



XENO TECH

A BioIVT Company

DISCOVERY

Discovery Plus™
Inhibition

XenoTech's Discovery Plus™ Inhibition service provides quick turnaround IC₅₀ data

XenoTech's Discovery Plus™ Inhibition is more than just a screening service. Our 96-well plate format offers data for the seven enzymes recommended by regulatory agencies for both direct and time-dependent inhibition (TDI). Discovery Plus™ Inhibition is a non-GLP service that provides customers with an IC₅₀ data summary in about a week. This service is best utilized for compounds that are in the early discovery / development phase. For compounds that will be submitted for regulatory agency approval, please see our definitive CYP Inhibition service flyer.

Dynamic, Reliable Data

Discovery Plus™ uses XenoTech's human liver microsomes to evaluate direct and time-dependent inhibition. Automated systems perform the substrate cocktail incubations and enzymatic activities are measured by LC-MS/MS methods. This service yields preliminary data presented in a tabular summary of IC₅₀ values aimed to assist with discovery needs or with IND submissions. For data intended for submission as part of an NDA, please see our definitive CYP Inhibition service flyer.

Discovery Plus™ Inhibition Overview

XenoTech offers the ability to perform IC₅₀ experiments that are determined from the comparison of analyte to internal standard area ratios. Our Discovery Plus™ design allows the flexibility to evaluate direct and time-dependent inhibition, utilizing a 7-substrate cocktail approach. Single determinations of up to 12 test articles per plate are included and the global P450 inhibitor, 1-aminobenzotriazole (1-ABT), can be added as a positive control upon request. Our Discovery Plus™ design offers the most value in the industry, providing you important IC₅₀ data quickly, at a very attractive price point.

Cocktail Substrate

Enzyme	Reaction
1A2	Phenacetin O-dealkylation
2B6	Bupropion hydroxylation
2C8	Paclitaxel 6 α -hydroxylation
2C9	Diclofenac 4' -hydroxylation
2C19	S-Mephenytoin 4' -hydroxylation
2D6	Dextromethorphan O-demethylation
3A4/5	Midazolam 1' -hydroxylation

Discovery Plus™ Inhibition Study Features

- Offered as a non-GLP service
- Measures both direct and time-dependent inhibition
- Designed to minimize inhibitor and substrate depletion
- Zero-minute (direct inhibition) and/or 30-minute (time-dependent inhibition) preincubations
- Deuterated internal standards
- Robotic incubations

Benefits

- Direct and time-dependent inhibition or direct inhibition only measured over 7 test article concentrations
- Data are presented as a tabular summary of IC₅₀ values
- Rapid turnaround
- Results can aid in the design of any future inhibition study for your compound(s)
- Budget-friendly

Follow-up Services Available (at an additional charge)

- Definitive IC₅₀ determinations (single substrate)
- K_i determinations
- Reversibility Studies (XenoTech Reversibility Assay, XTRA)
- k_{inact} / K_i determinations
- Consultation on results

Additional Discovery Plus™ Services

- **Metabolic Stability**
- **Enzyme Induction**
- **Protein Binding**

Contact us to learn more about XenoTech's full suite of **Discovery Plus™** Services. Visit us online at xenotech.com or call **913.438.7450**