



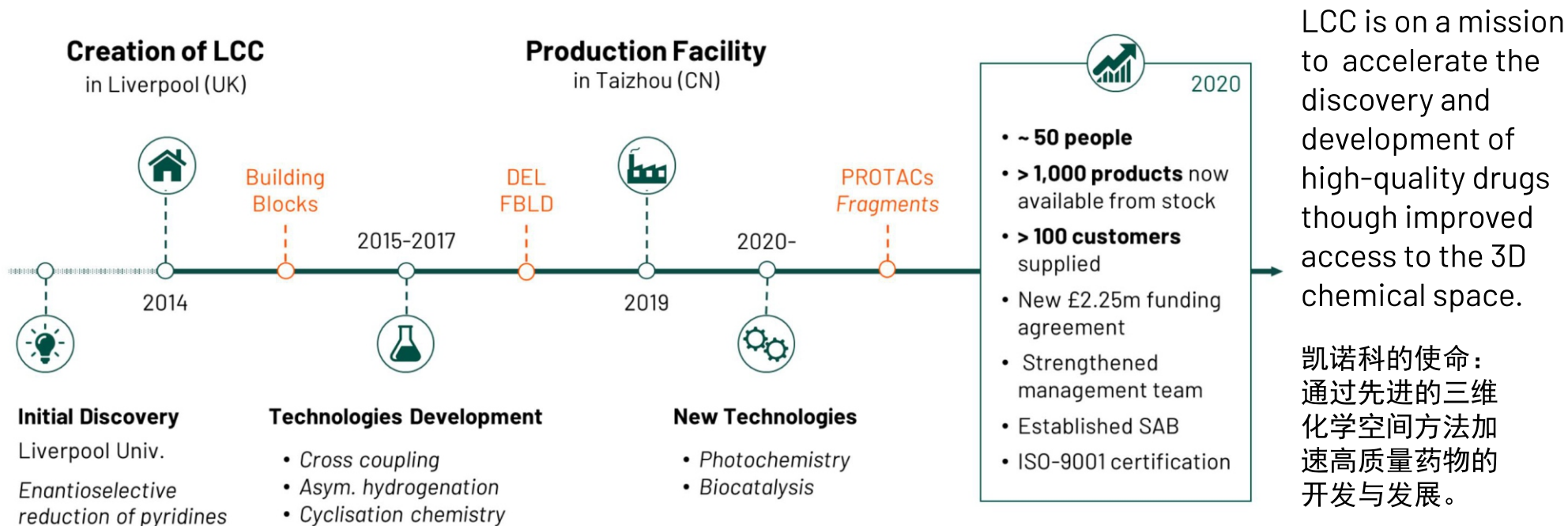
YOUR PARTNER IN DISCOVERY

您研发的伙伴

An international, chemistry-based CRO, on a mission to accelerate the discovery and development of high-quality drugs.

专注化学的国际CRO，加速高质量药物的研发







LCC's Products

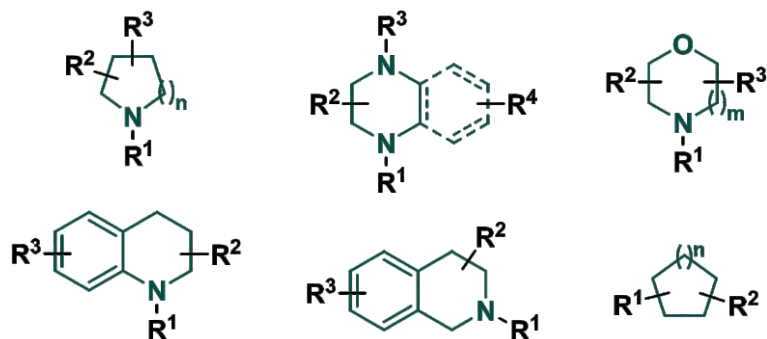
- DEL
- FBLD
- PROTACs
- APIs
- VL





LCC designs, produces and supplies **high quality chiral chemicals** with **proprietary technologies**.
LCC develops new and **innovative solutions** to access novel & rationally designed chiral components.

Chiral (N-) Heterocyclic Building Blocks (>11K)



*sp*³/3D-Rich

Highly functionalised

Stereo-defined & Enantiopure

Our Mission

Accelerate your discovery

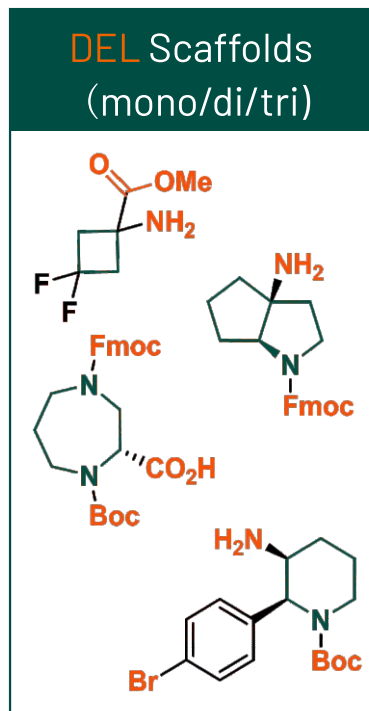
- 3D Chemical space enhancement
- Intelligent design of BBs for specific applications
(DEL, FBLD, PROTACs, ...)
- Personalised support
(tailored collections, SAR studies, nearest neighbour analogues, scale-up, ...)

凯诺科利用专有技术，设计并生产高质量手性化合物，提供新颖的解决方案，快速找到创新的、合理的手性成分。

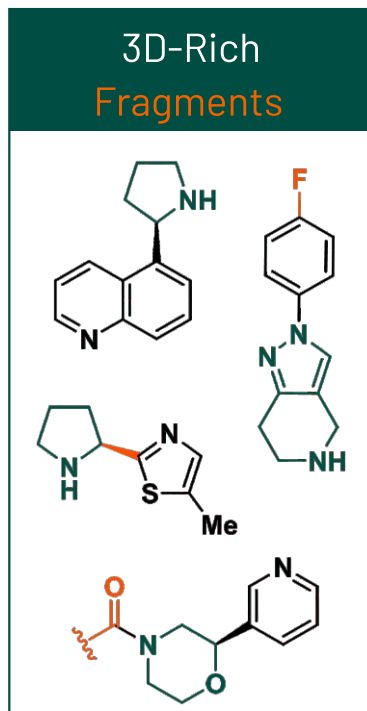


LCC's compounds are **specifically designed** to be used in various screening techniques / programme type.

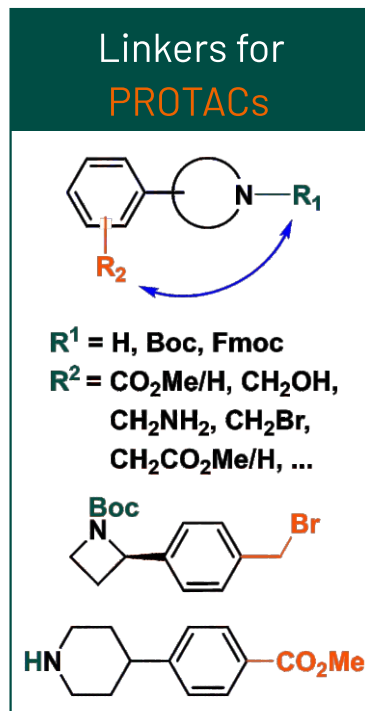
凯诺科专业设计的产品，可以在不同的筛选技术和项目类型中使用。



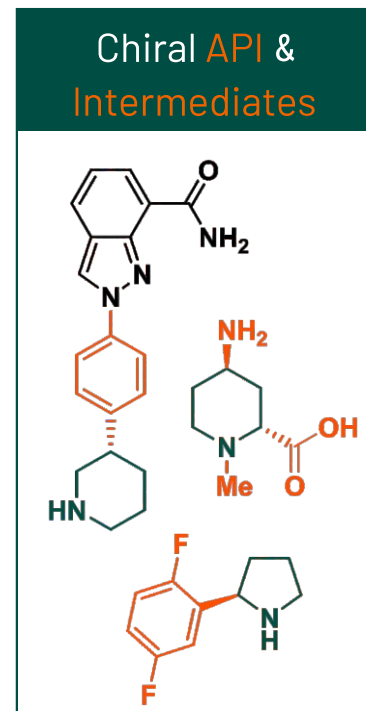
DNA-compatible
Synthetic Handle



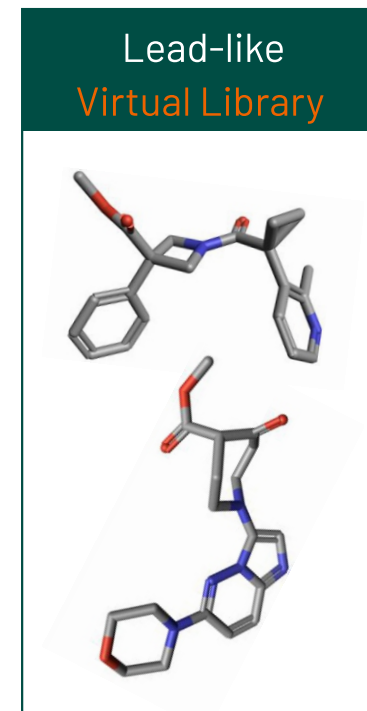
Ro3, 19-F, Covalent,
Enantioprobe



Semi-Flexible
(low Rot B.)



>99% ee
mg to multi-kg



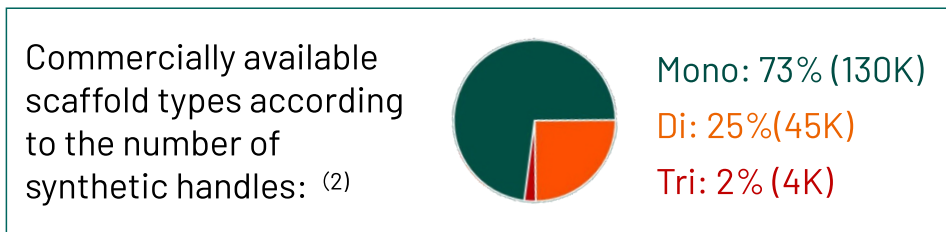
5,000,000
Lead-like Molecules



DEL (DNA-Encoded Library): Collection of molecules attached to DNA carrying unique information about the identity of the library member.

BBs Requirements :

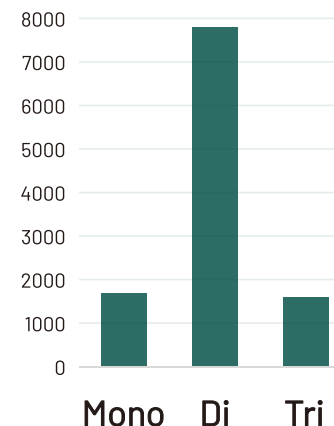
- **Synthetic handles** specific to reactions on-DNA
- More than one synthetic handle is required to enhance the **diversity** of the library ⁽¹⁾



Lack of availability for di- and trifunctionalised scaffolds

LCC's collection of DEL synthesis contains 11K compounds, that are pre-categorised according to the number and nature of the handle(s) to facilitate the selection process.

Synthetic Handles

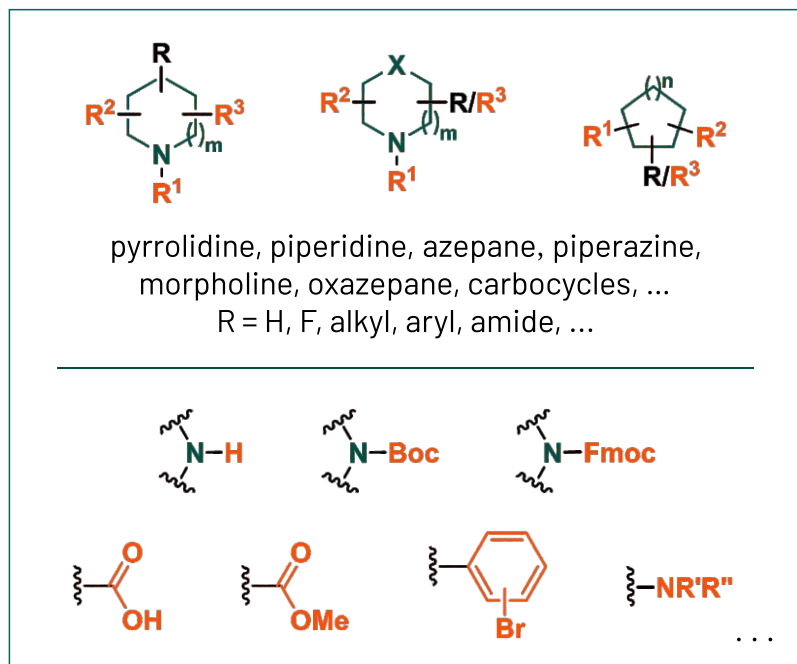


(1) Madsen, D. et al. (2020) "Chapter Four - An overview of DNA-encoded libraries: A versatile tool for drug discovery" in Witty, D. R. & Cox, B. (ed.) *Progress in Medicinal Chemistry*, Volume 59. Elsevier, 181-249. (2) Martín, A.; Nicolaou, C. A.; Toledo, M. A. *Commun Chem*, 2020, 3, 1. Lilly's commercially available scaffolds were defined as BBs readily available from Enamine and Sigma.



LCC's DEL synthesis are designed to be **successfully used in DNA-compatible reactions**, and have a **diverse set of options for the synthetic handles**, covering some of the gaps in existing chemical space.

凯诺科DEL合成产品可成功适应DNA反应，提供多种反应点选择，填补了目前化学相关构型的空白。



Key Criteria:

- Diverse array of **heterocyclic compounds**
- Extended selection of **3D-rich synthons**
- Synthetic handles **compatible** for reactions on-DNA

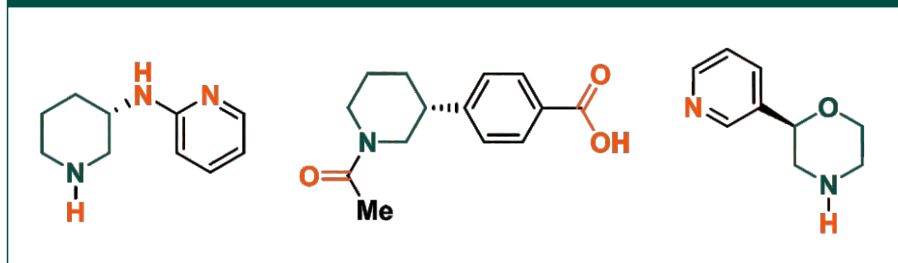
- **Mono / Di / Tri** functionalised compounds
- **Low Molecular Weight**
- Racemic and **chirally-pure** material available

Ready to use scaffolds

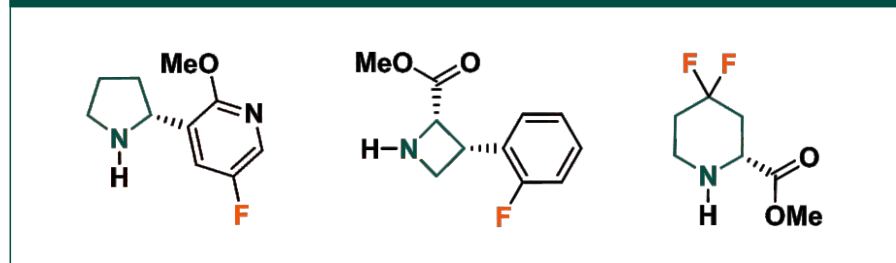


LCC has increased availability of compact, cyclic-based, stereo-defined fragments. LCC can help to select the best fragments to complement existing library. 凯诺科提供最好的片段，更快地扩展现有化合物库。

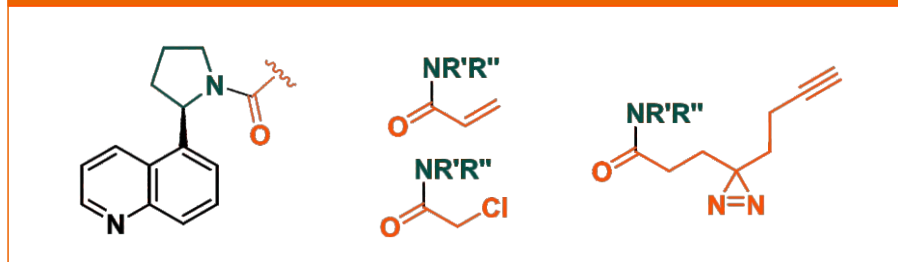
Ro3 Fragments



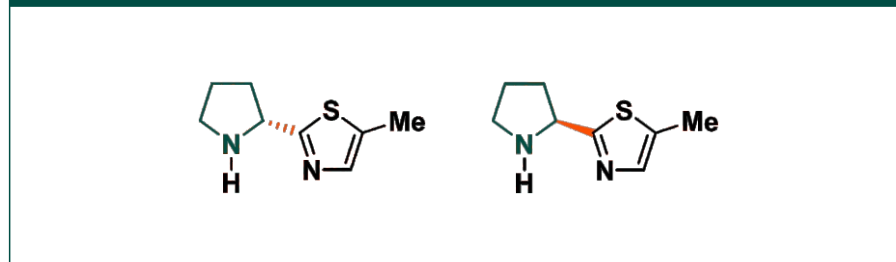
¹⁹F Fragments



Covalent Fragments



Enantioprobe Fragments



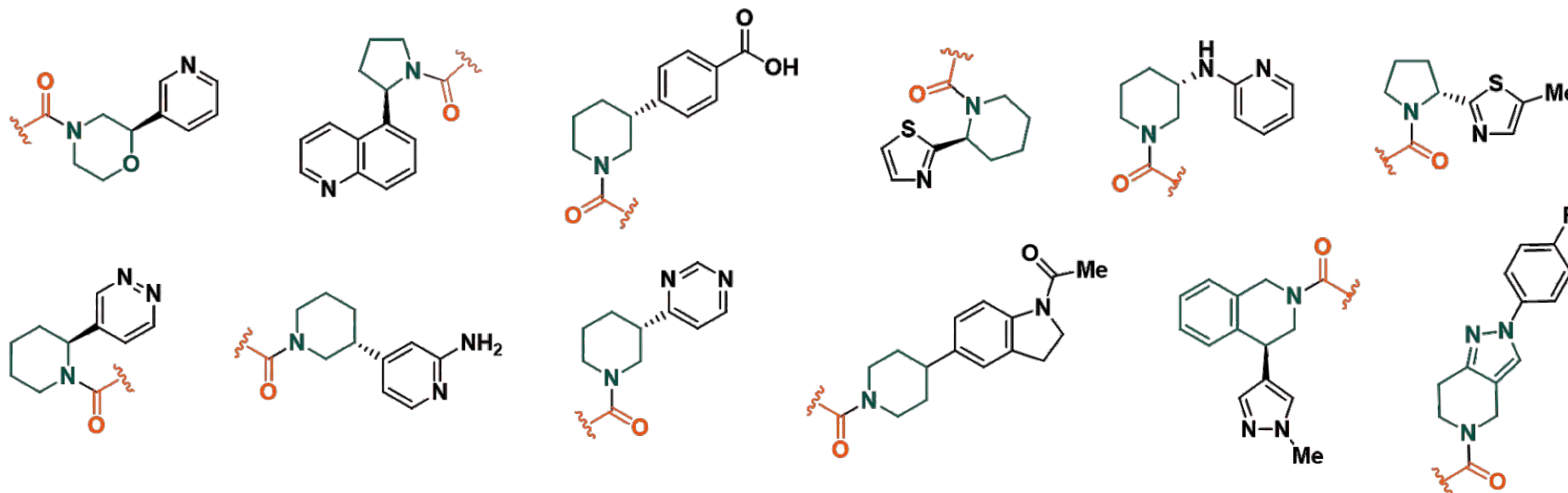
Project specific substitution patterns and warheads are available on demand. 根据需求定制基团和取代构型



Coupling between LCC's sp^3 -rich secondary amines and the selected warheads (such as the acrylamide, chloroacetamide, and diazirine for example), offers an opportunity to **diversify existing covalent fragment libraries**.

凯诺科通过偶联可提供更多样化的片段库。

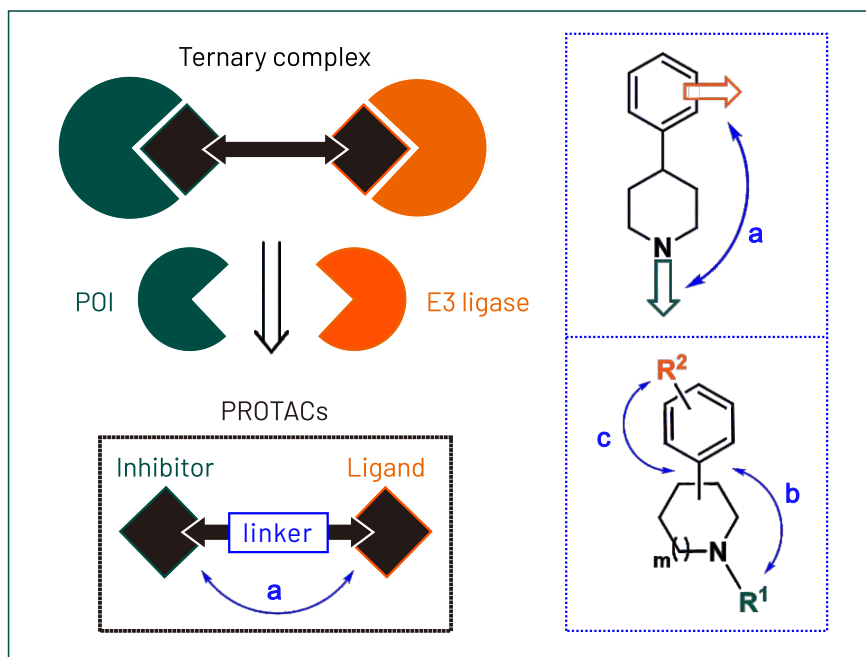
Selected examples





LCC provides semi-flexible linkers, resulting in better controls of final PROTACs shape and orientation; facilitating modelling step and increasing efficiency.

凯诺科提供半柔性Linkers，更好地控制构型和定位，提高成功率。



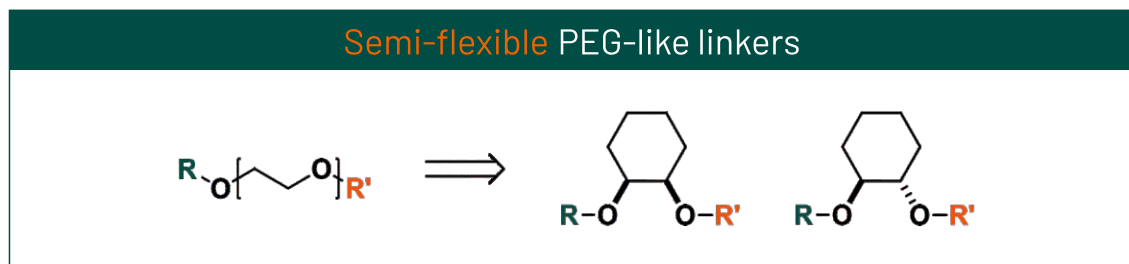
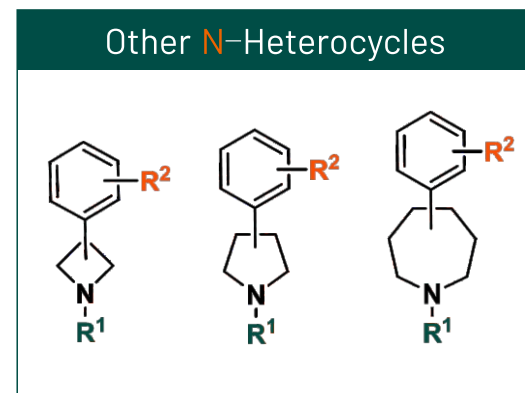
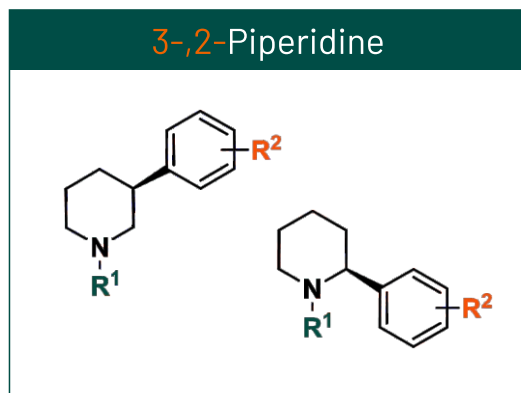
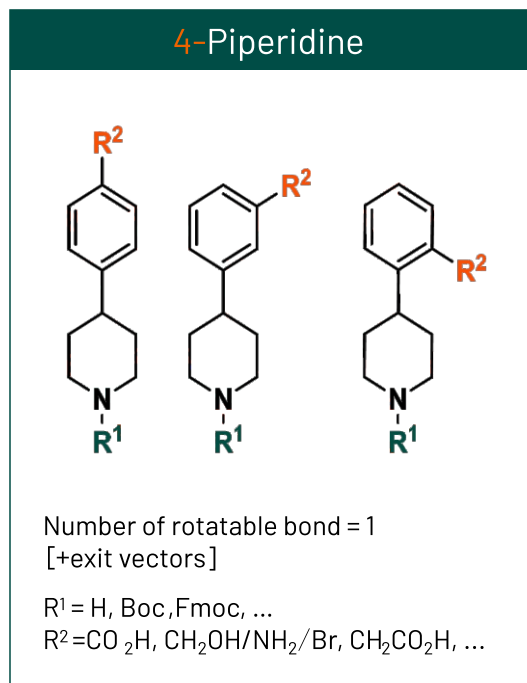
Key Criteria:

- Systematic changes in conformation
- Selected exit vectors for ligand coupling
- Good variety of chain lengths
- No additional HBD's
- Reduce number of rotatable bonds
- Racemic and chirally-pure material available

可提供消旋的，纯手性分子



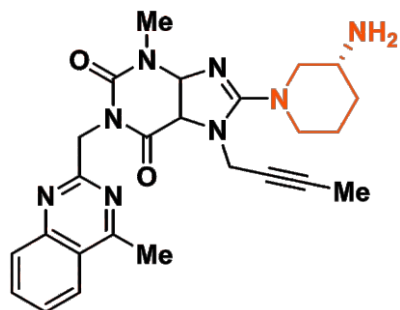
Representative examples of our semi-flexible linkers sub-collection for PROTACs:



Project specific exit vectors and substitution patterns are available on demand. 根据需求定制靶向配体和取代构型

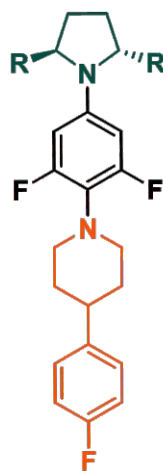


Piperidines and pyrrolidines are ubiquitous scaffolds in **small molecule drugs** (>60% of the marketed small molecule drugs are bearing these moieties). The importance of LCC's compounds can be highlighted by their presence in several of the top 200 pharmaceutical products sold in 2018. 凯诺科产品出现在2018年最畅销二百药物产品中。



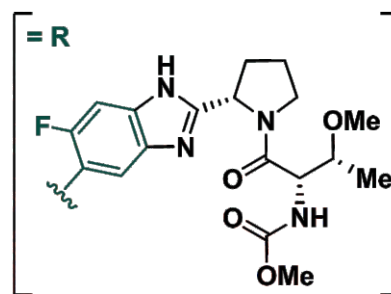
Jentaducto (2011)

Eli Lilly & Boehringer Ingelheim
Type 2 diabetes

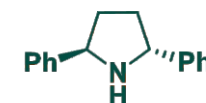


Pibrentasvir in Mavyret (2017)

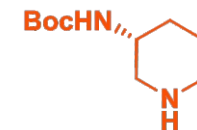
Abbvie
Hepatitis C



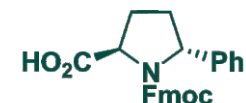
A0148



A8302



A0501



A9730 (rel)



With extended production capabilities and facilities, LCC can accelerate your discovery by providing **large scale** and **high-quality API & key intermediates**. 凯诺科拥有一流设备，可提供中试所需的高质量API和中间体。



Enantioenriched material with high ee (up to 99.5% on demand) 凯诺科所有产品都提供ee值并确认绝对构型



Aim: Design and virtually synthesise a lead-like library via decoration of LCC's proprietary 3D-scaffold collection.



Workflow

1. Identification of (nucleophilic) synthetic handles on diverse set of 3D fragments
2. Selection of 5 robust chemical transformations
3. Selection of diverse set of commercially-available (electrophilic) reagents using PickR™
4. Virtual synthesis of 2D library via scaffold enumeration
5. Conformational sampling and generation of 3D library
6. ~Ro4 and drug-likeness property filters
7. Analysis of finished library

3 Key Design Parameters :

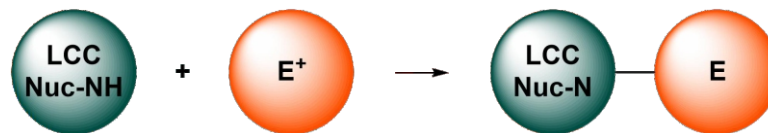
- **Quality**
~ Ro4 lead-like chemical space
>90% synthesis success rate
- **Diversity**
Wide spread of sp^2 - sp^3 character & MW
Diversity-controlled reagent selection
- **Novelty**
Proprietary scaffold collection
SureChemBL novelty assessment

Accelerate Hit-ID / Hit-to-Lead
加速先导化合物的定位

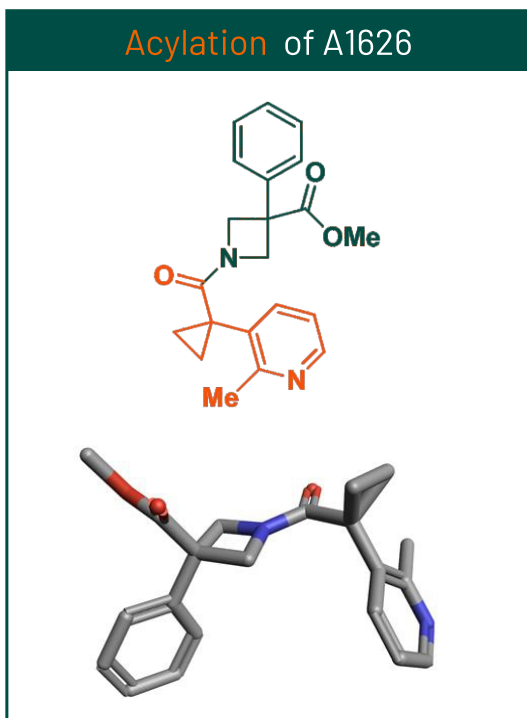


Lead-like Virtual Library - Decoration Chemistries

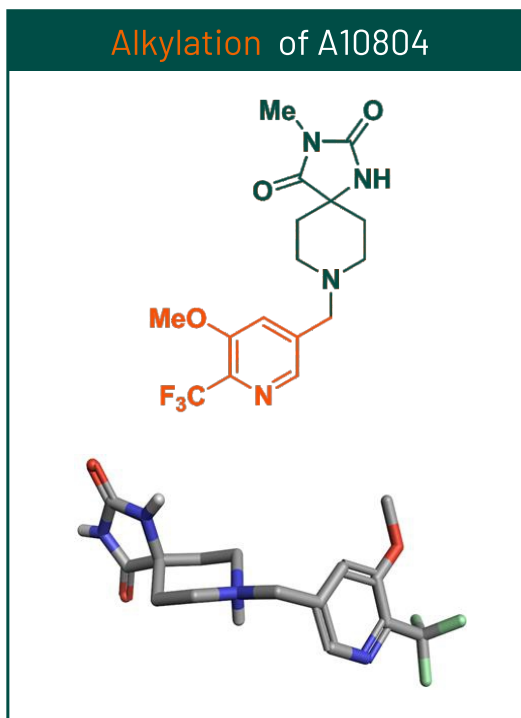
Selected examples of robust chemical transformations
between LCC's 3D fragments commercially-available reagents:



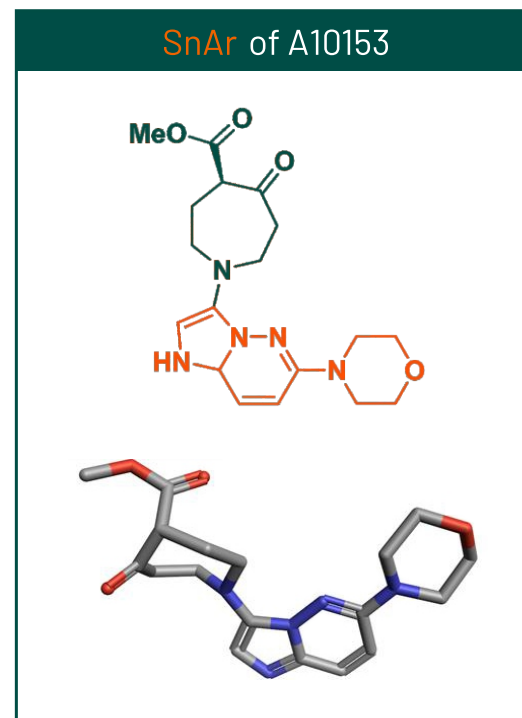
Acylation of A1626



Alkylation of A10804



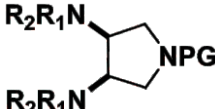
SnAr of A10153





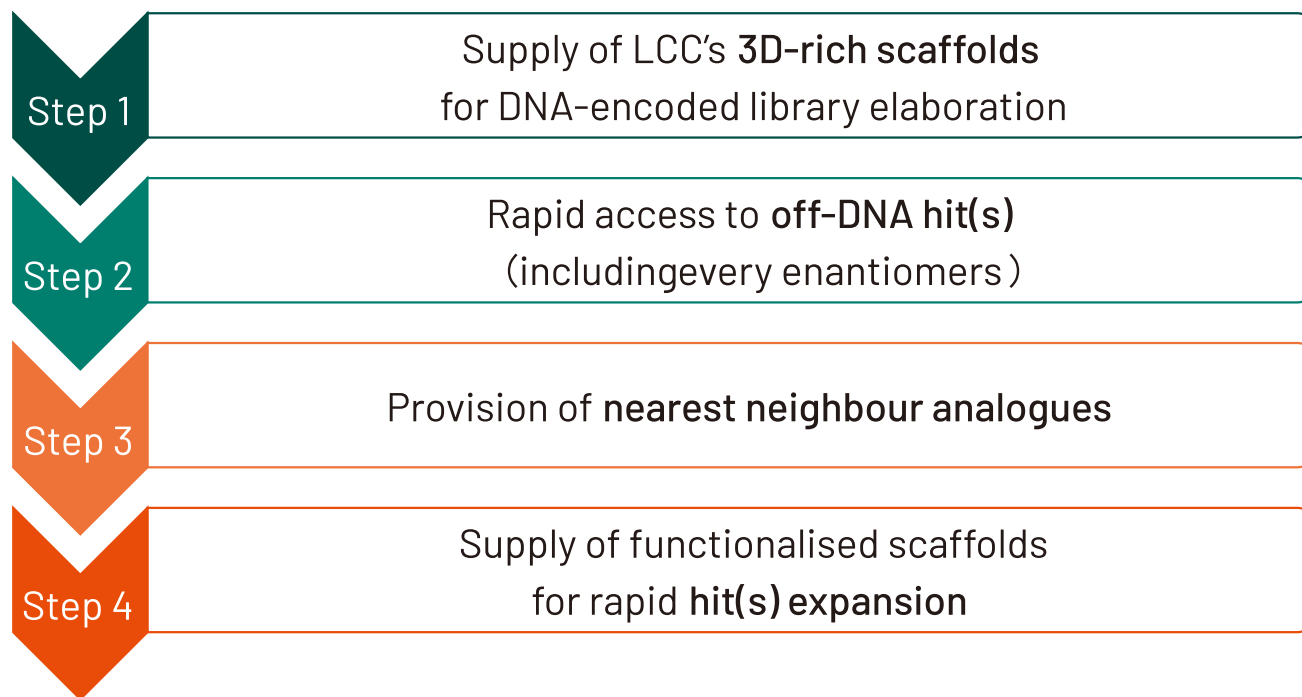
LCC is utilizing its **proprietary knowledge** to design set of **chemical tailored to bespoke projects** .

凯诺科利用专有技术根据客户需求设计并定制手性化合物。

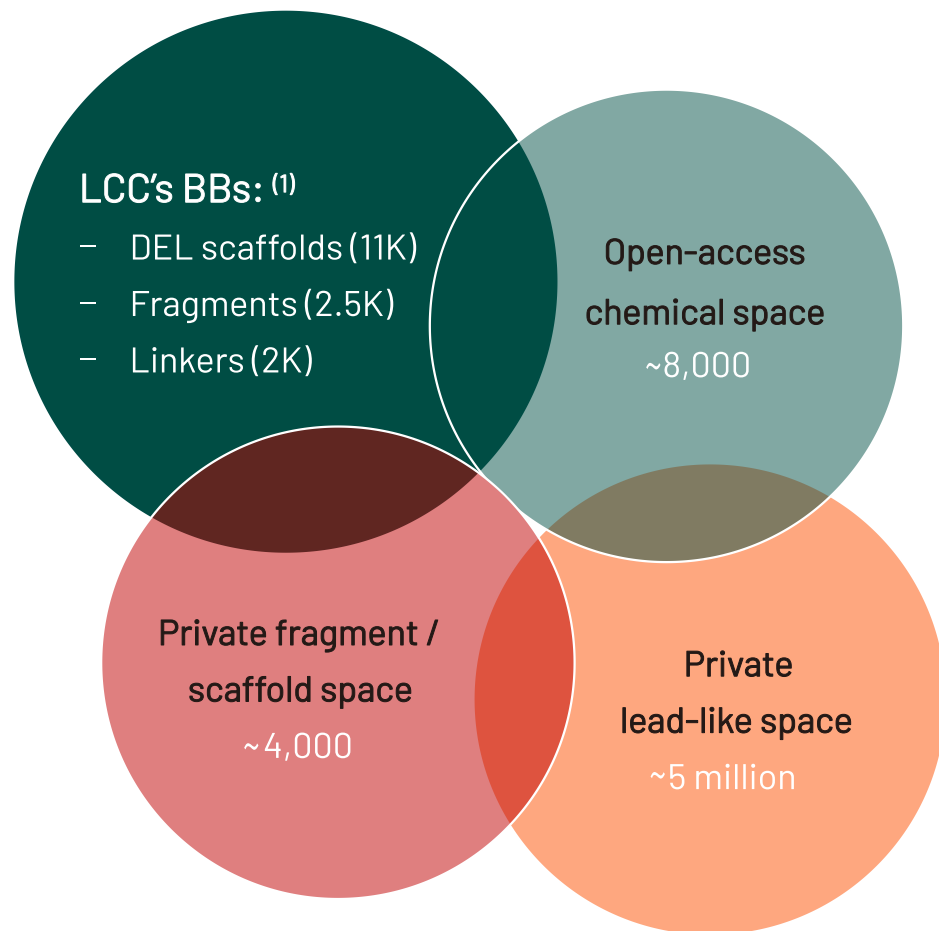
Speciality	Scaffolds for DEL	Linkers for PROTACs	SAR studies and hit-to-lead optimisation	Scale-up
Request	Synthetic handles specific to the project	Identical core with various exit vectors	Nearest neighbour analogues	140g, 97% purity
Proposal	386 compounds, including ~350 newly designed BBs	36 compounds, including 15 newly designed BBs	28 compounds designed for the project	
Order	79 scaffolds	36 linkers	11 building blocks	1 BB
Success rate	96 %	100 %	100 %	100 %



DEL: Hits to Lead Candidate(s)



(1) All new compounds are fully characterised, including the determination of the nature of the stereocenter (s).



Open-Access

Access LCC's specialised compounds through:

- LCC website & Custom sd-files
- E-commerce (eMolecules, Chemspace, ...)
- Distributors (Sigma, Apollo, Namiki, ...)

Private (CDA protected)

Access LCC's private compounds through:

- Custom sd-files
- Computational partners (Cresset, BioSolveIT, ...)
 - for the lead-like space

(1) Oct. 2020



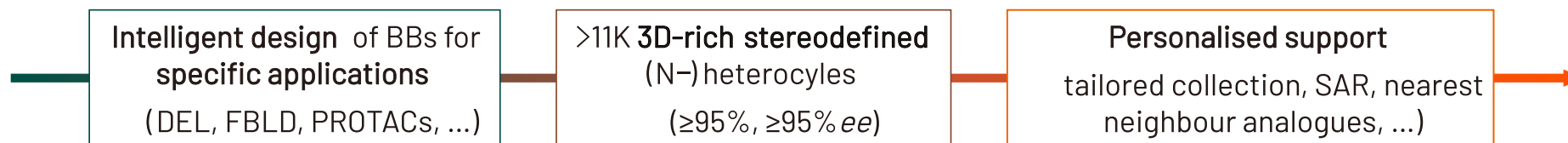
Accelerate your discovery

and bring new compounds to market, **faster**, **better** and **easier**.



LCC is offering access to novel, **chirally-pure compounds** to develop high-quality drugs, through existing catalogue, ongoing pipeline, virtual library, and much more.

凯诺科利用现有化合物库，个性化设计、虚拟库等技术，提供新颖的、纯手性化合物，助力高质量药物研发。



Accelerate your discovery

and bring new compounds to market, faster, better and easier.

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