EU-OPENSCREEN: A novel collaborative approach to facilitate chemical biology

Compound screening in biological assays and subsequent optimization of hits is indispensable for the development of new molecular research tools and drug candidates. To facilitate such discoveries, the European Research Infrastructure EU-OPENSCREEN was founded recently with support of its member countries and the European Commission. Its distributed character harnesses complementary knowledge, expertise and instrumentation in the discipline of chemical biology from 20 European partners, and its open working-model ensures that academia and industry can readily access EU-OPENSCREEN’s compound collection, equipment and generated data. To demonstrate the power of this collaborative approach, this review highlights recent projects from EUOPENSCREEN partner institutions. These studies yielded (i) 2-aminoquinazolin-4(3H)-ones as potential lead structures for new antimalarial drugs; (ii) a novel lipodepsipeptide specifically inducing apoptosis in cells deficient for the pVHL tumor suppressor; (iii) small molecule-based ROCK inhibitors that induce definitive endoderm formation and can potentially be used for regenerative medicine; (iv) potential pharmacological chaperones for inborn errors of metabolism and a familiar form of acute myeloid leukemia (AML); and (v) novel tankyrase inhibitors which entered a lead-to-candidate program. Collectively, these findings highlight the benefits of small molecule screening, the plethora of assay designs, and the close connection between screening and medicinal chemistry within EU-OPENSCREEN.