

ElexoPharm - Lead Optimisation

General procedure

After the development of hits to lead compounds these are further optimised using a similar procedure. In order to develop a drug candidate, the lead structure will be modified to ameliorate weak points using medicinal chemistry strategies like bioisosteric exchange. Weak points may be short half life times or low metabolic stability.

After determination of metabolites using Elexopharm's LC-MS/MS experience, more stable derivatives can be proposed and synthesised. The synthetic work is in this stage generally limited to the synthesis of single molecules. Biological evaluation in *in vitro* and *in vivo* assays (activity, PK profile, toxicity) will either end up in a drug candidate ready to enter clinical evaluation processes or give hints for further improvements.

