

Charakteristika predkladaného výstupu tvorivej činnosti / Characteristics of the submitted research/ artistic/other output

Tlačivo VTC slúži na predkladanie výstupov tvorivej činnosti podľa metodiky hodnotenia tvorivých činností (časť V. Metodiky na vyhodnocovanie štandardov) / The form is used to submit the research/artistic/other outputs according to the evaluation methodology of research/artistic/other activities (part V. The Methodology for Standards Evaluation).

ID konania/ID of the procedure: ¹	
Kód VTC/Code of the research/artistic/other output (RAOO): ¹	

OCA1. Priezvisko hodnotenej osoby / Surname awarded to the assessed person ²	Mikuš
OCA2. Meno hodnotenej osoby / Name awarded to the assessed person ²	Peter
OCA3. Tituly hodnotenej osoby / Degrees awarded to the assessed person ²	prof., RNDr., PhD.
OCA4. Hyperlink na záznam osoby v Registri zamestnancov vysokých škôl / Hyperlink to the entry of the person in the Register of university staff ³	Form-submit&name=Peter&surname=Miku%C5%A1&sort=s
OCA5. Oblasť posudzovania / Area of assessment ⁴	Doktorandský študijný program Farmaceutická chémia/PhD. Study Programme Pharmaceutical Chemistry
OCA6. Kategória výstupu tvorivej činnosti / Category of the research/artistic/other output <i>Výber zo 6 možností (pozri Vysvetlivky k položke OCA6) / Choice from 6 options (see Explanations for OCA6).</i>	vedecký výstup / scientific output
OCA7. Rok vydania výstupu tvorivej činnosti / Year of publication of the research/artistic/other output	2018
OCA8. ID záznamu v CREPČ alebo CREUČ (ak je) / ID of the record in the Central Registry of Publication Activity (CRPA) or the Central Registry of Artistic Activity (CRAA) ⁵	84328
OCA9. Hyperlink na záznam v CREPČ alebo CREUČ / Hyperlink to the record in CRPA or CRAA ⁶	https://app.crepce.sk/?m=detailbibliografickemuvt&id=6&sid=0C77F70DD66D45AD55E3BDAB&seo=CREP%C4
Ak výstup nie je registrovaný v CREPČ alebo CREUČ / Characteristics of the output that is not registered in CRPA or CRAA	OCA10. Hyperlink na záznam v inom verejne prístupnom registri, katalógu výstupov tvorivých činností / Hyperlink to the record in another publicly accessible register, catalogue of research/artistic/other outputs ⁷
	s2.0-85052079344&origin=resultslist&sort=plf-f&src=s&st1=Novel+sulfonamides+incorporating+1%2c3%2c5-
	OCA11. Charakteristika výstupu vo formáte bibliografického záznamu CREPČ alebo CREUČ, ak výstup nie je vo verejne prístupnom registri alebo katalógu výstupov / Characteristics of the output in the format of the CRPA or the CRAA bibliographic record, if the output is not available in a publicly accessible register or catalogue of outputs
	OCA12. Typ výstupu (ak nie je výstup registrovaný v CREPČ alebo CREUČ) / Type of the output (if the output is not registered in CRPA or CRAA) <i>Výber zo 67 možností (pozri Vysvetlivky k položke OCA12) / Choice from 67 options (see Explanations for OCA12).</i>
	OCA13. Hyperlink na stránku, na ktorej je výstup sprístupnený (úplný text, iná dokumentácia a podobne) / Hyperlink to the webpage where the output is available (full text, other documentation, etc.)
OCA14. Charakteristika autorského vkladu / Characteristics of the author's contribution	https://www.sciencedirect.com/science/article/pii/S0045206818306412 Stanovenie hypotézy a cieľov, konceptualizácia a garancia riešenia, návrh metód výskumu, získanie a interpretácia výsledkov, príprava rukopisu, finančné prostriedky / Determination of hypothesis and aims, conceptualization and financing of research, determination of methods, results interpretation, manuscript preparation, financial resources

Charakteristika výstupu, kto	OCA15. Anotácia výstupu s kontextovými informáciami týkajúcimi sa opisu tvorivého procesu a obsahu tvorivej činnosti a pod. / Annotation of the output with contextual information concerning the description of creative process and the content of the research/artistic/other activity, etc. ⁸ <i>Rozsah do 200 slov v slovenskom jazyku / Range up to 200 words in Slovak</i> <i>Rozsah do 200 slov v anglickom jazyku / Range up to 200 words in English</i>	
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OCA16. Anotácia výstupu v anglickom jazyku / Annotation of the output in English ⁹ <i>Rozsah do 200 slov / Range up to 200 words</i>	A new series of thirty s-triazinyl-substituted aminoalkylbenzenesulfonamides, incorporating a symmetric pair of amino acid moieties, is reported, together with inhibition studies of physiologically relevant human carbonic anhydrase (hCA, EC 4.2.1.1) isoforms. Specifically, against the cytosolic hCA I, II, transmembrane hCA IV and the tumor-associated, membrane-bound hCA IX. The compounds were prepared by nucleophilic substitution of chlorine atoms from cyanuric chloride (2,4,6-trichloro-1,3,5-triazine) using environmentally friendly water-based synthetic conditions. The products showed weak inhibition of the cytosolic, off-target isozyme hCA II, but some of them were low nanomolar (i.e. strong) inhibitors of the tumor-associated hCA IX. The series offered representatives selective towards isozymes hCA I, IV and IX. As an example, 2,2'4(6-(4-sulfamoylphenethylamino)-1,3,5-triazine-2,4-diylbis(imino)) disuccinic acid demonstrated highest selectivity to the tumor-associated isoform hCA IX over off-target isozymes, with impressive K-I ratio (hCA II/hCA IX) 213.9 and inhibition constant equal to acetazolamide (K-I = 25.8 nM). The selected most impressive results from the inhibition study were interpreted via molecular modeling experiment (docking in Glide) revealing different inter-molecular enzyme-substrate interaction of 2,2'-(6-((4-sulfamoylphenethyl)amino)-1,3,5-triazine-2,4-diyl)bis(imino))disuccinic acid within specific hCA IX and hCA II microregions. Therefore, several selected compounds from this study can be considered as highly effective and selective inhibitors of hCA IX, worthy to further (preclinical) investigation.
OCA17. Zoznam najviac 5 najvýznamnejších ohlasov na výstup / List of maximum 5 most significant citations corresponding to the output <i>Rozsah do 200 slov / Range up to 200 words</i>	[o1] Lewis, Andrew K.; Harthorn, Abbigael; Johnson, Sadie M.; Lobb, Roy R.; Hackel, Benjamin J.: Engineered protein-small molecule conjugates empower selective enzyme inhibition, CELL CHEMICAL BIOLOGY, Volume 29, Issue 2, Page 328, 2022, DOI 10.1016/j.chembiol.2021.07.013; [o1] Lolak, Nebih; Akocak, Suleyman; Turkes, Cuneyt; Taslimi, Parham; Isik, Mesut; Beydemir, Sukru; Gulcin, Ilhami; Durgun, Mustafa: Synthesis, characterization, inhibition effects, and molecular docking studies as acetylcholinesterase, β-glycosidase, and carbonic anhydrase inhibitors of novel benzenesulfonamides incorporating 1,3,5-triazine structural motifs, BIOORGANIC CHEMISTRY, Volume 100, Article Number 103897, 2020, DOI 10.1016/j.bioorg.2020.103897; [o1] Gruner, Bohumir; Brynda, Jiri; Das, Viswanath; Sicha, Vaclav; Stepankova, Jana; Nekvinda, Jan; Holub, Josef; Pospisilova, Klara; Fabry, Milan; Pacht, Petr: Metallacarborane Sulfamides: Unconventional, Specific, and Highly Selective Inhibitors of Carbonic Anhydrase IX, JOURNAL OF MEDICINAL CHEMISTRY, Volume 62, Issue 21, Page 9560-9575, 2019, DOI 10.1021/acs.jmedchem.9b00945; [o1] Lolak, Nabih; Boga, Mehmet; Tuneg, Muhammed; Karakoc, Gulcin; Akocak, Suleyman; Supuran, Claudiu T.: Sulphonamides incorporating 1,3,5-triazine structural motifs show antioxidant, acetylcholinesterase, butyrylcholinesterase, and tyrosinase inhibitory profile, JOURNAL OF ENZYME INHIBITION AND MEDICINAL CHEMISTRY, Volume 35, Issue 1, Page 424-431, 2020, DOI 10.1080/14756366.2019.1707196; [o1] Burmaoglu, Serdar; Yilmaz, Ali Osman; Polat, M. Fatih; Kaya, Ruya; Gulcin, Ilhami; Algul, Oztekin: Synthesis and biological evaluation of novel tris-chalcones as potent carbonic anhydrase, acetylcholinesterase, butyrylcholinesterase and α-glycosidase inhibitors, BIOORGANIC CHEMISTRY, Volume 85, Page 191-197, 2019, DOI 10.1016/j.bioorg.2018.12.035
OCA18. Charakteristika dopadu výstupu na spoločensko-hospodársku prax / Characteristics of the output's impact on socio-economic practice <i>Rozsah do 200 slov v slovenskom jazyku / Range up to 200 words in Slovak</i> <i>Rozsah do 200 slov v anglickom jazyku / Range up to 200 words in English</i>	Prvá systematická štúdia dizajnovania, syntézy, analýzy a hodnotenia biologickej aktivity triazínbenzénsulfonamidových konjugátov s proteínogénnymi aminokyselinami ako potenciálnych inhibítorov humánnej karbonickej anhydrázy. Demonstrujeme možnosti efektívnej prípravy a vzťahu štruktúra – účinok novej skupiny potenciálnych liečiv hypoxických nádorových ochorení, s priaznivými parametrami inhibičných konštánt a selektivity vybraných derivátov k tumor-asociovaným izoformám humánnej karbonickej anhydrázy. / The first systematic study of the design, synthesis, analysis and evaluation of the biological activity of triazine benzenesulfonamide conjugates with proteinogenic amino acids as potential inhibitors of human carbonic anhydrase. We demonstrate the possibilities of effective preparation and structure-effect relationship of a new group of potential drugs of hypoxic cancer, with favorable parameters of inhibitory constants and selectivity of selected derivatives to tumor-associated isoforms of human carbonic anhydrase.

OCA19. Charakteristika dopadu výstupu a súvisiacich aktivít na vzdelávací proces / Characteristics of the output and related activities' impact on the educational process

Rozsah do 200 slov v slovenskom jazyku / Range up to 200 words in Slovak
Rozsah do 200 slov v anglickom jazyku / Range up to 200 words in English

Nový dizajn molekúl na báze triazínbenzénsulfonamidových konjugátov s proteínogénnymi aminokyselinami otvára možnosti v štúdiu nových syntetických, izolačných a analytických postupov ako aj štúdiu nových vzťahov štruktúra – aktivita. Teoretické aj experimentálne aspekty navrhnutých prístupov budú prezentované v rámci predmetov analytická chémia a farmaceutická chémia. Tieto výstupy dopĺňajú edukačnú bázu farmaceutickej chémie o nové poznatky v