SEPTEMBER 23-27 2024
19BMOS
BRAZILIAN MEETING ON ORGANIC SYNTHESIS
BENTO GONÇALVES, RS - BRAZIL

# Antiproliferative activity of novel triazole scaffold

**Maria Carolina Theisen[1*], Isis Apolo Silveira de Borba[1], Jamile Buligon Peripolli[1], Bianca Costa Maia[1], Daniele Rubert Nogueira-Librelotto[1], Fernando Fumagalli[1].**

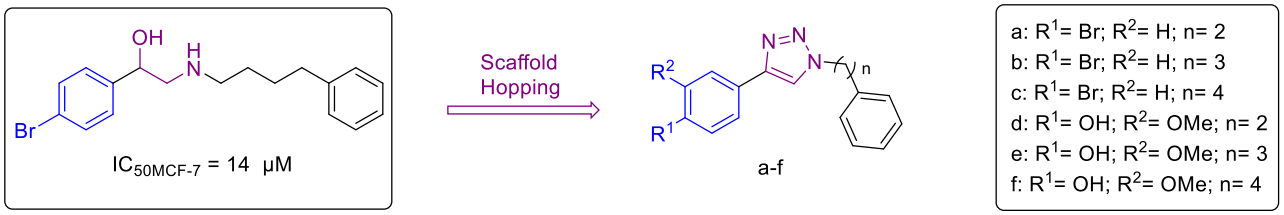
***1) Department of Industrial Pharmacy, Federal University of Santa Maria, UFSM***

****e-mail: maria.theisen@acad.ufsm.br***

Keywords: *Bioisosterism, scaffold hopping, antitumoral.*

## ABSTRACT

The 1,2,3-triazole scaffold has a widespread occurrence on several bioactive compounds, such as antimicrobials[1], antitumorals[2], and antivirals[3]. Previously, a promisor β-amino alcohol compound had shown antiproliferative activity against two cell lines of breast cancer[4]. In this work, 1,2,3-triazole was used to replace the core structure of this β-amino alcohol prototype. A metal-free synthesis method was applied using primary amines (1.4 equiv), enolizable ketones (1 equiv), and 4-nitrophenyl azide (1 equiv)[5]. The obtained yields were 28-93%. All products demonstrated some antiproliferative activity, but the *p*-Br substituted compounds presented a higher activity than their equivalents with the guaiacol portion. The chain extension from two to three methylenes has improved activity, but the same improvement was not observed from three to four. Further studies are needed, but this work demonstrated that the 1,2,3-triazole scaffold has the potential for the development of new antitumoral candidates, and it is a promising bioisostere for β-amino alcohol.




| Compound | Cellular viability (%) in 60 μM |
|---|---|
| **a[1]** | 65.94±3.74 |
| **b[1]** | 30.12±1.10 |
| **c[1]** | 39.53±7.36 |
| **d[1]** | 91.45±4.73 |
| **e[1]** | 78.36±7.36 |
| **f[1]** | 72.89±6.90 |
| **Palbociclib[2]** | 9.34±0.54 |
| **Vorinostat[1]** | 14.91±0.84 |

Results of the MTT assay on the MCF-7 cell line, 48h of incubation, % of cellular viability calculated based on the negative control. [1]Diluted in DMSO. [2]Diluted in HCl 0.1M.

## ACKNOWLEDGEMENTS

This study was financed in part by the Coordenação de Aperfeiçoamento de Pessoal de Nível Superior – Brasil (CAPES) – Finance Code 001 and Conselho Nacional do Desenvolvimento Científico e Tecnológico – CNPq.

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