In this issue

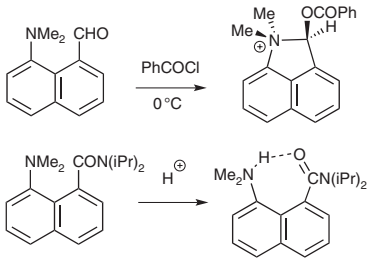
Amélie Wannebroucq, Andrew P. Jarmyn, Mateusz B. Pitak, Simon J. Coles and John D. Wallis

Reactions and interactions between *peri*-groups in 1-dimethylamino-naphthalene salts: an example of a "through space" amide

DOI 10.1515/pac-2015-1103
Pure Appl. Chem. 2016; 88(4): 317–331

Conference paper: A peri-substituted aldehyde is readily acylated on oxygen due to the proximity of a dimethylamino group. In contrast, related esters and amides protonate on the dimethylamino group and form an intramolecular hydrogen bond.

Keywords: acylation; aldehydes; ESOC-19; hydrogen bonding; intramolecular reactivity.



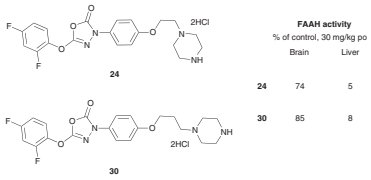
Alexandre Beliaev, Humberto S. Ferreira, David A. Learmonth, Maria João Bonifácio, Leonel Torrão, Nuno M. Pires, Patrício Soares-da-Silva and László E. Kiss

Synthesis and structure–activity relationships of ionizable 1,3,4-oxadiazol-2(3*H*)-ones as peripherally selective FAAH inhibitors with improved aqueous solubility

DOI 10.1515/pac-2016-0104
Pure Appl. Chem. 2016; 88(4): 341–347

Conference paper: Novel ionisable 5-(2,4-difluorophenoxy)-3-aryl-1,3,4-oxadiazol-2(3*H*)-one analogues were prepared as potent and peripherally selective inhibitors of FAAH. *In vivo* SAR are discussed.

Keywords: aqueous solubility; ESOC-19; FAAH inhibitors; fatty acid amide hydrolase; 1,3,4-oxadiazol-2(3*H*)-ones; peripheral selectivity.



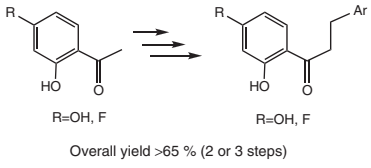
Ana R. Jesus, Ana P. Marques and Amélia P. Rauter

An easy approach to dihydrochalcones *via* chalcone *in situ* hydrogenation

DOI 10.1515/pac-2016-0303
Pure Appl. Chem. 2016; 88(4): 349–361

Conference paper: Microwave-assisted chalcone synthesis and *in situ* hydrogenation with triethylsilane and Pd/C as key reactions for an easy and high yielding access to dihydrochalcones.

Keywords: aldol reactions; aromatic compounds; biomolecular chemistry; chalcone; chemical synthesis; dihydrochalcone; ESOC-19; hydrogenation.



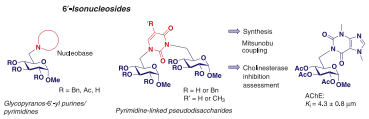
Daniela Batista, Stefan Schwarz, Anne Loesche, René Csuk, Paulo J. Costa, M. Conceição Oliveira and Nuno M. Xavier

Synthesis of glucopyranos-6′-yl purine and pyrimidine isonucleosides as potential cholinesterase inhibitors. Access to pyrimidine-linked pseudodisaccharides through Mitsunobu reaction

DOI 10.1515/pac-2016-0102
Pure Appl. Chem. 2016; 88(4): 363–379

Conference paper: The synthesis of a series of novel purine and pyrimidine 6′-isonucleosides using the Mitsunobu reaction as key step is described. Some of these compounds exhibited good and selective inhibition of acetylcholinesterase.

Keywords: bioactive molecules; cholinesterases; enzyme inhibitors; ESOC-19; isonucleosides; Mitsunobu coupling; pseudodisaccharides.



Mélanie M. Lorion, Julie Oble and Giovanni Poli

Palladium catalyzed oxidative aminations and oxylations: where are we?

DOI 10.1515/pac-2015-1102
Pure Appl. Chem. 2016; 88(4): 381–389

Conference paper: Cyclic nucleopalladated intermediates (N*u*PIs) are formed during the oxidative intramolecular Pd(II)-catalyzed amination or oxylation of unsaturated carbamates, carboxamides and carboxylic acids. The role of these species depends upon the substrate and/or the reaction conditions. Their generation can either be essential to the conversion of substrate to cyclized product or inconsequential in divergent pathways leading to other products involving, for example, allylic C–H activation. This account proposes a mechanistic scenario involving such N*u*PIs that takes account of all the results obtained to date with unsaturated carbonyl derivatives.

Keywords: aminopalladation; C–H activation; C–H bond reactivity; ESOC-19; β-hydride elimination; nucleopalladation; oxypalladation; palladium (II); palladium catalysis; [3,3]-sigmatropic rearrangement.