Discovery of new IRAP inhibitors to improve cognitive functions

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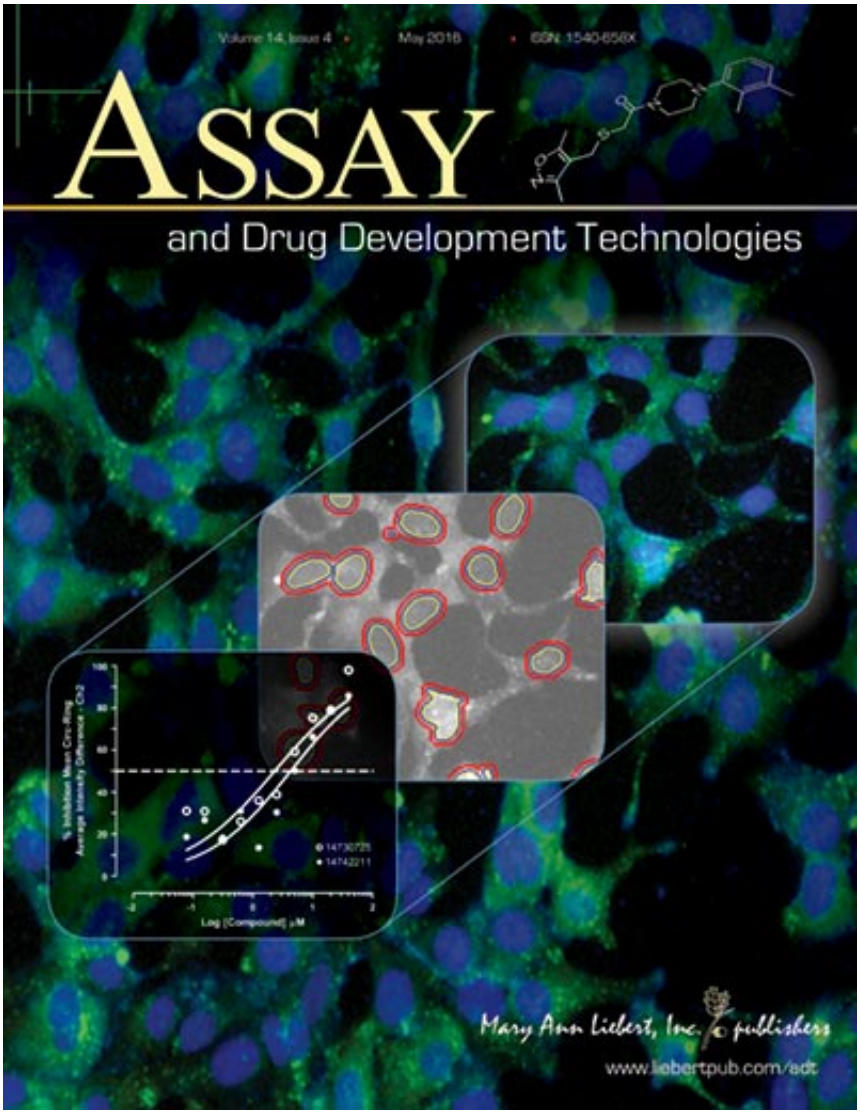

Credit: Mary Ann Liebert, Inc., publishers

New Rochelle, June 1, 2016-Researchers have discovered three new inhibitors of insulin-regulated aminopeptidase (IRAP), compounds shown to improve cognitive functions in animal models of human disorders. The new inhibitors are able to block human IRAP at low concentrations with rapid reversibility, as described in a study published in *ASSAY and Drug Development Technologies*. The article is available free on the *ASSAY and Drug Development Technologies* website until July 1, 2016.

In the article "Identification of Drug-Like Inhibitors of Insulin-Regulated Aminopeptidase Through Small Molecule Screening," Karin Engen and coauthors from Uppsala University and Karolinska Institutet (Solna), Sweden, and Vrije Universiteit Brussel, Brussels, Belgium, report on the high throughput screening method they used to test a diverse library of 10,500 compounds for activity against IRAP. The rapid, automated, enzymatic assay led to the identification of 23 active compounds. Rigorous characterization of these actives reduced the number of compounds of particular interest to three, and these served as the starting points for the development of small molecule-based IRAP inhibitors to take into preclinical testing.

"The paper by Engen et al. describes exemplary work successfully executing a carefully planned strategy to identify high-value hits from high-throughput screens," says *ASSAY and Drug Development Technologies* Editor-in-Chief Andrew D. Napper, PhD, Associate Director, Nemours Center for Childhood Cancer Research, Head - High Throughput Screening/Drug Discovery Laboratory, and Senior Research Scientist, Nemours/A.I. duPont Hospital for Children (Wilmington, DE). "Using high-throughput screening and hit confirmation, the authors identified and efficiently prioritized inhibitors of insulin-regulated aminopeptidase, which hold promise for the improvement of cognitive functions in animal models and advancement into clinical testing."

More information: Karin Engen et al, Identification of Drug-Like Inhibitors of Insulin-Regulated Aminopeptidase Through Small-Molecule Screening, *ASSAY and Drug Development Technologies* (2016). DOI: 10.1089/adt.2016.708