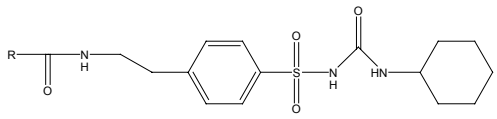
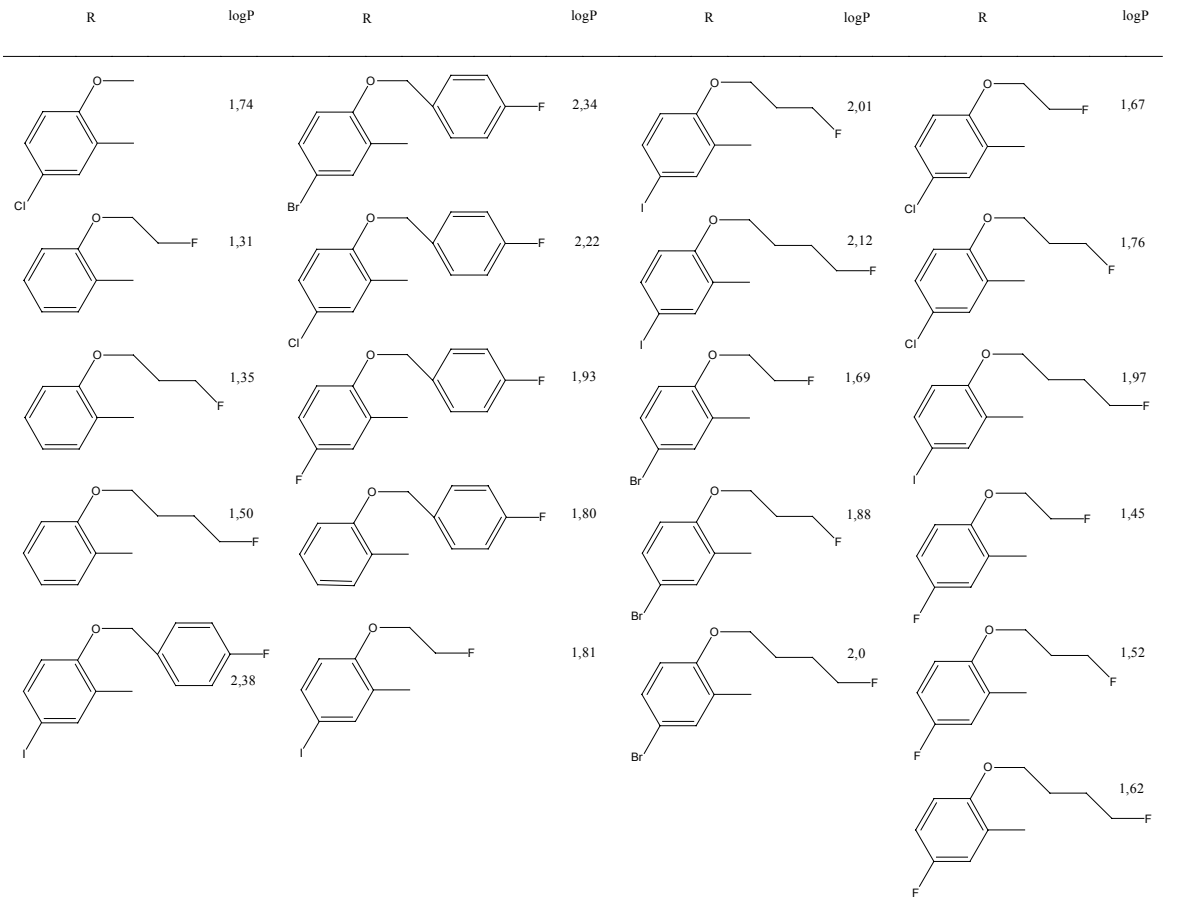
Determination of logP values of fluorinated glibenclamide derivatives

M. Piel, R, Schirrmacher
Institut für Kernchemie, Johannes Gutenberg-Universität Mainz, Mainz

The partition coefficient (P) is defined as the ratio of the equilibrium concentrations of a dissolved substance in a two-phase system consisting of two largely immiscible solvents, usually n-octanol and water. The partition coefficient being the quotient of two concentrations, is dimensionless and is given in form of its logarithm to base ten. The determination of logP values of a great variety of synthesized fluorinated Glibenclamide derivatives is necessary to get preliminary information about the possible unspecific *in vivo* binding of their radioactive labeled analogues in order to quantify β-cell mass in pancreatic tissue via PET. It is well known that unspecific binding is clearly related to logP values.
The logP values were determined according to OECD guidelines applying the HPLC-method.

Results: The most suitable compounds with regard to lipophilicity are compounds with small logP values. Therefore a logP between 1,31 and 1.69 seems to be suitable which makes further studies with the corresponding ^{18}F-compound worthwhile.





structures of fluorinated Glibenclamide derivatives and their logP values determined via HPLC method