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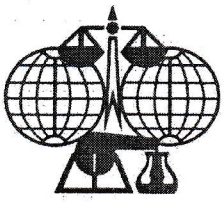

057-397. ***Synthesis of Cobra-1 analogues.*** Purificación Tudón, César A. Angulo-Pachón, Juan Murga, Miguel Carda and J. Alberto Marco

058-424. ***Enzymatic synthesis of glycosylated prodrugs.*** Jiří Blažek, Petr Jansa, Ondřej Baszczyński, Marcela Krečmerová, Blanka Králová and Antonín Holý

059-431. ***Synthesis and biological activity of new thiazole isosteres of goniofufurone and 7-epi-goniofufurone.*** Miloš Svirčev, Mirjana Popsavin, Vesna Kojić, Gordana Bogdanović, Milka Jadranin and Velimir Popsavin

060-432. ***Synthesis, antitumor evaluation in vitro and interaction with ct-DNA of novel imidazo[4,5-b]pyridine derivatives.*** Marijana Hranjec, Borka Lučić, Ivo Piantanida, Ivana Ratkaj, Sandra Kraljević Pavelić and Grace Karminski-Zamola

061-440. ***Synthesis and efficacy of enantiomers of EGIS-11229, a 2,3-benzodiazepine type AMPA antagonist.*** István Ling, József Barkóczy, Márta Ágoston, Krisztina Móricz, Gábor Kapus, Szabolcs Kertész, Gábor Gigler, Miklós Végh and Gábor Szénási

062-446. ***Synthesis of Tetrahydrobenzothiophene Derivatives from a Stable Ozonide as Inhibitors of an Essential Enzyme in Helicobacter pylori Survival.*** Sonia Paz, Luis Castedo and Concepción González-Bello

063-457. ***Synthesis, antitumor activity in vitro and interaction with ct-DNA of novel benzimidazo[1,2-a]quinoline derivatives.*** Nataša Perin, Marijana Hranjec, Lidija Uzelac, Marijeta Kralj and Grace Karminski-Zamola

064-470. ***Dihydrofuro[3,4-c]pyridinones as Inhibitors of the Cytolytic Effects of the Pore-forming Glycoprotein Perforin.*** Gersande Lena, Vivien R. Sutton, Annette Ciccone, Kylie A. Browne, Mark J. Smyth, Joseph A. Trapani, William A. Denny and Julie A. Spicer

065-488. ***Antiviral Pyrazinecarboxamides Including Improved Synthesis of Favipiravir.*** Sagar V. Beldar and Ulrich Jordis

066-491. ***Inhibitors of Mycobacterium tuberculosis chorismate mutase – a structure guided approach.*** Steffi Munack, Kathrin Roderer, Peter Kast, Ute Krengel and Lise-Lotte Gundersen

067-495. ***Suzuki-Miyaura Mediated Biphenyl Synthesis: A Spotlight on the Boronate Coupling Partner.*** Christine Baltus, John Spencer and Neil Press

068-496. ***Synthesis of 5,7-disubstituted indoles incorporating a terminal alkyne at the 7-position – en route to CuAAC-enabled fluorescence detection of aminoCBI DNA alkylating agents and their adducts.*** Moana Tercel, H.D. Sarath Liyanage, Sarah McManaway and Frederik B. Pruijn

069-500. ***Elucidating the Mechanism of Action of Neuronal Nicotinic Acetylcholine Receptor (nAChR) Ligands.*** Joseph I. Ambrus, Gracia X. J. Quek, Mary Chebib (Collins) and Malcolm D. McLeod

070-517. ***Synthesis of chiral substituted cyclopentanones.*** Kerti Ausmees, Kristel Kütt, Margus Lopp and Tõnis Kanger

071-535. ***Stapling of 3_{10} Helical Peptides: A 3D Structural and Thermodynamic Study of Peptides with RCM- or CuAAC-derived Side Chain-to-Side Chain Bridges.*** Øyvind Jacobsen, Pål Rongved, Hiroaki Maekawa, Nien-Hui Ge, Carl Henrik Görbitz, Ole Petter Ottersen, Mahmood Amiry-Moghaddam and Jo Klaveness

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Synthesis and biological activity of new thiazole isosteres of goniofufurone and 7-*epi*-goniofufurone

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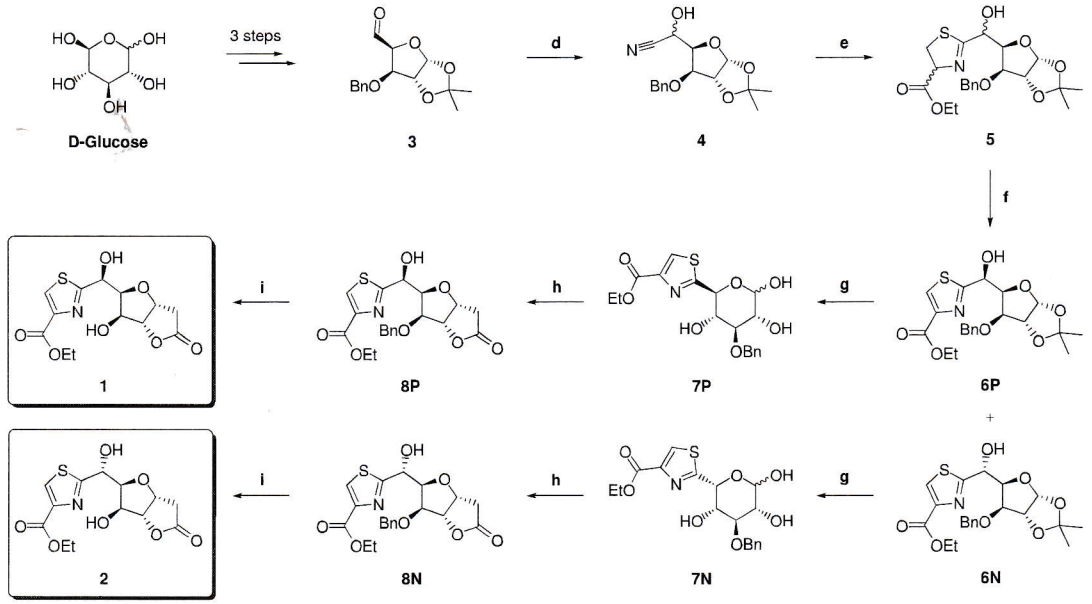
Topic: 3. Medicinal chemistry; agrochemicals

(+)-Goniofufurone is the naturally occurring cytotoxic styryl lactone isolated from the stem bark of *Goniothalamus giganteus* (Annonaceae) that possesses structure marked by furano-furone bicyclic core.[1] Herein we report a total synthesis of two novel (7-*epi*-)goniofufurone isosteres bearing a 2-thiazolyl-4-carboxylic acid ethyl ester moiety instead of the aromatic ring at C-7 (**1** and **2**, Scheme 1).



Scheme 1. Reagents and conditions: (d) TMSCN, Ph_3PMeI, CH_2Cl_2, rt; (e) L-cysteine ethyl ester hydrochloride, MeOH, Et_3N, rt; (f) $CBrCl_3$, DBU, CH_2Cl_2, 0 °C → +4 °C; (g) 90% aq TFA, 0 °C; (h) Meldrum's acid, DMF, Et_3N, 46–50 °C; (i) $TiCl_4$, CH_2Cl_2, 0 °C → rt.

The key intermediate (**4**) was obtained by addition of trimethylsilyl cyanide to known aldehyde **3**, which was readily available from D-glucose through a modified literature procededure.[2] Thiazole ring was introduced in two consecutive steps (e, f), which included cyclization and oxidation, respectively, while the γ-lactone function was built in the penultimate step (h), after the deprotection of hydroxyl groups at positions C-1 and C-2 (g). After removal of benzyl protection (i), final products **1** and **2** were obtained.

Antiproliferative activity of both analogues against a number of tumor cell lines will be presented.

References

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2. Gracza, T.; Szolcsányi, P. *Molecules*. **2000**, *5*, 1386.