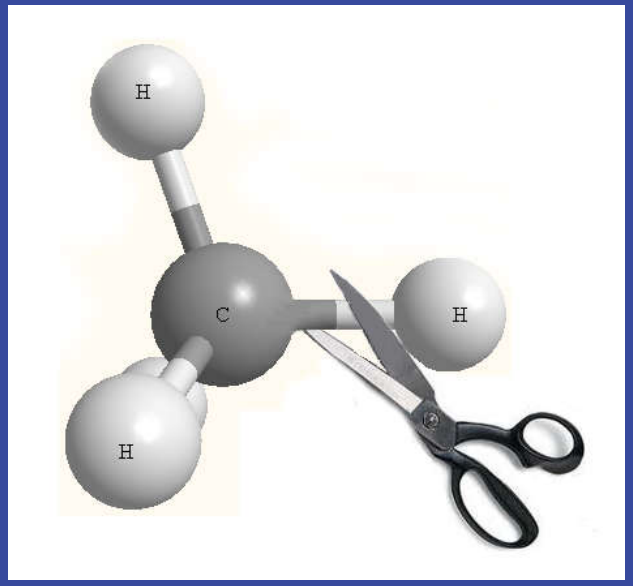
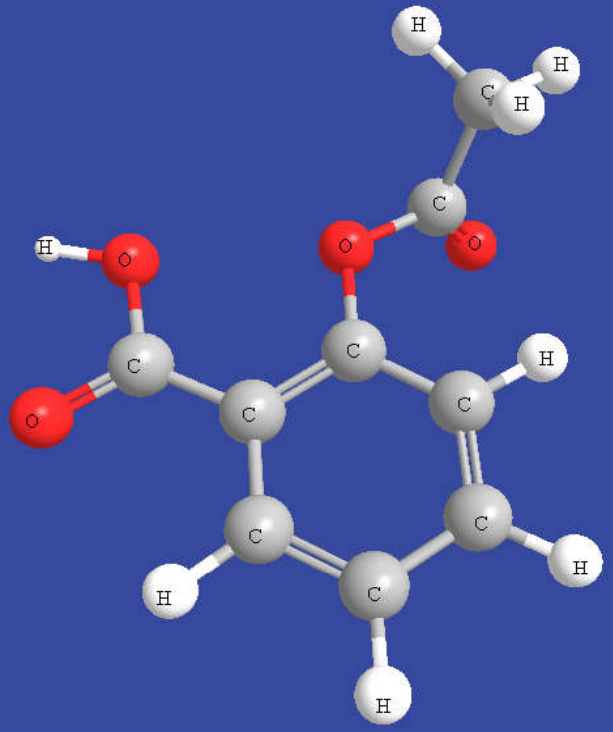
Uz oglekļa–ūdeņraža (C—H) saišu aktivēšanu balstīta jauna organisko savienojumu sintēzes metodoloģija

LZP Grants Nr. 274/2013



Edgars Sūna
Organiskās sintēzes institūts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Wirkstoff: Acetylsalicylsäure
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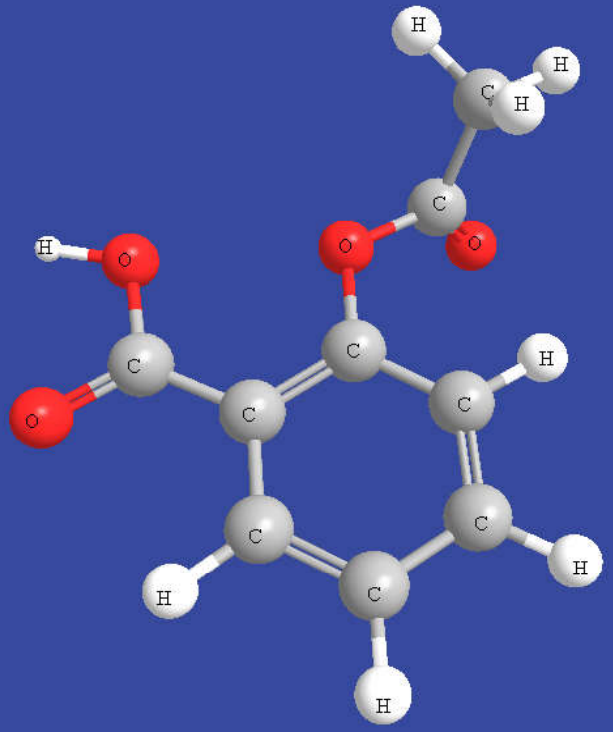
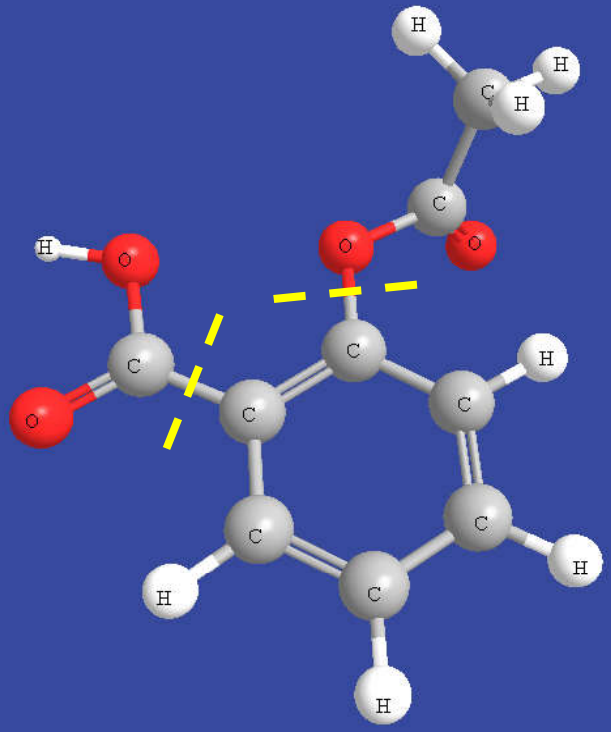


Kā izjaukt un salikt atpakaļ Aspirīna modelīti?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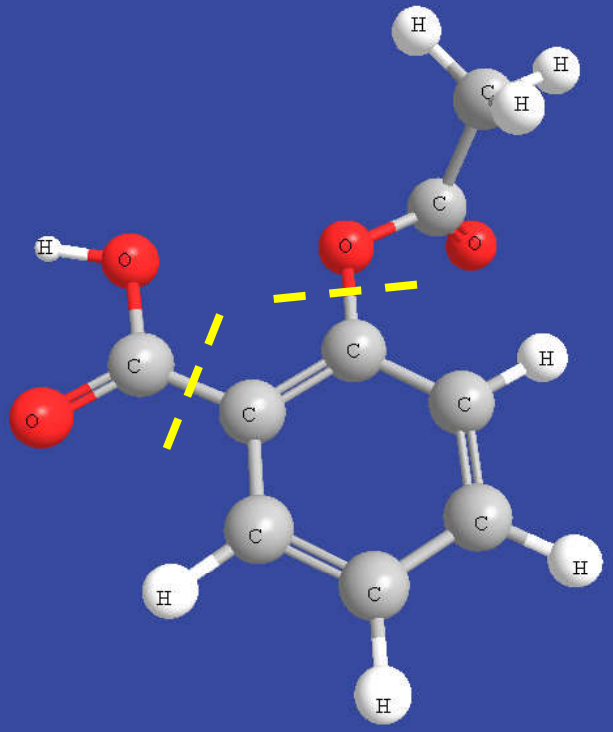
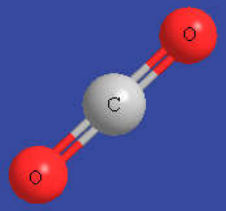
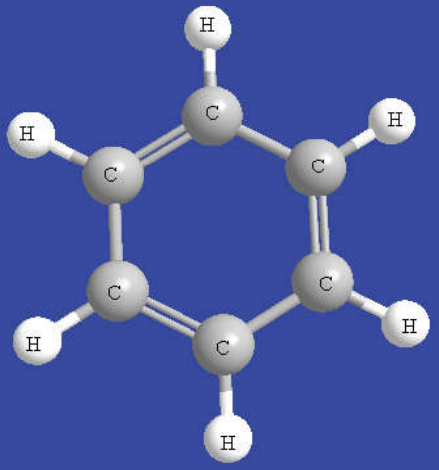


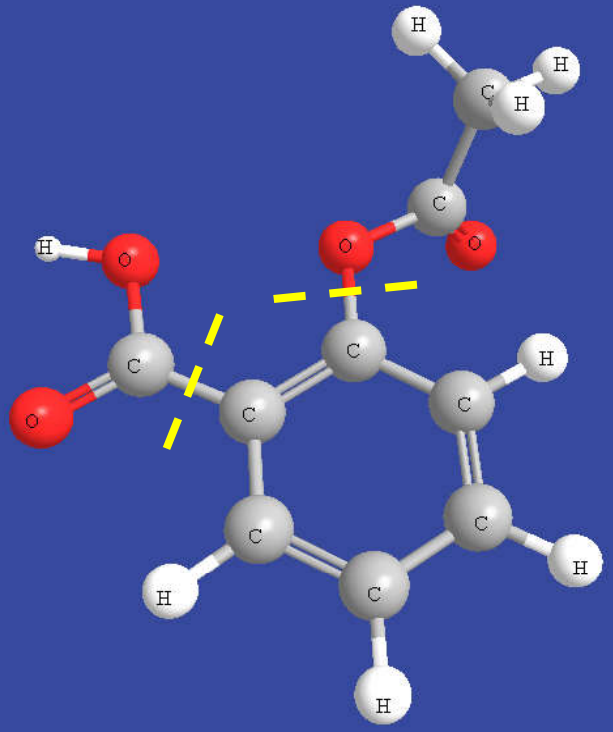
Ogļskābā gāze

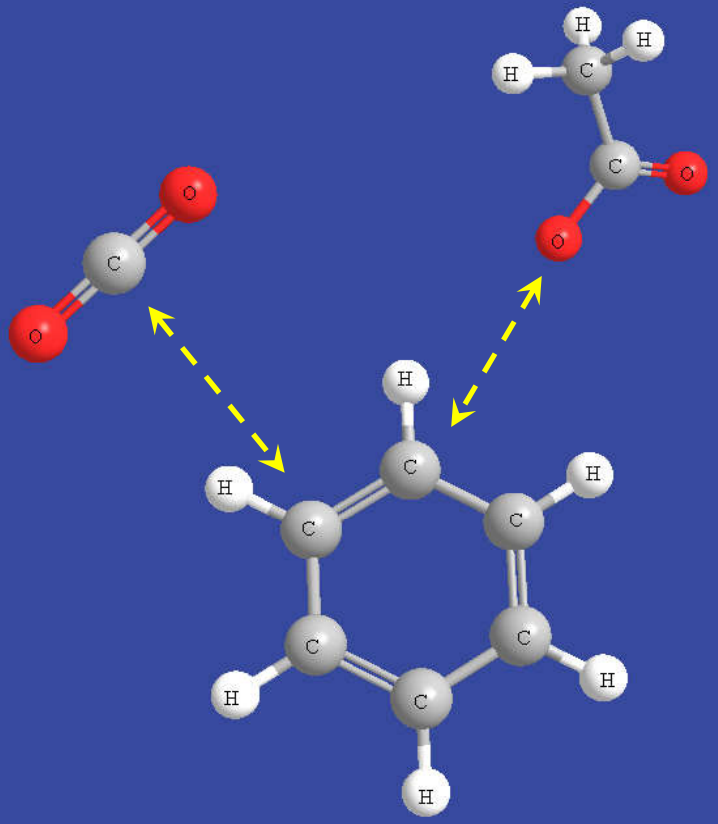


Etiķskābe



Benzols





C-H saišu pārvēršana par C-C un C-O saitēm

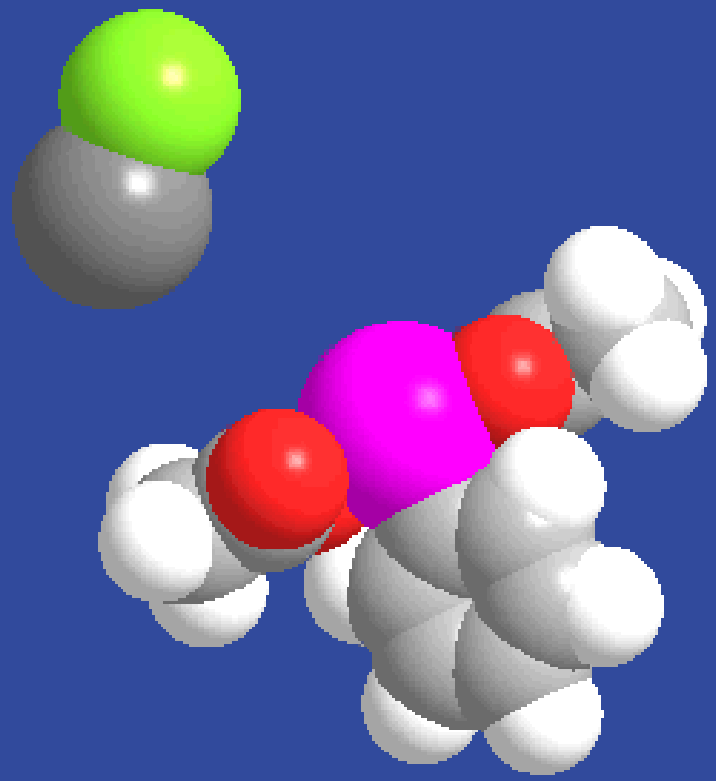
Tieša, nepastarpināta C-H saišu pārvēršana par C-C, C-N un C-O saitēm

ilgus gadus ir bijusi

organiskās sintēzes "Svētais Grāls"

C—H saišu apmaiņu pret C—O un C—N saitēm nodrošina

īpaši hipervalentie joda reaģenti
vara sāļu katalizators



Publikācijas

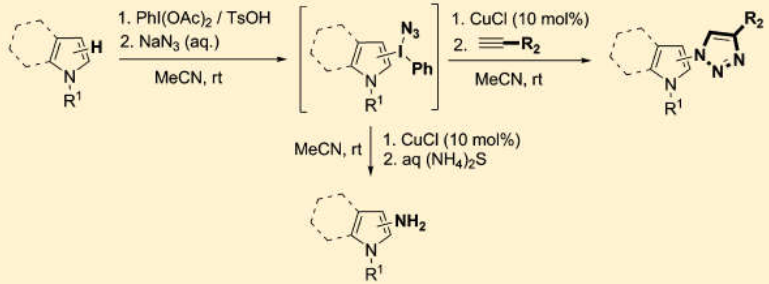
JACS
JOURNAL OF THE AMERICAN CHEMICAL SOCIETY
Article
pubs.acs.org/JACS

Indirect C–H Azidation of Heterocycles via Copper-Catalyzed Regioselective Fragmentation of Unsymmetrical λ^3-Iodanes

Dmitrijs Lubriks, Igors Sokolovs, and Edgars Suna*

Latvian Institute of Organic Synthesis, Aizkraukles 21, LV-1006 Riga, Latvia

Supporting Information



ABSTRACT: A C–H bond of electron-rich heterocycles is transformed into a C–N bond in a reaction sequence comprising the formation of heteroaryl(phenyl)iodonium azides and their in situ regioselective fragmentation to heteroaryl azides. A Cu(I) catalyst ensures complete regiocontrol in the fragmentation step and catalyzes the subsequent 1,3-dipolar cycloaddition of the formed azido heterocycles with acetylenes. The heteroaryl azides can also be conveniently reduced to heteroarylamines by aqueous ammonium sulfide. The overall C–H to C–N transformation is a mild and operationally simple one-pot sequential multistep process.

Lubriks, D.; Sokolovs, I.; Suna E. *J. Am. Chem. Soc.* 2012, *134*, 15436

Bibliometrija: IF_{2014}= 12.113; 48 citējumi (*Scopus*); lejuplādēts 5834 reizes (*ACS*)

Publikācijas

JACS
JOURNAL OF THE AMERICAN CHEMICAL SOCIETY

Article

pubs.acs.org/JACS

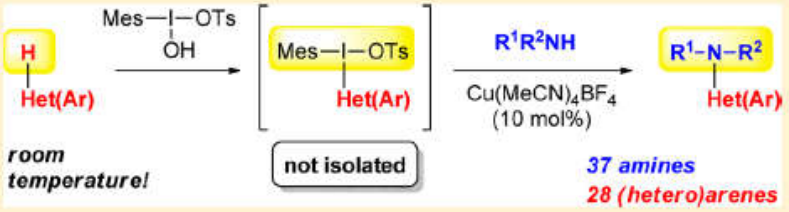
Copper-Catalyzed Intermolecular C–H Amination of (Hetero)arenes via Transient Unsymmetrical λ^3-Iodanes

Igors Sokolovs, Dmitrijs Lubriks, and Edgars Suna*

Latvian Institute of Organic Synthesis, Aizkraukles 21, LV-1006, Riga, Latvia

Supporting Information

ABSTRACT: A one-pot two-step method for intermolecular C–H amination of electron-rich heteroarenes and arenes has been developed. The approach is based on a room-temperature copper-catalyzed regioselective reaction of the in situ formed unsymmetrical (hetero)aryl-λ^3-iodanes with a wide range of primary and secondary aliphatic amines and anilines.



Sokolovs, I.; Lubriks, D.; Suna E. *J. Am. Chem. Soc.* 2014, *136*, 6920

Bibliometrija: IF_{2014}= 12.113; 13 citējumi (*Scopus*); lejuplādēts 5772 reizes (*ACS*)

Publikācijas

ACS Catalysis

Research Article

pubs.acs.org/acscatalysis

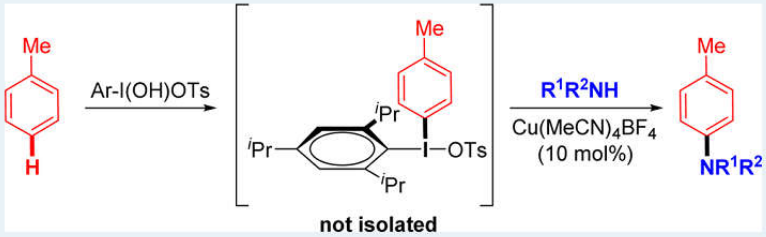
Copper-Catalyzed *para*-Selective C–H Amination of Electron-Rich Arenes

Beatrise Berzina, Igors Sokolovs, and Edgars Suna*

Latvian Institute of Organic Synthesis, Aizkraukles 21, LV-1006 Riga, Latvia

Supporting Information

ABSTRACT: A one-pot two-step method for *para*-selective C–H amination of carbocyclic arenes comprises the *in situ* formation of unsymmetrical diaryl-λ^3-iodanes followed by their Cu(I)-catalyzed reaction with a range of N-unprotected amines.



KEYWORDS: *hypervalent iodine, diaryliodonium salts, copper, amination, regioselectivity*

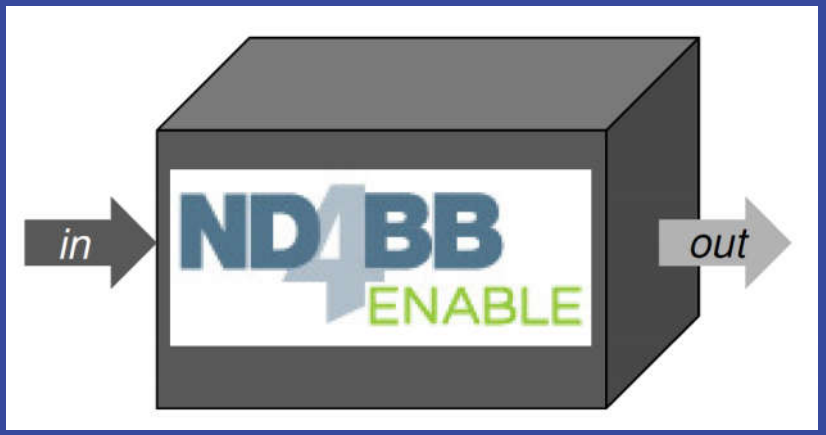
Berzina, B.; Sokolovs, I.; Suna E. *ACS Catalysis* 2015, 5, 7008

Bibliometrija: IF_{2014}= 9.312; Iejuplādēts 811 reizes (*ACS*)

Jaunas antibiotikas pret gram-negatīvajiem patogēniem (2014-2019)

Innovative Medicines Initiative

aktīva viela (*hit*) vai līdersavienojums (*lead*)



Zāļu kandidātviela (*drug candidate*) klīniskiem pētījumiem

ENABLE: 32 partneru konsorcijs no 13 ES valstīm (Σ budžets: 100,885,487.00 €)

Divas *lead*-to-*drug candidate* programmas
Mūsu budžets (2014-2019): **8,137,000.00** €

Pateicība

Dmitrijs Lubriks

Dr. Chem., 2015. g.

Igors Sokolovs

LU Doktorants

Beatrise Bērziņa

Mg. Chem., 2014. g.