

TRANSIL^{XL} PPB Binding Kit

A Fast High-Throughput Assay for Prediction of Plasma Protein Binding

FEATURES AND BENEFITS

- Fast, requires only 20 minutes total assay time
- Accurate, measures the affinity of drug candidates to human serum albumin (HSA) and α_1 -acid glycoprotein (AGP) in a physiological mixture to predict its free fraction in plasma
- Reliable with highly reproducible results, and robust correlation to equilibrium dialysis method. Fully quality-controlled albumin and α_1 -acid glycoprotein binding estimates
- Rapid compound quantification due to immobilized plasma proteins
- Kit includes a spreadsheet for calculation of final results and traffic light system for data quality rating

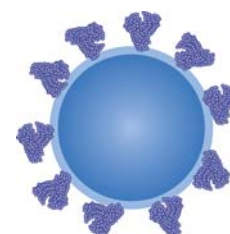


Fig. 1: Illustration of a TRANSIL HSA or AGP Binding bead with proteins immobilized in random orientation to expose all binding sites.

TECHNICAL DESCRIPTION

The TRANSIL^{XL} PPB Binding Kit estimates the binding of drugs to human serum albumin (HSA) and α_1 -acid glycoprotein (AGP) to predict the plasma protein binding. The assay kit provides accurate predictions of plasma protein binding in a highly controlled and reproducible assay environment.

The kit consists of ready-to-use 96 well microtiter plates. One plate can be used for measuring HSA and AGP binding of up to 12 compounds. The assay requires only 5 steps: (i) addition of drug candidate, (ii) mixing and incubation for 12 minutes, (iii) removal of beads by centrifugation, (iv) sampling of supernatant, and (v) quantification of drug candidate.

CAPABILITIES

- Detection systems
 - LC/MS/MS
 - Scintillation counting
 - Others
- Parameters estimated and predicted
 - Fraction bound to HSA and AGP
 - Fraction bound to plasma

Validation of the TRANSIL^{XL} PPB Binding Kit

Human serum albumin (HSA) and human α_1 -acid glycoprotein (AGP) are the most important plasma binding proteins. TRANSIL binding assays are available for both proteins. Binding measurements with TRANSIL HSA and AGP beads are equivalent to dialysis with these proteins (fig. 3 and fig. 4). The TRANSIL^{XL} PPB Binding Kit employs immobilized HSA and AGP in a physiological ratio of 24 to 1 and is thus designed as a rapid and economical assay to predict plasma protein binding of drug candidates (fig. 4). Differences in relation to plasma binding arise through variations in plasma composition, due to lipids blocking binding sites in native plasma, and occasionally due to binding to other plasma proteins with low abundance.

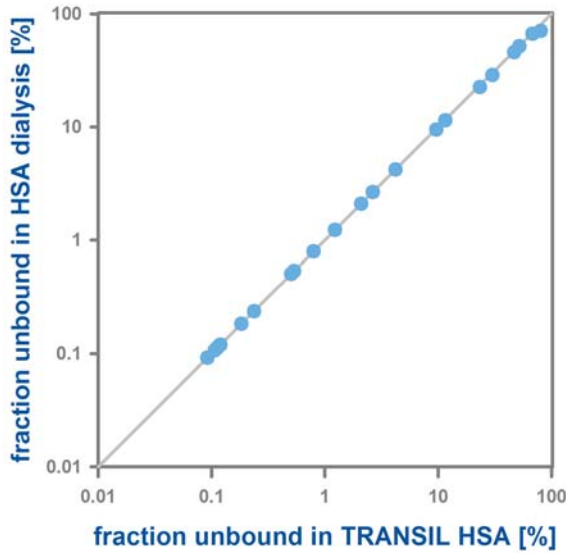


Fig. 2: Comparison of HSA binding measured by the TRANSIL^{XL} HSA beads and by dialysis with HSA.

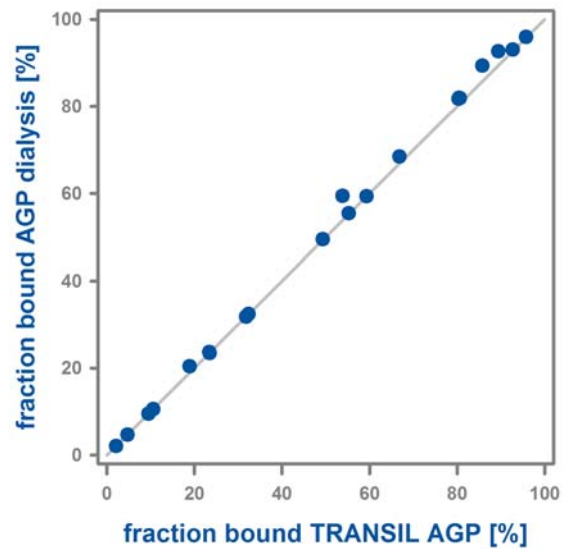


Fig. 3: Comparison of AGP binding measured by the TRANSIL^{XL} AGP beads and by dialysis with AGP.

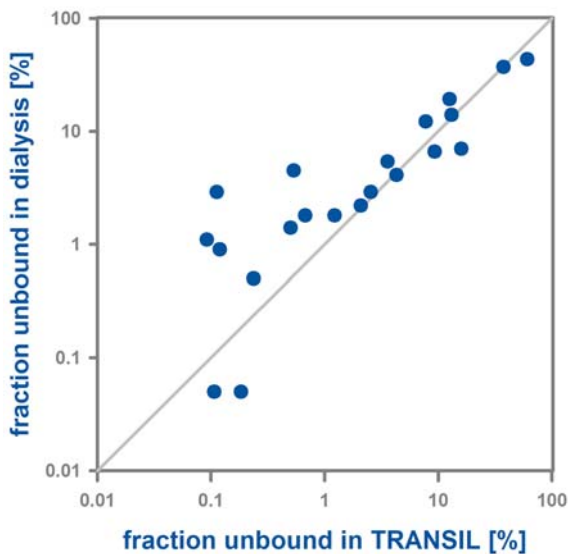


Fig. 4: Comparison of plasma protein binding predictions based on the TRANSIL^{XL} PPB assay and serum dialysis.

PRODUCT INFORMATION

Order Number	Name
TPB-0212-2096	TRANSIL ^{XL} PPB Binding Kit

