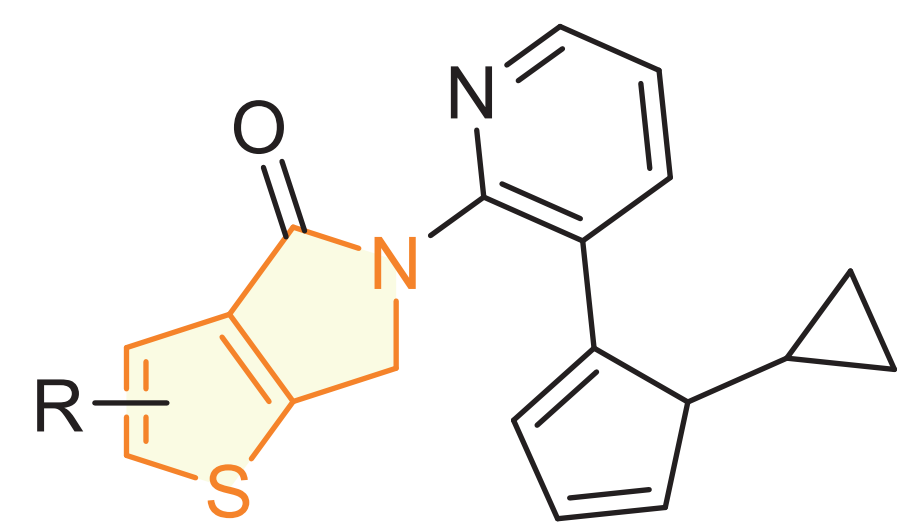


Diels-Alder guided domino avenue to a MedChem relevant condensed furan platform

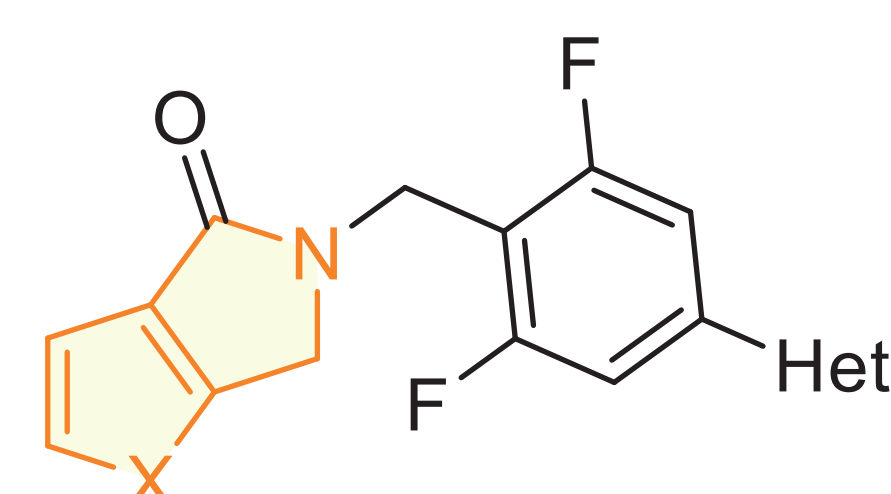
Igor Kishko, Eugeniy Ostapchuk, Alla Vaskevich, Mykhailo Vovk, Serhiy Ryabukhin, Dmytro Volochnyuk

Background of the project

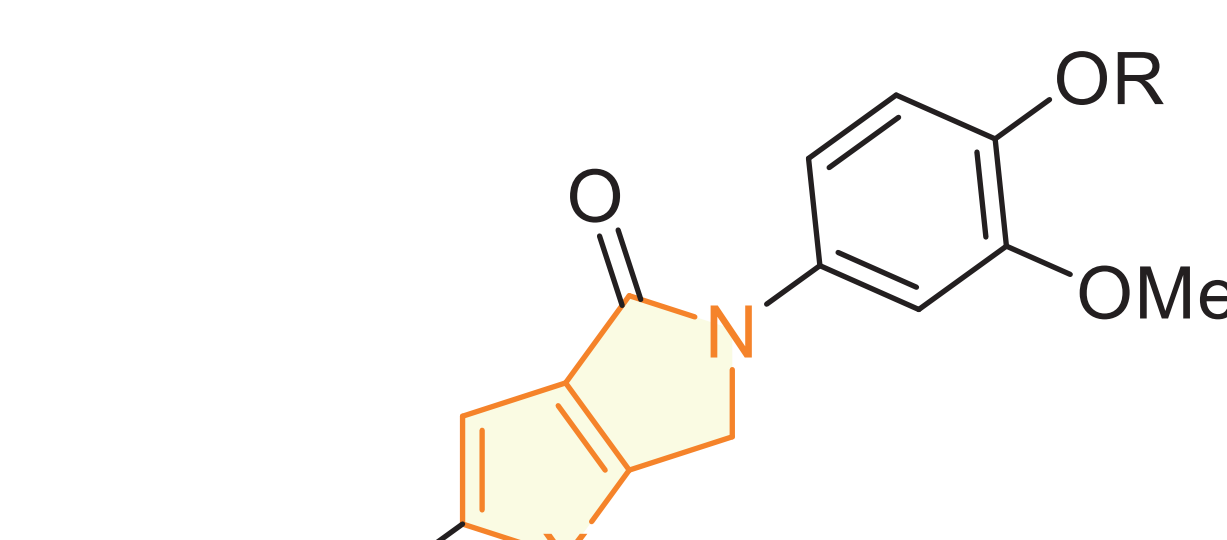
DRIVING FORCE FOR THE PROJECT,
a broad spectrum of biological activity



Pat. WO2020046975
inhibitors of ASK1 & DYRK1A kinases
for neurodegenerative diseases treatment



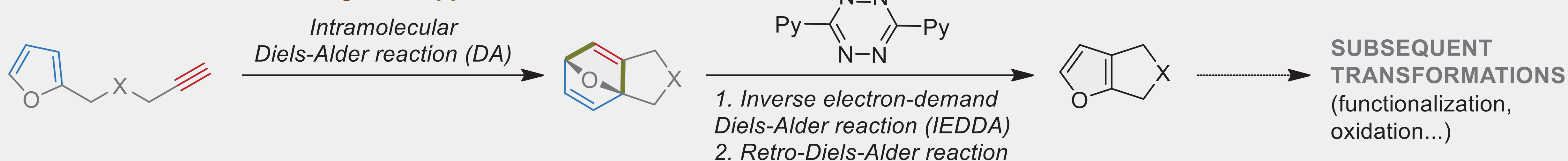
X = O, NH, NAlk
Pat. US20190330226
modulators of ACh M1 receptors



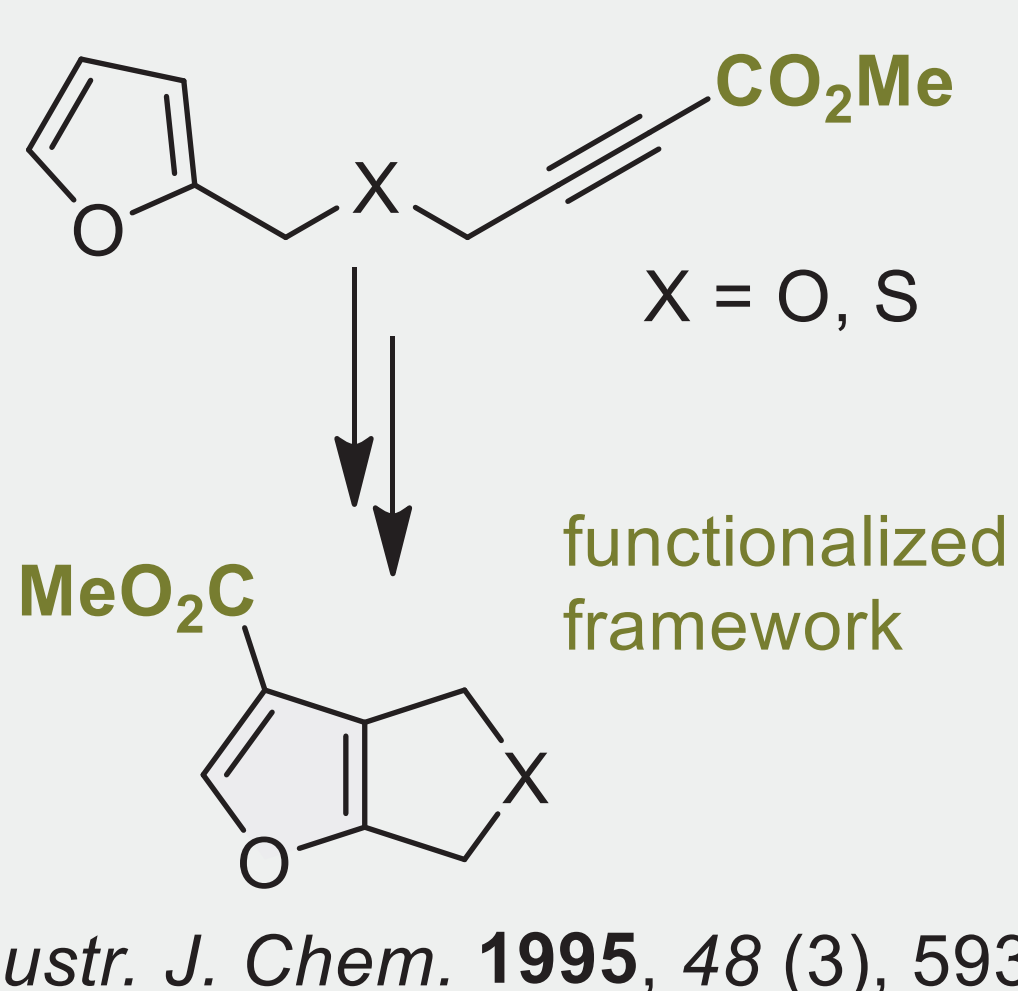
X = O, S
Pat. WO2010042674
antidiabetic and antidepressant activities

PROJECT OUTLINE

Possible Diels-Alder reaction guided approach to condensed furans

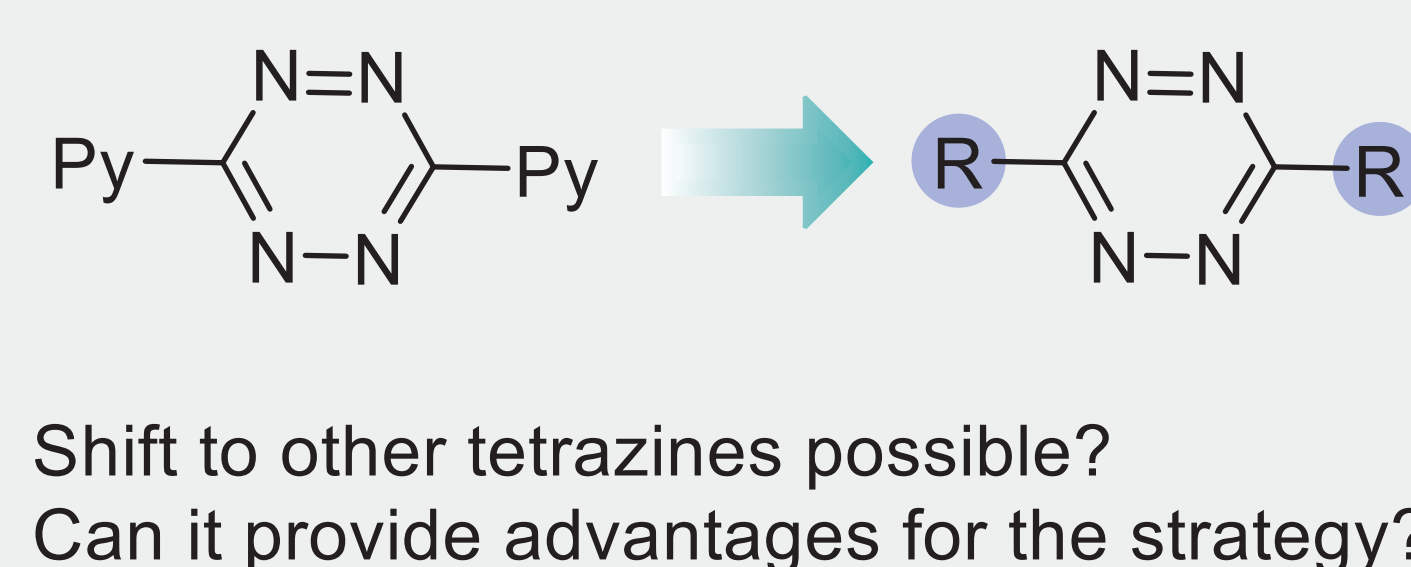
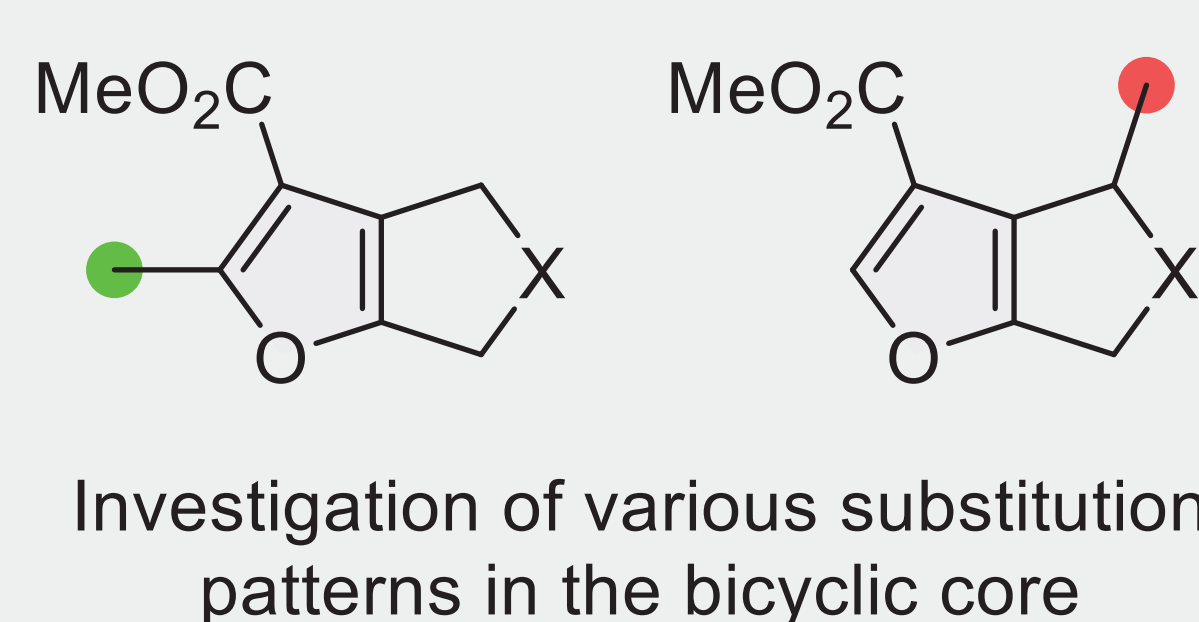
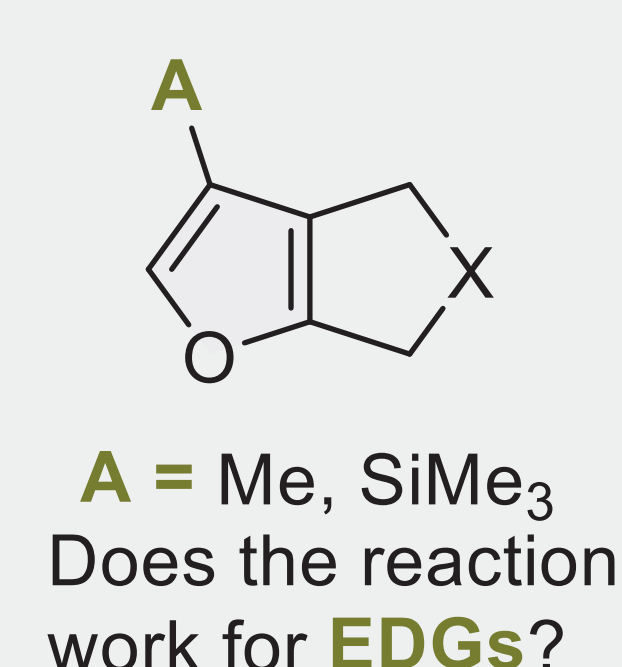


Literature precedent

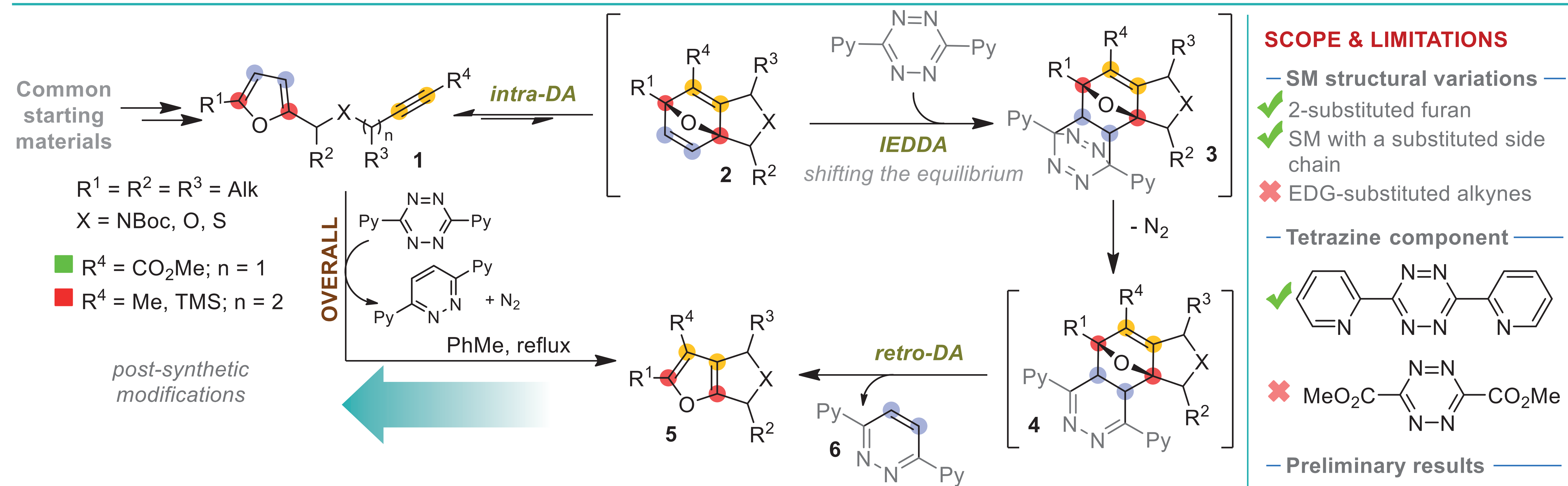


GOALS OF THE CURRENT INVESTIGATION

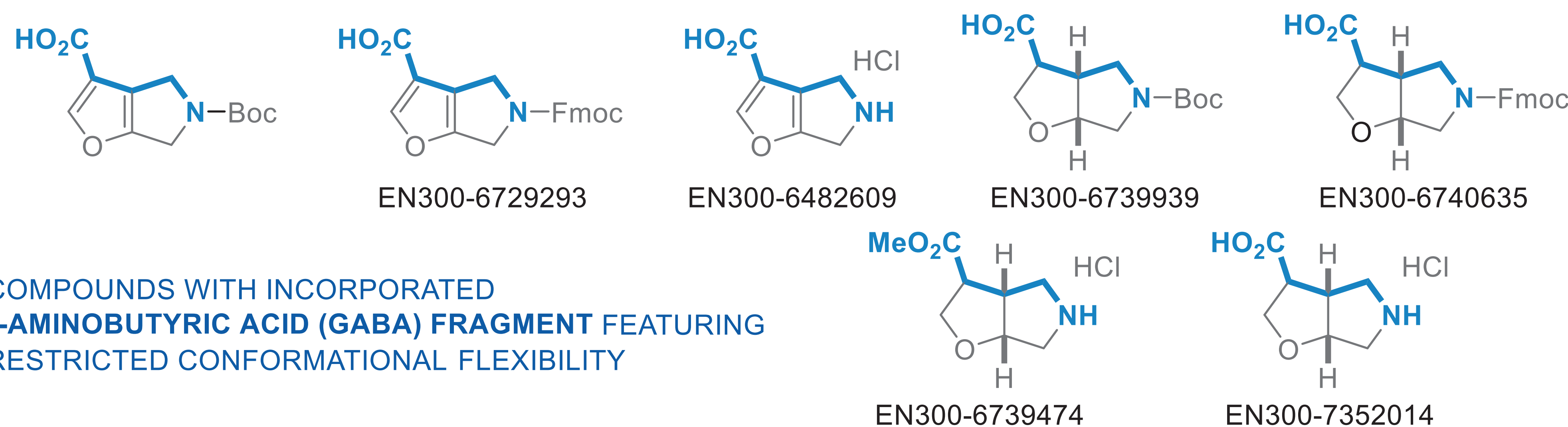
- ➔ to explore **scope and limitations** of the Diels-Alder reaction guided approach to condensed furans
- ➔ to generate a **space of new MedChem relevant building blocks** via subsequent functionalization of the furans
- ➔ to investigate possibility of using **other tetrazine components** in the domino transformation



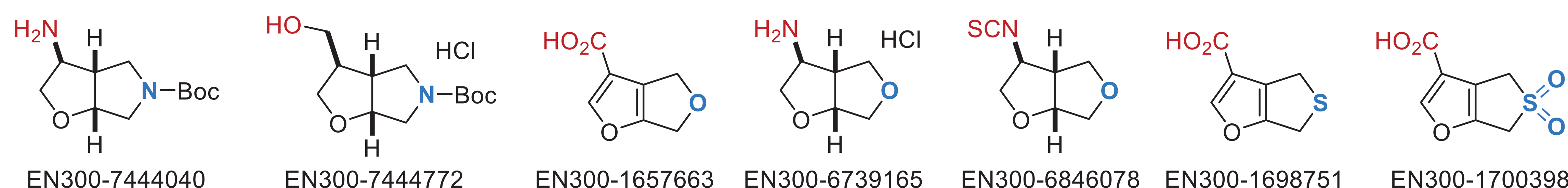
Synthetic strategies toward a-spiro-b-prolines



A set of **in batch** prepared orthogonally protected furan BBs with bicyclic (tetrahydro)furan platform



MEDCHEM RELEVANT BUILDING BLOCKS



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