Sinteza i *in vitro* antitumorska aktivnost 3'-amino-D-*ksilo* analoga tiazofurina

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Tiazofurin je sintetički *C*-nukleozid sa značajnom antitumorskom aktivnošću. Višefazna sinteza njegovog analoga 3'-amino-D-*ksilo* analoga **1**, ostvarena je polazeći iz D-glukoze, korišćenjem odabranih 2,5-anhidro šećera kao ključnih intermedijera. Rezultati ispitivanja *in vitro* antiproliferativne aktivnosti jedinjenja **1** prema ćelijskim linijama odabranih humanih tumora, kao i njegov efekat na ćelijski ciklus i apoptozu u K562 ćelijama biće takodje saopšteni i diskutovani.

Synthesis and *in vitro* antitumour activity of 3'-amino-D-*xylo* analogue of tiazofurin

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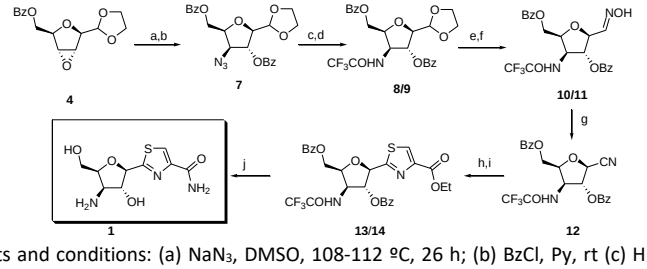
Tiazofurin is a synthetic *C*-nucleoside with significant antitumour activity. A multi-step synthesis of its 3'-amino-D-*xylo* analogue **1** has been achieved starting from D-glucose, by utilizing selected 2,5-anhydro sugars as key intermediates. Herein we report the effect of this compound to the proliferation of certain human tumour cell lines and the results related to its effects on the K562 cell cycle. Its ability to induce apoptosis in the same cell culture will be also presented and discussed.



Scheme 1. Reagents and conditions: (a) NaN_3, DMSO, 108-112 ºC, 26 h; (b) BzCl, Py, rt (c) H_2, 10 % Pd/C, $CHCl_3$ (kat.), EtOH, rt; (d) $(CF_3CO)_2O$, Py, CH_2Cl_2, −10 ºC→ 4ºC; (e) TFA - 6M HCl, +4 ºC→ rt; (f) NH_2OH×HCl, NaOAc, EtOH, CH_2Cl_2, rt; (g) MsCl, Py, −15ºC, 0.5 h→, rt; (h) CysEt×HCl, Et_3N, MeOH, rt; (i) $BrCCl_3$, DBU, CH_2Cl_2, 0 °C→ +4 °C; (j) NH_3, MeOH, rt.

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