

JANUARI 2015

NEWSLETTER

1st publication on the character of the Joint European Compound Library

The Joint European Compound Library - Boosting precompetitive research

A group of researchers from University of Dundee, Jérémy Besnard, Philip S. Jones, Andrew L. Hopkins and Andrew D. Pannifer, has analysed the character of the >300,000 compounds in the Joint European Compound Library (JECL), which was published in [Drug Discovery Today](#).

The Joint European Compound Library:

- New high-throughput screening collection now available at no cost for academic groups and SMEs.
- Compounds contributed by seven European pharma companies.
- Diverse origins of compounds generates a diverse screening collection.
- Subsets from different companies show very little overlap in chemical space.
- Compounds are predicted to show activity in a diverse array of biological targets.

HEADLINERS (clickable)

- 1st publication on the character of the Joint European Compound Library
- Crystal structures of QHL compounds with target
- 1st SME to receive a Qualified Hit List!
- ELF Meeting: Chemistry Postdoc Learnings and Achievements
- Meet the ELF's
- Almost 40,000 JECL compounds synthesised
- Status of JECL and Target Programmes

"This is fantastic validation of all the work being carried out by the screening centre and a great example of the model of collaboration envisaged within ELF"

Dr. Phil Jones
Screening Centre Head of Unit



Crystal structures of QHL compounds with target

Screening campaigns have led to very promising results. Just one month after the release of the Qualified Hit List (QHL), two crystal structures of a QHL compound bound to the target protein have been solved. Crystallographic work was carried out by the University of Oxford, using fresh samples of the QHL compound prepared at the European Screening Centre in Newhouse – an integrated drug discovery/chemical biology group with many compound screening and medicinal chemistry capabilities.

"This was really a worthwhile project for us and we look forward to the QHL of our second ELF programme."

Dr. Joost Uitdehaag
Netherlands Translational Research Center



1st SME to receive a Qualified Hit List!

As the first non-ELF partner, the Netherlands Translational Research Center ([NTRC](#)) received a Qualified Hit List report in early November. NTRC is also the first SME participating with a target programme in the European Lead Factory. This was their first target programme at the European Lead Factory and they are now running a second programme hoping once again access to unique and tractable chemotypes.

ELF MEETING

Chemistry Postdoc Learnings and Achievements

Novel results were presented at a scientific European Lead Factory Postdoc Chemistry Meeting.

Over 50 participants, including chemistry Postdocs, ELF medicinal chemists and representatives from the chemistry SMEs gathered 22-23 October in Düsseldorf to exchange information and knowledge on industrial aspects of library validation and the best practices for ELF's Library Validation work package (WP11). Key learning points included high quality library design input, the ability to rapidly evaluate and terminate work on suboptimal libraries, and the constant information exchange between academia and SMEs.

A total of 23 oral presentations and 27 posters were submitted by Postdoc researchers, working in 10 academic groups from 4 European countries. Additionally, more than 30 novel, chemical scaffolds were presented. The scaffolds originated from natural product approximation strategies to diversity-oriented synthesis approaches, from diverse cycloaddition protocols to multi-component and cascade reaction sequences.

The evaluation panel members consisted of expert industrial chemists representing 6 EFPIA partners. The attending ELF medicinal chemistry experts consistently appraised the work done so far in terms of library design, validation and production, and commended the efforts of the Postdoc researchers, their engagement and scientific curiosity. Overall, the libraries presented were judged to be very novel, chemically feasible and adequate to screening purposes in a drug discovery setting.

Postdoc: *"The meeting and the interaction with the EFPIA partners was very useful, we are going back with great tips for the coming library proposals"*

EFPIA: *"A lot of good chemistry, a lot of good ideas and a number of really original scaffolds and structures".*

"It is a collection I'm looking forward to screen!"

"I enjoyed the interaction with the postdocs very much and was impressed by their high engagement level and sense of ownership as well as by the diversity of scaffolds proposed".

SME: *"The Postdocs presentations and posters were really high quality and I am bringing back a lot of chemistry ideas to the lab"*

Two prizes were issued and were sponsored by the SMEs participating in the ELF project. The "Best Oral Presentation" went to Dr. Rico Petersen (Technical University of Denmark). The "Best Poster" prize was awarded to Dr. Rajkumar Sundaram from the University of Leeds. Congratulations to the winners!



Dr. Rico Petersen



Dr. Rajkumar Sundaram

MEET THE ELF'S (clickable)

ELF's will present at the following scientific meetings:

16th Hellenic Symposium on Medicinal Chemistry

23-25 Jan 2015 - Patras (Dimitrios Tzalis, Taros)

SLAS Meeting

7-11 Feb 2015 - Washington DC (Steven van Helden, Pivot Park Screening Centre)

BIO Europe Spring

9-11 March - Paris (Jon de Vlieger, TI Pharma)

Discovery 2015

16-17 March - Cascais (Ton Rijnders, TI Pharma)

SLAS Conference on Compound Management

24-25 March 2015 - Dortmund (Sylviane Boucharens, BioAscent, Dimitrios Tzalis, Taros)

SLAS ELF Seminar - 25 March Dortmund

Do you want to know more about how you can benefit from ELF with your drug target or your compound library design idea?

Take the opportunity to ask your questions directly to the experts at the ELF Seminar organised in collaboration with SLAS Europe!



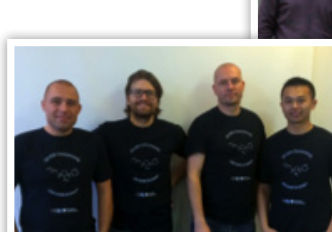
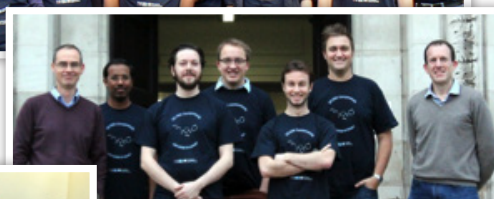
Almost 40,000 JECL compounds synthesised

Edelris celebrates 10,000 compounds milestone with team t-shirts

We are excited to share a significant milestone: the chemistry consortium partners have reached a total of 38,187 compounds delivered to the JECL.

Edelris celebrated their 10,000 molecules synthesised for the European Lead Factory with a team t-shirt for the three teams involved! Together with their academic partners, University of Leeds and Technical University of Denmark, they have set up a robust and efficient platform to steadily deliver a daily average of 50 innovative compounds of high 3D character. The teams are now embarking on the next 10 000 molecules.

Congratulations to all teams!!



Status of JECL and Target Programmes



Library status: December 2014

290 selected ideas/ 98 validated
38,187 compounds from public ideas
326,486 compounds from private partners



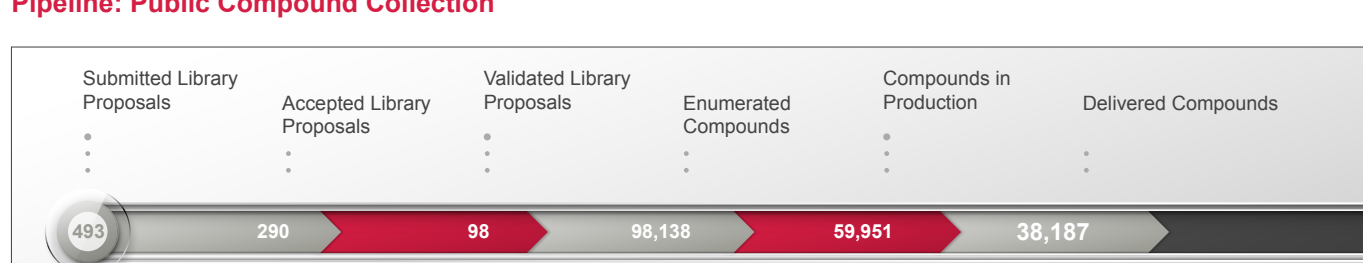
Recruitment of Targets: December 2014

58 EFPIA Target Programmes planned
78 Public Target Proposals received
34 Public Target Proposals accepted

The steam is high at the European Lead Factory! A new batch of public compounds is completed, making a total of 38,187 public compounds. The pipeline is filled with plenty of high-quality library proposals from within the consortium and the validation process is lately also picking up pace.

In total, 34 public target programmes have been accepted out of 78 submitted proposals, which have resulted in 8 QHL Reports and one IHL report, so far. Since the last Screenings Selection Committee meeting, another 8 proposals have already been received. Next to the public programmes, the planning of the 5th round of EFPIA target programmes is completed and several QHLs have been generated by our EFPIA partners so far.

Pipeline: Public Compound Collection



Pipeline: Public Target Programmes



We wish you a happy New Year 2015

Eckhard Ottow, Ton Rijnders and Dimitrios Tzalis, also on behalf of the Project and Programme Offices



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