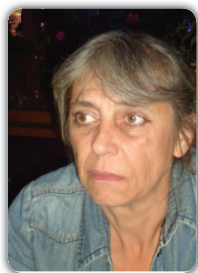


9<sup>th</sup> World Congress on

# Chemistry and Medicinal Chemistry

May 13-14, 2019 | Prague, Czech Republic



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### Chiral amine induced enantioselectivity in *trans*- $\beta$ -lactam formation via Staudinger Cycloaddition

**A**zetidine-2-ones, so-called  $\beta$ -lactams, are heterocyclic systems of great importance as they exist as structural subunits in many products of interest as pharmaceuticals, catalysts, synthetic building blocks. The subsequent development of a number of classes of  $\beta$ -lactam antibiotics has made this family of four-membered ring amides one of the most successful classes of therapeutic agents to date. The stereoisomerically pure azetidinones receive special attention as the ring stereochemistry is closely related with their biological activities. Beta-lactam antibiotic families with *trans* configuration of azetidinone ring, like penems, carbapenems, thienamycines, trinems, cepheems, oxacepheems, azacepheems, etc., have shown extraordinary broad spectrum of activities against aerobic and anaerobic gram-positive and gram-negative organisms.

The stereoselectivity induced during the azetidinone ring construction represents a key element. Despite the diversity of the synthetic protocols developed, the classical Staudinger [2+2] ketene-imine cycloaddition reaction is still of the day and is widely exploited, especially in its asymmetric version by applying chiral auxiliaries. Among the latter, chiral ketene precursors are the most widely applied, while the records in the literature on the efficiency of chiral amines are quite limited. Recently, we reported

on the enantioselective *trans*- $\beta$ -lactam synthesis driven by variable commercial chiral amines and showed that the selectivity is strongly dependent both on chiral auxiliary and type, position and number of substituents at aromatic aldehyde unit, i.e. no universal auxiliary is discovered.

This talk will summarize the results on the efficiency of various chiral amines as auxiliaries in the enantioselective construction of *trans*- $\beta$ -lactam ring via Staudinger cycloaddition.

#### Speaker Biography

Vanya Kurteva, Prof. Dr. Organic Chemistry, has her expertise in organic synthesis and catalysis. Her team is developed efficient protocols for construction of 2,3-disubstituted imidazo[1,2-a]-pyridines, pirlindole derivatives, N, O-macrocycles, azo-naphthol dyes, etc., as well as various polydentate ligands as synergists and extractants for isolation and separation of metal ions. She spent a research period in the laboratory of Prof. Carlos Afonso (Lisbon, Portugal) working on the synthesis of cyclopentitols, microwave assisted transformations, and reactions in chiral ionic liquids. Her current research interests are focused mainly on the synthesis of heterocycles with potential interest as chemotherapeutics and ligands for coordination applications. She is a professor and vice-director of the Institute of Organic Chemistry with Centre of Phytochemistry, Bulgarian Academy of Sciences.

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