


Sekisui XenoTech's Discovery Plus™ Protein Binding service offers rapid turnaround

Sekisui XenoTech's Discovery Plus™ Protein Binding service allows you to understand the binding properties of your drug early in the discovery process. Binding of a compound to plasma proteins can potentially affect the pharmacokinetics, efficacy and toxicity of a drug. This is because only the free unbound form of the drug is available in the body to exert its pharmacological effect, be biotransformed, or be excreted. Generally, acidic drugs tend to bind strongly to serum albumin, whereas basic compounds also bind to α -acid glycoprotein (AGP) or lipoprotein. Evaluating the plasma protein binding potential of a drug is an essential component of the drug development process.

Discovery Plus™ Plasma Protein Binding Overview

Discovery Plus™ plasma protein binding uses Rapid Equilibrium Dialysis to measure fraction unbound (f_u), non-specific binding (% recovery) and the plasma stability (% remaining) of your compound. Sekisui XenoTech's study design evaluates up to 15 compounds (plus a positive control) at a single concentration dialyzed against buffer at 37°C for four hours, with plasma from up to six different species and relative quantitation analysis by LC-MS/MS.

Discovery Plus™ Protein Binding Overview

- Utilizes Rapid Equilibrium Dialysis methodology
- Simultaneously evaluate batches of 6-8 compounds and a positive control at a single concentration
- Up to six different species of plasma at a single concentration
- Duplicate determinations
- Positive control included upon request
- LC-MS/MS analysis
- Tabular data summary typically provided within 10 business days of method development

Discovery Plus™ Protein Binding Results

A tabular summary of the following will be provided:

- % Fraction Unbound (f_u)
- % Recovery (Mass Balance)
- % Remaining (Plasma Stability)

Percent (%) Bound

Compound	Experimental	Literature	Experimental	Literature	Experimental	Literature
Warfarin	99.44 ± 0.14	99.5	97.77 ± 0.16	96.2	98.97 ± 0.07	99
Sertraline	98.76 ± 0.13	97.2	99.44 ± 0.23	98.9	98.10 ± 0.12	98.9
Verapamil	92.85 ± 1.04	95.0	90.25 ± 0.54	90.1-91.1	76.67 ± 0.32	89.6
Phenytoin	83.98 ± 1.01	>90	78.94 ± 1.19	77	83.17 ± 1.01	90
Nifedipine	99.86 ± 0.01	99.4	95.60 ± 0.11	99	97.39 ± 0.30	92-98
Rosiglitazone	99.71 ± 0.21	98.8-99.5	99.07 ± 0.09	99	99.39 ± 0.38	99.8
Species	Rat		Dog		Human	

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

Additional Discovery Plus™ Services

- **Drug Inhibition**
- **Metabolic Stability**
- **Enzyme Induction**