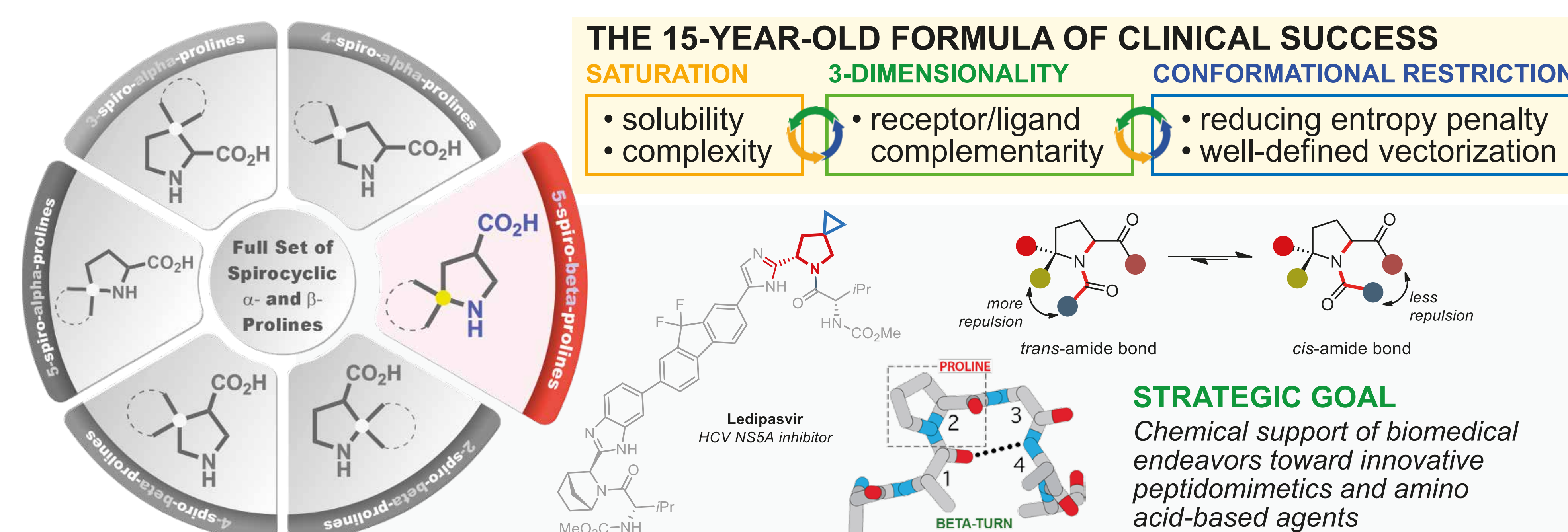


Spirocyclic β -prolines with a δ -spiro fusion: Expanding the 3D chemical space for MedChem purposes



Artem Artemenko, Anton Hanopolskyi, Dmytro Leha, Valeriya Makhankova, Eugeniy Ostapchuk, Dmytro Volochnyuk, Serhiy Ryabukhin

Background & Overview of the Project



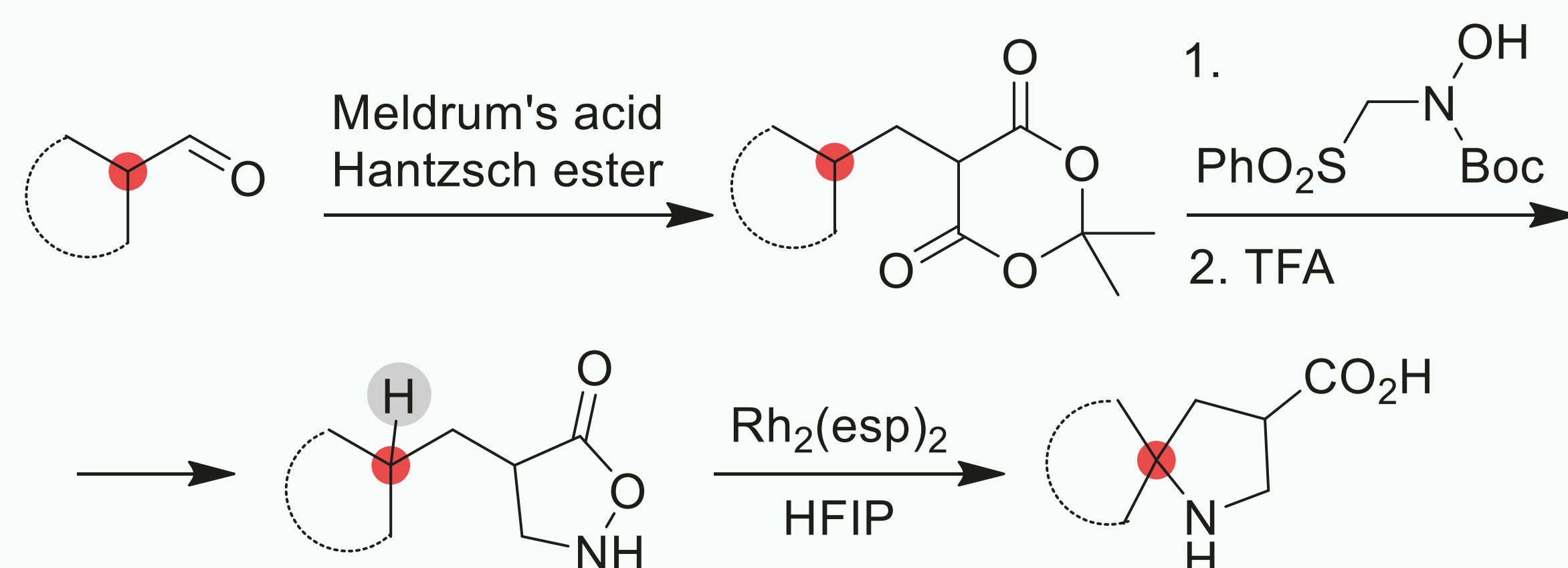
β -AMINO ACIDS

- β -AAs modulate the conformation, dynamics, and proteolytic susceptibility of native peptides
- peptides with incorporated β -AAs display antimicrobial and anti-angiogenic activity, agonism of GPCR ligands,
- growing number of studies on **linear β -AAs**

SPIRO- β -PROLINES

- Bioisosteric Design and Rigidity
- Expansion of Chemical Space
- Privileged Scaffolds
- Application in MedChem & Agrochemistry
- Synthetic Opportunity

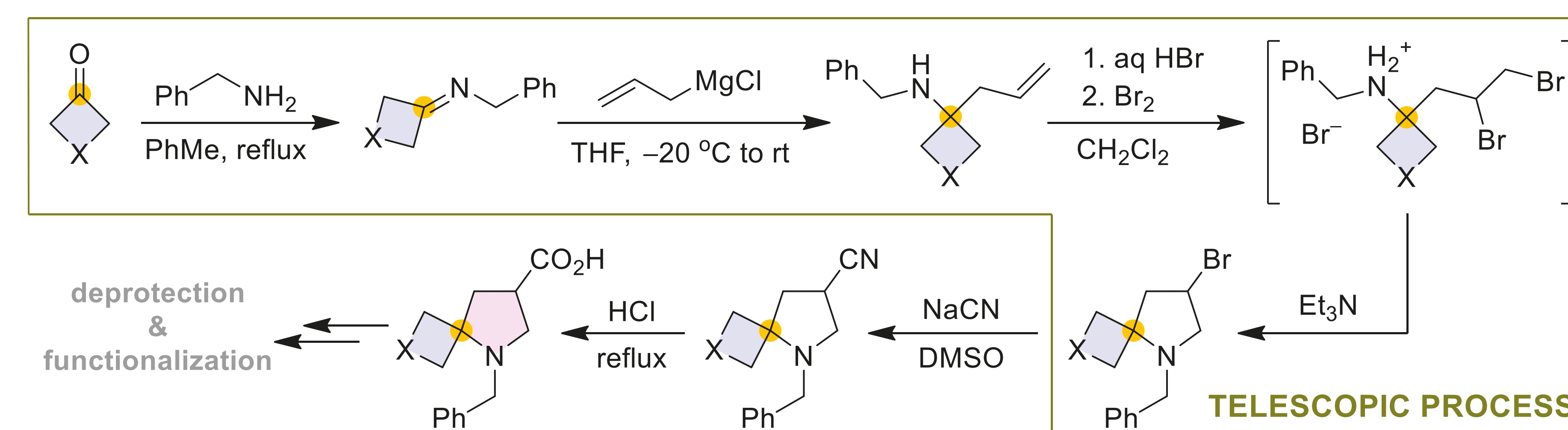
THE ONLY LITERATURE PRECEDENT



- **WIDE SCOPE**
- **HIGH YIELDS**
- **SUB 100 MG SCALE**
- **EXPENSIVE CATALYST**
- **HIGH E-FACTOR**

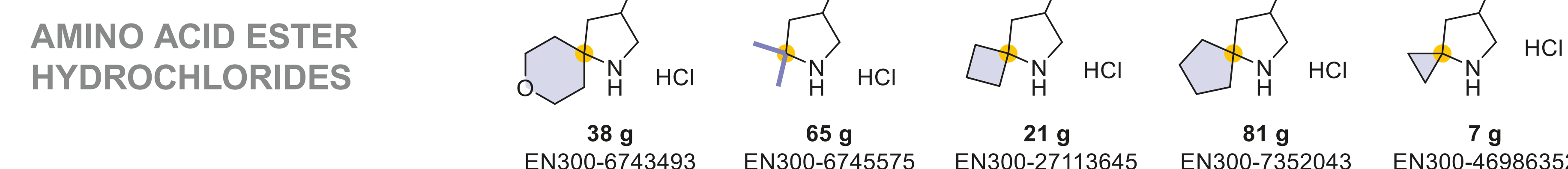
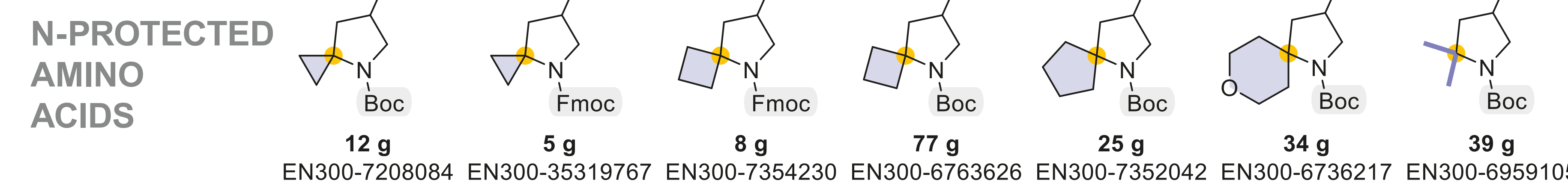
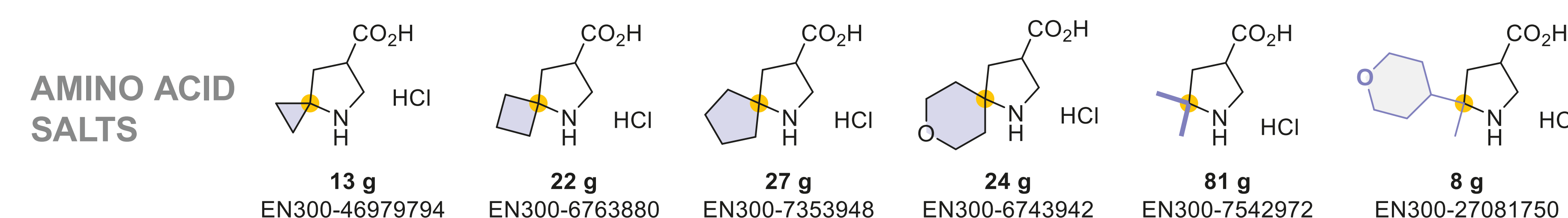
Synthetic results

UNIFIED SYNTHETIC STRATEGY TOWARD δ -SPIRO- β -PROLINES



SUBSTRATE SCOPE:	ACYCLIC, CARBOCYCLIC, HETEROCYCLIC KETONES	PROLINE YIELDS:	35-44%	PROLINE SCALES:	UP TO 100 grams
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REPRESENTATIVE EXAMPLES OF β -PROLINES AND ONE-RUN SCALES



Contact

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