

TRANSIL^{XL}

High Sensitivity Binding Kit

A Novel Plasma Protein Binding Assay
for Sticky and Tightly Bound Compounds

FEATURES AND BENEFITS

- Accurate detection of very low free fractions (<1%)
- High recovery even with very sticky drugs
- Versatile assay that can be used with plasma from any species
- User friendly assay system and easy handling
- Rapid, only 30 minutes incubation time



TECHNICAL DESCRIPTION

The TRANSIL^{XL} High Sensitivity Binding Kit accurately determines the unbound fraction of drugs that are tightly bound to plasma proteins - even when the unbound fraction is well below 1%. Also, the TRANSIL assay has excellent recovery for drugs that exhibit too high unspecific binding in other assay systems, or precipitate because of deficient solubility. It determines the fraction of drug bound to plasma indirectly by measuring the partitioning of drug between the plasma proteins and artificial cell membranes.

The kit consists of silanized glass vials pre-filled with buffer and membrane beads. It requires only 6 steps:

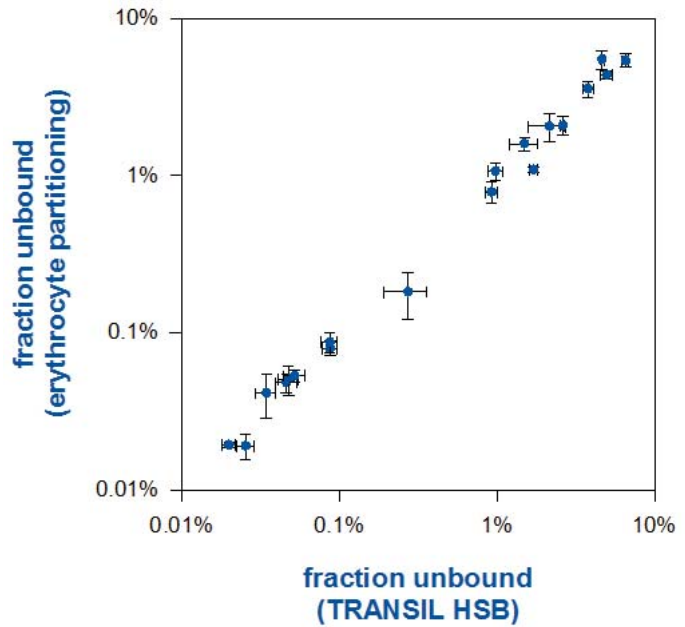
(i) addition of plasma, (ii) addition of drug candidate, (iii) incubation for 30 minutes while mixing, (iv) removal of beads by centrifugation, (v) precipitation of plasma, and (vi) quantification of compounds.

CAPABILITIES

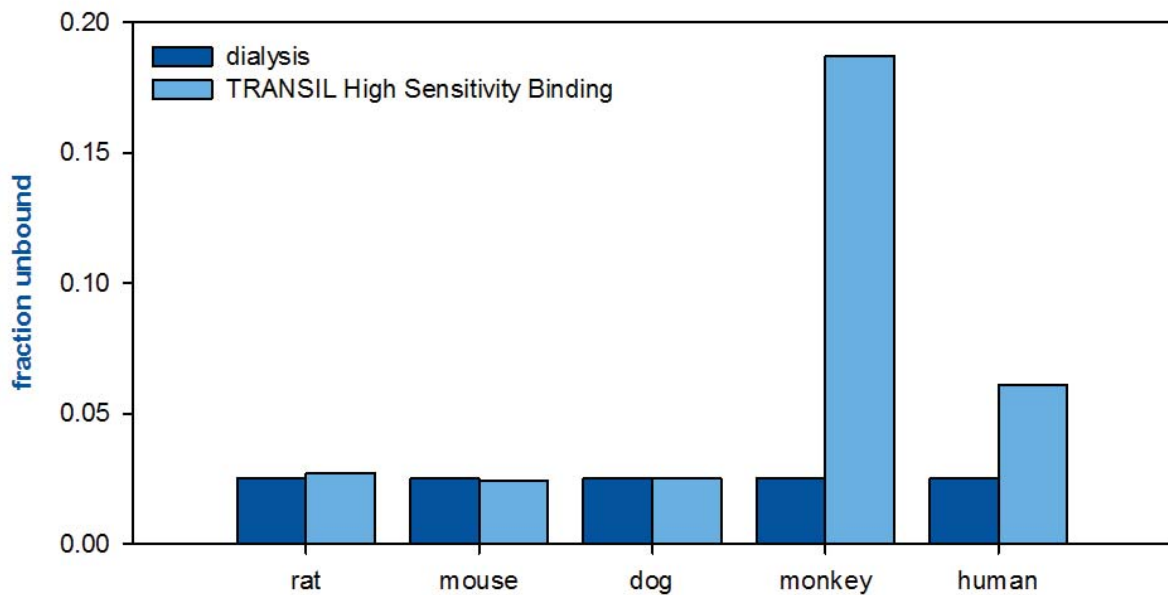
- Detection systems
 - LC/MS/MS
 - scintillation counting
 - others
- Unbound fraction of drug in plasma
 - human
 - monkey
 - dog
 - rat
 - mouse
 - other

VALIDATION

The unbound fraction of a set of 19 heterogenous drugs was analyzed using the TRANSIL^{XL} High Sensitivity Binding (HSB) assay and the erythrocyte partitioning assay. Over a range of free fractions from 0.02% to 6.5% an r^2 of 0.992 was observed for results obtained with both methods.



DOSE FINDING



Due to superior sensitivity and high recovery the TRANSIL^{XL} High Sensitivity Binding Assay is the method of choice for accurate determination of the free fraction of drug in all preclinical species to scale dose-response and dose-toxicity relationships prior to first-in-man studies.

PRODUCT INFORMATION

Order Number	Name
TPB-0400-0035	TRANSIL ^{XL} High Sensitivity Binding Kit