

#### Leap-to-Lead<sup>™</sup>: New Solutions for Drug Discovery

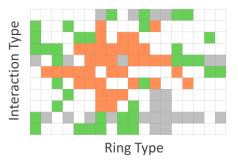
The **Leap-to-Lead**<sup>™</sup> platform is designed to be a high value alternative to standard drug discovery methods. Using a computer enhanced discovery process, we have been able to generate novel, low molecular weight lead compounds that have excellent potential to become clinical candidates. This process allows us to radically improve lead generation and optimization for our partners.

# **CFL: A Medicinally Enriched Fragment Library**

Our lead discovery process starts with the **Comprehensive Fragment Library** (**CFL**), a proprietary collection of fragments selected using strict quality and pharmaceutical value criteria. We've carefully curated our library to maximize representation of medically relevant chemical space. Through our proprietary clustering algorithms, we can take a fragment hit from any source and connect it to novel fragments in a 850k CFL Select Set that

**BioBlocks CFL Plates** 

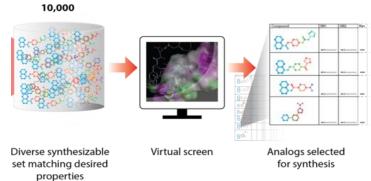
Commercial Fragments Proprietary Fragments Nonexistent Cores



contains both well-precedented bioactive structures and novel, unexplored chemical matter. For FBLD, we have two **CFL Plates** available immediately for our partners to screen in any fragment friendly assay.

## Syntheverse™: Intelligent Lead Creation

The **Syntheverse**<sup>™</sup> is a set of advanced cheminformatic algorithms capable of generating and managing multiple diverse synthetic paths towards possible lead compounds. Starting from screening hits or an existing lead, the iterative Syntheverse<sup>™</sup> process can generate novel leads for partners. Using known active compounds as inputs we can rapidly select diverse analogs from a virtual screen. BioBlocks' medicinal chemistry expertise and proprietary fragments are encoded in the **Syntheverse**<sup>™</sup> to improve selection of reaction schemes and enable immediate synthesis.



Additionally, the Syntheverse<sup>™</sup> has powerful capabilities in cases where a lead requires optimization of some set of properties (ie. toxicity, solubility, stability, etc.). The Syntheverse<sup>™</sup> can identify groups that can be replaced with improved alternatives from the CFL using the existing synthesis schemes.

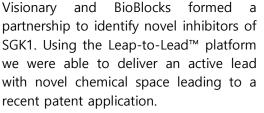
#### **Partnering Benefits**

Leap-to-Lead partnerships create strategic value by providing novel quality leads cost efficiently for partners' valuable targets.

- Efficiently generate patentable preclinical leads
- Improve your compounds at any stage using chemical evolution processes
  - o Initial screening, lead optimization, pre-clinical, and beyond
- Gain better activity and IP options via advanced cheminformatics algorithms
- Rescue patent position of known leads using novel scaffolds
  - Access a large virtual set (>500B) of synthesizable structures

## Case Study: Leap-to-Lead<sup>™</sup> Technology in Action

Targeting SGK1 for TNBC Indication with Visionary Pharmaceuticals



#### **Collaboration Workflow**

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- CFL plates screened in SGK1 assay
- Hits identified from CFL screen
- Analogs selected by standard process improved activity
- One hit family selected for hit-to-lead process
- Efficient hit-to-lead accomplished with only 2 **Syntheverse**<sup>™</sup> runs
- Cell active compounds with improved properties discovered

#### **Collaboration Milestones**

- Developed a Lead Series that has improved properties compared to literature leads
- Developed novel IP patent application filed
- Advanced partnership to Lead Optimization
- Partnered with a public biotech to explore alternative indications

Read more on our poster from MEDI\_2017

## **Ongoing Partnerships**

With your target expertise and our advanced platform, we can lower the challenging hurdles of drug discovery. Together we create de-risked assets with market value for your organization.



For more information on how to partner with BioBlocks,

please send an email to ppallai@bioblocks.com or follow us on LinkedIn.

