

Plasma Protein Binding

Plasma protein binding (PPB) is an important parameter to measure as only the free unbound form of the drug is available to exert its pharmacological effect¹.

The Rapid Equilibrium Dialysis (RED) system from Thermo Scientific™ is used to determine the protein binding of drugs in a high throughput assay using a stock solution of compound and plasma. The compound stock is added to plasma and is incubated in one side of a disposable insert and dialysis buffer added to the other side. Following an incubation period, samples are taken from both sides, quenched and analysed by LC-MS against a matrix-matched calibration curve.

Standard Assay Conditions

- 100% plasma
- Buffer: pH 7.4
- 3 μ M compound concentration
- 4 hour incubation (N=2 replicates) at 37°C
- Equilibrium check (N=1 replicate)
- Matrix-matched calibration curve
- Analysis by LC-MS
- Marker compounds included
- Various species/strains available

Deliverables

- Excel summary of fraction unbound, percent bound, percent recovery, and equilibrium check

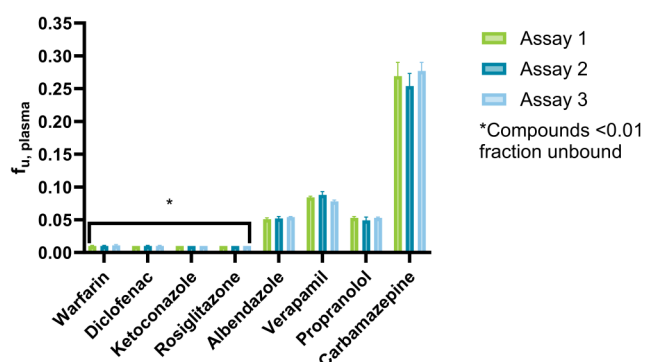
Compound Requirements

- 100 μ L of a 10 mM DMSO stock or 1 mg solid (accurately weighed to 2 decimal places)

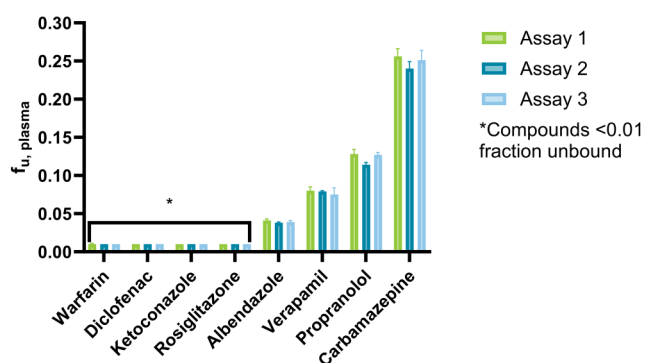
References

¹Smith *et al* (2010) The effect of plasma protein binding on *in vivo* efficacy: misconceptions in drug discovery, Nature Reviews, 9, 929-939.

Plasma Protein Binding (Male Sprague-Dawley Rat)
N=3 Assays



Plasma Protein Binding (Mixed Gender Human)
N=3 Assays



PPB in Rat and Human for 8 compounds, N=3 experiments each with N=3 replicates. Error bars denote standard deviation.



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All our assays can be customized to answer specific project questions



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Contact us for more information on standard or customized assay formats