CONCEPT LIFE SCIENCES
a spectris company

TARGETED PROTEIN DEGRADATION SERVICES

Concept Life Sciences offer a range of services important to any PROTAC® development programme. Our Medicinal, Synthetic and Computational Chemists, ADME Scientists and Biologists work closely together, allowing a flow of data and ideas between departments to help you accelerate your design-make-test cycle time.

PROTAC DESIGN AND SYNTHESIS

Targeted protein degradation using PROTACs and SNIPERs has emerged as a new modality in drug discovery. These heterobifunctional molecules maintain some of the advantages of small molecules, differentiating them from other therapeutic approaches such as antisense oligonucleotides, siRNA or CRISPR-Cas9. However they still represent a class of molecules which deviates from the Lipinski 'Rule of 5' properties associated with classical oral drugs.

Working with PROTACs therefore presents novel challenges in terms of design, synthesis, purification and biological evaluation. Our chemists have significant experience of working with Cereblon (pomalidomide or lenalidomide), VHL and IAP based PROTACs that we can bring to bear on some of these challenges. We have established a library of linkers available in house, along with the knowledge and expertise on linker design and synthesis to accelerate your PROTAC programme.

UNDERSTANDING THE BIOLOGY

Concept Life Sciences biology teams utilise state-of-the-art technologies that enable real-time characterisation of both PROTAC efficacy and mechanism of action, addressing key questions to accelerate PROTAC development, profiling and guidance of chemical structure–activity relationships. We can effectively measure not only the extent of target protein degradation, but also answer important mechanistic questions such as:

- Does the PROTAC enter the cell?
- What is the order of binding of the PROTAC to the target protein and the E3 ubiquitin ligase?
- Does the target protein become ubiquitinated?
- Does this ubiquitination drive the protein to be degraded by the proteasome?

In addition, we offer SPR assays to measure the kinetics of PROTAC ternary complexes and elucidate the important kinetic parameters that drive effective target degradation.

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ADME PROFILING

Concept Life Sciences offer a range of *in vitro* ADMET and *in vivo* DMPK services, delivering high quality, accurate and reproducible data. In addition to our core service of validated robust assays, we can modify and/or customise your assay design, ensuring a science-led, flexible and quality-driven approach which is important for atypical molecules such as PROTACs. We will help you make technical choices or strategic decisions on test compound characterisation, SAR generation and optimisation of compound design, supporting you in de-risking your best route to clinic.

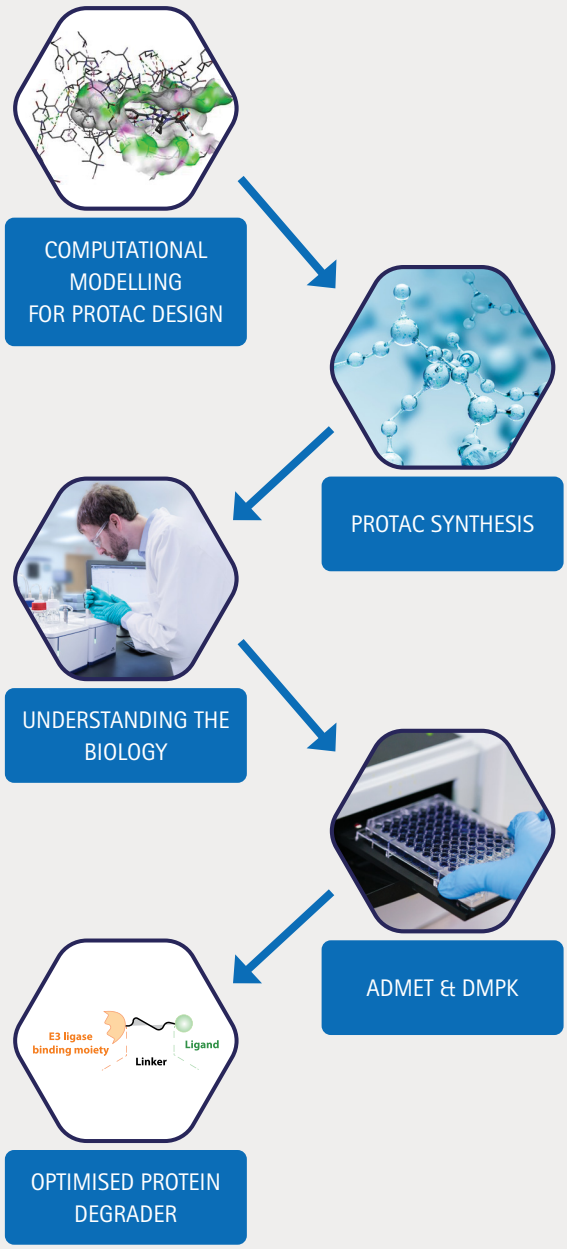
COMPUTATIONAL MODELLING FOR PROTAC DESIGN

Computational modelling of your Protein of interest, PROTAC and E3 ligase, can facilitate design of the best vectors and linker lengths. With state-of-the-art modelling software, we can provide you structure based drug design (SBDD) support to prioritise and identify the best PROTAC design ideas.

SUPPORTING YOUR PROTAC RESEARCH PROJECTS

We can prepare Fee-For-Service quotes for synthesis of discrete PROTACs upon request. Or to fully utilise our expertise, we are more than happy to discuss how our experts in Chemistry, Biology and ADME could bolster your PROTAC drug-discovery programmes via an FTE approach.

Our team of experienced scientists, with depth and breadth of knowledge and expertise, share your passion for delivering science.



AS YOUR DEDICATED PARTNER AND COLLEAGUE, WE ARE HERE TO HELP YOU ACHIEVE YOUR GOALS

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