Univerzita Karlova v Praze, Přírodovědecká fakulta

Sekce chemie PřF UK v Praze
zve všechny zájemce na přednášku z cyklu

Quo Vadis Chemie

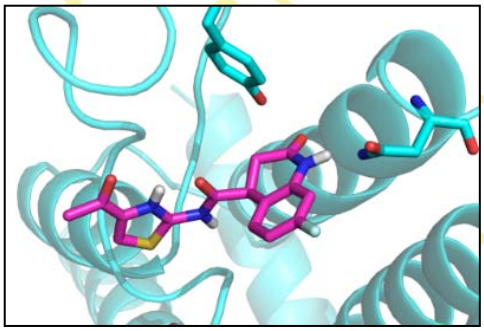
From Potent and Highly Selective Bromodomain Ligands… to Efficient Alkene/Alkyne Difunctionalizations… an Exciting Journey

kterou přednese

Prof. Cristina Nevado

Department of Chemistry, University of Zürich

dne 19.09. v 15:00 hod.
v posluchárně CH2, v budově chemických kateder PřF UK
Hlavova 8, Praha 2



Abstrakt: Bromodomains are considered an emerging topic in the field of drug discovery due to their involvement in the regulation of many genes. Bromodomains are protein interaction modules function as epigenetic readers able to specifically recognize the ε-*N*-acetylated lysine residues (KAc group) present in proteins altering the process of chromatin remodelling. A computer based high-throughput screening study followed by a structure-based medicinal chemistry optimization campaign, has led to the discovery of small-molecule, nM potent bromodomain ligands. These compounds, can be used as chemical probes to dissect both the specific function as well as the biological implications of these protein targets.To produce some of these chemical probes, alkenes and alkynes have been revealed as privileged building blocks as they enable the simultaneous introduction of different functional groups across the π-system. Late transition metals play a prominent role in these transformations. Here, we will also present Au, Ag and Cu-catalyzed reactions accomplishing the functionalization of these simple building blocks. Both, oxidative cross-coupling as well as, radical mediated reactions have been discovered as valuable tools to access densely functionalized structures.