

NOR-Openscreen, Bergen Node



<http://www.openscreen.no/>
<http://www.uib.no/en/rg/biss>
<http://www.uib.no/rg/biorec>

NORWAY

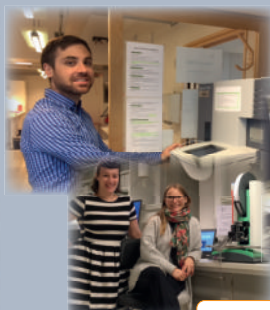
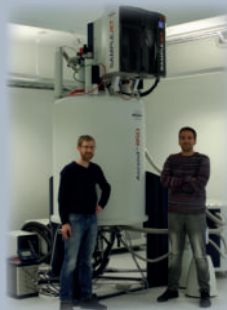
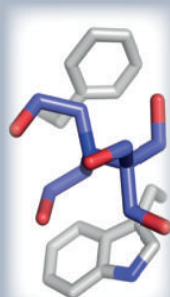


specialist
screening site

BERGEN

an official partner site of

eu openscreen



THE PEOPLE

Ruth Brenk



Aurora Martinez

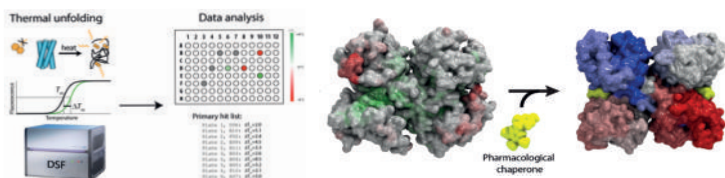


Jarl Underhaug



THE PROJECTS

Discovery of pharmacological chaperons



Small and selective molecules that aid in the renaturation of unstable, misfolded conformations of a targeted protein, recovering (totally or partially) the original structure and function

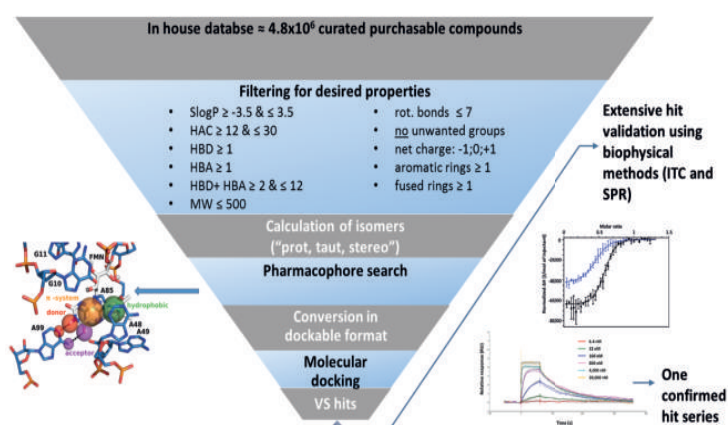
1) High-throughput screening (HTS) of a chemical library
Based on ligand binding stabilization (by differential scanning calorimetry; DSF)

2) In vitro and in cell validation studies
WT and mutant binding and stabilization by SPR, DLS, ITC
Enzyme kinetics to investigate possible inhibitory effects
Transient expression of mutants in eukaryote cells (protein and activity)

3) Hit-to-lead expansion and optimization

4) Mice studies

Virtual screening for ligands binding to the FMN riboswitch



THE HARDWARE

HTS screening systems

- Bravo (Agilent), Mosquito

Readouts/ Screening technologies

- DSF, Octet Red 96, SPR, multimode plate readers, NMR
- virtual screening, fragment screening

Compound libraries

- Fragment (700 cpds), Diversity (10000 cpds), Prestwick (1280 cpds)

Target classes

- RNA, molecular chaperones, enzymes associated with genetic disorders, protein-protein interactions

THE OUTPUT

1. Ubi et al. (2015) *J Med Chem.* 58:8402-12
2. Yuste-Checa et al. (2017) *Hum Mutat.* 38:160-8
3. Urbaneja et al. (2017) *Sci Rep.* 7(1):13959.
4. Krasowski et al. (2011) *J Chem Inf Model.* 51:2829-42
5. Urich et al. (2013) *ACS Chem Biol.* 8:1044-52

Collaborations:

Universities (Oslo, Oulu, Basque Country, Autonoma of Madrid, Zürich)

Networks:

NOR-openscreen, NNP, KG Jebsen, Toppforsk (RCN), Biss

Training capacities:

Liquid handling robotics, DSF, Octet, virtual screening

Patents: 5

THE SOFTWARE

data analysis tools

in-house software

software tools

Schrödinger package, Bio3D, Drug-Pred, BioSolveIT package

THE FUTURE

Self-sustained core facility

(<https://www.uib.no/en/rg/biss>)

University of Bergen
Postboks 7804, N-5020 Bergen



NOR-openscreen

