit validation	• Purity check on powder (AXXDiversity)	✓	✓
	• On specificity assay	✓	✓
	• On specificity/selectivity/mode of action (MoA)/orthogonal assays	✓	✓
	• Preliminary SAR	Optional	✓
	• Analog search	Optional	✓
	• Preliminary ADME-solubility and Mic stability human/rodent	Optional	✓
	• In-house re-synthesis	✗	✓
Hit qualification	• Analoging (by catalogue), synthesis of strategic analogs	✗	✓
	• IP check	✗	✓
	• Physical-chemical properties	✗	✓
	• <i>In vitro</i> ADME	✗	✓
	• Determination of activity	✗	✓
	• Specificity, selectivity/mode of action (MoA)/orthogonal assays	✗	✓